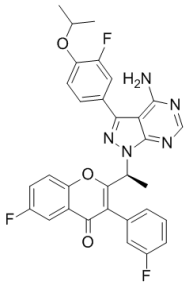
MOLNOVA
HIT YOUR RESEARCH TO LEAD

Datasheet (Version 1.0)

Product Name	:	Umbralisib
Synonyms	:	RP 5264;TGR1202;TGR-1202
Cat No.	:	M12154
CAS Number	:	1532533-67-7
Molecular Formula	:	C31H24F3N5O3
Formula Weight	:	571.55



Chemical Name	:	4H-1-Benzopyran-4-one, 2-[(1S)-1-[4-amino-3-[3-fluoro-4-(1-methylethoxy)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]ethyl]-6-fluoro-3-(3-fluorophenyl)-
Description	:	Umbralisib (RP5264,TGR1202, TGR-1202) is a potent, selective, orally available PI3Kδ inhibitor with IC50 of 22 nM, 50-fold selectivity over PI3Kα/β and >10,000 fold over PI3Kγ; enhances Brentuximab Vedotin-induced Hodgkin lymphoma cell death via mitotic arrest, demonstrates highly synergistic effect with the proteasome inhibitor carfilzomib in lymphoma, leukemia, and myeloma cell lines and primary lymphoma and leukemia cells; synergistically disrupts the 4E-BP1-eIF4F-c-Myc axis with carfilzomib, also potently inhibits 60% of the activity of CK1ε at 1 uM.Blood Cancer Phase 3 Clinical
Pathway	:	PI3K/Akt/mTOR signaling
Target	:	PI3K
Receptor	:	PI3Kδ
Solubility	:	10 mM in DMSO
SMILES	:	O=C1C(C2=CC=CC(F)=C2)=C([C@@H](N3N=C(C4=CC=C(OC(C)C)C(F)=C4)C5=C(N)N=CN=C53)C)OC6=CC=C(F)C=C16
Storage	:	(-20℃)
Stability	:	≥ 2 years
Reference	:	

1. Locatelli SL, et al. Leukemia. 2016 Dec;30(12):2402-2405.| 2. Deng C, et al. Blood. 2017 Jan 5;129(1):88-99.| 3. Burris HA 3rd, et al. Lancet Oncol. 2018 Feb 20. pii: S1470-2045(18)30082-2.