MCE®
MedChemExpress

Nampt activator-3

Cat. No.:	HY-148948
CAS No.:	2790481-63-7
Molecular Formula:	$C_{19}H_{20}N_2O_3$
Molecular Weight:	324.37
Target:	NAMPT
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years
	4°C 2 years
	In solvent -80°C 6 months
	-20°C 1 month
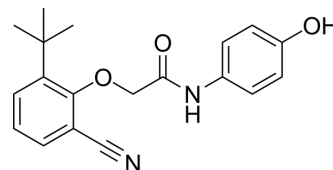
SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (308.29 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent Concentration	1 mg	5 mg	10 mg
	1 mM	3.0829 mL	15.4145 mL	30.8290 mL
	5 mM	0.6166 mL	3.0829 mL	6.1658 mL
	10 mM	0.3083 mL	1.5414 mL	3.0829 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 (7.71 mM); Clear solution; Need ultrasonic

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.71 mM); Clear solution; Need ultrasonic

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (7.71 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

NAMPT activator-3, a NAT derivative, is a NAMPT activator with an EC_{50} of 2.6 μM and a K_D of 132 nM. NAMPT activator-3 effectively protects cultured cells from FK866 (HY-50876)-mediated toxicity. NAMPT activator-3 exhibits strong neuroprotective efficacy in a chemotherapy-induced peripheral neuropathy (CIPN) mouse model without any overt toxicity [1].

In Vitro

NAMPT activator-3 (compound 72; 0.1, 0.3, 1, 3, 10 μM; 72 hours) exertes no side effect on the cell viability including osteosarcoma cells (U2OS), glioblastoma cells (T98G), neuroblastoma cells (SH-SY5Y) and liver carcinoma cells (HepG2)[1].

In Vivo	

NAMPT activator-3 (compound 72) protects peripheral sensory neurons from Taxol (HY-B0015)-induced damage by enhancing NAD production[1].

Pharmacokinetic Parameters of NAMPT activator-3 in mice[1].

	IV (1 mg/kg)	IP (30 mg/kg)	PO (30 mg/kg)
T_{max} (h)			0.25
C_{max} (ng/mL)		1563	188
AUC_{last} (h⋅ng/mL)	183	1333	388
$T_{1/2}$ (h)	0.18	1.05	1.34
CL (mL/min/kg)	90.4		
V_{ss} (mL/kg)	1130		
F (%)		24.2	7.05

Animal Model:	C57BL/6J mice[1]
Dosage:	1 or 3 mg/kg
Administration:	IP; daily for one week followed by Taxol (18.3 mg/kg; ip; on day 9, 11, and 13)
Result:	Showed a dose-dependent effect on elevation of paw withdrawal threshold. Largely restored the density of myelinated fibers relative to the vehicle control group. Significantly elevate NAD level in the sciatic nerves.

REFERENCES

[1]. Leibo Wang, et al. Optimization of NAMPT activators to achieve in vivo neuroprotective efficacy. Eur J Med Chem. 2022 Jun 5;236:114260.