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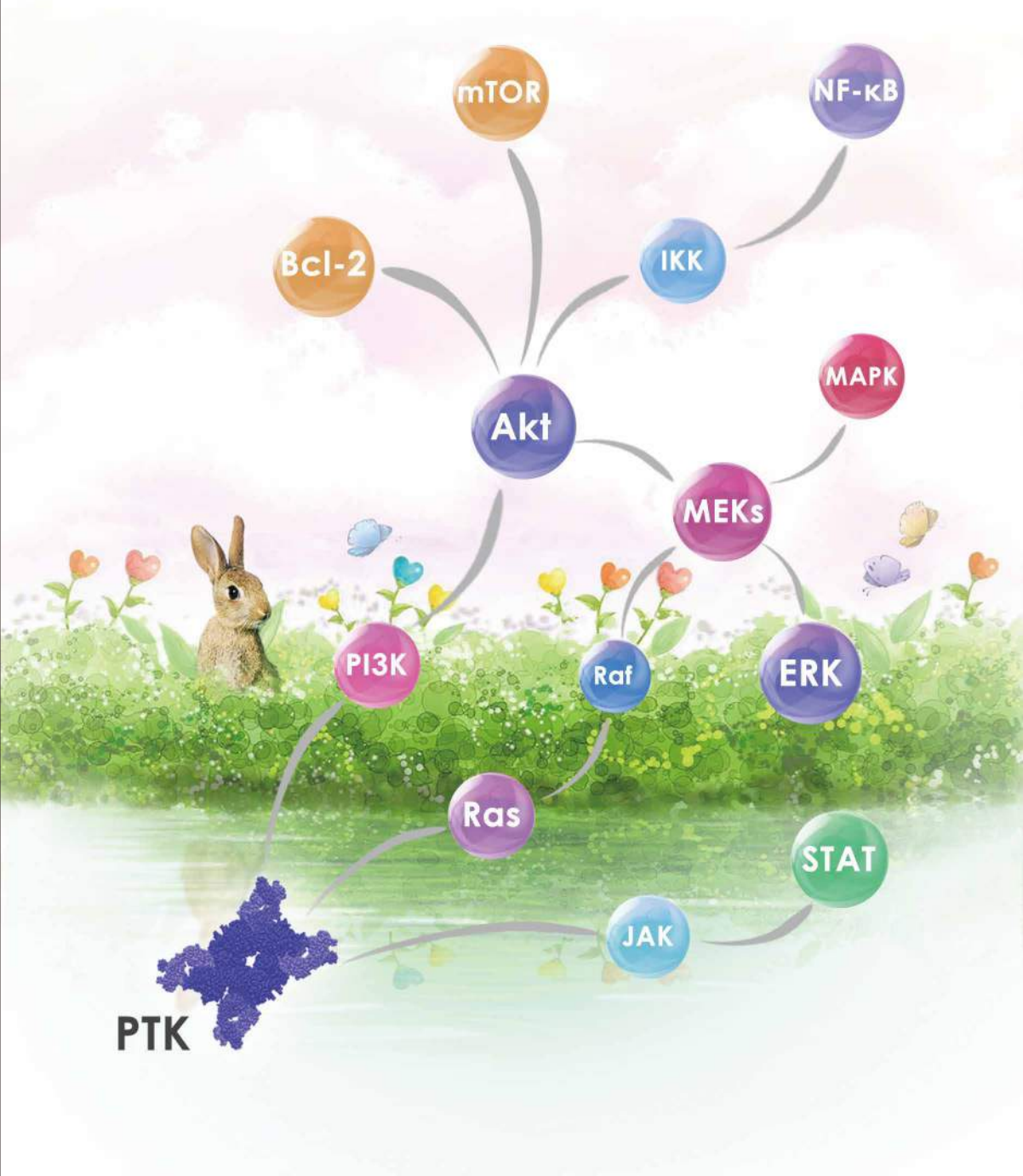
Inhibitors of Signaling Pathways



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Our website lists a lot of convenient and helpful product material, such as abundant information on **biological activities, chemical properties, and experimental instructions**. This is an example for Olaparib (AZD2281, Ku-0059436), Catalog No.S1060.

Product Citations (61)

- Cell, 2011, 145(4):529-42
- Science, 2013, 339(6120):700-4
- Nat Methods, 2013, 10(10):981-4
- Cell Metab, 2014, 19(6):1034-41
- ACS Nano, 2011, 5(11):9216-24
- Nat Struct Mol Biol, 2012, 19(4):417-23
- Hepatology, 2012, 55(6):1840-51
- Nat Commun, 2014, 5:3361
- Proc Natl Acad Sci U S A, 2013, 12(7):
- Cancer Res, 2013, 72(11):2814-21

Customer Reviews (11)

Data from [Hepatology 2012 55, 1840-1851]
Olaparib (AZD2281, Ku-0059436) purchased from Selleck

Purity

View current batch: S106018 Purity=99.83%

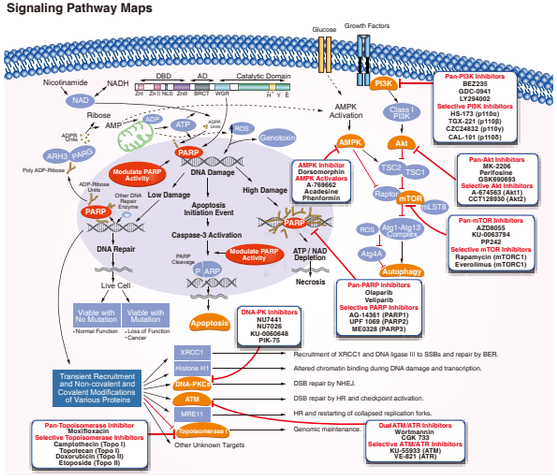
Same Target Product Comparisons

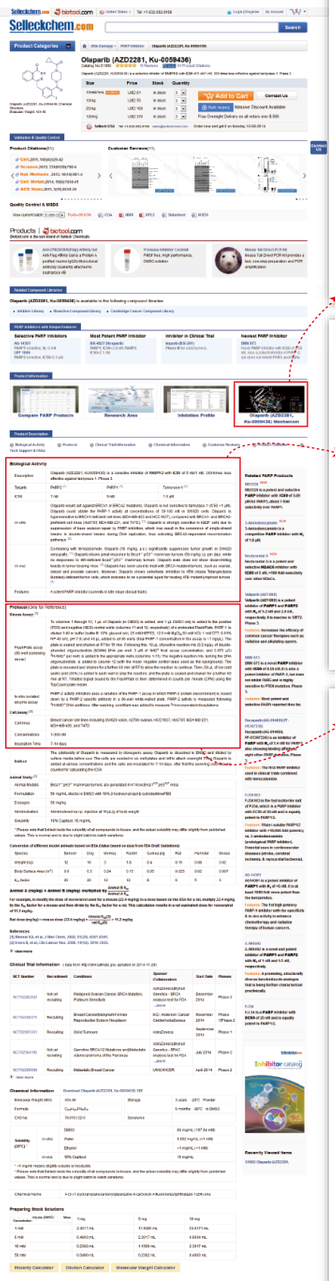
Inhibitory Selectivity | Solubility

Inhibitor Name	PARP	PARP1	PARP2	PARP3	Tankyrase-1
Olaparib (AZD2281, Ku-0059436)		+++	++++		+
Veliparib (ABT-888)		+++	+++		
Rucaparib (AG-014699,PF-01367338)	++++				
BMN 673	++++				
AG-14361		+++			
IND-1001	++				
A-966492		++++	+++		
PJ34	++				
PJ34 HCl	++				
UPF 1069		+	++		
ME0328		+		+	
Iniparib (BSI-201)	▽				
AZD2461	▽				

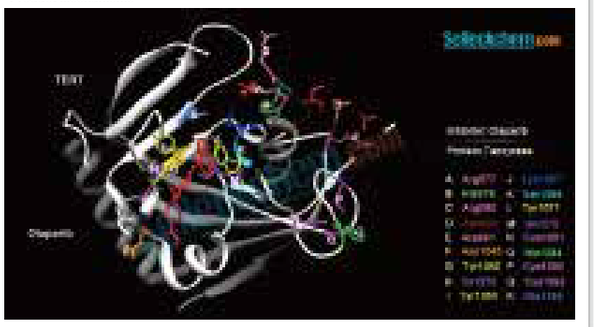
Notes:
1. For more details, such as half maximal inhibitory concentrations (IC50s) and working concentrations of each inhibitor, please click on the link of the inhibitor of interest.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Gray "▽" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

Signaling Pathway Maps





Product Mechanisms



Biological Activities

Description	Olaparib (AZD2281, KU0059436) is a selective inhibitor of **PARP1/2** with **IC_{50}** of 5 nM/1 nM, 300-times less effective against tankyrase-1. Phase 3.		
Targets	PARP2 [1]	PARP1 [1]	Tankyrase-1 [1]
IC_{50}	1 nM	5 nM	1.5 μM
In vitro	Olaparib acts against BRCA1 or BRCA2 mutations. Olaparib is not sensitive to tankyrase-1 (IC_{50} >1 μM). Olaparib ablates the PARP-1 activity at concentrations of 30-100 nM in SW620 cells. Olaparib is hypersensitive to BRCA1-deficient cell lines (MDA-MB-463 and HCC1937), compared with BRCA1- and BRCA2-proficient cell lines (Hs578T, MDA-MB-231, and T47D). [1] Olaparib is strongly sensitive to KB2P cells due to suppression of base excision repair by PARP inhibition, which may result in the conversion of single-strand breaks to double-strand breaks during DNA replication, thus activating BRCA2-dependent recombination pathways. [2]		
In vivo	Combined with temozolomide, Olaparib (10 mg/kg, p.o.) significantly suppresses tumor growth in SW620 xenografts. [1] Olaparib shows great response to $Brca1^{-/-}$; $p53^{-/-}$ mammary tumors (50 mg/kg i.p. per day), with no response to HR-deficient $Fcad^{-/-}$; $p53^{-/-}$ mammary tumors. Olaparib even does not show dose-limiting toxicity in tumor-bearing mice. [3] Olaparib has been used to treat tumors with mutant BRCA, such as ovarian, breast and prostate cancers. Moreover, Olaparib shows selective inhibition of ATM (Ataxia Telangiectasia Mutated)-deficient tumor cells, which indicates to be a potential agent for treating **ATM** mutant lymphoid tumors. [4]		
Features	A potent PARP inhibitor (currently in late stage clinical trials).		

Experimental Protocols (Only for Reference)

Kinase Assay: [1]

FlashPlate assay (96-well screening assay)	To columns 1 through 10, 1 μL of Olaparib (in DMSO) is added, with only 1 μL DMSO added to the positive (POS) and negative (NEG) control wells (columns 11 and 12, respectively) of a pretreated FlashPlate. PARP-1 is diluted 1:40 in buffer (buffer B: 10% glycerol (v/v), 25 mM HEPES, 12.5 mM $MgCl_2$, 50 mM KCl, 1 mM DTT, 0.01% NP-40 (v/v), pH 7.6) and 40 μL added to all 96 wells (final PARP-1 concentration in the assay is ~1 ng/μL). The plate is sealed and shaken at RT for 15 min. Following this, 10 μL of positive reaction mix (0.2 ng/μL of double-stranded oligonucleotide [M3/M4] DNA per well, 5 μM of NAD$^+$ final assay concentration, and 0.075 μCi ^{3}H-NAD$^+$ per well) is added to the appropriate wells (columns 1-11). The negative reaction mix, lacking the DNA oligonucleotide, is added to column 12 (with the mean negative control value used as the background). The plate is resealed and shaken for a further 60 min at RT to allow the reaction to continue. Then, 50 μL of ice-cold acetic acid (30%) is added to each well to stop the reactions, and the plate is sealed and shaken for a further 60 min at RT. Tritiated signal bound to the FlashPlate is then determined in counts per minute (CPM) using the TopCount plate reader.
In vitro isolated enzyme assay	PARP-2 activity is measured using a variation of the PARP-1 assay in which PARP-2 protein (recombinant) is bound down by a PARP-2 specific antibody in a 96-well white-walled plate. PARP-2 activity is measured following ^{3}H-NAD$^+$ DNA additions. After washing, scintillant is added to measure ^{3}H-incorporated ribosylations.

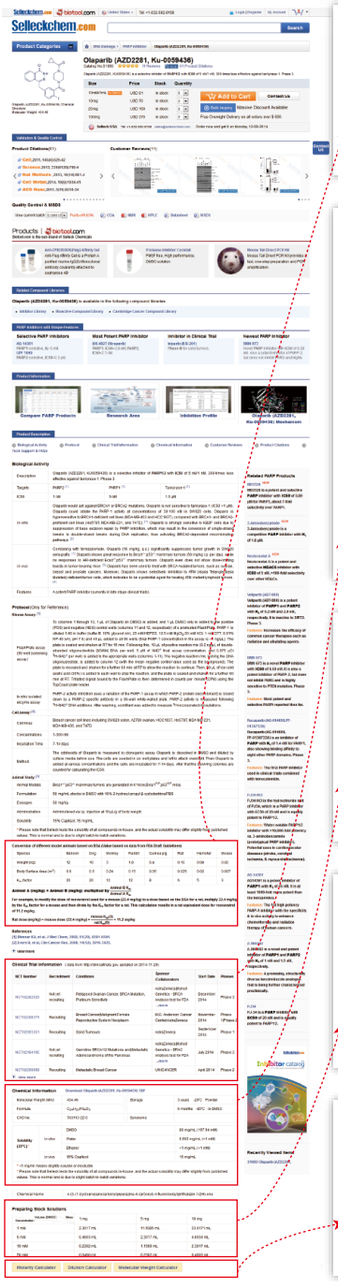
Cell Assay: [2]

Cell lines	Breast cancer cell lines including SW620 colon, A2780 ovarian, HCC1937, Hs578T, MDA-MB-231, MDA-MB-436, and T47D
Concentrations	1-300 nM
Incubation Time	7-14 days
Method	The cytotoxicity of Olaparib is measured by clonogenic assay. Olaparib is dissolved in DMSO and diluted by culture media before use. The cells are seeded in six well plates and left to attach overnight. Then Olaparib is added at various concentrations and the cells are incubated for 7-14 days. After that the surviving colonies are counted for calculating the IC_{50}.

Animal Study: [3]

Animal Models	$Brca1^{-/-}$; $p53^{-/-}$ mammary tumors are generated in K14cre; $Brca1^{F/F}$; $p53^{F/F}$ mice.
Formulation	50 mg/mL stocks in DMSO with 10% 2-hydroxyl-propyl-β-cyclodextrine/PBS
Dosages	50 mg/kg
Administration	Administered via I.P. injection at 10 μL/g of body weight
Solubility	15% Captisol, 15 mg/mL

* Please note that Selleck tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.



Conversion of Different Model Animals Based on BSA

Species	Baboon	Dog	Monkey	Rabbit	Guinea pig	Rat	Hamster	Mouse
Weight (kg)	12	10	3	1.8	0.4	0.15	0.08	0.02
Body Surface Area (m^2)	0.6	0.5	0.24	0.15	0.05	0.025	0.02	0.007
K_m factor	20	20	12	12	8	6	5	3

Animal A (mg/kg) = Animal B (mg/kg) multiplied by $\frac{\text{Animal B } K_m}{\text{Animal A } K_m}$

Clinical Trial Applications (data from http://clinicaltrials.gov, updated on 2014-11-29)

NCT Number	Recruitment	Conditions	Sponsor /Collaborators	Start Date	Phases
NCT02282020	Not yet recruiting	Relapsed Ovarian Cancer, BRCA Mutation, Platinum Sensitivity	AstraZeneca|Myriad Genetics - BRCA Analysis test for FDA Premarket Approval (PMA)	December 2014	Phase 3
NCT02208375	Recruiting	Breast Cancer|Malignant Female Reproductive System Neoplasm	M.D. Anderson Cancer Center|AstraZeneca	November 2014	Phase 1|Phase 2
NCT02093351	Recruiting	Solid Tumours	AstraZeneca	September 2014	Phase 1
NCT02184195	Not yet recruiting	Germline BRCA1/2 Mutations and| Metastatic Adenocarcinoma of the Pancreas	AstraZeneca|Myriad Genetics - BRAC Analysis test for FDA Premarket Approval (PMA)	July 2014	Phase 3
NCT02299999	Recruiting	Metastatic Breast Cancer	UNICANCER	April 2014	Phase 2

view more

Chemical Properties

Molecular Weight (MW)	434.46	Storage	3 years -20℃ Powder
Formula	C24H23FN4O3		6 months -80℃ in DMSO
CAS No.	763113-22-0	Synonyms	

Solubility (25°C) *	*In vitro*	DMSO	86 mg/mL (197.94 mM)
		Water	0.002 mg/mL (<1 mM)
		Ethanol	<1 mg/mL (<1 mM)
	In vivo	15% Captisol	15 mg/mL

* <1 mg/ml means slightly soluble or insoluble.

How to Prepare Stock Solutions

Concentration \ Volume(DMSO) Mass	1 mg	5 mg	10 mg
1 mM	2.3017 mL	11.5085 mL	23.0171 mL
5 mM	0.4603 mL	2.3017 mL	4.6034 mL
10 mM	0.2302 mL	1.1509 mL	2.3017 mL
50 mM	0.0460 mL	0.2302 mL	0.4603 mL

Quick Utility Calculations

Molarity Calculator

Dilution Calculator

Molecular Weight Calculator

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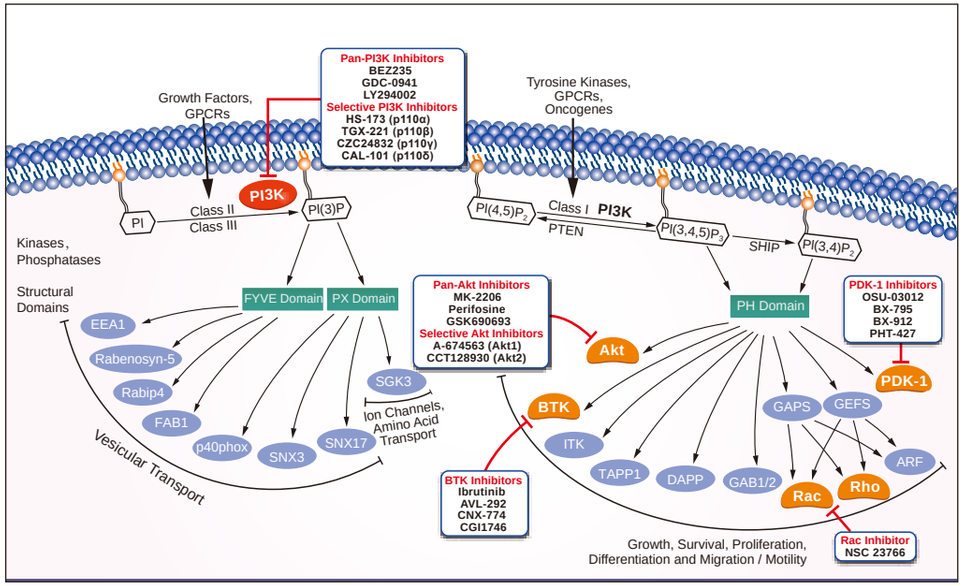
For detailed product information, please visit us online: **www.selleckchem.com**

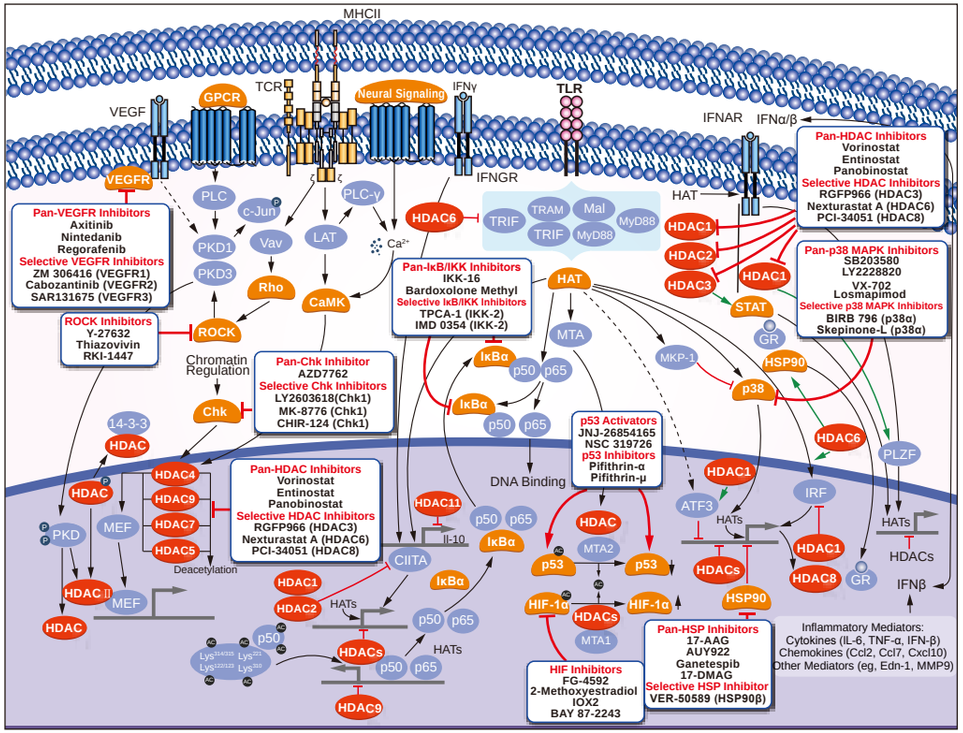
PI3K



PI3K Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1009	BEZ235 (Dactolisib, NVP-BEZ235)	Pan	Targets IC_{50} (nM)	p110α 4	p110β 75	p110γ 5	p110δ 7	Phase 2
S1065	GDC-0941	Pan	Targets IC_{50} (nM)	p110α 3	p110β 33	p110γ 75	p110δ 3	Phase 2
S1105	LY294002	Pan	Targets IC_{50} (μM)	p110α 0.5	p110β 0.97	p110δ 0.57		
S2226	CAL-101 (Idelalisib, GS-1101)	Selective	Targets IC_{50} (nM)	**p110δ** 2.5				FDA Approved
S2247	BKM120 (Buparlisib, NVP-BKM120)	Pan	Targets IC_{50} (nM)	p110α 52	p110β 166	p110γ 262	p110δ 116	Phase 2
S1169	TGX-221	Selective	Targets IC_{50} (nM)	**p110β** 5				
S2767	3-Methyladenine (3-MA)	Pan	Targets IC_{50} (μM)	Vps34 25	p110γ 60			
S7356 New	HS-173	Selective	Targets IC_{50} (nM)	**p110α** 0.8				
S7018 New	CZC24832	Selective	Targets IC_{50} (nM)	**p110γ** 27				
S7016 New	VS-5584 (SB2343)	Pan	Targets IC_{50} (nM)	p110α 2.6	p110β 21	p110γ 3	p110δ 2.7	Phase 1

