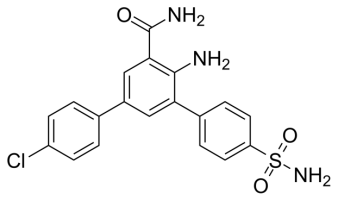
HPN-01

Cat. No.:	HY-135366
CAS No.:	928655-63-4
Molecular Formula:	$C_{19}H_{16}ClN_3O_3S$
Molecular Weight:	401.87
Target:	IKK
Pathway:	NF-κB

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (248.84 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4884 mL	12.4418 mL	24.8837 mL
5 mM	0.4977 mL	2.4884 mL	4.9767 mL
10 mM	0.2488 mL	1.2442 mL	2.4884 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: ≥ 2.5 mg/mL (6.22 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.22 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.22 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

HPN-01 is a potent and selective IKK inhibitor, with pIC_{50} values of 6.4, 7.0 and <4.8 for IKK-α, IKK-β and IKK-ε, respectively. HPN-01 displays greater 50-fold selectivity over a panel of more than 50 other kinases, including ALK5, CDK-2, EGFR, ErbB2, GSK3β, PLK1, Src, and VEGFR-2[1].

IC_{50} & Target

IKK-α	IKK-β	IKK-ε
6.4 (pIC_{50})	7.0 (pIC_{50})	<4.8 (pIC_{50})

In Vitro	HPN-01 effectively suppress LPS-stimulated secretion of TNF-α, IL-1β, and IL-6 from human PBMCs (pIC_{50}=6.1, 6.4, and 5.7, respectively). HPN-01 also inhibits TNF-α-induced NF-κB nuclear translocation in human lung fibroblast cells (pIC_{50}=5.7)[1]. HPN-01 inhibits the expression of SREBP-1and SREBP-2 in cultured primary human hepatocytes with IC_{50}s of 1.71 μM and 3.43 μM, respectively. HPN-01 is being development for the treatment of nonalcoholic fatty liver disease (NAFLD)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Christopher JA, et al. The discovery of 2-amino-3,5-diarylbenzamide inhibitors of IKK-alpha and IKK-beta kinases. Bioorg Med Chem Lett. 2007 Jul 15;17(14):3972-7.

[2]. Ke Li, et al. Amino-aryl-benzamide compounds and methods of use thereof.WO2018204775A1.

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