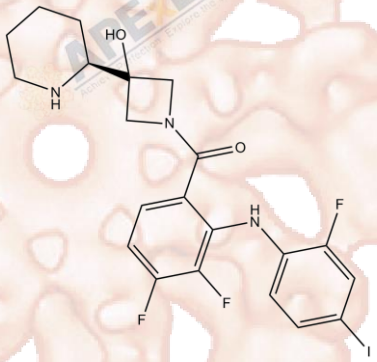
Product Data Sheet

Cobimetinib



Cat. No.:	A3321
CAS No.:	934660-93-2
Formula:	C21H21F3IN3O2
M.Wt:	531.31
Synonyms:	GDC-0973;XL-518;GDC 0973;XL 518;GDC0973;XL518
Target:	MAPK Signaling
Pathway:	MEK1/2
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾26.55 mg/mL in DMSO; insoluble in H2O; ⩾33.53 mg/mL in EtOH with gentle warming

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	1.8821 mL	9.4107 mL	18.8214 mL
5 mM	0.3764 mL	1.8821 mL	3.7643 mL
10 mM	0.1882 mL	0.9411 mL	1.8821 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Selective MEK inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	KRAS G13D and B-RAF G464V mutant MDA-MB-231T breast adenocarcinoma cell lines
Preparation method:	The solubility of this compound in DMSO is >26.6 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored

		below -20°C for several months.
	Reacting conditions:	0-10 nM
	Applications:	In the biochemical activity c-Raf/MEK1/ERK study, cobimetinib inhibited MEK1 activity with a IC50 value of 0.9 nM. Additionally, in MDA-MB-231T breast adenocarcinoma cells with KRAS G13D and B-RAF G464V mutant, cobimetinib was found to be able to inhibit MEK with the IC50 value of 0.2 nM.
In Vivo	**Animal experiment**	
	Animal models:	MDA-MB-231T mouse xenograft model
	Dosage form:	0.3-30 mg/kg, oral, qd
	Applications:	In an MDA-MB-231T efficacy study, cobimetinib demonstrated tumor growth inhibition values of 60 and 93% at 1 and 3 mg/kg, respectively, and statistically significant tumor regression was observed at higher doses. Overall, predicted ED50 and ED90 values were 0.6 and around 3 mg/kg/day, respectively, in the latter case corresponding to peak circulating plasma levels in the range of 130 nM.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. White SM, Avantaggiati ML, et al. "YAP/TAZ Inhibition Induces Metabolic and Signaling Rewiring Resulting in Targetable Vulnerabilities in NF2-Deficient Tumor Cells." Dev Cell. 2019 May 6;49(3):425-443.e9.PMID:31063758

2. Kulshrestha A, Katara GK, et al. "Targeting V-ATPase Isoform Restores Cisplatin Activity in Resistant Ovarian Cancer: Inhibition of Autophagy, Endosome Function, and ERK/MEK Pathway." J Oncol. 2019 Apr 1;2019:2343876.PMID:31057611

3. Brunen D, de Vries RC, et al. "PIM Kinases Are a Potential Prognostic Biomarker and Therapeutic Target in Neuroblastoma." Mol Cancer Ther. 2018 Apr;17(4):849-857.PMID:29440296

4. Gutjahr JC, Szenes E, et al. "Microenvironment-induced CD44v6 promotes early disease progression in chronic lymphocytic leukemia." Blood. 2018 Mar 22;131(12):1337-1349.PMID:29352038

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References

[1] Rice KD, Aay N, Anand NK, et al. Novel Carboxamide-Based Allosteric MEK Inhibitors: Discovery and Optimization Efforts toward XL518 (GDC-0973). ACS Med Chem Lett, 2012, 3(5): 416-421.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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