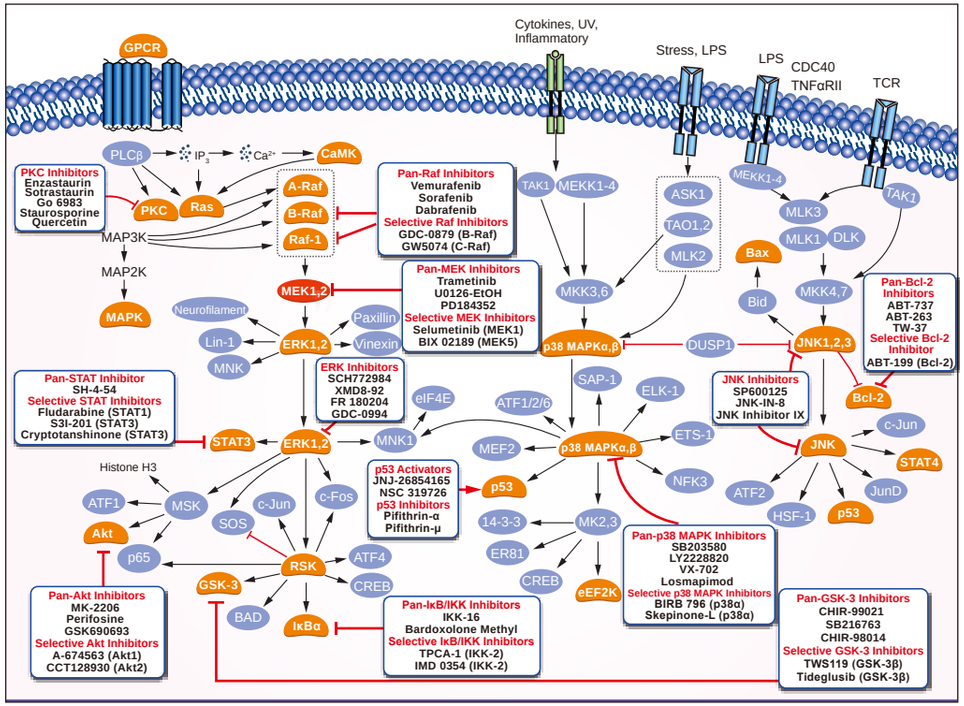
HDAC



HDAC Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1074	Vorinostat (SAHA, MK0683)	Pan	Targets IC_{50} (nM)	HDAC ~10				FDA Approved
S1053	Entinostat (MS-275)	Pan	Targets IC_{50} (μM)	HDAC1 0.51	HDAC3 1.7			Phase 3
S1030	Panobinostat (LBH589)	Pan	Targets IC_{50} (nM)	HDAC 5				Phase 3
S1045	Trichostatin A (TSA)	Pan	Targets IC_{50} (nM)	HDAC ~1.8				
S1122	Mocetinostat (MGCD0103)	Pan	Targets IC_{50} (μM)	HDAC1 0.15	HDAC2 0.29	HDAC3 1.66	HDAC11 0.59	Phase 2
S2012	PCI-34051	Selective	Targets IC_{50} (nM)	**HDAC8** 10				
S7324 New	TMP269	Pan	Targets IC_{50} (nM)	HDAC4 157	HDAC5 97	HDAC7 43	HDAC9 23	
S7473 New	Nexturastat A	Selective	Targets IC_{50} (nM)	**HDAC6** 5				
S7292 New	RG2833 (RGFP109)	Pan	Targets IC_{50} (nM)	HDAC1 60	HDAC3 50			

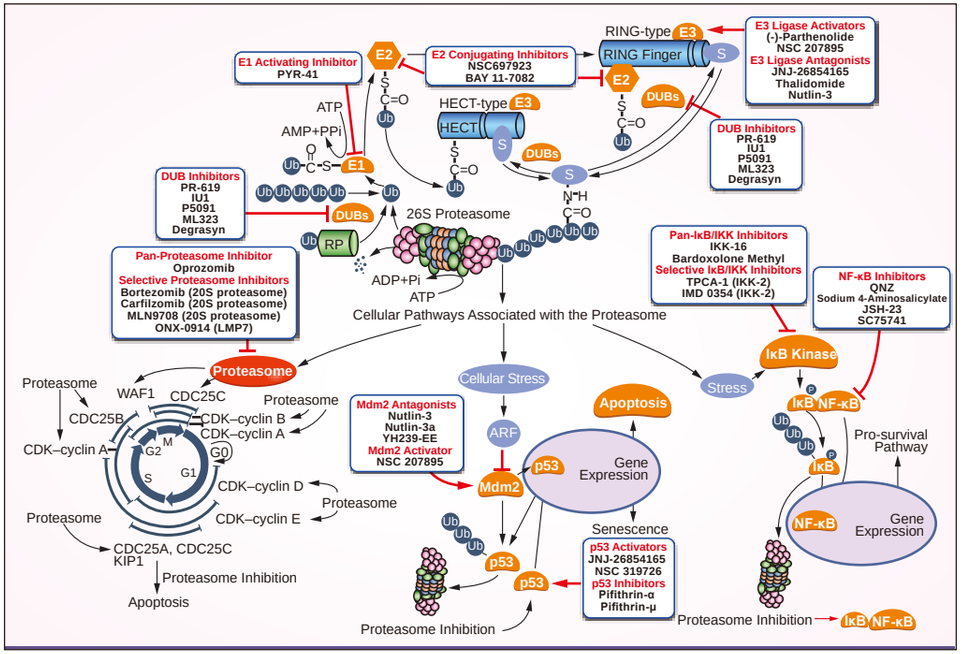
MEK



MEK Inhibitors

Cat.No.	Product Name	Type	Information			Clinical Data
S1008	Selumetinib (AZD6244)	Selective	Targets IC_{50} (nM)	**MEK1** 14		Phase 3
S1036	PD0325901	Pan	Targets IC_{50} (nM)	MEK 0.33		Phase 2
S2673	Trametinib (GSK1120212)	Pan	Targets IC_{50} (nM)	MEK1 0.92	MEK2 1.8	FDA Approved
S1102	U0126-EtOH	Pan	Targets IC_{50} (nM)	MEK1 70	MEK1 60	
S1020	PD184352 (CI-1040)	Pan	Targets IC_{50} (nM)	MEK1 17	MEK2 17	Phase 2
S1177	PD98059	Selective	Targets IC_{50} (μM)	**MEK1** 2		
S1531	BIX 02189	Selective	Targets IC_{50} (nM)	**MEK5** 1.5	ERK5 59	
S2617	TAK-733	Selective	Targets IC_{50} (nM)	**MEK1** 3.2		Phase 1
S1089 New	Refametinib (RDEA119)	Pan	Targets IC_{50} (nM)	MEK1 19	MEK2 47	FDA Approved

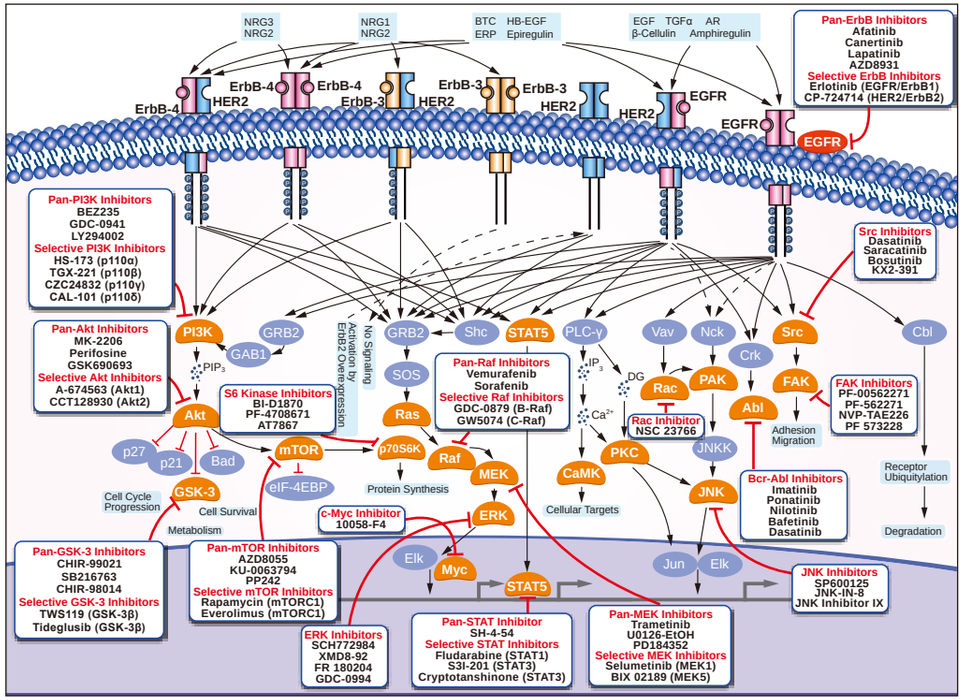
Proteasome



Proteasome Inhibitors

Cat.No.	Product Name	Type	Information			Clinical Data
S1013	Bortezomib (PS-341)	Selective	Targets K_i (nM)	**20S proteasome** 0.6		FDA Approved
S2619	MG-132	Selective	Targets IC_{50} (nM)	**20S proteasome** 100	Calpain 1200	
S2853	Carfilzomib (PR-171)	Selective	Targets IC_{50} (nM)	**20S proteasome** <5		FDA Approved
S2181	MLN9708	Selective	Targets IC_{50} (nM)	**20S proteasome** 3.4		Phase 3
S2180	Ixazomib (MLN2238)	Selective	Targets IC_{50} (nM)	**20S proteasome** 3.4		Phase 3
S7172	ONX-0914 (PR-957)	Selective	Targets IC_{50} (nM)	**LMP7** 10		
S7049	Oprozomib (ONX 0912)	Pan	Targets IC_{50} (nM)	20S proteasome 36	LMP7 82	Phase 1/2
S1157	CEP-18770 (Delanzomib)	Selective	Targets IC_{50} (nM)	**20S proteasome** 3.8		Phase 1/2
S7462 New	PI-1840	Selective	Targets IC_{50} (nM)	**20S proteasome** 27		

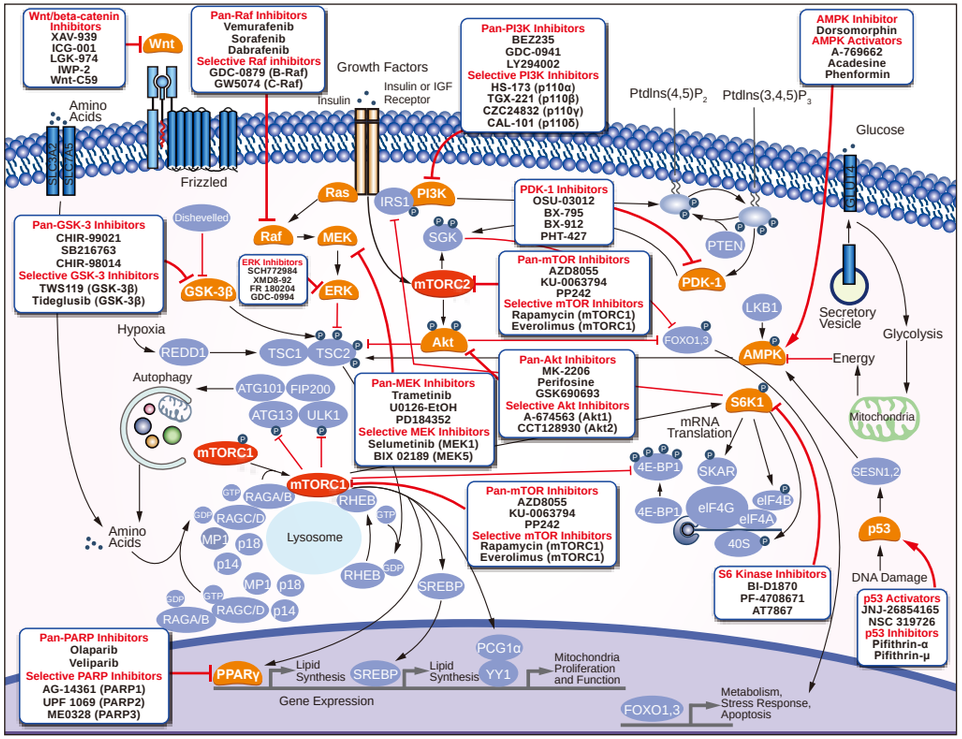
EGFR



EGFR Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1023	Erlotinib HCl (OSI-744)	Selective	Targets	**EGFR/ErbB1**				FDA Approved
			IC_{50} (nM)	2				
S1025	Gefitinib (ZD1839)	Selective	Targets	**Tyr1173** (NR6W cells)	**Tyr1173** (NR6wtEGFR cells)	**Tyr992** (NR6wtEGFR cells)	**Tyr992** (NR6W cells)	FDA Approved
			IC_{50} (nM)	26	37	37	57	
S1011	Afatinib (BIBW2992)	Pan	Targets	EGFR (L858R)	EGFR (wt)	EGFR (L858R/T790M)	HER2/ErbB2	FDA Approved
			IC_{50} (nM)	0.4	0.5	10	14	
S1019	Canertinib (CI-1033)	Pan	Targets	EGFR/ErbB1	HER2/ErbB2			Phase 3
			IC_{50} (nM)	1.5	9			
S2111	Lapatinib	Pan	Targets	EGFR/ErbB1	HER2/ErbB2			FDA Approved
			IC_{50} (nM)	10.8	9.2			
S2727	Dacomitinib (PF299804)	Pan	Targets	EGFR/ErbB1	HER2/ErbB2	ErbB4		Phase 2
			IC_{50} (nM)	6.0	45.7	73.7		
S7297 New	AZD9291	Mutant-Selective	Targets	**EGFR** (Exon 19 deletion)	**EGFR** (L858R/T790M)	EGFR (wt)		Phase 3
			IC_{50} (nM)	12.92	11.44	493.8		
S7284 New	CO-1686 (AVL-301)	Mutant-Selective	Targets	**EGFR** (L858R/T790M)	EGFR (wt)			Phase 2
			K_i (nM)	21.5	303.3			

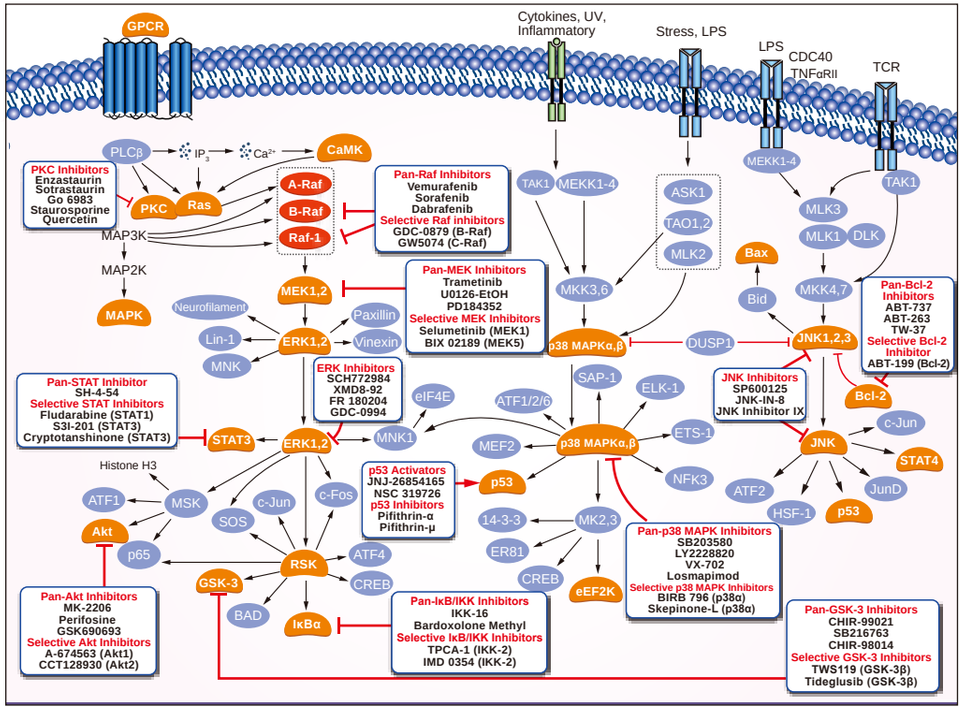
mTOR



mTOR Inhibitors

Cat.No.	Product Name	Type	Information				Clinical Data
S1039	Rapamycin (Sirolimus)	mTORC1 Selective	Targets	**mTOR**			FDA Approved
			IC_{50} (nM)	0.1			
S1120	Everolimus (RAD001)	mTORC1 Selective	Targets	**mTOR** (FKBP12)			FDA Approved
			IC_{50} (nM)	1.6-2.4			
S1555	AZD8055	Pan	Targets	mTOR			Phase 1
			IC_{50} (nM)	0.8			
S1044	Temsirolimus (CCI-779)	mTORC1 Selective	Targets	**mTOR**			FDA Approved
			IC_{50} (μM)	1.76			
S1226	KU-0063794	Pan	Targets	mTORC1	mTORC2		
			IC_{50} (nM)	~10	~10		
S2811	INK 128 (MLN0128)	Pan	Targets	mTOR			Phase 1
			IC_{50} (nM)	1			
S1022	Ridaforolimus (MK-8669)	mTORC1 Selective	Targets	**mTOR**			Phase 3
			IC_{50} (nM)	0.2			
S7035 New	XL388	Pan	Targets	mTOR	mTORC1	mTORC2	
			IC_{50} (nM)	9.9	8	166	
S8050 New	ETP-46464	Pan	Targets	mTOR			
			IC_{50} (nM)	0.6			

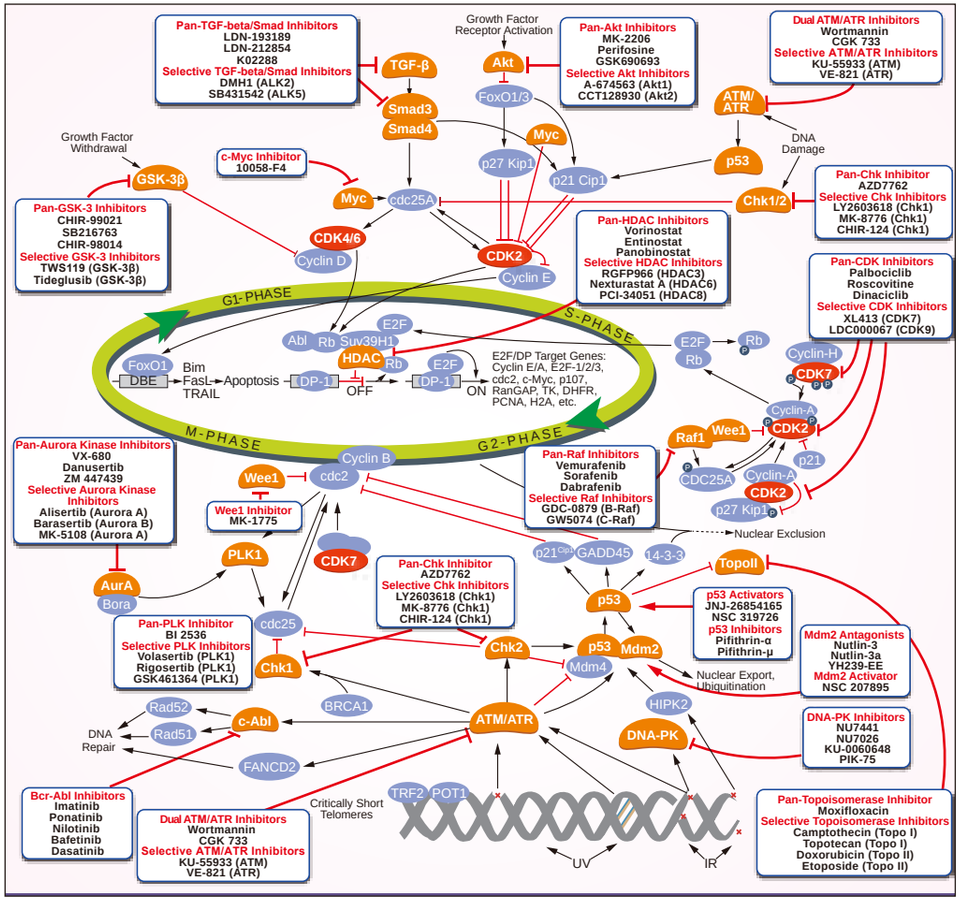
Raf



Raf Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1267	Vemurafenib (PLX4032)	Mutant-targeted	Targets	B-Raf (V600E)	B-Raf	C-Raf		FDA Approved
			IC_{50} (nM)	31	100	48		
S1040	Sorafenib Tosylate	Pan	Targets	Raf-1	B-Raf	B-Raf (V599E)	VEGFR2/Flk1	FDA Approved
			IC_{50} (nM)	6	22	38	15	
S1152	PLX-4720	Mutant-targeted	Targets	C-Raf-1 (Y340D/Y341D)	B-Raf (V600E)	B-Raf		
			IC_{50} (nM)	6.7	13	160		
S2807	Dabrafenib (GSK2118436)	Mutant-targeted	Targets	B-Raf (V600E)	B-Raf	C-Raf		FDA Approved
			IC_{50} (nM)	0.8	3.2	5.0		
S1104	GDC-0879	Pan	Targets	B-Raf				
			IC_{50} (nM)	0.13				
S2161	RAF265 (CHIR-265)	Pan	Targets	C-Raf/B-Raf/B-Raf (V600E)				Phase 2
			IC_{50} (nM)	3-60				
S2746	AZ 628	Pan	Targets	C-Raf-1	B-Raf (V600E)	B-Raf		
			IC_{50} (nM)	29	34	105		
S7108 New	Encorafenib (LGX818)	Mutant-targeted	Targets	B-Raf (V600E)				Phase 3
			EC_{50} (nM)	4				
S7397 New	Sorafenib	Pan	Targets	Raf-1	B-Raf	B-Raf (V599E)	VEGFR2/Flk1	FDA Approved
			IC_{50} (nM)	6	22	38	15	

