INCB13739

Cat. No.: HY-150701

CAS No.: 869974-19-6

Molecular Formula: $C_{28}H_{25}N_3O_4$

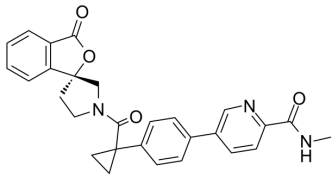
Molecular Weight: 467.52

Target: Glucocorticoid Receptor; Mineralocorticoid Receptor; 11β-HSD

Pathway: Immunology/Inflammation; Vitamin D Related/Nuclear Receptor; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (267.37 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

INCB13739 is an orally active, potent, selective and tissue-specific11β-HSD1 (11β-hydroxysteroid dehydrogenase 1) inhibitor, with IC_{50} values of 3.2 nM (11β-HSD1 enzymatic) and 1.1 nM (11β-HSD1 PBMC), respectively. INCB13739 can be used for type 2 diabetes mellitus (T2DM) and obesity research[1].

IC_{50} & Target

IC50: 3.2 nM (11β-HSD1 enzymatic), 1.1 nM (11β-HSD1 PBMC)[1]

In Vitro

INCB13739 is >1000-fold selective towards 11β-HSD2, mineralocorticoid receptor (MR), and glucocorticoid receptor (GR)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

INCB13739 (Orally) is effectively distributed in the adipose tissue and is inhibiting 11β-HSD1 activity > 90% for at least 24 h post-dose[1].

INCB13739 (3 mg/kg, IV; 10 mg/kg, PO; once) displays decent oral bioavailability in both rats and cynomolgus monkeys[1].

Pharmacokinetic Parameters of INCB13739 in rats[1].

	IV (3 mg/kg)	PO (10 mg/kg)
C_{max} (μM)		6.46 ± 2.41
AUC_{0-24} (ng/mL⊠h)		11.2 ± 3.27
$t_{1/2}$ (h)	1.4 ± 0.2	1.2 ± 0.3
CL ((L/h)/kg)	1.0 ± 0.2	
Vdss (L/kg)	1.6 ± 0.5	
F (%)		51 ± 15

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats, cynomolgus monkeys[1]
Dosage:	3 mg/kg (IV), 10 mg/kg (PO)
Administration:	IV and PO, once (Pharmacokinetic Analysis)
Result:	Displayed decent oral bioavailability in both rats (F%=51 ± 15%) and cynomolgus monkeys (F%=43%).

REFERENCES

[1]. Marando 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.

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