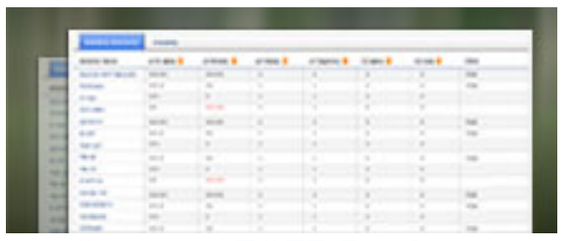
Selleckchem.com

Search

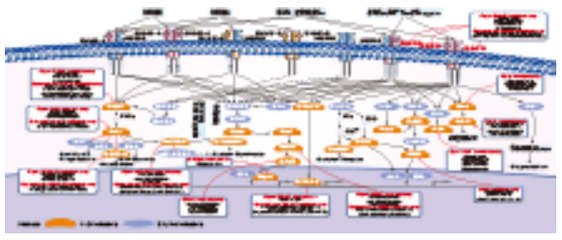
Product Categories

Protein Tyrosine Kinase > EGFR

EGFR



Compare EGFR Products



Research Area

- EGFR/ErbB1 Selective
- HER2/ErbB2 Selective
- ErbB3
- ErbB4
- New Products

EGFR Inhibitors (41)

water-soluble

Cat.No.	Product Name	Information	Product Use Citation	Customer Product Validation
S1023	Erlotinib HCl (OSI-744)	Erlotinib HCl (OSI-744) is an **EGFR** inhibitor with **IC50** of 2 nM in cell-free assays, >1000-fold more sensitive for EGFR than human c-Src or v-Abl.	Cell, 2012, 151(5):937-50 Nat Genet, 2012, 44(8):852-60 Cancer Cell, 2015, 27(4):533-46	
S1025	Gefitinib (ZD1839)	Gefitinib (ZD-1839) is an **EGFR** inhibitor for Tyr1173, Tyr992, Tyr1173 and Tyr992 in the NR6wtEGFR and NR6W cells with **IC50** of 37 nM, 37nM, 26 nM and 57 nM, respectively.	Nature, 2014, 508(7494):118-22 Nature, 2012, 483(7387):100-3 Cell, 2012, 151(5):937-50	
S1028	Lapatinib (GW-572016) Ditosylate	Lapatinib (GW-572016) Ditosylate is a potent **EGFR** and **ErbB2** inhibitor with **IC50** of 10.8 and 9.2 nM in cell-free assays, respectively.	Cancer Cell, 2012, 21(4):488-503 Sci Transl Med, 2015, 7(284):284r… Gut, 2015, 10.1136/gutjnl-2014-30…	
S1011	Afatinib (BIBW2992)	Afatinib (BIBW2992) irreversibly inhibits EGFR/HER2 including **EGFR(wt)**, **EGFR(L858R)**, EGFR(L858R/T790M) and HER2 with **IC50** of 0.5 nM, 0.4 nM, 10 nM and 14 nM in cell-free assays, respectively; 100-fold more active against Gefitinib-resistant L858R-T790M EGFR mutant.	Cancer Discov, 2015, 5(7):713-22 Cancer Discov, 2013, 3(2):168-81 Gut, 2015, 10.1136/gutjnl-2014-30…	
S2150	Neratinib (HKI-272)	Neratinib (HKI-272) is a highly selective **HER2** and **EGFR** inhibitor with **IC50** of 59 nM and 92 nM in cell-free assays; weakly inhibits KDR and Src, no significant inhibition to Akt, CDK1/2/4, IKK-2, MK-2, PDK1, c-Raf and c-Met. Phase 3.	Cancer Discov, 2013, 3(2):168-81 Cancer Discov, 2013, 3(2):224-37 Cancer Discov, 2012, 2(5):458-71	
S7971 NEW	AZD3759	AZD3759 is a potent, oral active, CNS-penetrant **EGFR** inhibitor with **IC50** of 0.3 nM, 0.2 nM, and 0.2 nM for EGFR (WT), EGFR (L858R), and EGFR (exon 19Del), respectively. Phase 1.		
S7298 NEW	AZ5104	AZ5104, the demethylated metabolite of AZD-9291, is a potent **EGFR** inhibitor with **IC50** of <1 nM, 6 nM, 1 nM, and 25 nM for EGFR (L858R/T790M), EGFR (L858R), EGFR (L861Q), and EGFR (wildtype), respectively. Phase 1.		
S7810 NEW	Afatinib (BIBW2992) Dimaleate	Afatinib (BIBW2992) Dimaleate irreversibly inhibits **EGFR/HER2** including **EGFR(wt)**, **EGFR(L858R)**, EGFR(L858R/T790M) and HER2 with IC50 of 0.5 nM, 0.4 nM, 10 nM and 14 nM, respectively; 100-fold more active against Gefitinib-resistant L858R-T790M EGFR mutant.	Cancer Discov, 2013, 3(2):168-81 Gut, 2015, 10.1136/gutjnl-2014-30… J Natl Cancer Inst, 2014, 106(9)	
S7557 NEW	CL-387785 (EKI-785)	CL-387785 (EKI-785) is an irreversible, and selective **EGFR** inhibitor with **IC50** of 370 pM.	Clin Cancer Res, 2015, 10.1158/1…	