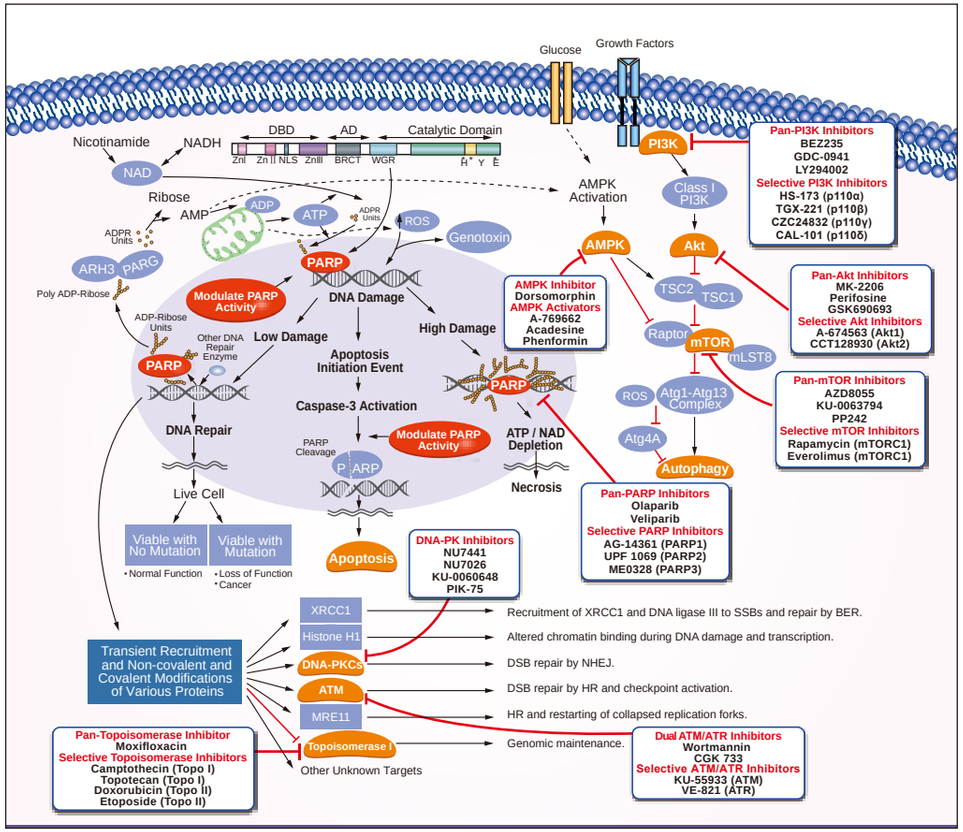
CDK



CDK Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1116	Palbociclib HCl	Pan	Targets	CDK4/CyclinD3	CDK4/CyclinD1	CDK6/CyclinD2		Phase 3
			IC_{50} (nM)	9	11	15		
S1153	Roscovitine (Seliciclib)	Pan	Targets	CDK5/p35	Cdc2/CyclinB	CDK2/CyclinA	CDK2/CyclinE	Phase 2
			IC_{50} (μM)	0.16	0.65	0.7	0.7	
S2768	Dinaciclib (SCH727965)	Pan	Targets	CDK1	CDK2	CDK5	CDK9	Phase 3
			IC_{50} (nM)	3	1	1	4	
S7547 New	XL413 (BMS-863233)	Selective	Targets	**CDC7**				Phase 1/2
			IC_{50} (nM)	3.4				
S7461 New	LDC000067	Selective	Targets	**CDK9**				
			IC_{50} (nM)	44				
S7320 New	TG003	Pan	Targets	Clk1	Clk2	Clk4		
			IC_{50} (nM)	20	200	15		

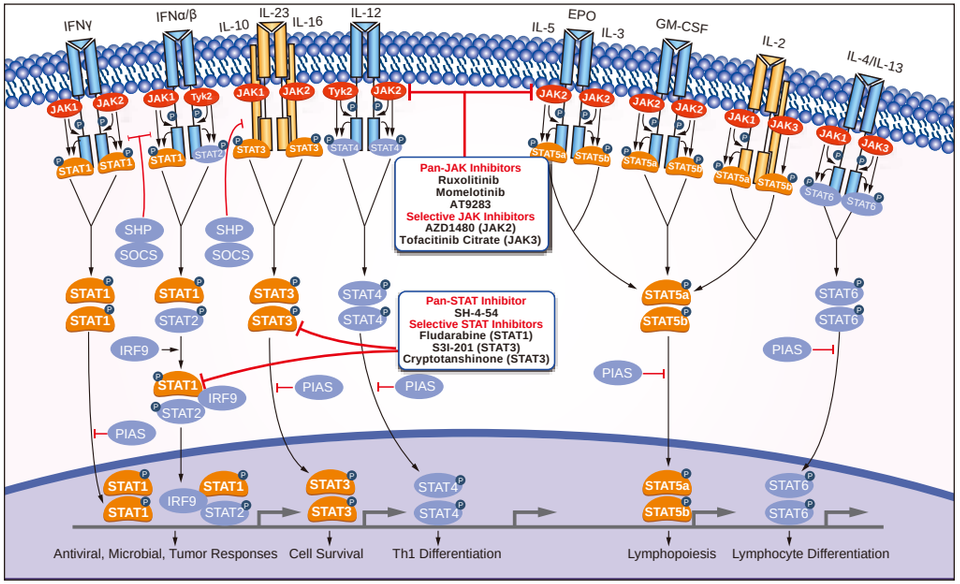
PARP



PARP Inhibitors

Cat.No.	Product Name	Type	Information			Clinical Data
S1060	Olaparib (AZD2281, Ku-0059436)	Pan	Targets IC_{50} (nM)	PARP1 5	PARP2 1	Phase 3
S1004	Veliparib (ABT-888)	Pan	Targets K_i (nM)	PARP1 5.2	PARP2 2.9	Phase 3
S1098	Rucaparib (AG-014699, PF-01367338)	Pan	Targets K_i (nM)	PARP1 1.4		Phase 3
S1087	Iniparib (BSI-201)	Selective	A **PARP1** inhibitor with demonstrated effectiveness in triple-negative breast cancer (TNBC).			Phase 3
S7048	BMN 673	Pan	Targets IC_{50} (nM)	PARP 0.58		Phase 3
S8038	UPF 1069	Selective	Targets IC_{50} (μM)	**PARP2** 0.3	PARP1 8	
S4273 New	3-Aminobenzamide	Pan	Targets K_i (μM)	PARP 1.8		
S7438 New	ME0328	Selective	Targets IC_{50} (μM)	**PARP3** 0.89	PARP1 6.3	

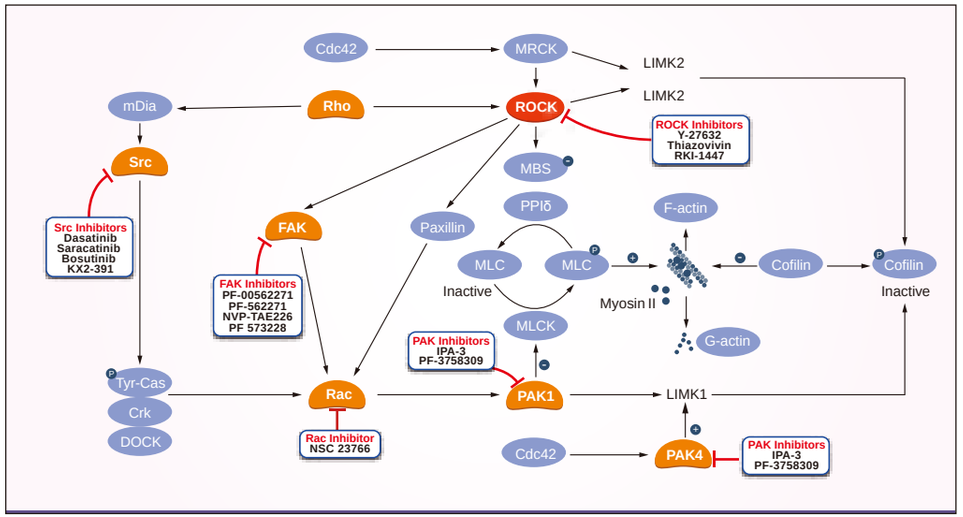
JAK



JAK Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1378	Ruxolitinib (INCB018424)	Pan	Targets IC_{50} (nM)	JAK1 3.3	JAK2 2.8			FDA Approved
S5001	Tofacitinib Citrate (CP-690550)	Selective	Targets IC_{50} (nM)	**JAK3** 1	JAK1 112	JAK2 20		FDA Approved
S2162	AZD1480	Selective	Targets IC_{50} (nM)	**JAK2** 0.26				Phase 1
S2736	Fedratinib (SAR302503)	Selective	Targets IC_{50} (nM)	**JAK2** 3	**JAK2** (V617F) 3			Phase 2
S1134	AT9283	Pan	Targets IC_{50} (nM)	JAK2 1.2	JAK3 1.1	Aurora A/B ~3	Abl1 (T315I) 4	Phase 2
S2219	Momelotinib (CYT387)	Pan	Targets IC_{50} (nM)	JAK1 11	JAK2 18	JAK3 155		Phase 3
S7137 New	GLPG0634	Pan	Targets IC_{50} (nM)	JAK1 10	JAK2 28	JAK3 810	TYK2 116	Phase 2
S8057 New	Pacritinib (SB1518)	Mutant-targeted	Targets EC_{50} (nM)	JAK2 (V617F) 19	JAK2 23	FLT3 (D835Y) 6	FLT3 22	Phase 3
S7036 New	XL019	Selective	Targets IC_{50} (nM)	**JAK2** 2.2	JAK1 134.3	JAK3 214.2		Phase 1
S7119 New	Go6976	Non-specific	A multi-targeted inhibitor of PKC, JAK2 and Flt3.					

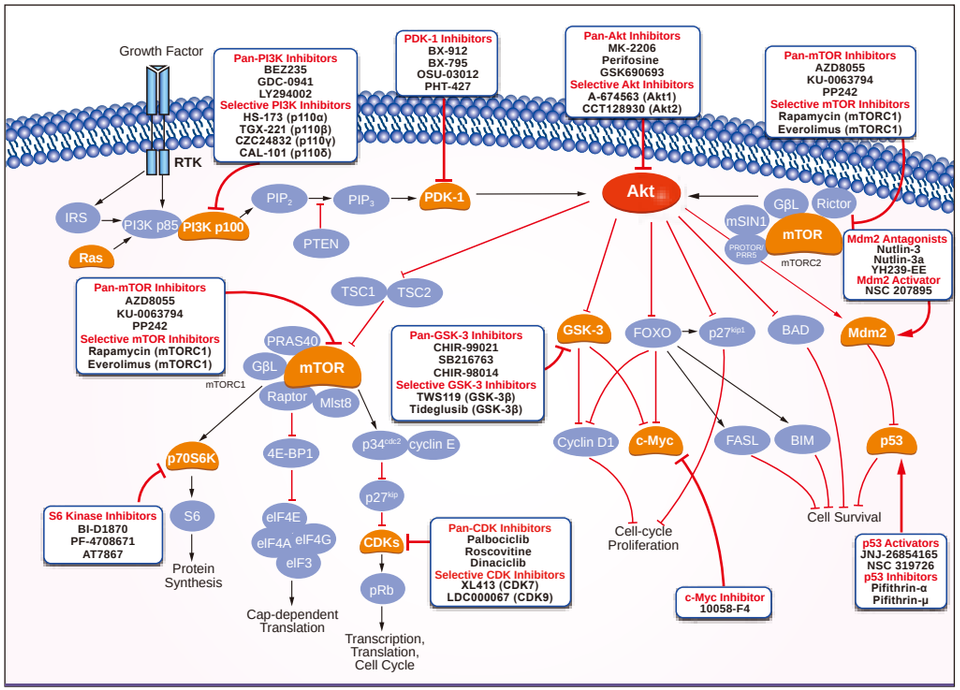
ROCK



ROCK Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1049	Y-27632 2HCl	Pan	Targets K_i (nM)	ROCK1 140	ROCK2 300			
S1459	Thiazovivin	Pan	Targets IC_{50} (μM)	ROCK ~0.5				
S1573	Fasudil (HA-1077) HCl	Non-specific	Targets IC_{50} (μM)	ROCK2 0.33	PKA 1.6	PKG 1.6	PKC 3.3	MHLW Approved
S1474	GSK429286A	Pan	Targets IC_{50} (nM)	ROCK1 14	ROCK2 63			
S7195	RKI-1447	Pan	Targets IC_{50} (nM)	ROCK1 14.5	ROCK2 6.2			

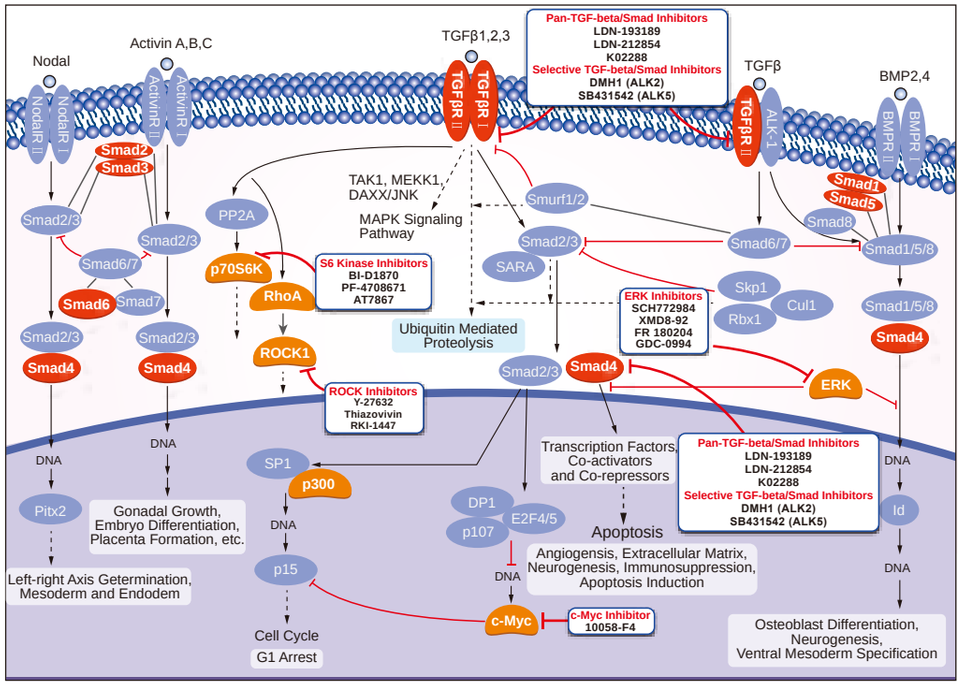
Akt



Akt Inhibitors

Cat.No.	Product Name	Type	Information				Clinical Data
S1078	MK-2206 2HCl	Pan	Targets IC_{50} (nM)	Akt1 8	Akt2 12	Akt3 65	Phase 2
S1037	Perifosine (KRX-0401)	Pan	Targets IC_{50} (μM)	Akt 4.7			Phase 3
S1113	GSK690693	Pan	Targets IC_{50} (nM)	Akt1 2	Akt2 13	Akt3 9	Phase 1
S2808	Ipatasertib (GDC-0068)	Pan	Targets IC_{50} (nM)	Akt1 5	Akt2 18	Akt3 8	Phase 2
S8019	AZD5363	Pan	Targets IC_{50} (nM)	Akt1 3	Akt2 8	Akt3 8	Phase 2
S1558	AT7867	Pan	Targets IC_{50} (nM)	Akt1 32	Akt2 17	Akt3 47	
S2635	CCT128930	Selective	Targets IC_{50} (nM)	**Akt2** 6			
S2670	A-674563	Selective	Targets K_i (nM)	**Akt1** 11			
S1117	Triciribine	Non-specific	Targets IC_{50} (nM)	Akt 130			FDA Approved

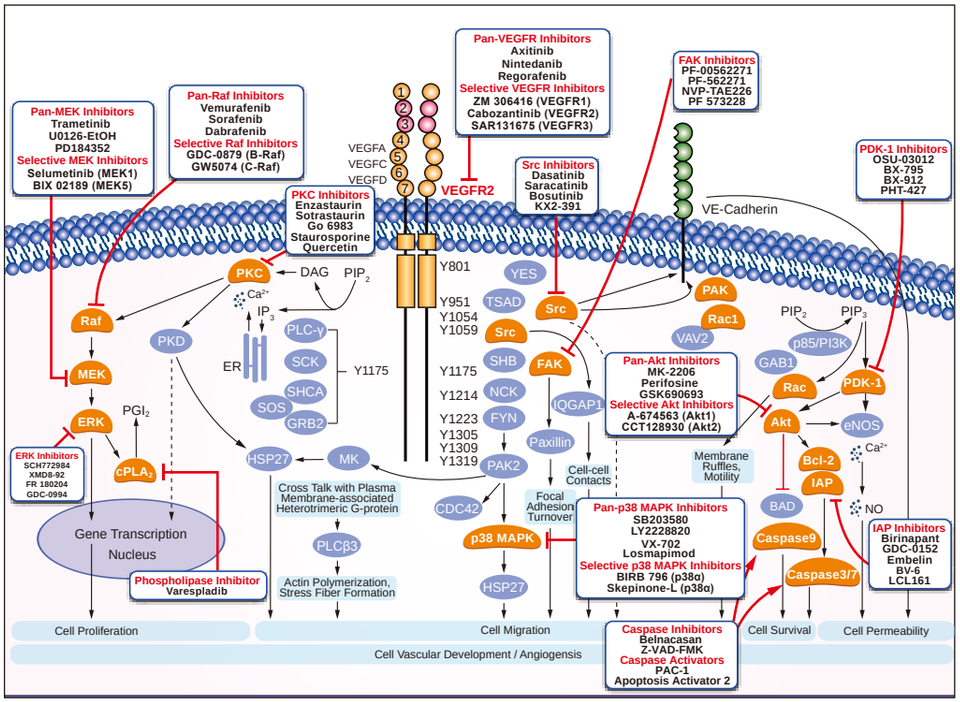
TGF-beta/Smad



TGF-beta/Smad Inhibitors

Cat.No.	Product Name	Type	Information				Clinical Data
S1067	SB431542	Selective	Targets	**ALK5**			
			IC_{50} (nM)	94			
S2618	LDN-193189	Pan	Targets	ALK2	ALK3		
			IC_{50} (nM)	5	30		
S2230	LY2157299	Selective	Targets	**TGFβR1/ALK5**			Phase 2/3
			IC_{50} (nM)	56			
S2704	LY2109761	Pan	Targets	TβRI	TβRII		
			K_i (nM)	38	300		
S1476	SB525334	Selective	Targets	**TGFβR1/ALK5**			
			IC_{50} (nM)	14.3			
S7146 New	DMH1	Selective	Targets	**ALK2**			
			IC_{50} (nM)	107.9			
S7147 New	LDN-212854	Pan	Targets	ALK1	ALK2	ALK3	ALK4
			IC_{50} (nM)	2.4	1.3	85.8	2133
S7148 New	ML347	Pan	Targets	ALK1	ALK2		
			IC_{50} (nM)	46	32		
S7507 New	LDN-193189 HCl	Pan	Targets	ALK2	ALK3		
			IC_{50} (nM)	5	30		
S7359 New	K02288	Pan	Targets	ALK1	ALK2	ALK3	ALK6
			IC_{50} (nM)	1.8	1.1	34.4	6.4

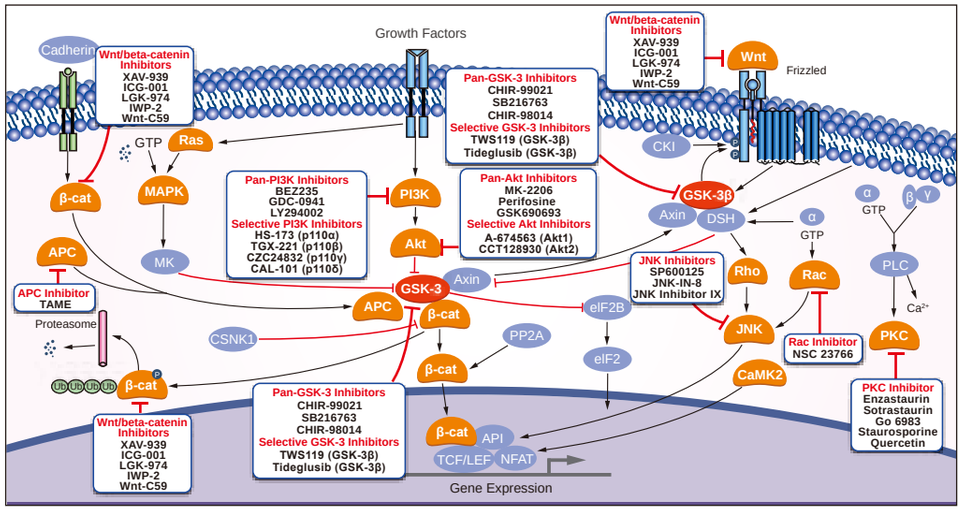
VEGFR



VEGFR Inhibitors

Cat.No.	Product Name	Type	Information				Clinical Data
S1119	Cabozantinib (XL184)	Selective	Targets	**VEGFR2**			FDA Approved
			IC_{50} (nM)	0.035			
S1005	Axitinib	Pan	Targets	VEGFR1	VEGFR2	VEGFR3	FDA Approved
			IC_{50} (nM)	0.1	0.2	0.1-0.3	
S1046	Vandetanib (ZD6474)	Selective	Targets	**VEGFR2**			FDA Approved
			IC_{50} (nM)	40			
S1010	Nintedanib (BIBF 1120)	Pan	Targets	VEGFR1	VEGFR2	VEGFR3	Phase 3
			IC_{50} (nM)	34	13	13	
S1178	Regorafenib (BAY 73-4506)	Pan	Targets	VEGFR1	VEGFR2	VEGFR3	FDA Approved
			IC_{50} (nM)	13	4.2	46	
S1101	Vatalanib (PTK787) 2HCl	Pan	Targets	VEGFR1	VEGFR2	VEGFR3	Phase 3
			IC_{50} (nM)	77	37	660	
S1207	Tivozanib (AV-951)	Pan	Targets	VEGFR1	VEGFR2	VEGFR3	Phase 3
			IC_{50} (nM)	30	6.5	15	
S1032	Motesanib Diphosphate	Pan	Targets	VEGFR1	VEGFR2	VEGFR3	Phase 3
			IC_{50} (nM)	2	3	6	
S1164	Lenvatinib (E7080)	Pan	Targets	VEGFR1	VEGFR2	VEGFR3	Phase 3
			IC_{50} (nM)	22	4	5.2	
S7258 New	SKLB1002	Selective	Targets	**VEGFR2**			
			IC_{50} (nM)	32			

