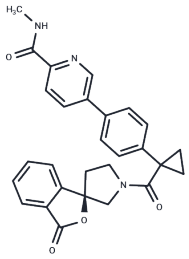
Data Sheet (Cat.No.T60203)

TargetMol

INCB13739

Chemical Properties



CAS No. : 869974-19-6

Formula: C28H25N3O4

Molecular Weight: 467.52

Storage: Keep away from direct sunlight,Keep away from moisture
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	INCB13739 is an orally active, selective, and highly efficient 11β-hydroxysteroid dehydrogenase 1 (11β-HSD1) inhibitor. INCB13739 improves hyperglycemia in patients with uncontrolled type 2 diabetes on metformin monotherapy and can be used in hyperlipidemia and hypertriglyceridemia research.
Targets(IC50)	Glucocorticoid Receptor,Dehydrogenase
In vitro	INCB13739 is an orally active, potent, selective, and tissue-specific inhibitor of 11β-hydroxysteroid dehydrogenase 1 (11β-HSD1), with an IC50 of 3.2 nM for 11β-HSD1 enzyme and 1.1 nM for 11β-HSD1 in PBMC. INCB13739 has greater than 1,000-fold selectivity for 11β-HSD2, the salt corticosteroid receptor (MR), and the glucocorticoid receptor (GR). [1]
In vivo	After oral administration, INCB13739 was efficiently distributed to adipose tissue and inhibited 11β-HSD1 activity by >90% for at least 24 h. INCB13739 (3 mg/kg i.v.; 10 mg/kg orally; single dose) exhibited good oral bioavailability in rats (F%=51%) and cynomolgus monkeys (F%=43%). [1]

Solubility Information

Solubility	DMSO: 100 mg/mL (213.89 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 (8.56 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1389 mL	10.6947 mL	21.3895 mL
5 mM	0.4278 mL	2.1389 mL	4.2779 mL
10 mM	0.2139 mL	1.0695 mL	2.1389 mL
50 mM	0.0428 mL	0.2139 mL	0.4278 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

Zhang C, et al. Discovery of 1'-(1-phenylcyclopropane-carbonyl)-3H-spiro[isobenzofuran-1,3'-pyrrolidin]-3-one as a novel steroid mimetic scaffold for the potent and tissue-specific inhibition of 11β-HSD1 using a scaffold-hopping approach. Bioorg Med Chem Lett. 2022 Aug 1;69:128782.