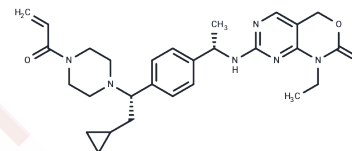


Crelosidenib

Chemical Properties

CAS No. :	2230263-60-0
Formula:	C ₂₈ H ₃₆ N ₆ O ₃
Molecular Weight:	504.62
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Crelosidenib is a potent and selective mutant IDH inhibitor with IC ₅₀ s of 6.27 nM, 3.71 nM, 36.9 nM, and 11.5 nM for IDH1 R132H, IDH1 R132C, IDH2 R140Q, and IDH2 R172K mutases, respectively, and low inhibitory activity against the wild-type IDH enzyme.
Targets(IC ₅₀)	Dehydrogenase, Isocitrate Dehydrogenase (IDH)
In vitro	Crelosidenib (Example 2) suppresses 2-hydroxyglutarate production in HT1080 cells with an IC ₅₀ of 1.28 nM, demonstrating effective inhibition of mutant IDH1 R132C activity in a cellular context. The level of α-ketoglutarate (αKG), a product of wild-type IDH1, remains unchanged, confirming the compound's selectivity for the mutant enzyme over the wild-type form[1].
In vivo	Treatment with Crelosidenib (Example 2) via oral gavage at doses ranging from 0 to 32 mg/kg, administered twice daily for 3 days, resulted in a dose-dependent reduction of 2-hydroxyglutarate levels in xenograft mice bearing IDH1 mutations[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9817 mL	9.9084 mL	19.8169 mL
5 mM	0.3963 mL	1.9817 mL	3.9634 mL
10 mM	0.1982 mL	0.9908 mL	1.9817 mL
50 mM	0.0396 mL	0.1982 mL	0.3963 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Renato Alejandro BAUER, et al. 7-phenylethylamino-4h-pyrimido[4,5-d][1,3]oxazin-2-one compounds as mutant idh1 and idh2 inhibitors. WO2018111707A1.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481