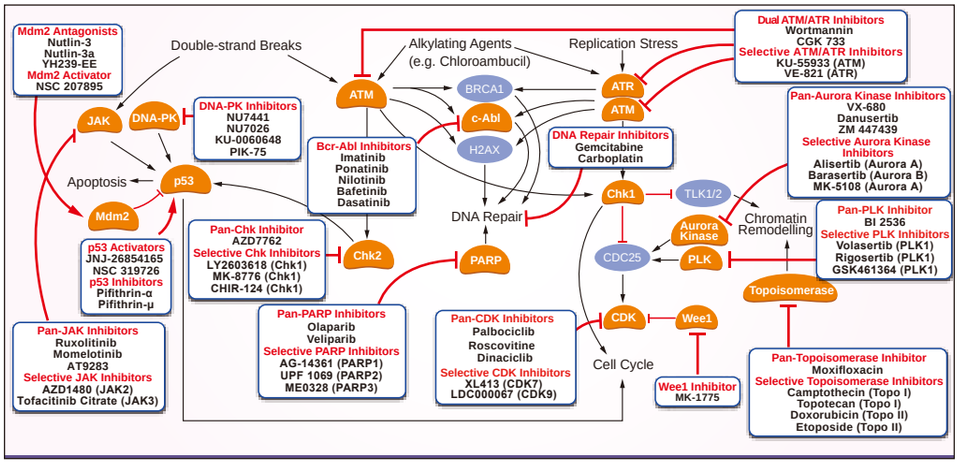
GSK-3



GSK-3 Inhibitors

Cat.No.	Product Name	Type	Information			Clinical Data
S2924	CHIR-99021 (CT99021) HCl	Pan	Targets IC_{50} (nM)	GSK-3α 10	GSK-3β 6.7	
S1075	SB216763	Pan	Targets IC_{50} (nM)	GSK-3α 34.3	GSK-3β ~34.3	
S2745	CHIR-98014	Pan	Targets IC_{50} (nM)	GSK-3α 0.65	GSK-3β 0.58	
S1590	TWS119	Selective	Targets IC_{50} (nM)	**GSK-3β** 30		
S2823	Tideglusib	Selective	Targets IC_{50} (nM)	**GSK-3β** 60		Phase 2
S2729	SB415286	Pan	Targets IC_{50} (nM)	GSK-3α 78	GSK-3β ~78	
S7193 New	1-Azakenpaullone	Selective	Targets IC_{50} (nM)	**GSK-3β** 18		
S7435 New	AR-A014418	Selective	Targets IC_{50} (nM)	**GSK-3β** 104		
S7198 New	BIO	Pan	Targets IC_{50} (nM)	GSK-3α 5	GSK-3β 5	
S7253 New	AZD2858	Pan	Targets IC_{50} (nM)	GSK-3 68		

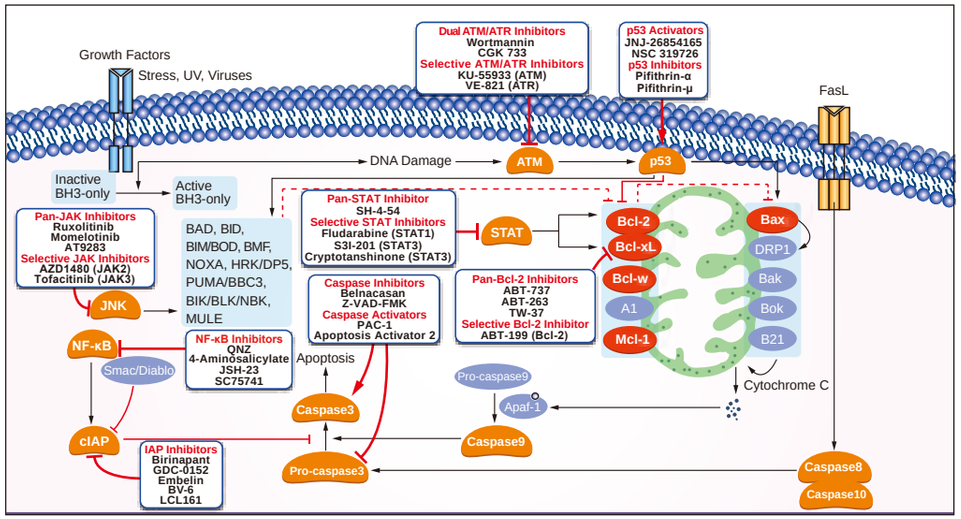
DNA/RNA Synthesis



DNA/RNA Synthesis Inhibitors

Cat.No.	Product Name	Information	Clinical Data
S1166	Cisplatin	Cisplatin is an inorganic platinum complex, which is able to inhibit DNA synthesis by conforming DNA adducts.	FDA Approved
S1149	Gemcitabine HCl	Gemcitabine HCl is a DNA synthesis inhibitor with IC_{50} of 50 nM, 40 nM, 18 nM and 12 nM in PANC1, MIAPaCa2, BxPC3 and Capan2 cells, respectively.	FDA Approved
S1214	Bleomycin Sulfate	Bleomycin Sulfate is a glycopeptide antibiotic and an anticancer agent for squamous cell carcinomas (SCC) with IC_{50} of 4 nM in UT-SCC-19A cells.	FDA Approved
S1215	Carboplatin	Carboplatin is a DNA synthesis inhibitor by binding to DNA and interfering with the cell's repair mechanism.	FDA Approved
S1224	Oxaliplatin	Oxaliplatin inhibits DNA synthesis by conforming DNA adducts.	FDA Approved
S1135	Pemetrexed	Pemetrexed is a novel antifolate and antimetabolite for TS, DHFR and GARFT with K_i of 1.3 nM, 7.2 nM and 65 nM, respectively.	FDA Approved
S4288 New	Chloroambucil	Chlorambucil is a nitrogen mustard alkylating agent, used in the treatment of chronic lymphocytic leukemia.	FDA Approved
S2794 New	Sofosbuvir (PSI-7977)	Sofosbuvir (PSI-7977, GS-7977) is a HCV NS5B polymerase inhibitor for the treatment of chronic hepatitis C virus (HCV) infection.	FDA Approved
S7449 New	CRT0044876	CRT0044876 is a potent and selective APE1 inhibitor with IC_{50} of ~3 μM.	
S7470 New	Triapine	Triapine is a potent ribonucleotide reductase inhibitor with broad spectrum antitumor activity by inhibiting DNA synthesis.	Phase 2

Bcl-2



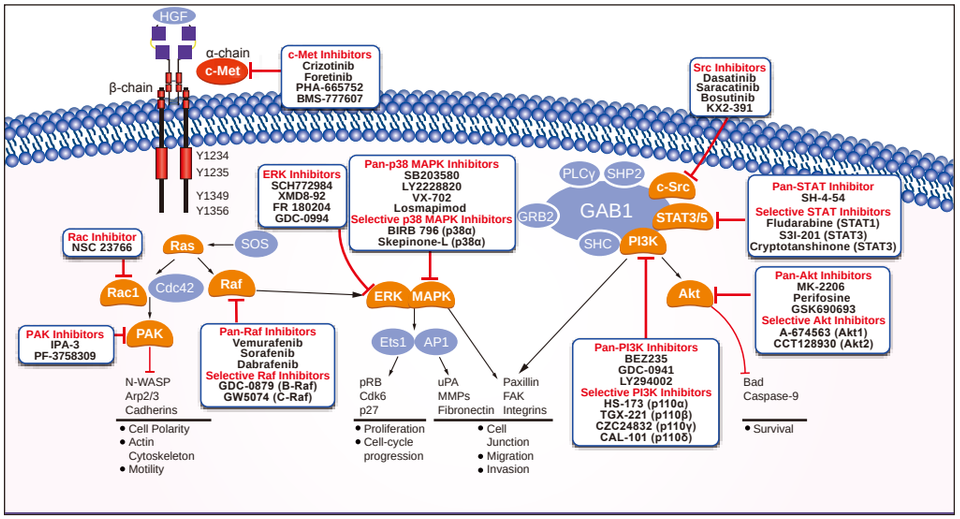
Bcl-2 Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1002	ABT-737	Pan	Targets EC_{50} (nM)	Bcl-xL 78.7	Bcl-2 30.3	Bcl-w 197.8		Phase 2
S1001	ABT-263 (Navitoclax)	Pan	Targets K_i (nM)	Bcl-xL ≤ 0.5	Bcl-2 ≤ 1	Bcl-w ≤ 1		Phase 2
S1057	Obatoclax Mesylate	Selective	Targets K_i (nM)	**Bcl-2** 220				Phase 3
S1121	TW-37	Pan	Targets K_i (μM)	Bcl-xL 1.11	Bcl-2 0.29	Mcl-1 0.26		
S8048	ABT-199 (GDC-0199)	Selective	Targets K_i (nM)	**Bcl-2** <0.01	Bcl-xL 48	Bcl-w 245	Mcl-1 >444	Phase 3
S2812	AT101	Pan	Targets K_i (μM)	Bcl-xL 0.48	Bcl-2 0.32	Mcl-1 0.18		Phase 2
S1071	HA14-1	Selective	Targets IC_{50} (μM)	**Bcl-2** ~9				

Bcl-2 Activator

S7105	BAM7	Selective	Targets EC_{50} (μM)	**Bax** 3.3				

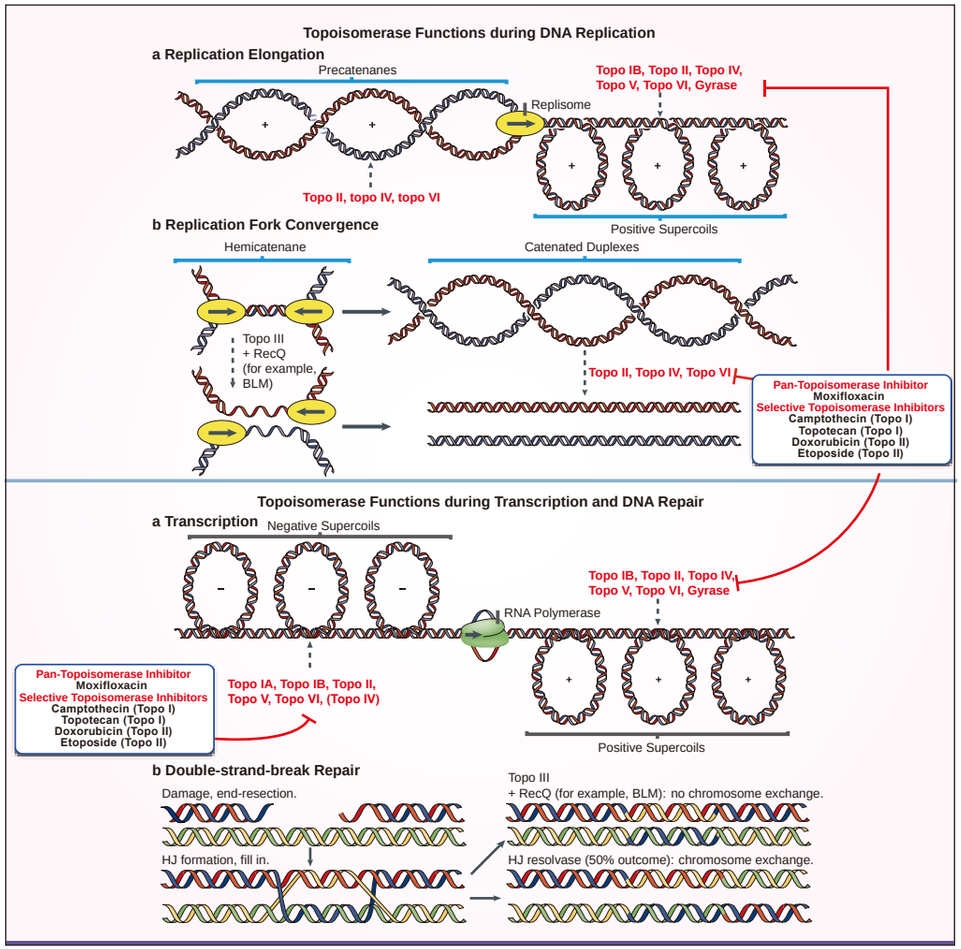
c-Met



c-Met Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1068	Crizotinib (PF-02341066)	Non-specific	Targets IC_{50} (nM)	c-Met 11	ALK 24			FDA Approved
S1111	Foretinib (GSK1363089)	Non-specific	Targets IC_{50} (nM)	Met 0.4	KDR 0.9			Phase 2
S1070	PHA-665752	Selective	Targets IC_{50} (nM)	**c-Met** 9				
S1080	SU11274	Selective	Targets IC_{50} (nM)	**Met** 10				
S1112	SGX-523	Selective	Targets IC_{50} (nM)	**Met** 4				Phase 1
S1561	BMS-777607	Non-specific	Targets IC_{50} (nM)	c-Met 3.9	Axl 1.1	Ron 1.8	Tyro3 4.3	Phase 1/2
S1114	JNJ-38877605	Selective	Targets IC_{50} (nM)	**c-Met** 4				Phase 1
S2788	INCB28060	Selective	Targets IC_{50} (nM)	**c-Met** 0.13				Phase 1
S2774	MK-2461	Mutant-targeted	Targets IC_{50} (nM)	c-Met 2.5	c-Met (M1250T) 0.4	c-Met (Y1235D) 0.5	c-Met (Y1230H) 1	Phase 1/2
S7067 New	EMD 1214063	Selective	Targets IC_{50} (nM)	**c-Met** 4				Phase 1

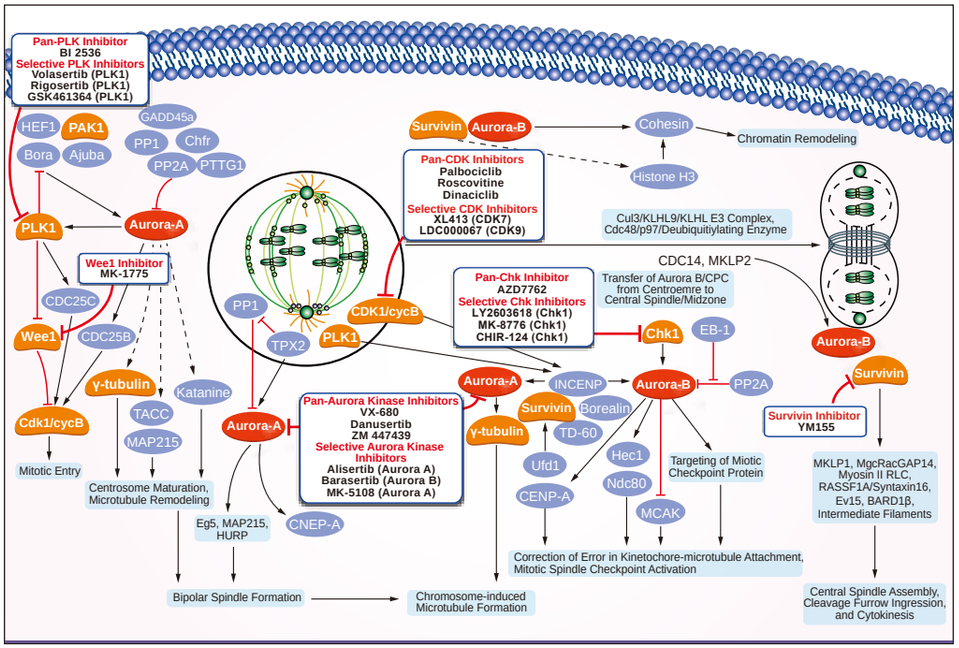
Topoisomerase



Topoisomerase Inhibitors

Cat.No.	Product Name	Type	Information	Clinical Data
S1208	Doxorubicin (Adriamycin)	Selective	Doxorubicin (Adriamycin) is an antibiotic agent that inhibits DNA **topoisomerase II** and induces DNA damage and apoptosis.	FDA Approved
S1225	Etoposide	Selective	Etoposide is a semisynthetic derivative of podophyllotoxin, which inhibits DNA synthesis via **topoisomerase II** inhibition activity.	FDA Approved
S1288	Camptothecin	Selective	Targets **Topoisomerase I (Topo I)** IC_{50} (μM) 0.68	Phase 2
S1231	Topotecan HCl	Selective	Topotecan is a **topoisomerase I** inhibitor for MCF-7 Luc cells and DU-145 Luc cells with IC_{50} of 13 nM and 2 nM, respectively.	FDA Approved
S7518 New	Voreloxin (SNS-595)	Selective	Voreloxin is a potent **Topoisomerase II** inhibitor with broad-spectrum anti-tumor activity.	Phase 2
S7261 New	Beta-Lapachone	Selective	Beta-Lapachone is a selective DNA **topoisomerase I** inhibitor, exhibiting no inhibitory activities against DNA topoisomerase II or ligase.	Phase 2

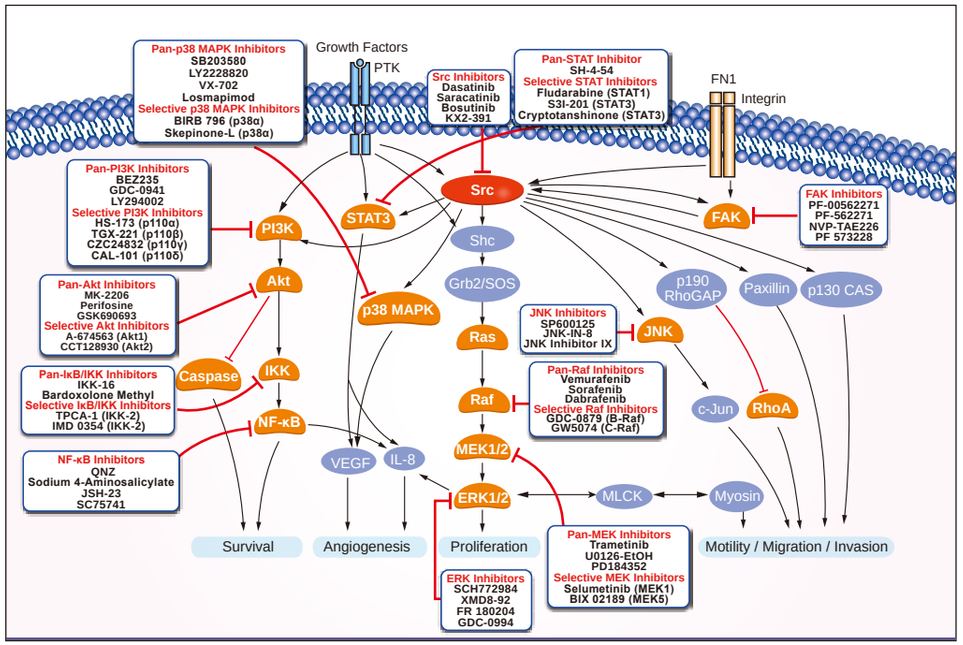
Aurora Kinase



Aurora Kinase Inhibitors

Cat.No.	Product Name	Type	Information				Clinical Data
S1133	Alisertib (MLN8237)	Selective	Targets IC_{50} (nM)	**Aurora A** 1.2	Aurora B 396.5		Phase 3
S1048	VX-680 (Tozasertib, MK-0457)	Pan	Targets K_i^{app} (nM)	Aurora A 0.6	Aurora B 18	Aurora C 4.6	Phase 2
S1147	Barasertib (AZD1152-HQPA)	Selective	Targets IC_{50} (nM)	**Aurora B** 0.37	Aurora A 1368		Phase 1
S1103	ZM 447439	Pan	Targets IC_{50} (nM)	Aurora A 110	Aurora B 130		
S1100	MLN8054	Selective	Targets IC_{50} (nM)	**Aurora A** 4	Aurora B 172		Phase 1
S1107	Danusertib (PHA-739358)	Pan	Targets IC_{50} (nM)	Aurora A 13	Aurora B 79	Aurora C 61	Phase 2
S1451	Aurora A Inhibitor I	Selective	Targets IC_{50} (nM)	**Aurora A** 3.4	Aurora B 3400	Aurora C 432	
S1154	SNS-314 Mesylate	Pan	Targets IC_{50} (nM)	Aurora A 9	Aurora B 31	Aurora C 3	Phase 1
S1454	PHA-680632	Pan	Targets IC_{50} (nM)	Aurora A 27	Aurora B 120	Aurora C 135	
S2770	MK-5108 (VX-689)	Selective	Targets IC_{50} (nM)	**Aurora A** 0.064			Phase 1

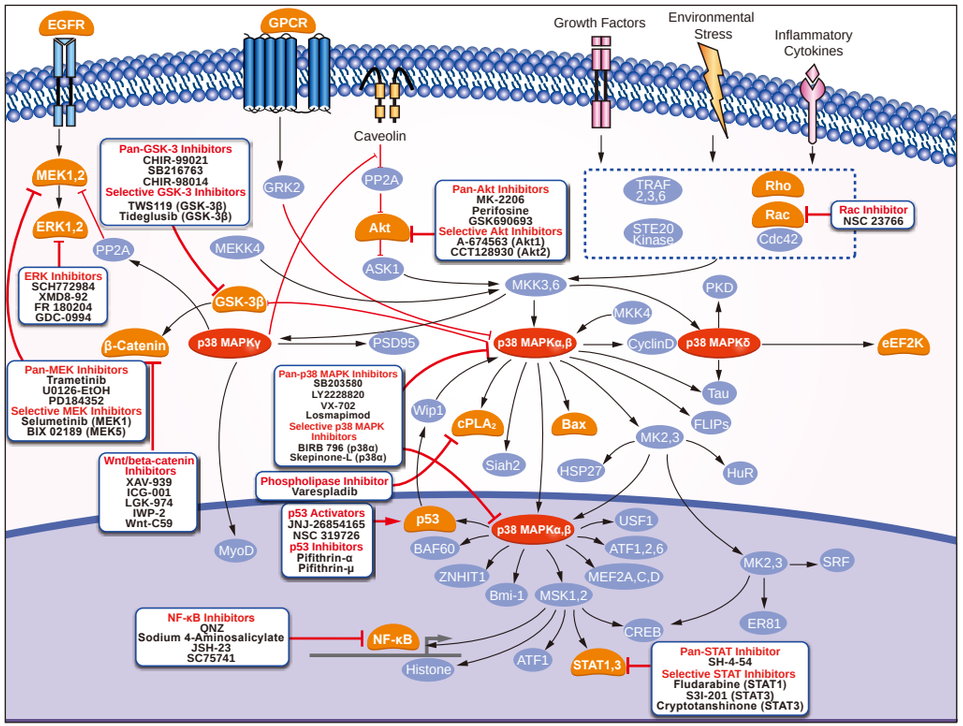
Src



Src Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1021	Dasatinib	Non-specific	Targets IC_{50} (nM)	Src 0.8	Abl 0.6	c-Kit 79		FDA Approved
S1006	Saracatinib (AZD0530)	Non-specific	Targets IC_{50} (nM)	c-Src 2.7	Lck <4	c-Yes 4	Lyn 5	Phase 2/3
S1014	Bosutinib (SKI-606)	Non-specific	Targets IC_{50} (nM)	Src 1.2	Abl 1			FDA Approved
S7008	PP2	Non-specific	Targets IC_{50} (nM)	Lck 4	Lyn 5			
S2202	NVP-BHG712	Non-specific	Targets IC_{50} (nM)	c-Src 1266	c-Raf 395	c-Abl 1667		
S7060	PP1	Non-specific	Targets IC_{50} (nM)	Lck 4	Lyn 5			
S2700	KX2-391	Selective	The first clinical **Src** inhibitor.					Phase 2
S7565 New	WH-4-023	Non-specific	Targets IC_{50} (nM)	Src 6	Lck 2			

