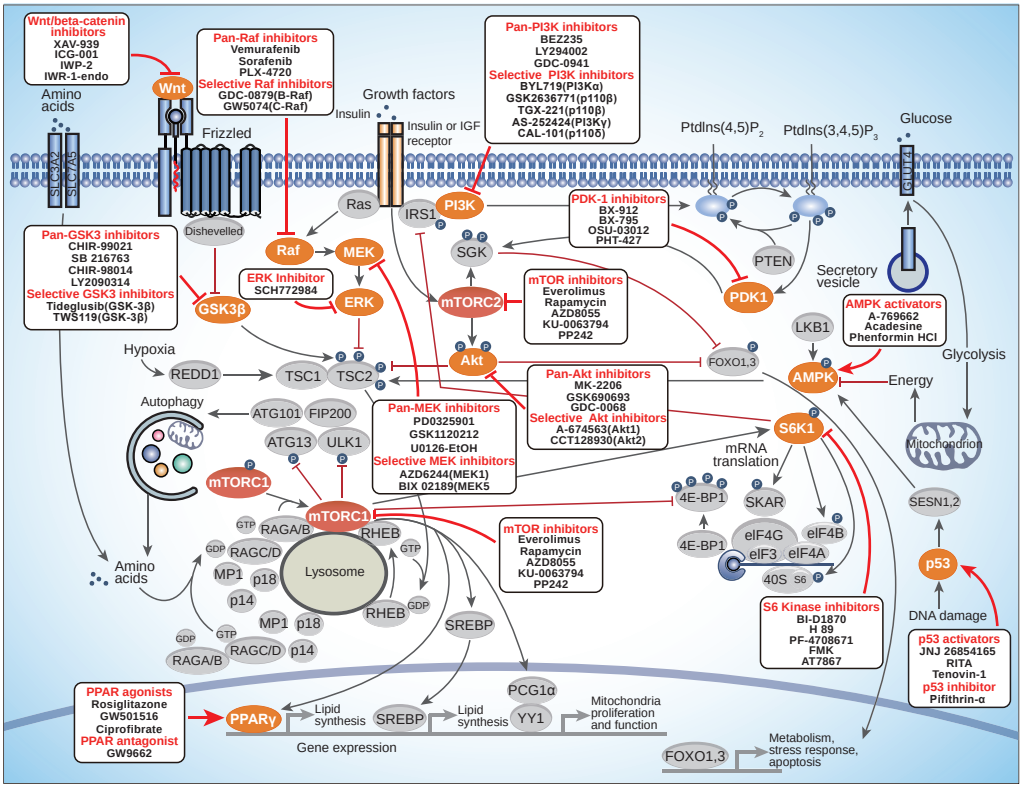
mTOR



mTOR inhibitors

Discovery	Cat.No.	Product Name	Information	Clinical Trial
1998	S1120	Everolimus (RAD001)	Everolimus is an **mTOR** inhibitor of FKBP12 with IC_{50} of 1.6-2.4 nM.	Phase 3
2007	S1039	Rapamycin Licensed by Pfizer	Rapamycin is a specific **mTOR** inhibitor with IC_{50} of ~0.1 nM.	Phase 4
2010	S1555	AZD8055	AZD8055 is a novel ATP-competitive **mTOR** inhibitor with IC_{50} of 0.8 nM with excellent selectivity (~1,000-fold) against PI3K isoforms and ATM/DNA-PK.	Phase 1
2009	S1226	KU-0063794	KU-0063794 is a potent and highly specific dual-**mTOR** inhibitor of **mTORC1** and **mTORC2** with IC_{50} of ~10 nM; no effect on PI3Ks.	
2008	S2218	PP242	PP242 is a selective **mTOR** inhibitor with IC_{50} of 8 nM; targets both mTOR complexes with >10- and 100-fold selectivity for mTOR than PI3Kδ or PI3Kα/β/γ, respectively.	
2008	S1009	BEZ235 (NVP-BEZ235)	BEZ235 is a dual ATP-competitive **PI3K** and **mTOR** inhibitor for p110α/γ/δ/β and mTOR(p70S6K) with IC_{50} of 4 nM/5 nM/7 nM/75 nM/6 nM, respectively. It inhibits ATR with IC_{50} of 21 nM.	Phase 2
2009	S2811	INK 128 (MLN0128)	INK 128 is a potent and selective **mTOR** inhibitor with IC_{50} of 1 nM; >200-fold less potent to class I PI3K isoforms, superior in blocking **mTORC1/2** and sensitive to pro-invasion genes (vs Rapamycin).	Phase 1
2008	S1044	Temsirolimus (CCI-779, NSC 683864)	Temsirolimus is a specific **mTOR** inhibitor with IC_{50} of 1.76 μM.	Phase 2
2006	S1038	PI-103	PI-103 is a multi-targeted **PI3K** inhibitor for p110α/β/δ/γ with IC_{50} of 2 nM/3 nM/3 nM/15 nM, less potent to **mTOR**/DNA-PK with IC_{50} of 30 nM/23 nM.	
2013	S8040 NEW	GDC-0349	GDC-0349 is a potent and selective ATP-competitive inhibitor of **mTOR** with K_i of 3.8 nM, 790-fold inhibitory effect against PI3Kα and other 266 kinases.	Phase 1