S7786 NEW	**Erlotinib**	Erlotinib is an **EGFR** inhibitor with **IC50** of 2 nM, >1000-fold more sensitive for EGFR than human c-Src or v-Abl.	Cell, 2012, 151(5):937-50 Nat Genet, 2012, 44(8):852-60 Cancer Cell, 2015, 27(3):397-408
S1019	**Canertinib (CI-1033)**	Canertinib (CI-1033) is a pan-**ErbB** inhibitor for **EGFR** and **ErbB2** with **IC50** of 1.5 nM and 9.0 nM, no activity to PDGFR, FGFR, InsR, PKC, or CDK1/2/4. Phase 3.	Cancer Discov, 2012, 2(5):458-71 PLoS One, 2015, 10(4):e0123623 Cancer Lett, 2014, 344(1):90-100
S2111	**Lapatinib**	Lapatinib, used in the form of Lapatinib Ditosylate, is a potent **EGFR** and **ErbB2** inhibitor with **IC50** of 10.8 and 9.2 nM in cell-free assays, respectively.	Cancer Cell, 2015, 27(3):397-408 Cancer Cell, 2012, 21(4):488-503 Sci Transl Med, 2015, 7(284):284r…
S7358	**Poziotinib (HM781-36B)**	Poziotinib (HM781-36B) is an irreversible pan-**HER** inhibitor with **IC50** of 3.2 nM, 5.3 nM and 23.5 nM for HER1, HER2, and HER4, respectively. Phase 2.	
S7297	**Osimertinib (AZD9291)**	Osimertinib (AZD9291) is an oral, irreversible, and mutant-selective **EGFR** inhibitor with **IC50** of 12.92, 11.44 and 493.8 nM for Exon 19 deletion EGFR, L858R/T790M EGFR, and WT EGFR in LoVo cells, respectively. Phase 3.	Cancer Discov, 2015, 5(11):1155-63 Cancer Discov, 2015, 5(7):713-22 Clin Cancer Res, 2015, 21(23):530…
S7039	**PD168393**	PD168393 is an irreversible **EGFR** inhibitor with **IC50** of 0.70 nM, irreversibly alkylate Cys-773; inactive against insulin, PDGFR, FGFR and PKC.	
S7206	**CNX-2006**	CNX-2006 is a novel irreversible mutant-selective **EGFR** inhibitor with **IC50** of < 20 nM, with very weak inhibition at wild-type EGFR.	
S8009	**AG-18**	AG-18 inhibits **EGFR** with **IC50** of 35 μM.	
S8036	**Butein**	Butein, a plant polyphenol isolated from *Rhus verniciflua*, is able to inhibit the activation of protein tyrosine kinase, NF-κB and STAT3, also inhibits EGFR.	
S7284	**Rociletinib (CO-1686, AVL-301)**	Rociletinib (CO-1686, AVL-301) is an irreversible, mutant-selective **EGFR** inhibitor with $\mathbf{K_i}$ of 21.5 nM and 303.3 nM for $EGFR^{L858R/T790M}$ and $EGFR^{WT}$ in cell-free assays, respectively. Phase 2.	Cancer Discov, 2015, 5(7):713-22 Clin Cancer Res, 2015, 21(23):530… Clin Cancer Res, 2015, 10.1158/1…
S1173	**WZ4002**	WZ4002 is a novel, mutant-selective EGFR inhibitor for **EGFR(L858R)/(T790M)** with **IC50** of 2 nM/8 nM in BaF3 cell line; does not inhibit ERBB2 phosphorylation (T798I).	Nat Commun, 2015, 6:6377 Proc Natl Acad Sci USA, 2013, 11… Clin Cancer Res, 2015, 10.1158/1…
S1392	**Pelitinib (EKB-569)**	Pelitinib (EKB-569) is a potent irreversible **EGFR** inhibitor with **IC50** of 38.5 nM. Phase2.	J Immunol, 2012, 188(9):4581-9 Infect Immun, 2014, 82(3):1243-55 Drug Metab Dispos, 2014, 42(11):…
S1056	**AC480 (BMS-599626)**	AC480 (BMS-599626) is a selective and efficacious inhibitor of **HER1** and **HER2** with **IC50** of 20 nM and 30 nM, ~8-fold less potent to HER4, >100-fold to VEGFR2, c-Kit, Lck, MET etc. Phase 1.	Cancer Cell, 2012, 22(5):656-67 J Cell Mol Med, 2013, 17(5):648-56 Head Neck, 2014, 10.1002/hed.23…