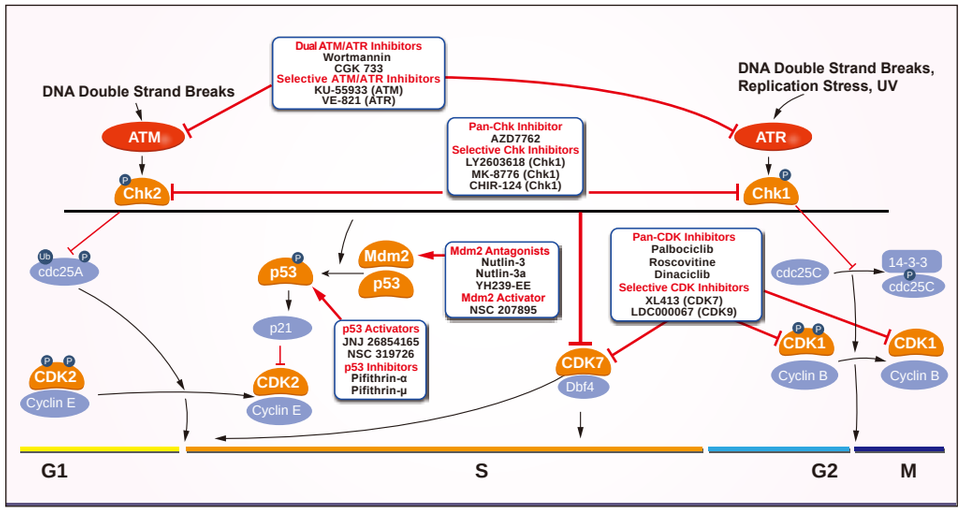
p38 MAPK



p38 MAPK Inhibitors

Cat.No.	Product Name	Type	Information			Clinical Data
S1076	SB203580	Pan	Targets IC_{50} (μM)	p38 MAPK 0.3-0.5	PKB 3-5	
S1574	BIRB 796 (Doramapimod)	Selective	Targets K_d (nM)	**p38α MAPK** 0.1		
S1077	SB202190 (FHPI)	Pan	Targets IC_{50} (nM)	p38α MAPK 50	p38β MAPK 100	
S1494	LY2228820	Selective	Targets IC_{50} (nM)	**p38α MAPK** 7		Phase 1/2
S6005	VX-702	Selective	Targets IC_{50} (nM)	**p38α MAPK** 4-20		Phase 2
S2726	PH-797804	Pan	Targets IC_{50} (nM)	p38α MAPK 26	p38β MAPK 102	Phase 2
S1458	VX-745	Selective	Targets IC_{50} (nM)	**p38α MAPK** 10	p38β MAPK 220	
S7215 New	Losmapimod (GW856553X)	Pan	Targets pK_i	p38α MAPK 8.1	p38β MAPK 7.6	Phase 3
S7214 New	Skepinone-L	Selective	Targets IC_{50} (nM)	**p38α MAPK** 5		

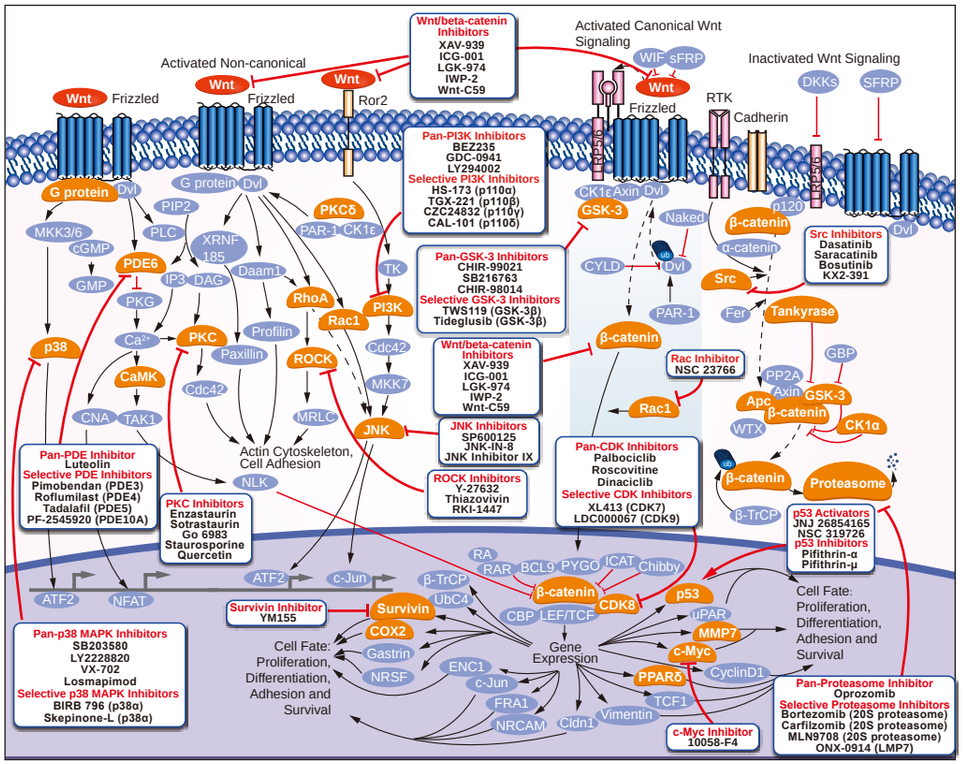
ATM/ATR



ATM/ATR Inhibitors

Cat.No.	Product Name	Type	Information				Clinical Data
S1092	KU-55933 (ATM Kinase Inhibitor)	Selective	Targets IC_{50} (nM)	**ATM** 12.9			
S1570	KU-60019	Selective	Targets IC_{50} (nM)	**ATM** 6.3			
S8007	VE-821	Selective	Targets IC_{50} (nM)	**ATR** 26			
S1009	BEZ235 (Dactolisib, NVP-BEZ235)	Non-specific	Targets IC_{50} (nM)	ATR 21	PI3Ks 4-75	mTOR 6	Phase 2
S2758	Wortmannin	Non-specific	Targets IC_{50} (nM)	ATM 150	ATR 1800		
S7136	CGK 733	Pan	Targets IC_{50} (nM)	ATM 200	ATR 200		
S2245	CP-466722	Selective	Targets IC_{50} (nM)	**ATM** 410			
S7102 New	VE-822	Selective	Targets IC_{50} (nM)	**ATR** 19			
S7050 New	AZ20	Selective	Targets IC_{50} (nM)	**ATR** 5			
S8050 New	ETP-46464	Non-specific	Targets IC_{50} (nM)	ATR 14	ATM 545	mTOR 0.6	

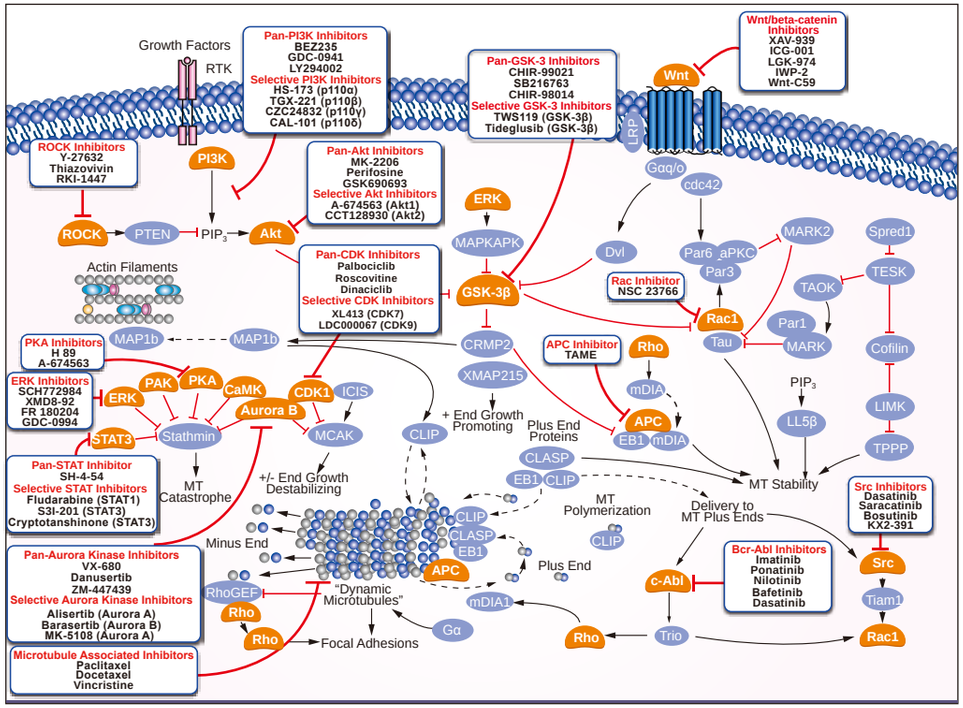
Wnt/beta-catenin



Wnt/beta-catenin Inhibitors

Cat.No.	Product Name	Information	Clinical Data
S1180	XAV-939	Selective inhibitor of Wnt/β-catenin-mediated transcription through tankyrase1/2 inhibition with IC_{50} of 11 nM/4 nM.	
S2662	ICG-001	ICG-001 antagonizes Wnt/β-catenin/TCF-mediated transcription and specifically binds to element-binding protein (CBP) with IC_{50} of 3 μM.	
S7086	IWR-1-endo	A Wnt pathway inhibitor with IC_{50} of 180 nM, induces Axin2 protein levels and promotes β-catenin phosphorylation by stabilizing Axin-scaffolded destruction complexes.	
S7037	Wnt-C59 (C59)	A PORCN inhibitor for Wnt3A-mediated activation of a multimerized TCF-binding site driving luciferase with IC_{50} of 74 pM.	
S7096	KY02111	KY02111 promotes differentiation of hPSCs to cardiomyocytes by inhibiting Wnt signaling, may act downstream of APC and GSK3β.	
S7143 New	LGK-974	A potent and specific PORCN inhibitor, and inhibits Wnt signaling with IC_{50} of 0.4 nM.	Phase 1
S7484 New	FH535	A Wnt/β-catenin signaling inhibitor and also a dual PPARγ and PPARδ antagonist.	
S7490 New	WIKI4	A novel Tankyrase inhibitor with IC_{50} of 15 nM for TNKS2, and leads to inhibition of Wnt/beta-catenin signaling.	
S7301 New	IWP-L6	A highly potent Porcn inhibitor with EC_{50} of 0.5 nM.	

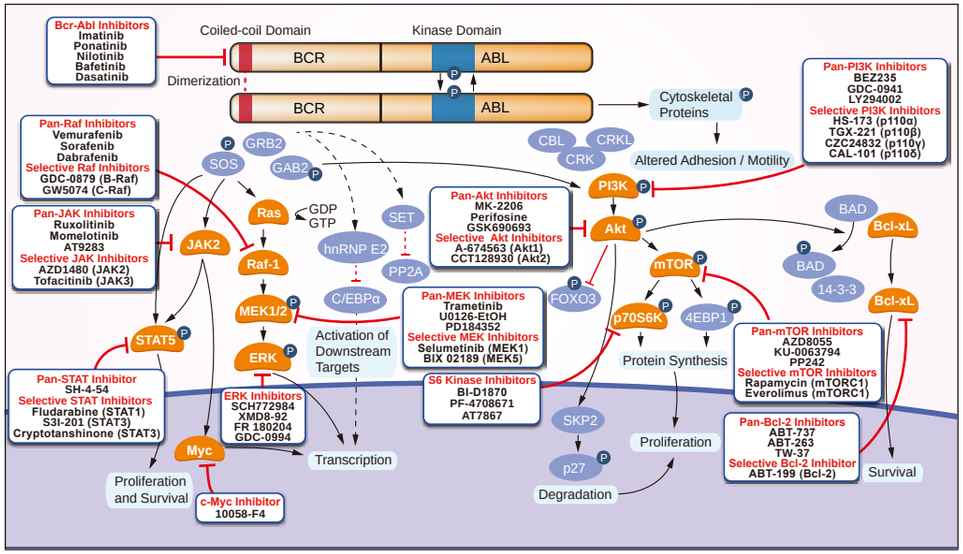
Microtubule Associated



Microtubule Associated Inhibitors

Cat.No.	Product Name	Information	Clinical Data
S1150	Paclitaxel	A microtubule polymer stabilizer with IC_{50} of 0.1 μM in human endothelial cells.	FDA Approved
S1148	Docetaxel	An inhibitor of depolymerisation of microtubules by binding to stabilized microtubules.	FDA Approved
S1241	Vincristine	An inhibitor of polymerization of microtubules by binding to tubulin with IC_{50} of 32 μM.	FDA Approved
S1364	Epothilone B (EPO906)	A Taxol-like microtubule-stabilizing agent with $EC_{0.01}$ of 1.8 μM.	Phase 2
S1165	ABT-751 (E7010)	ABT-751 (E7010) binds to the colchicine site on β-tubulin and inhibits polymerization of microtubules.	Phase 1/2
S7494 New	INH6	A potent Hec1 inhibitor, which specifically disrupts the Hec1/Nek2 interaction and causes chromosome mis-alignment.	
S7493 New	INH1	A cell-permeable Hec1 inhibitor, which specifically disrupts the Hec1/Nek2 interaction.	
S4269 New	Vinorelbine Tartrate	A semi-synthetic vinca alkaloid, and inhibits mitosis through interaction with tubulin.	FDA Approved
S7497 New	CK-636	An Arp2/3 complex inhibitor with IC_{50} of 4 μM, 24 μM and 32 μM for inhibition of actin polymerization induced by human, fission yeast and bovine Arp2/3 complex, respectively.	
S7336 New	CW069	An allosteric, and selective inhibitor of microtubule motor protein HSET with IC_{50} of 75 μM, significant selectivity over KSP.	

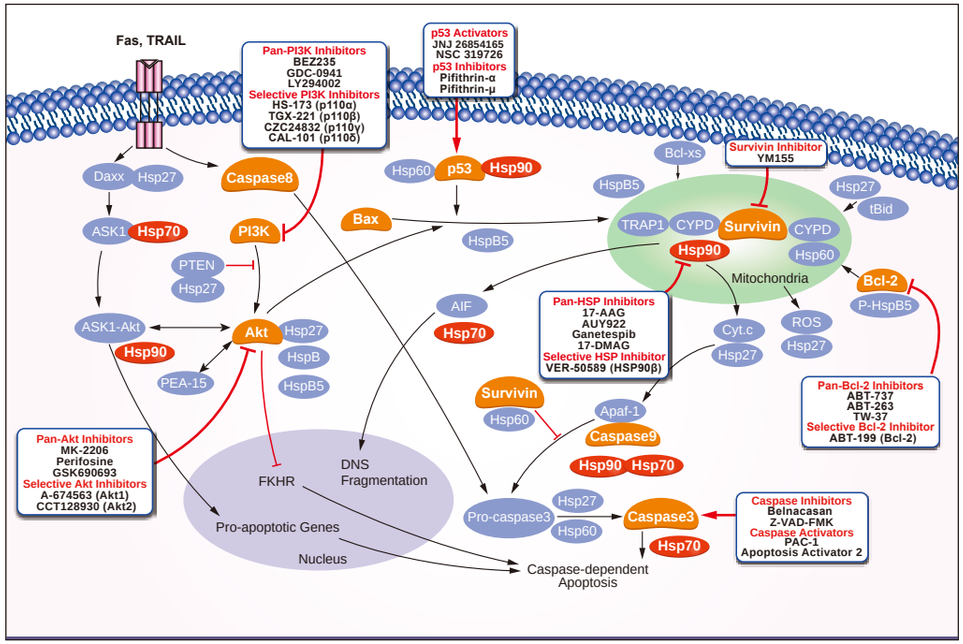
Bcr-Abl



Bcr-Abl Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1026	Imatinib Mesylate (STI571)	Non-specific	Targets IC_{50} (nM)	v-Abl 600	c-Kit 100	PDGFR 100		FDA Approved
S1490	Ponatinib (AP24534)	Non-specific	Targets IC_{50} (nM)	Abl 0.37	PDGFRα 1.1	VEGFR2 1.5	FGFR1 2.2	FDA Approved
S1033	Nilotinib (AMN-107)	Selective	Targets IC_{50} (nM)	**Bcr-Abl** <30				FDA Approved
S1369	Bafetinib (INNO-406)	Non-specific	Targets IC_{50} (nM)	Abl 5.8	Lyn 1.9			Phase 2
S1021	Dasatinib	Non-specific	Targets IC_{50} (nM)	Abl <1	Src 0.8			FDA Approved
S2634	DCC-2036 (Rebastinib)	Mutant-targeted	Targets IC_{50} (nM)	u-Abl1 (native) 0.75	p-Abl1 (native) 2	Abl1 (H396P) 1.4	p-Abl1 (T315I) 4	Phase 1
S2899	GNF-2	Selective	Targets IC_{50} (nM)	**Bcr-Abl** (SUP-B15 cells) 268	**Bcr-Abl** (K562 cells) 273			
S7194	GZD824	Mutant-targeted	Targets IC_{50} (nM)	Abl 0.34	Abl (Q252H) 0.15	Abl (E255K) 0.27	Abl (M351T) 0.29	
S7269 New	PD173955	Non-specific	Targets IC_{50} (nM)	Bcr-Abl 1-2	Src 22			
S7526 New	GNF-5	Selective	Targets IC_{50} (nM)	**Bcr-Abl** 220				

HSP (e.g. HSP90)



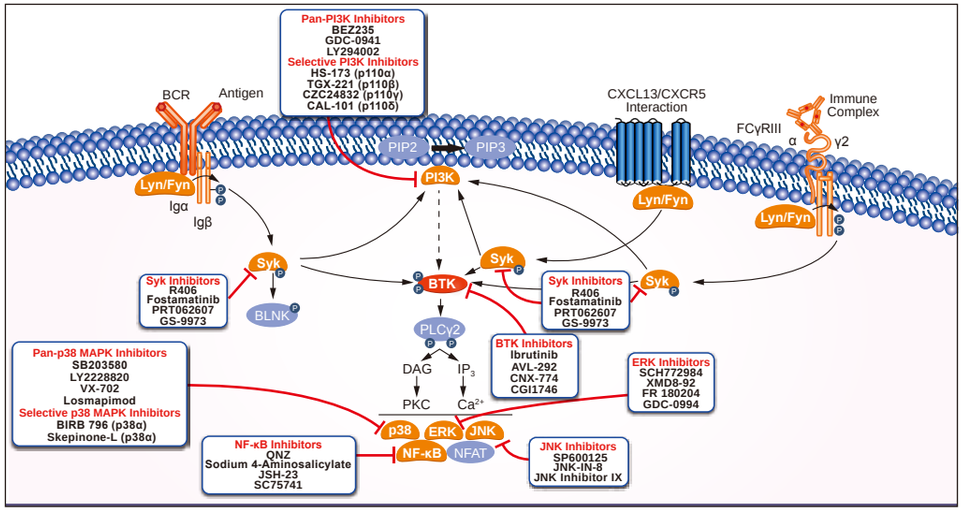
HSP (e.g. HSP90) Inhibitors

Cat.No.	Product Name	Type	Information			Clinical Data
S1141	17-AAG (Tanespimycin)	Pan	Targets IC_{50} (nM)	HSP90 5		Phase 2
S1069	AUY922 (NVP-AUY922)	Pan	Targets IC_{50} (nM)	HSP90α 13	HSP90β 21	Phase 2
S1142	17-DMAG (Alvespimycin) HCl	Pan	Targets IC_{50} (nM)	HSP90 62		Phase 2
S1159	Ganetespib (STA-9090)	Pan	Targets IC_{50} (nM)	HSP90 4		Phase 3
S7459 New	VER-50589	Selective	Targets IC_{50} (μM)	**HSP90β** 21		
S7340 New	CH5138303	Pan	Targets K_d (nM)	HSP90 0.48		
S8039 New	PU-H71	Selective	Targets IC_{50} (nM)	**HSP90** 51		Phase 1
S7282 New	NMS-E973	Pan	Targets DC_{50} (nM)	HSP90 <10		
S7458 New	VER-49009	Selective	Targets IC_{50} (nM)	**HSP90β** 47		

HSP70 Activator

S1052	Elesclomol (STA-4783)	Activator	A novel potent oxidative stress inducer that induces Hsp70 RNA levels.	Phase 3

