

IDH-305

Chemical Properties

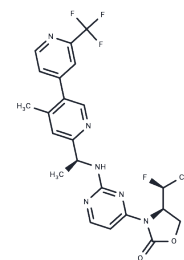
CAS No. : 1628805-46-8

Formula: C₂₃H₂₂F₄N₆O₂

Molecular Weight: 490.45

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	IDH-305 is an orally available, mutation-selective, and brain-penetrant IDH1 inhibitor targeting the IDH1 (R132) mutation. It is 200-fold more selective for mutant IDH1 isoforms than wild type, with IC ₅₀ s of 27 nM, 28 nM, and 6.14 nM for IDH1R132H, IDH1R132C, and IDH1WT, respectively.
Targets(IC ₅₀)	Dehydrogenase, Isocitrate Dehydrogenase (IDH)
In vitro	IDH-305 suppresses HCT116-IDH1R132H +/- cells (IC ₅₀ of 24 nM)[1].
In vivo	P.o. administration of 30-300 mg/kg IDH-305 twice daily for 21 days suppresses 2-HG production and 2-HG-dependent tumor growth of an IDH1 mutant PDX melanoma model [1].

Solubility Information

Solubility	DMSO: 100 mg/mL (203.89 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (8.16 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0389 mL	10.1947 mL	20.3894 mL
5 mM	0.4078 mL	2.0389 mL	4.0779 mL
10 mM	0.2039 mL	1.0195 mL	2.0389 mL
50 mM	0.0408 mL	0.2039 mL	0.4078 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

Cho YS, et al. Discovery and Evaluation of Clinical Candidate IDH305, a Brain Penetrant Mutant IDH1 Inhibitor. ACS Med Chem Lett. 2017 Sep 18;8(10):1116-1121.

Courtney D DiNardo, et al. A Phase I Study of IDH305 in Patients with Advanced Malignancies Including Relapsed/Refractory AML and MDS That Harbor IDH1R132 Mutations. Blood, 128(22), 1073.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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