S2784	**TAK-285**	TAK-285 is a novel dual **HER2** and **EGFR(HER1)** inhibitor with **IC50** of 17 nM and 23 nM, >10-fold selectivity for HER1/2 than HER4, less potent to MEK1/5, c-Met, Aurora B, Lck, CSK etc. Phase 1.	
S1194	**CUDC-101**	CUDC-101 is a potent multi-targeted inhibitor against **HDAC**, **EGFR** and HER2 with **IC50** of 4.4 nM, 2.4 nM, and 15.7 nM, and inhibits class I/II HDACs, but not class III, Sir-type HDACs. Phase 1.	J Chem Inf Model, 2014, 54(3):881… ACS Med Chem Lett, 2013, 4(9):8… Bioorg Med Chem, 2015, 10.1016/j…
S1486	**AEE788 (NVP-AEE788)**	AEE788 (NVP-AEE788) is a potent inhibitor of **EGFR** and **HER2/ErbB2** with **IC50** of 2 nM and 6 nM, less potent to VEGFR2/KDR, c-Abl, c-Src, and Flt-1, does not inhibit Ins-R, IGF-1R, PKCα and CDK1. Phase 1/2.	PLoS One, 2015, 10(4):e0123623 Prostate, 2013, 73(13):1453-61 Int J Clin Exp Pathol, 2013, 6(10):2…
S2406	**Chrysophanic Acid**	Chrysophanic acid (Chrysophanol), a natural anthraquinone isolated from Dianella longifolia, is a EGFR/mTOR pathway inhibitor.	
S1167	**CP-724714**	CP-724714 is a potent, selective inhibitor of **HER2/ErbB2** with **IC50** of 10 nM, >640-fold selectivity against EGFR, InsR, IRG-1R, PDGFR, VEGFR2, Abl, Src, c-Met etc in cell-free assays. Phase 2.	Cancer Res, 2014, 74(1):341-52 PLoS One, 2015, 10(4):e0123623 Cell Death Dis, 2014, 5:e1194
S2727	**Dacomitinib (PF299804, PF299)**	Dacomitinib (PF299804, PF299) is a potent, irreversible pan-ErbB inhibitor, mostly to **EGFR** with **IC50** of 6 nM in a cell-free assay, effective against NSCLCs with EGFR or ERBB2 mutations (resistant to gefitinib) as well as those harboring the EGFR T790M mutation. Phase 2.	Gut, 2015, 10.1136/gutjnl-2014-30… Clin Cancer Res, 2015, 21(23):530… Clin Cancer Res, 2015, 21(10):237…
S1342	**Genistein**	Genistein, a phytoestrogen found in soy products, is a highly specific inhibitor of **protein tyrosine kinase (PTK)** which blocks the mitogenic effect mediated by EGF on NIH-3T3 cells with **IC50** of 12μM or by insulin with **IC50** of 19 μM.	J Electroanal Chem, 2015, 742:54…
S1143	**AG-490 (Tyrphostin B42)**	AG-490 (Tyrphostin B42) is an inhibitor of **EGFR** with **IC50** of 0.1 μM in cell-free assays, 135-fold more selective for EGFR versus ErbB2, also inhibits JAK2 with no activity to Lck, Lyn, Btk, Syk and Src.	Clin Cancer Res, 2013, 19(17):469… Cancer Lett, 2015, 359(2):335-43 Cancer Lett, 2013, 341(2):224-30
S2728	**AG-1478 (Tyrphostin AG-1478)**	AG-1478 (Tyrphostin AG-1478) is a selective **EGFR** inhibitor with **IC50** of 3 nM in cell-free assays, almost no activity on HER2-Neu, PDGFR, Trk, Bcr-Abl and InsR.	Cell Rep, 2013, 4(4):764-75 J Pharmacol Exp Ther, 2012, 341(… Toxicol Lett, 2014, 226(1):81-9
S2185	**AST-1306**	AST-1306 is a novel irreversible inhibitor of **EGFR** and **ErbB2** with **IC50** of 0.5 nM and 3 nM, also effective in mutation EGFR T790M/L858R, more potent to ErbB2-overexpressing cells, 3000-fold selective for ErbB family than other kinases.	Cancer Lett, 2014, 350(1-2):61-8 Oncol Rep, 2015, 32(6):2511-6
S2205	**OSI-420**	OSI-420 is the active metabolite of Erlotinib (**EGFR** inhibitor with **IC50** of 2 nM).	Carcinogenesis, 2010, 31(11), 194… Int J Clin Exp Pathol, 2013, 6(10):2… Sci Pharm, 2012, 80(3):633-46
S2867	**WHI-P154**	WHI-P154 is a potent **JAK3** inhibitor with **IC50** of 1.8 μM, no activity against JAK1 or JAK2, also inhibits EGFR, Src, Abl, VEGFR and MAPK, prevents Stat3, but not Stat5 phosphorylation.	
S2895	**Tyrphostin 9**	Tyrphostin 9 is firstly designed as an **EGFR** inhibitor with **IC50** of 460 μM, but is also found to be more potent to **PDGFR** with **IC50** of 0.5 μM.	