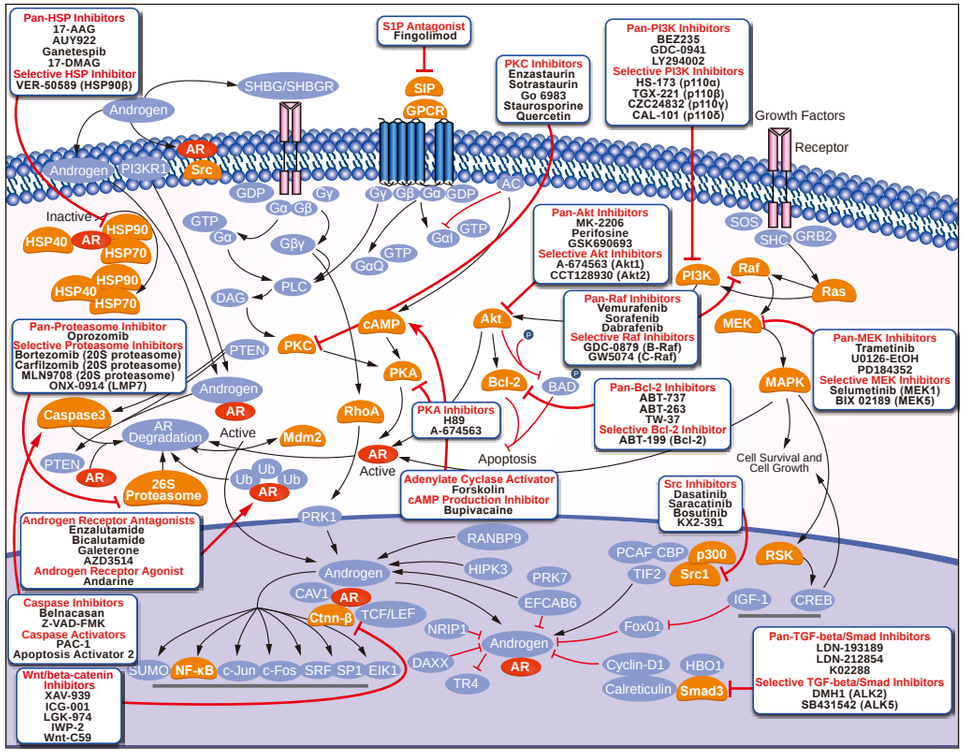
BTK



BTK Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S2680	Ibrutinib (PCI-32765)	Non-specific	Targets IC_{50} (nM)	BTK 0.5	BLK 0.5	Bmx 0.8	CSK 2.3	FDA Approved
S7173 New	AVL-292	Selective	Targets IC_{50} (nM)	**BTK** <0.5				Phase 1
S7257 New	CNX-774	Selective	Targets IC_{50} (nM)	**BTK** <1				
S7051 New	CGI1746	Selective	Targets IC_{50} (nM)	**BTK** 1.9				

Androgen Receptor



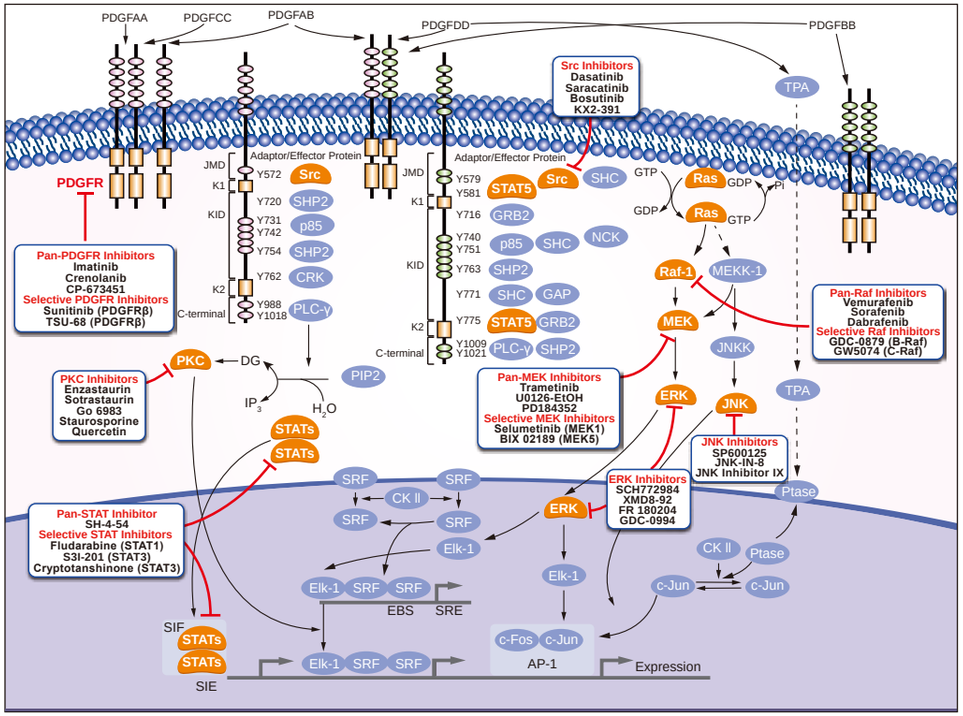
Androgen Receptor Inhibitors | Antagonists | Modulators

Cat.No.	Product Name	Type	Information			Clinical Data
S1250	Enzalutamide (MDV3100)	Selective	Targets IC_{50} (nM)	**Androgen Receptor** 36		FDA Approved
S1190	Bicalutamide	Selective	Targets IC_{50} (μM)	**Androgen Receptor** 0.16		FDA Approved
S2840	ARN-509	Selective	Targets IC_{50} (nM)	**Androgen Receptor** 16	$GABA_A$ Receptor 3000	Phase 3
S2803	Galeterone	Non-specific	Targets IC_{50} (nM)	Androgen Receptor 384	CYP17 300	Phase 2
S1908	Flutamide	Selective	Targets K_i (nM)	**Androgen Receptor** 55		FDA Approved
S2042	Cyproterone Acetate	Selective	Targets IC_{50} (nM)	**Androgen Receptor** 7.1		EMA Approved
S1174	MK-2866 (GTx-024)	Selective	Targets K_i (nM)	**Androgen Receptor** 3.8		Phase 3

Androgen Recepter Agonist

S1140	Andarine	Selective	Targets K_i (nM)	**Androgen Receptor** 4		Phase 3

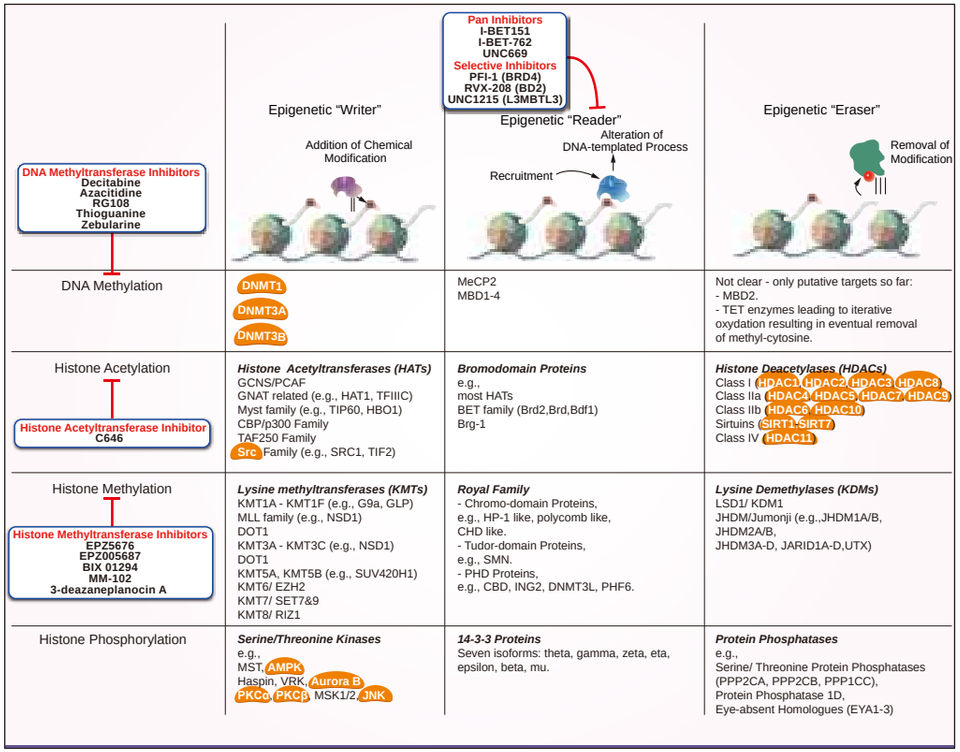
PDGFR



PDGFR Inhibitors

Cat.No.	Product Name	Type	Information			Clinical Data
S1042	Sunitinib Malate	Selective	Targets IC_{50} (nM)	**PDGFRβ** 2		FDA Approved
S2475	Imatinib (STI571)	Pan	Targets IC_{50} (nM)	PDGFR 100	c-Kit 100	FDA Approved
S2730	Crenolanib (CP-868596)	Pan	Targets K_d (nM)	PDGFRα 2.1	PDGFRβ 3.2	Phase 2
S1536	CP-673451	Pan	Targets IC_{50} (nM)	PDGFRα 10	PDGFRβ 1	
S2622	PP121	Pan	Targets IC_{50} (nM)	PDGFR 2	p110α 52	
S1470	TSU-68 (SU6668, Orantinib)	Selective	Targets K_i (nM)	**PDGFRβ** 8		Phase 3
S1005	Axitinib	Pan	Targets IC_{50} (nM)	PDGFRβ 1.6	c-Kit 1.7	FDA Approved
S1010	Nintedanib (BIBF 1120)	Pan	Targets IC_{50} (nM)	PDGFRα 59	PDGFRβ 65	Phase 3
S1064	Masitinib (AB1010)	Pan	Targets IC_{50} (nM)	PDGFRα 540	PDGFRβ 800	Phase 3

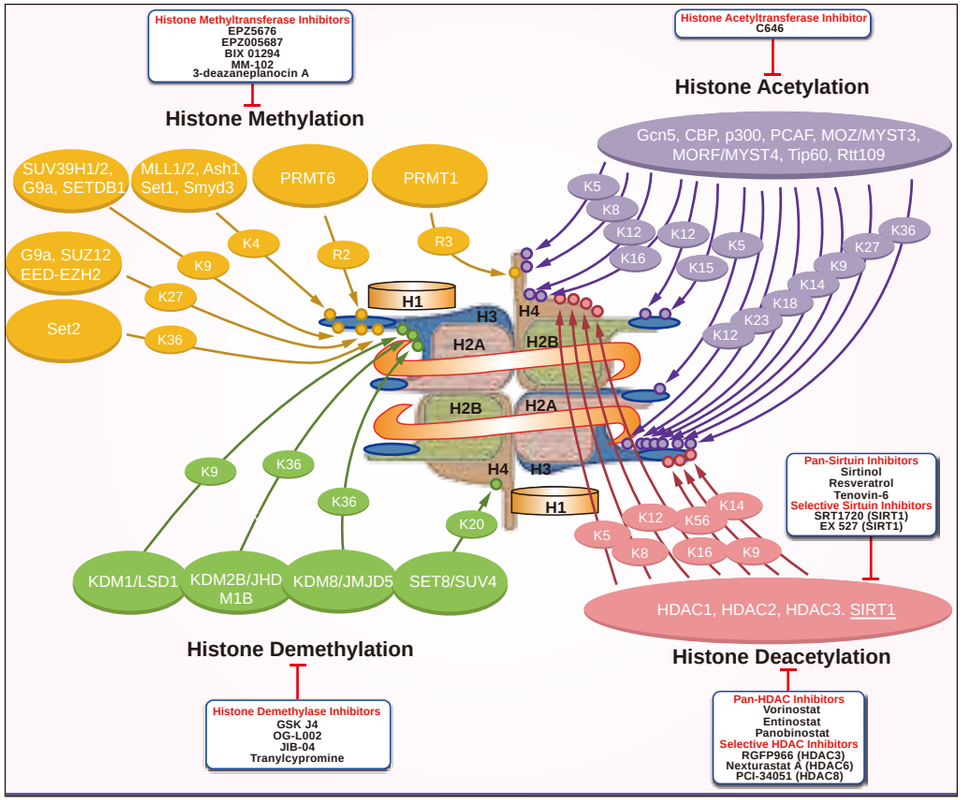
Epigenetic Reader Domain



Epigenetic Reader Domain Inhibitors

Cat.No.	Product Name	Type	Information				Clinical Data
S7110	(+)-JQ1	Selective	Targets	**BRD4 (1)**	**BRD4 (2)**		
			IC_{50} (nM)	77	33		
S2780	I-BET151 (GSK1210151A)	Pan	Targets	BRD2	BRD3	BRD4	
			IC_{50} (μM)	0.5	0.25	0.79	
S1216	PFI-1 (PF-6405761)	Selective	Targets	**BRD4**			
			IC_{50} (μM)	0.22			
S7189 New	I-BET-762	Pan	Targets	BET proteins			
			IC_{50} (nM)	~35			
S7295 New	RVX-208	Selective	Targets	**BD2**			Phase 2
			IC_{50} (μM)	0.51			
S7304 New	CPI-203	Selective	Targets	**BRD4**			
			IC_{50} (nM)	37			
S7360 New	OTX015	Pan	Targets	BRD2/3/4			Phase 1
			EC_{50} (nM)	10-19			
S7373 New	UNC669	Pan	Targets	L3MBTL1	L3MBTL3	L3MBTL4	
			IC_{50} (μM)	6	35	69	
S7088 New	UNC1215	Selective	Targets	**L3MBTL3**			
			IC_{50} (nM)	40			

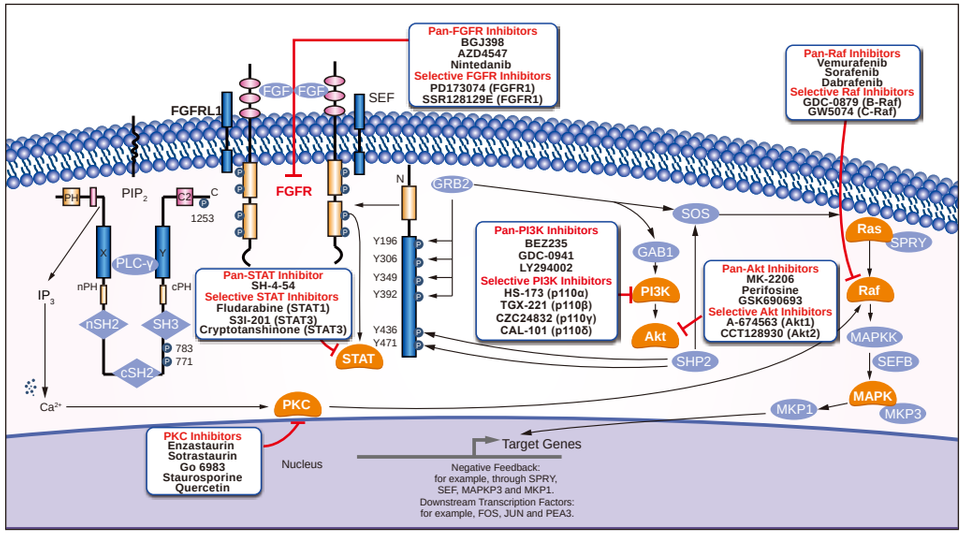
Histone Methyltransferase



Histone Methyltransferase Inhibitors

Cat.No.	Product Name	Type	Information			Clinical Data
S7062	EPZ5676	Selective	Targets	**DOT1L**		Phase 1
			K_i (pM)	80		
S7004	EPZ005687	Selective	Targets	**EZH2**		
			K_i (nM)	24		
S8006	BIX 01294	Selective	Targets	**G9a histone methyltransferase**		
			IC_{50} (μM)	2.7		
S7120	3-deazaneplanocin A (DZNeP) HCl	Selective	Targets	**S-adenosylhomocysteine hydrolase**		
			K_i (pM)	50		
S7079	SGC 0946	Selective	Targets	**DOT1L**		
			IC_{50} (nM)	0.3		
S7164 New	GSK343	Selective	Targets	**EZH2**	EZH1	
			IC_{50} (nM)	4	240	
S7256 New	MM-102	Selective	Targets	**MLL1**		
			IC_{50} (μM)	0.4		
S7165 New	UNC1999	Selective	Targets	**EZH2**	EZH1	
			IC_{50} (nM)	2	45	
S7353 New	EPZ004777	Selective	Targets	**DOT1L**		
			IC_{50} (nM)	0.3		

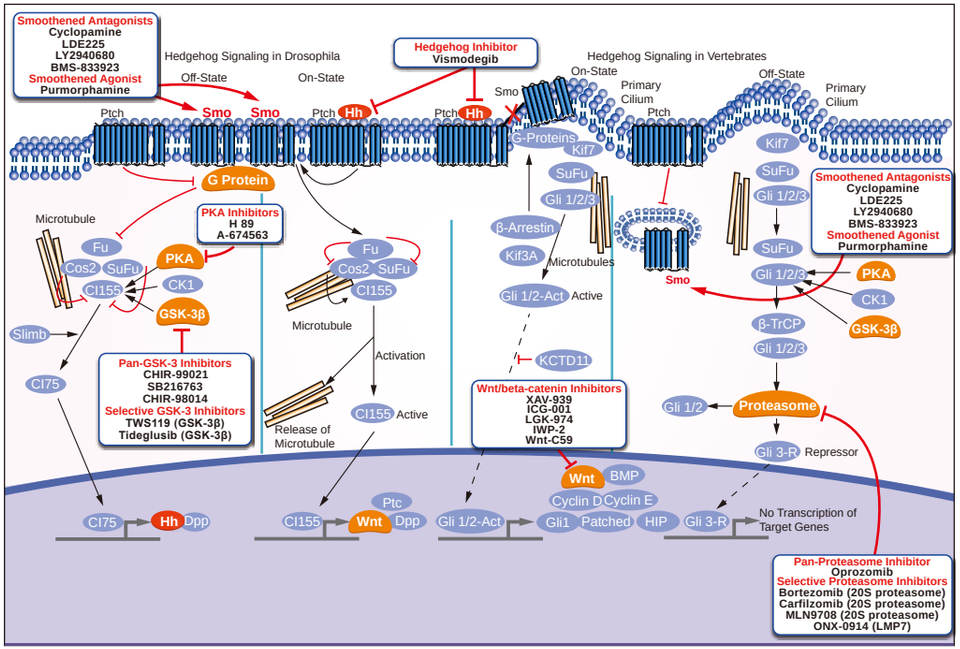
FGFR



FGFR Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S2183	BGJ398 (NVP-BGJ398)	Pan	Targets IC_{50} (nM)	FGFR1 0.9	FGFR2 1.4	FGFR3 1.0	FGFR3 (K650E) 4.9	Phase 2
S1264	PD173074	Selective	Targets IC_{50} (nM)	**FGFR1** 0.37				
S2801	AZD4547	Pan	Targets IC_{50} (nM)	FGFR1 0.2	FGFR2 1.5	FGFR3 2.8	FGFR4 165	Phase 2/3
S1490	Ponatinib (AP24534)	Non-specific	Targets IC_{50} (nM)	FGFR1 2.2	Abl 0.37	PDGFRα 1.1	VEGFR2 1.5	FDA Approved
S1010	Nintedanib (BIBF 1120)	Pan	Targets IC_{50} (nM)	FGFR1 69	FGFR2 37	FGFR3 108		Phase 3
S1018	Dovitinib (TKI258, CHIR258)	Pan	Targets IC_{50} (nM)	FGFR1 8	FGFR3 9			Phase 4
S1084	Brivanib (BMS-540215)	Non-specific	Targets IC_{50} (nM)	FGFR1 148	VEGFR2 25	Flk1 89		Phase 3
S7167 New	SSR128129E	Selective	Targets IC_{50} (μM)	**FGFR1** 1.9				

Hedgehog/Smoothened



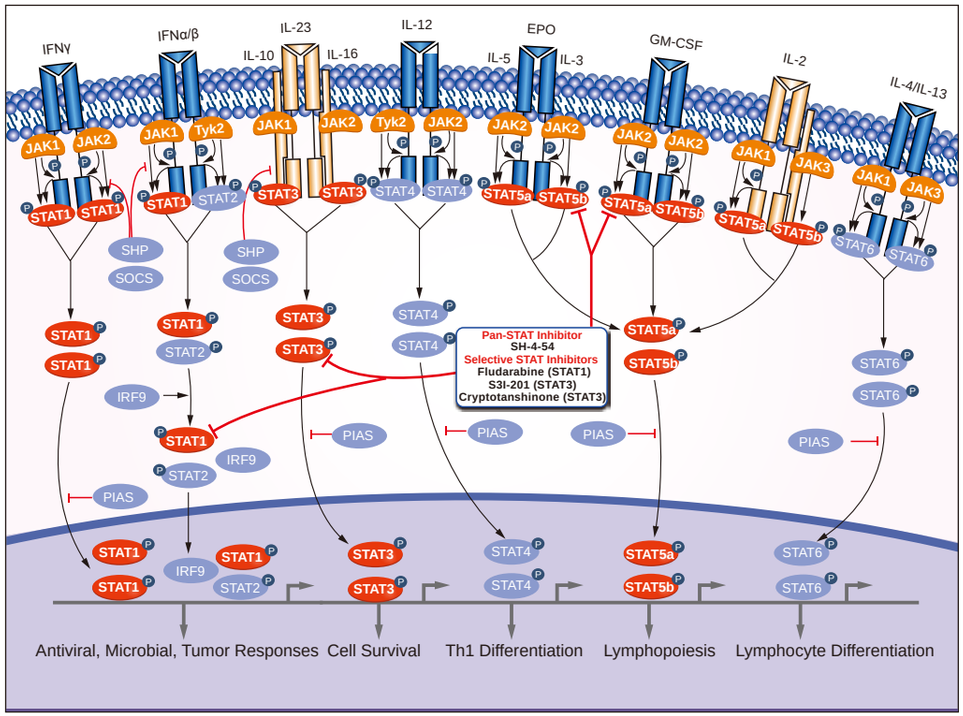
Hedgehog Inhibitors | Smoothened Antagonists

Cat.No.	Product Name	Type	Information			Clinical Data
S1082	Vismodegib (GDC-0449)	Selective	Targets IC_{50} (nM)	**Hedgehog** 3		FDA Approved
S1146	Cyclopamine	Selective	Targets IC_{50} (nM)	**Smoothened** 46		
S2151	LDE225 (NVP-LDE225, Erismodegib)	Selective	Targets IC_{50} (nM)	**Smoothened (Mouse)** 1.3	**Smoothened (Human)** 2.5	Phase 3
S2157	LY2940680	Selective	LY2940680 binds to the **Smoothened (Smo)** receptor and potently inhibits Hedgehog (Hh) signaling.			Phase 1/2
S2777	PF-5274857	Selective	Targets IC_{50} (nM)	**Smoothened** 5.8		
S8075	GANT61	Selective	GANT61 is an inhibitor for GLI1 as well as GLI2-induced transcription, inhibits **hedgehog** with IC_{50} of 5 μM, displays selectivity over other pathways, such as TNF and glucocorticoid receptor gene transactivation.			
S7092	SANT-1	Selective	SANT-1 directly binds to **Smoothened (Smo)** receptor with K_d of 1.2 nM and inhibits Smo agonist effects with IC_{50} of 20 nM.			
S7138 New	BMS-833923	Selective	BMS-833923 is an orally bioavailable **Smoothened** antagonist.			Phase 2

Smoothened Agonist

S3042	Purmorphamine	Selective	Purmorphamine, which directly binds and activates **Smoothened**, blocks BODIPY-cyclopamine binding to Smo with IC_{50} of ~ 1.5 μM and also is an inducer of osteoblast differentiation with EC_{50} of 1 μM.	