S1170	**WZ3146**	WZ3146 is a mutant-selective irreversible inhibitor of **EGFR(L858R)** and **EGFR(E746_A750)** with **IC50** of 2 nM and 2 nM; does not inhibit ERBB2 phosphorylation (T798I).		
S1179	**WZ8040**	WZ8040 is a novel mutant-selective irreversible **EGFRT790M** inhibitor, does not inhibit ERBB2 phosphorylation (T798I).		
S2192	**AZD8931 (Sapitinib)**	AZD8931 (Sapitinib) is a reversible, ATP competitive inhibitor of **EGFR**, **ErbB2** and **ErbB3** with **IC50** of 4 nM, 3 nM and 4 nM in cell-free assays, more potent than Gefitinib or Lapatinib against NSCLC cell, 100-fold more selective for the ErbB family than MNK1 and Flt. Phase 2.	Gut, 2015, 10.1136/gutjnl-2014-30… Clin Cancer Res, 2015, 10.1158/1… Clin Cancer Res, 2014, 20(17):455…	
S1079	**PD153035 HCl**	PD153035 HCl is a potent and specific inhibitor of **EGFR** with **K_i** and **IC50** of 5.2 pM and 29 pM in cell-free assays; little effect noted against PGDFR, FGFR, CSF-1, InsR and Src.	Oncogene, 2015, 10.1038/onc.201… J Immunol, 2012, 188(9):4581-9 Antivir Res, 2011, 89(1):64-70	
S2922	**Icotinib**	Icotinib is a potent and specific **EGFR** inhibitor with **IC50** of 5 nM, including the EGFR, EGFR(L858R), EGFR(L861Q), EGFR(T790M) and EGFR(T790M, L858R).	Oncotarget, 2015, 5(12):4529-42	
S2755	**Varlitinib**	Varlitinib is a selective and potent **ErbB1(EGFR)** and **ErbB2(HER2)** inhibitor with **IC50** of 7 nM and 2 nM, respectively. Phase 2.	J Cell Biochem, 2014, 115(8):1381…	

Inhibitory Selectivity | Solubility | Hover Mouse over '+' to display IC_{50}

Inhibitor Name	EGFR/ErbB1	HER2/ErbB2	ErbB3	ErbB4	Other Targets
Erlotinib HCl (OSI-744)	++++				
Gefitinib (ZD1839)	++				
Lapatinib (GW-572016) Ditosylate	++	++		+	c-Src
Afatinib (BIBW2992)	++++	++			
Neratinib (HKI-272)	+	+			KDR,Src
Canertinib (CI-1033)	++++	+++			
Lapatinib	++	++		+	c-Src
AG-490 (Tyrphostin B42)	+	+			JAK2
CP-724714		++			
Dacomitinib (PF299804, PF299)	+++	+		+	
WZ4002	++++				
AZD8931 (Sapitinib)	+++	+++	+++		
CUDC-101	+++	++			HDAC,HDAC1,HDAC6
AG-1478 (Tyrphostin AG-1478)	+++				
PD153035 HCl	++++				
Pelitinib (EKB-569)	+	+			Src,MEK/ERK,Raf
AEE788 (NVP-AEE788)	++++	+++		+	c-Abl,FLT1,c-Fms
AC480 (BMS-599626)	++	+		+	MEK,LCK,VEGFR2
OSI-420	++++				
WZ3146	++++				

AST-1306	++++	+++		++++	
Rociletinib (CO-1686, AVL-301)	++				
Varlitinib	+++	++++			
Icotinib	+++				
TAK-285	++	++		+	MEK1,Aurora B,LCK
WHI-P154	+++				Src,VEGFR,JAK3
PD168393	++++				
CNX-2006	++				
Tyrphostin 9	+				PDGFR
AG-18	+				
AZD3759	++++				
Afatinib (BIBW2992) Dimaleate	++++	++			
Erlotinib	++++				
CL-387785 (EKI-785)	++++				
Poziotinib (HM781-36B)	+++	+++		++	
Osimertinib (AZD9291)	++				
AZ5104	++++			+++	BLK,ACK1,BRK
WZ8040	√				
Genistein	√				topo II
Butein	√				
Chrysophanic Acid	√				mTOR

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.

Recently Viewed Products

S1023 **Erlotinib HCl (OSI-744)**

Erlotinib HCl (OSI-744) is an **EGFR** inhibitor with **IC50** of 2 nM in cell-free assays, >1000-fold more sensitive for EGFR than human c-Src or v-Abl.

E-newsletter

Get updates, discounts and special offers

Email | Sign Up

Your information is safe with us. Privacy Policy.

Return is Easy

Unconditional Return & Replacement Policy

Free Overnight Delivery

On All Orders over $500

Tel: +1-832-582-8158
Fax: +1-832-582-8590
Email: sales@selleckchem.com

Tel: +49-89-46148500
Fax: +49-89-461485022
Email: eu.info@selleckchem.com

Other Countries & Regions
See Worldwide Distributors