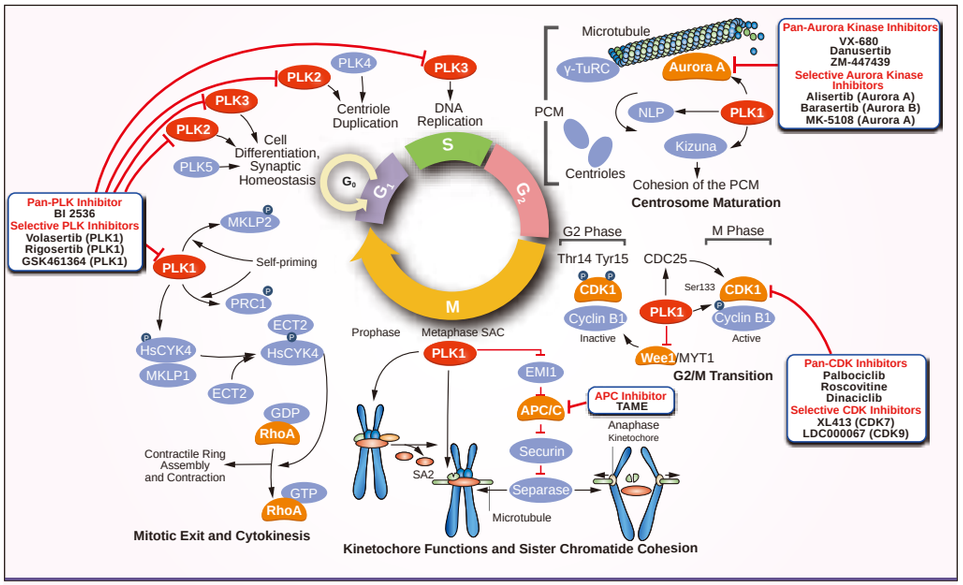
STAT



STAT Inhibitors

Cat.No.	Product Name	Type	Information	Clinical Data
S1155	S3I-201	Selective	Targets **STAT3** IC_{50} (μM) 86	
S1491	Fludarabine	Selective	Fludarabine is a **STAT1** activation inhibitor and a DNA synthesis inhibitor.	FDA Approved
S7024	Stattic	Selective	Targets **STAT3** IC_{50} (μM) 5.1	
S3030	Niclosamide	Selective	Targets **STAT** IC_{50} (μM) 0.7	FDA Approved
S2285	Cryptotanshinone	Selective	Targets **STAT3** IC_{50} (μM) 4.6	
S7337 New	SH-4-54	Pan	Targets STAT3 300 STAT5 464 K_d (nM)	
S7501 New	HO-3867	Selective	HO-3867, an analog of curcumin, is a selective **STAT3** inhibitor.	

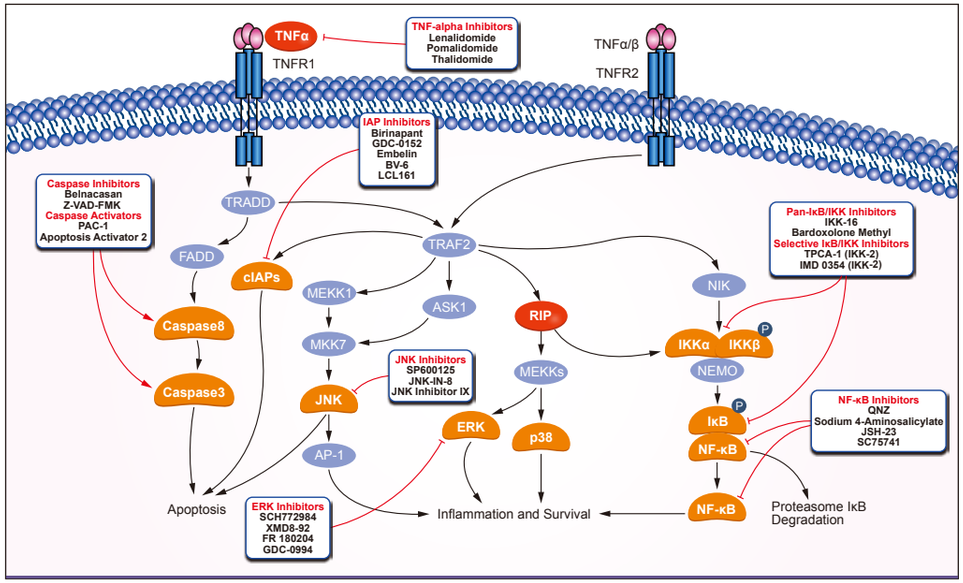
PLK



PLK Inhibitors

Cat.No.	Product Name	Type	Information	Clinical Data
S1109	BI 2536	Pan	Targets Plk1 Plk2 Plk3 IC_{50} (nM) 0.83 3.5 9	Phase 2
S2235	Volasertib (BI 6727)	Selective	Targets **Plk1** IC_{50} (nM) 0.87	Phase 3
S1362	Rigosertib (ON-01910)	Selective	Targets **Plk1** IC_{50} (nM) 9	Phase 3
S2193	GSK461364	Selective	Targets **Plk1** K_i (nM) 2.2	Phase 1
S2898	MLN0905	Selective	Targets **Plk1** IC_{50} (nM) 2	
S1485	HMN-214	Selective	A prodrug of HMN-176, which alters the cellular spatial orientation of **Plk1**.	
S7248 New	Ro3280	Selective	Targets **Plk1** IC_{50} (nM) 3	

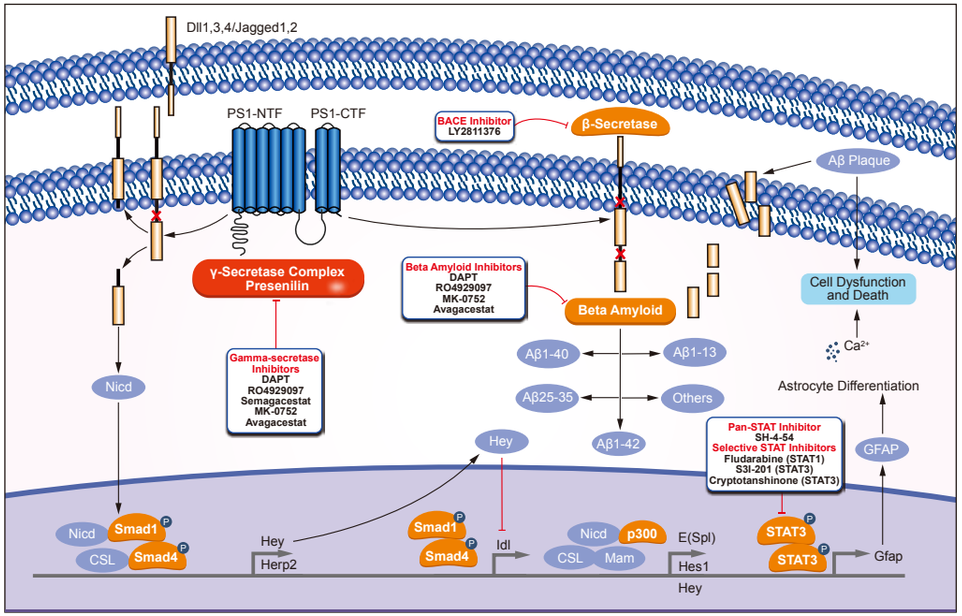
TNF-alpha



TNF-alpha Inhibitors

Cat.No.	Product Name	Information	Clinical Data
S1567	Pomalidomide	Pomalidomide inhibits LPS-induced TNF-α release with IC_{50} of 13 nM.	FDA Approved
S1193	Thalidomide	Thalidomide was introduced as a sedative drug, immunomodulatory agent and also is investigated for treating symptoms of many cancers. Thalidomide inhibits an E3 ubiquitin ligase, which is a CRBN-DDB1-Cul4A complex.	FDA Approved
S8037	Necrostatin-1	Necrostatin-1 is a specific RIP1 inhibitor and inhibits TNF-α-inducednecroptosis with EC_{50} of 490 nM.	
S4902	QNZ (EVP4593)	QNZ (EVP4593) shows potent inhibitory activity toward both NF-κB activation and TNF-α production with IC_{50} of 11 nM and 7 nM, respectively.	

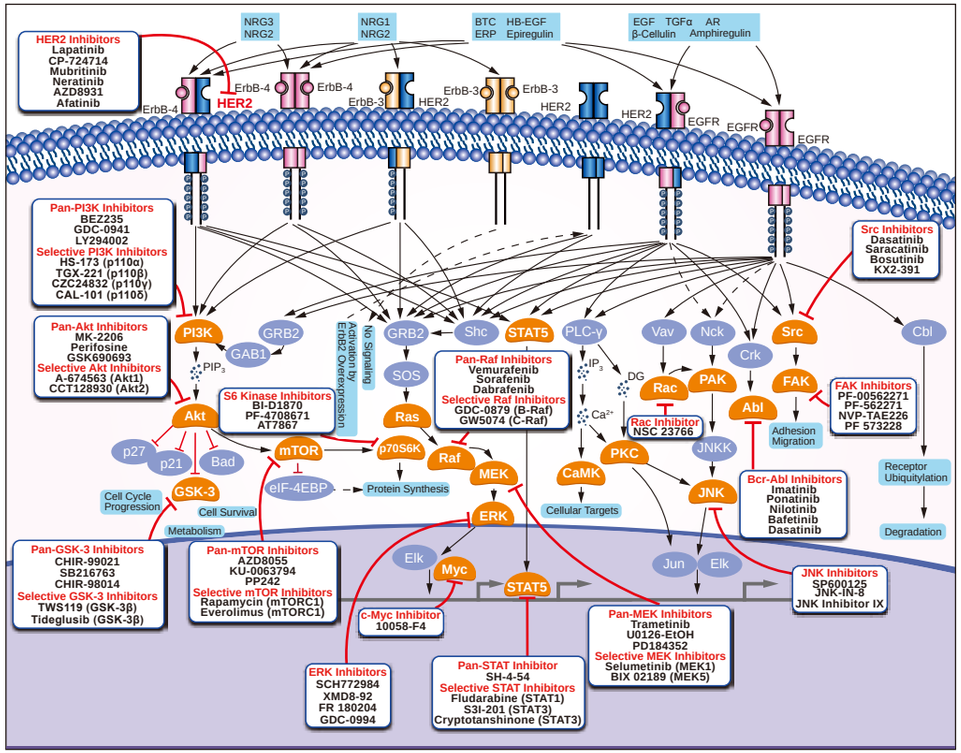
Gamma-secretase



Gamma-secretase Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S2215	DAPT (GSI-IX)	Selective	DAPT (GSI-IX) is a novel γ-secretase inhibitor, which inhibits **Aβ** production with IC_{50} of 20 nM in HEK 293 cells.					
S1575	RO4929097	Pan	Targets EC_{50} (nM)	Aβ40 14	Notch 5			Phase 2
S1594	Semagacestat (LY450139)	Pan	Targets IC_{50} (nM)	Aβ42 10.9	Aβ40 12.1	Aβ38 12.0	Notch 14.1	Phase 3
S2660	MK-0752	Selective	Targets IC_{50} (nM)	**Aβ40** 5				Phase 1/2
S1262	Avagacestat (BMS-708163)	Pan	Targets IC_{50} (nM)	Aβ42 0.27	Aβ40 0.3			Phase 2
S2711	YO-01027 (Dibenzazepine)	Pan	Targets IC_{50} (nM)	APPL 2.6	Notch 2.9			
S2714	LY411575	Pan	Targets IC_{50} (nM)	γ secretase (membrane-based) 0.078	γ secretase (cell-based) 0.082	Notch 0.39		
S7399 New	FLI-06	Selective	Targets IC_{50} (μM)	**Notch** 2.9				

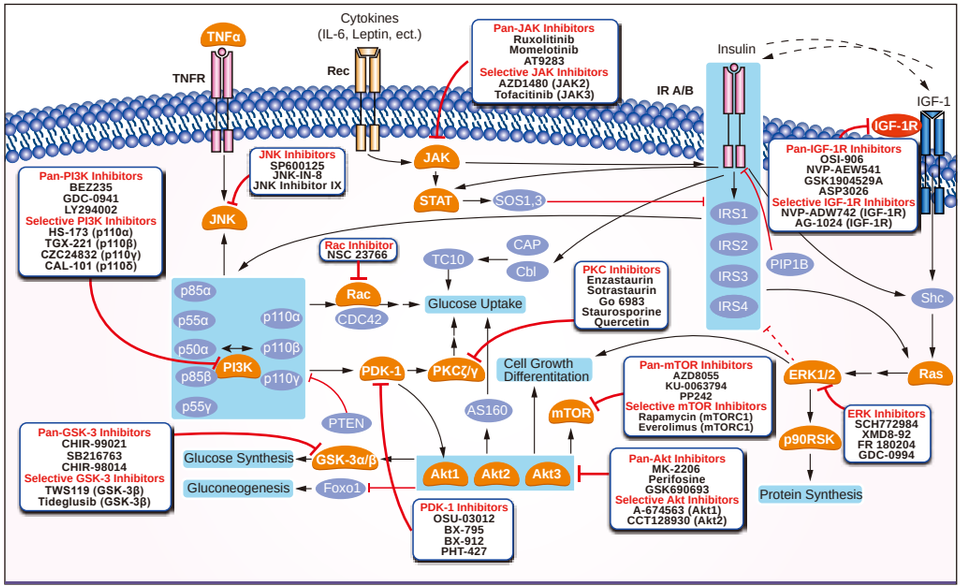
HER2



HER2 Inhibitors

Cat.No.	Product Name	Type	Information				Clinical Data
S1023	Lapatinib Ditosylate	Pan	Targets IC_{50} (nM)	EGFR/ErbB1 10.8	HER2/ErbB2 9.2		FDA Approved
S2150	Neratinib (HKI-272)	Pan	Targets IC_{50} (nM)	EGFR/ErbB1 92	HER2/ErbB2 59		FDA Approved
S2192	AZD8931 (Sapitinib)	Pan	Targets IC_{50} (nM)	EGFR/ErbB1 4	HER2/ErbB2 3	ErbB3 4	Phase 2
S1019	Canertinib (CI-1033)	Pan	Targets IC_{50} (nM)	EGFR/ErbB1 1.5	HER2/ErbB2 9		Phase 3
S1194	CUDC-101	Pan	Targets IC_{50} (nM)	EGFR/ErbB1 2.4	HER2/ErbB2 15.7		Phase 1
S1056	AC480 (BMS-599626)	Pan	Targets IC_{50} (nM)	EGFR/ErbB1 20	HER2/ErbB2 30		Phase 1
S1486	AEE788 (NVP-AEE788)	Pan	Targets IC_{50} (nM)	EGFR/ErbB1 2	HER2/ErbB2 6		Phase 1/2
S1167	CP-724714	Selective	Targets IC_{50} (nM)	**HER2/ErbB2** 10			Phase 2
S2216	Mubritinib (TAK 165)	Selective	Targets IC_{50} (nM)	**HER2/ErbB2** 6			Phase 1

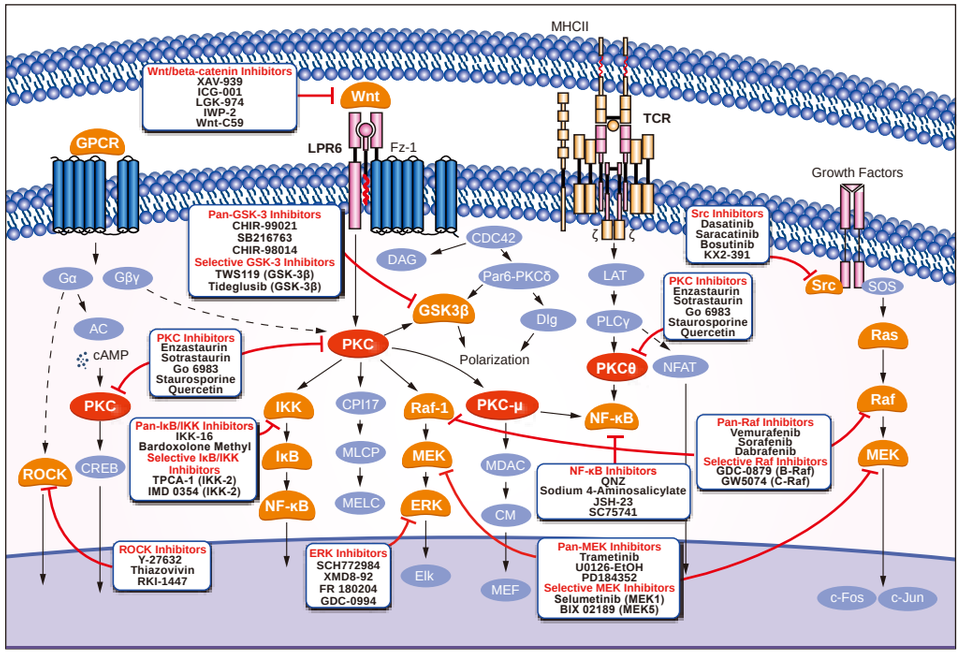
IGF-1R



IGF-1R Inhibitors

Cat.No.	Product Name	Type	Information				Clinical Data
S1091	OSI-906 (Linsitinib)	Pan	Targets IC_{50} (nM)	IGF-1R 35	InsR 75		Phase 3
S1034	NVP-AEW541	Pan	Targets IC_{50} (nM)	IGF-1R 150	InsR 140		
S1093	GSK1904529A	Pan	Targets IC_{50} (nM)	IGF-1R 27	InsR 25		
S1088	NVP-ADW742	Selective	Targets IC_{50} (nM)	**IGF-1R** 170			
S1012	BMS-536924	Pan	Targets IC_{50} (nM)	IGF-1R 100	InsR 73		
S2703	GSK1838705A	Non-specific	Targets IC_{50} (nM)	IGF-1R 2	InsR 1.6	ALK 0.5	
S1234	AG-1024	Selective	Targets IC_{50} (μM)	**IGF-1R** 57			
S1124	ASP3026	Pan	Targets IC_{50} (nM)	IGF-1R 1.8	InsR 1.7		Phase 2
S8003	PQ401	Selective	Targets IC_{50} (μM)	**IGF-1R** <1			

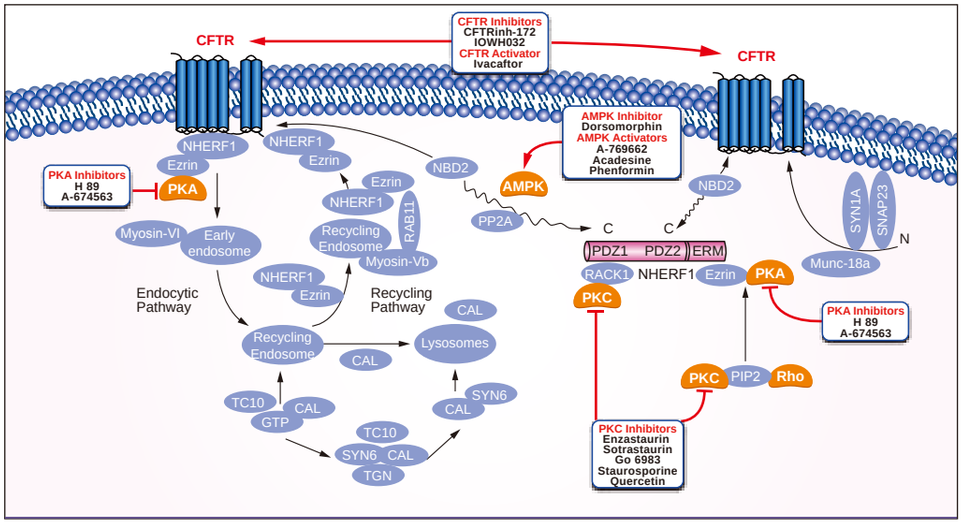
PKC



PKC Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1055	Enzastaurin (LY317615)	Pan	Targets IC_{50} (nM)	PKCα 39	PKCβ 6	PKCγ 83	PKCε 110	Phase 3
S2791	Sotrastaurin	Pan	Targets K_i (nM)	PKCα 0.95	PKCβI 0.64	PKCθ 0.22	PKCη 1.8	Phase 2
S2911	Go 6983	Pan	Targets IC_{50} (nM)	PKCα 7	PKCβ 7	PKCγ 6	PKCδ 10	
S4066	Dequalinium Chloride	Non-specific	Targets IC_{50} (μM)	PKC 7-18	K^+ channels 1.1			FDA Approved
S2391	Quercetin	Non-specific	Quercetin, a natural flavonoid present in vegetables, fruit and wine, is a stimulator of recombinant SIRT1 and also a PI3K inhibitor with IC_{50} of 2.4-5.4 μM.					Phase 4
S1421 New	Staurosporine	Pan	Targets IC_{50} (nM)	PKCα 2	PKCγ 5	PKCη 4	PKCδ 20	Phase 3
S7208 New	GF109203X	Pan	Targets IC_{50} (nM)	PKCα 20	PKCβI 17	PKCβII 16	PKCγ 20	
S7119 New	Go6976	Pan	Targets IC_{50} (nM)	PKC (Rat brain) 7.9	PKCα 2.3	PKCβI 6.2		
S7207 New	Ro 31-8220 Mesylate	Pan	Targets IC_{50} (nM)	PKCα 5	PKCβI/II 24/14	PKCε 24	PKCγ 27	

CFTR



CFTR Inhibitors

Cat.No.	Product Name	Type	Information		Clinical Data
S6003	Ataluren (PTC124)	Mutant-targeted	Ataluren selectively induces ribosomal read-through of premature but not normal termination codons, with EC_{50} of 0.1 μM, may provide treatment for genetic disorders caused by nonsense mutations (e.g. CF caused by CFTR nonsense mutation).		Phase 3
S7139 New	CFTRinh-172	Selective	Targets K_i (nM)	**CFTR** 300	
S7329 New	IOWH032	Selective	Targets IC_{50} (μM)	**CFTR** 1.01	Phase 2

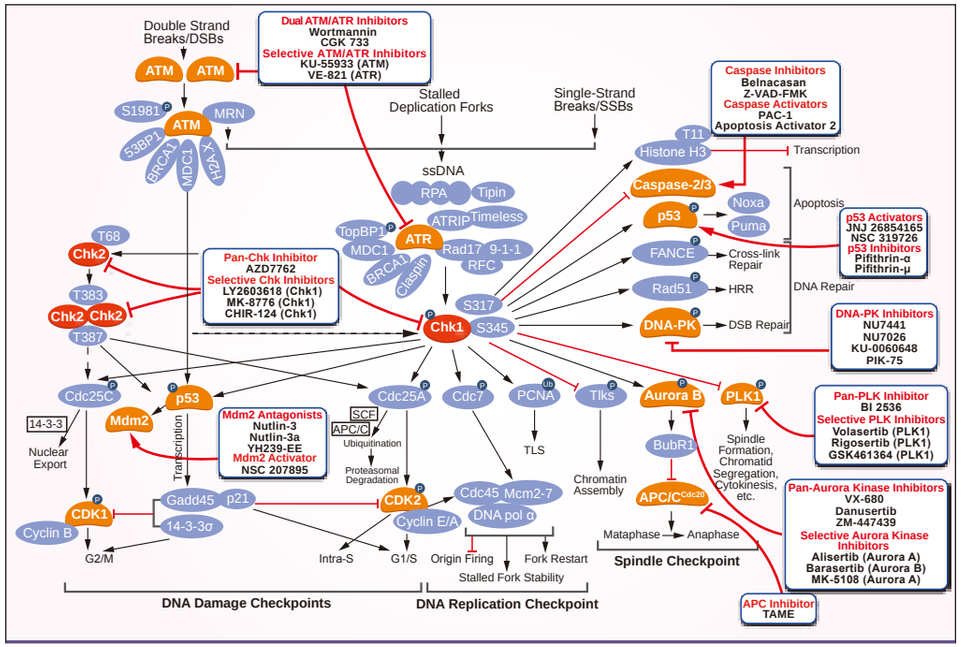
CFTR Modulators

S1565	VX-809 (Lumacaftor)	Mutant-Corrector	VX-809 (Lumacaftor) acts to correct CFTR mutations common in cystic fibrosis by increasing mutant CFTR (F508del-CFTR) maturation, EC_{50} of 0.1 μM.	Phase 3
S7059	VX-661	Mutant-Corrector	VX-661 is a second F508del CFTR corrector and is believed to help CFTR protein reach the cell surface.	Phase 2

CFTR Activator

S1144	Ivacaftor (VX-770)	Mutant-targeted	Ivacaftor (VX-770) is a potentiator of CFTR targeting G551D-CFTR and F508del-CFTR with EC_{50} of 100 nM and 25 nM, respectively.	FDA Approved

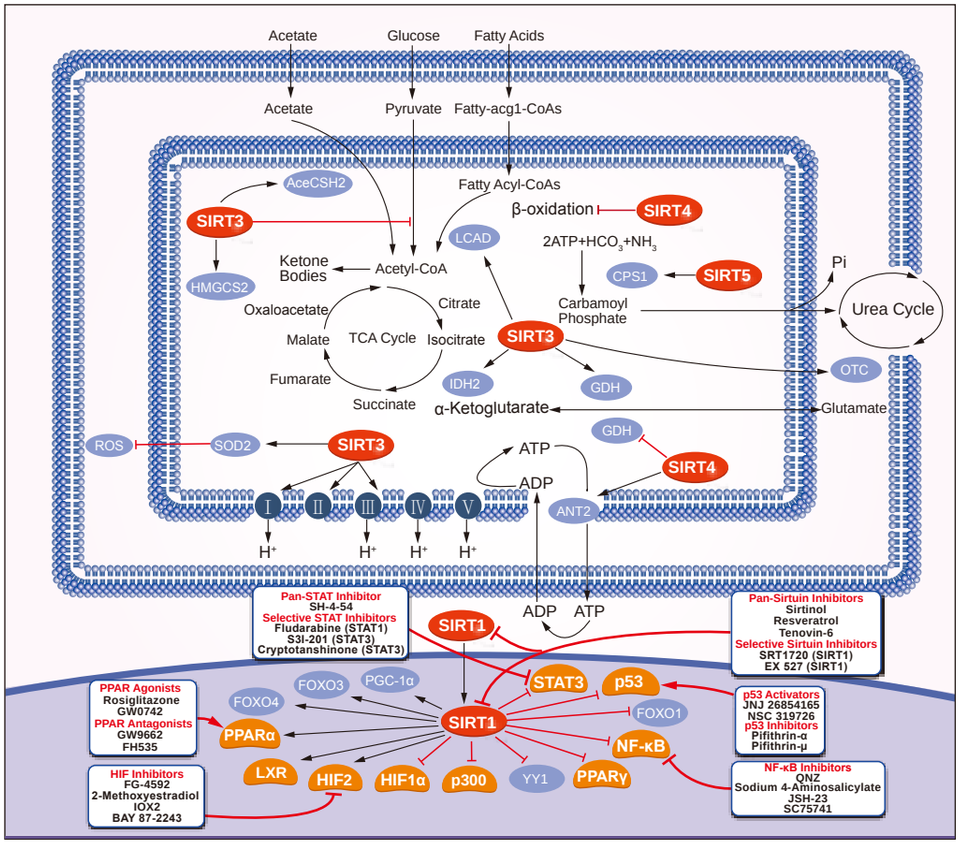
Chk



Chk Inhibitors

Cat.No.	Product Name	Type	Information			Clinical Data
S1532	AZD7762	Pan	Targets IC_{50} (nM)	Chk1 5	Chk2 <10	Phase 1
S2626	LY2603618	Selective	A selective **Chk1** inhibitor with potential anti-tumor activity.			Phase 2
S2735	MK-8776 (SCH 900776)	Selective	Targets IC_{50} (nM)	**Chk1** 3	Chk2 1500	Phase 2
S2683	CHIR-124	Selective	Targets IC_{50} (nM)	**Chk1** 0.3	Chk2 697.4	
S2904	PF-477736	Selective	Targets K_i (nM)	**Chk1** 0.49	Chk2 47	Phase 1

Sirtuin



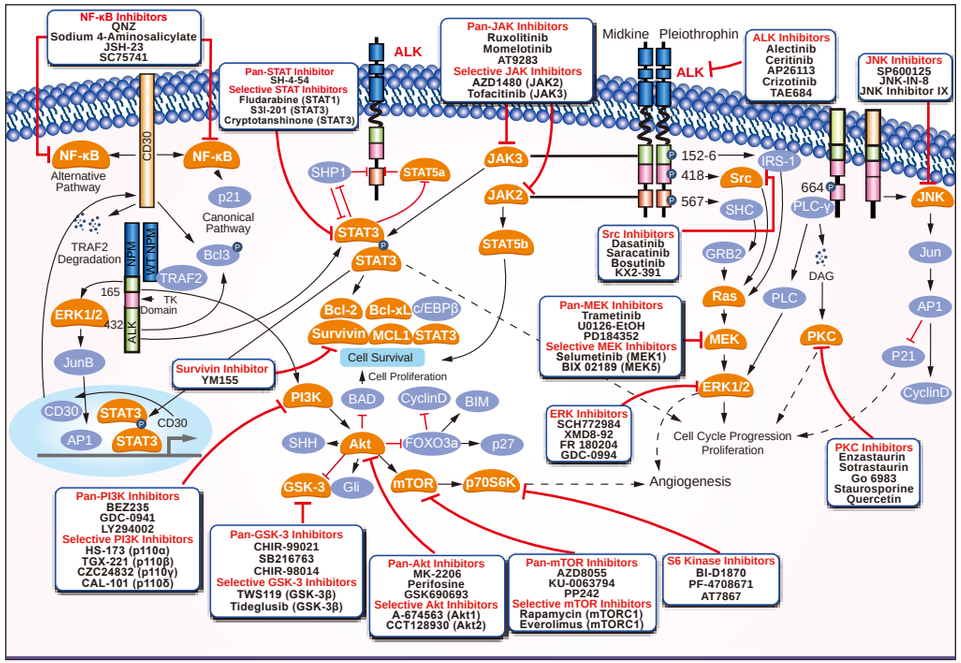
Sirtuin Inhibitors

Cat.No.	Product Name	Type	Information				Clinical Data
S1541	EX 527 (Selisistat)	Selective	Targets IC_{50} (nM)	**SIRT1** 38			
S1396	Resveratrol	Pan	Resveratrol is a phytoalexin produced naturally by several plants with anti-cancer, anti-inflammatory, blood-sugar-lowering and other beneficial cardiovascular effects.				
S2804	Sirtinol	Pan	Targets IC_{50} (μM)	SIRT1 131	SIRT2 38		
S4900 New	Tenovin-6	Pan	Targets IC_{50} (μM)	SIRT1 21	SIRT2 10	SIRT3 67	

Sirtuin Activators

S2391	Quercetin	Selective	Quercetin, a natural flavonoid present in vegetables, fruit and wine, is a stimulator of recombinant **SIRT1** and also a PI3K inhibitor with IC_{50} of 2.4-5.4 μM.	Phase 4
S1129	SRT1720	Selective	Targets EC_{50} (μM)	**SIRT1** 0.16

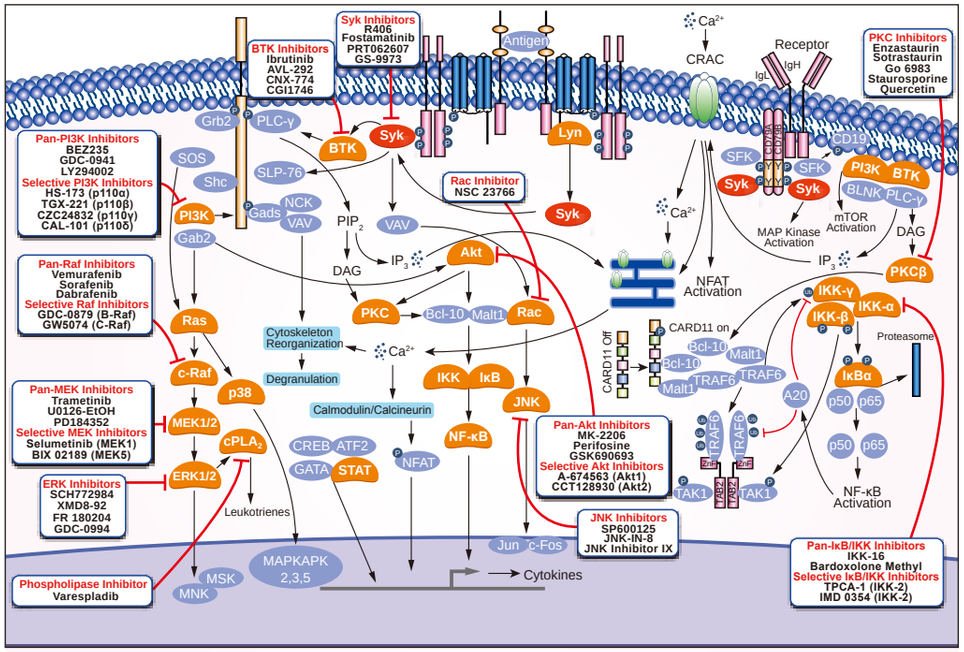
ALK



ALK inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1108	TAE684 (NVP-TAE684)	Selective	Targets IC_{50} (nM)	**ALK** 3				
S2762	Alectinib (CH5424802)	Mutant-targeted	Targets IC_{50} (nM)	ALK 1.9	ALK (F1174L) 1	ALK (R1275Q) 3.5		MHLW Approved
S7083	Ceritinib (LDK378)	Selective	Targets IC_{50} (nM)	**ALK** 0.2	InsR 7	IGF-1R 8		Phase 3
S7000	AP26113	Non-specific	Targets IC_{50} (nM)	ALK 0.62	FER 1.3	ROS/ROS1 1.9	FLT3 2.1	Phase 2
S2703	GSK1838705A	Non-specific	Targets IC_{50} (nM)	ALK 0.5	InsR 1.6	IGF-1R 2		
S1068	Crizotinib (PF-02341066)	Non-specific	Targets IC_{50} (nM)	ALK 24	c-Met 11			FDA Approved
S7106	AZD3463	Pan	Targets K_i (nM)	ALK 0.75				
S8054 New	ASP3026	Non-specific	Targets IC_{50} (nM)	ALK 3.5				Phase 1

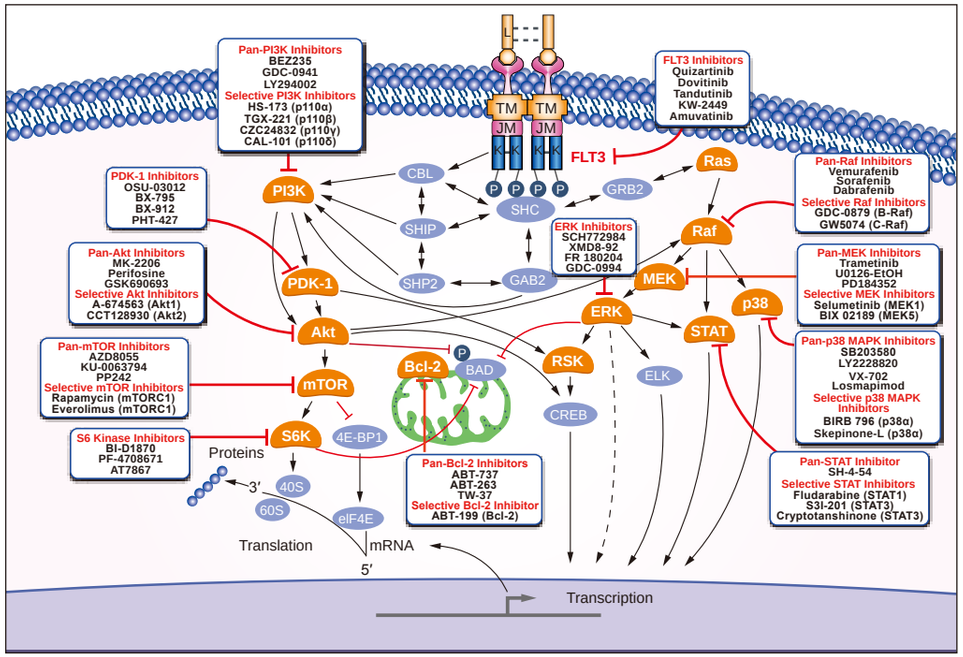
Syk



Syk Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S2194	R406	Selective	Targets IC_{50} (nM)	**Syk** 41				Phase 1
S2206	R788 (Fostamatinib) Disodium	Selective	Targets IC_{50} (nM)	**Syk** 41				Phase 3
S1533	R406 (free base)	Selective	Targets IC_{50} (nM)	**Syk** 41				Phase 1
S8032	PRT062607 (P505-15, BIIB057) HCl	Selective	Targets IC_{50} (nM)	**Syk** 1	FGR 81	MLK1 88	YES 123	
S2625	Fostamatinib (R788)	Selective	Targets IC_{50} (nM)	**Syk** 41				Phase 3
S3026	Piceatannol	Selective	Piceatannol, a natural stilbene, is a selective **Syk** inhibitor and ~10-fold selectivity versus Lyn.					
S7523 New	GS-9973	Selective	Targets IC_{50} (nM)	**Syk** 7.7				Phase 2

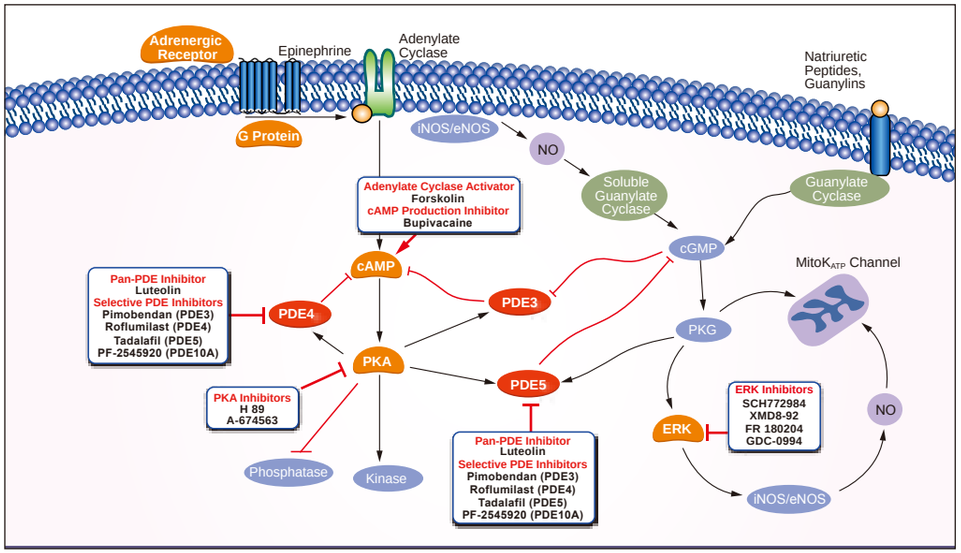
FLT3



FLT3 Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S1526	Quizartinib (AC220)	Mutant-targeted	Targets IC_{50} (nM)	FLT3 (WT) 4.2	FLT3 (ITD) 1.1			Phase 3
S1018	Dovitinib (TKI-258, CHIR-258)	Non-specific	Targets IC_{50} (nM)	FLT3 1	c-Kit 2	FGFR1 8	VEGFR3/FLT4 8	Phase 4
S1043	Tandutinib (MLN518)	Non-specific	Targets IC_{50} (nM)	FLT3 220	c-Kit 170	PDGFRβ 200		Phase 2
S2158	KW-2449	Mutant-targeted	Targets IC_{50} (nM)	FLT3 6.6	FLT3 (D835Y) 1	Abl 14	Abl (T315I) 4	Phase 1
S1181	ENMD-2076	Non-specific	Targets IC_{50} (nM)	FLT3 1.86	Ret 10.4	Aurora A 14	VEGFR3/FLT4 15.9	Phase 2
S1244	Amuvatinib (MP-470)	Mutant-targeted	Targets IC_{50} (nM)	FLT3 (D835Y) 81	c-Kit (D816H) 10	PDGFRα (V561D) 40		Phase 2
S8023	TCS 359	Selective	Targets IC_{50} (nM)	**FLT3** 42				
S8057 New	Pacritinib (SB1518)	Mutant-targeted	Targets IC_{50} (nM)	FLT3 22	FLT3 (D835Y) 6	JAK2 23	JAK2 (V617F) 19	Phase 3
S7119 New	Go6976	Non-specific	Go6976 is a potent PKC inhibitor with IC_{50} of 7.9 nM, 2.3 nM, and 6.2 nM for PKC (Rat brain), PKCα, and PKCβ1, respectively. Also a potent inhibitor of JAK2 and Flt3.					

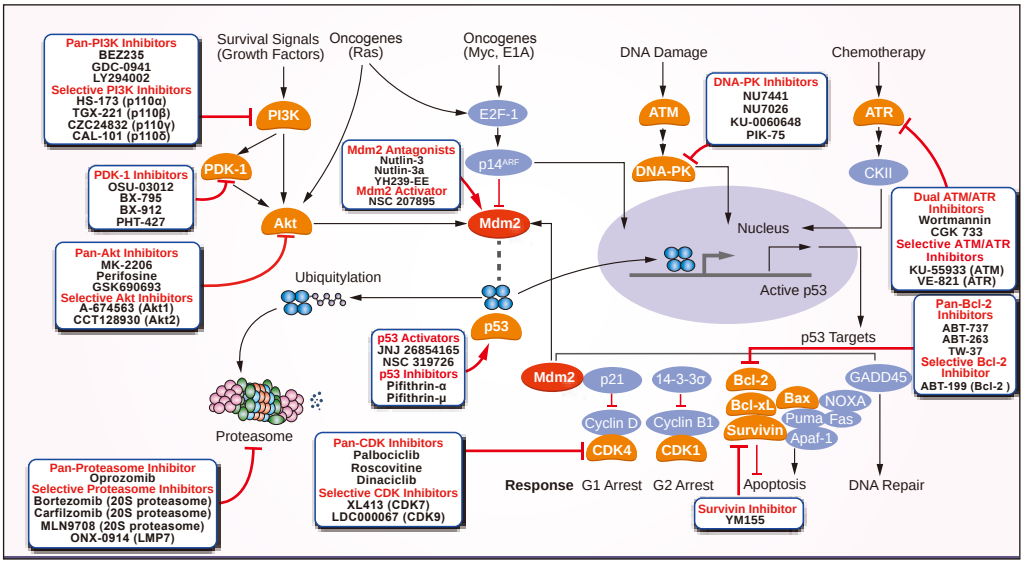
PDE



PDE Inhibitors

Cat.No.	Product Name	Type	Information					Clinical Data
S2131	Roflumilast	Selective	Targets IC_{50} (nM)	**PDE4** 0.2-4.3				FDA Approved
S1512	Tadalafil	Selective	Targets IC_{50} (nM)	**PDE5** 1.8				FDA Approved
S1455	Cilomilast	Selective	Targets IC_{50} (nM)	**PDE4** 110				Phase 3
S1550	Pimobendan	Selective	Targets IC_{50} (μM)	**PDE3** 0.32				MHLW Approved
S2620	GSK256066	Selective	Targets IC_{50} (pM)	**PDE4B** 3.2				Phase 2
S2687	PF-2545920	Selective	Targets IC_{50} (nM)	**PDE10A** 0.37				
S1294	Cilostazol	Selective	Targets IC_{50} (μM)	**PDE3** 0.2				FDA Approved
S4019	Avanafil	Selective	Targets IC_{50} (nM)	**PDE5** 5.2				FDA Approved
S2320	Luteolin	Pan	Targets K_i (μM)	PDE1 15.0	PDE2 6.4	PDE3 13.9	PDE4 11.1	Phase 2
S1431	Sildenafil Citrate	Selective	Sildenafil, a selective inhibitor of **PDE5**, is a well-tolerated and highly effective treatment for erectile dysfunction.					FDA Approved

Mdm2



Mdm2 Antagonists

Cat.No.	Product Name	Type	Information	
S1061	Nutlin-3	Selective	Targets IC_{50} (nM)	**Mdm2** 90
S8059	Nutlin-3a	Selective	Targets IC_{50} (nM)	**p53/Mdm2 interaction** 90
S8065 New	Nutlin-3b	Selective	Targets IC_{50} (μM)	**p53/Mdm2 interaction** 13.6
S7489 New	YH239-EE	Selective	A potent **p53-Mdm2** antagonist and an apoptosis inducer.	

Mdm2 Activator

S2678	NSC 207895	Non-specific	Targets IC_{50} (μM)	MdmX 2.5

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