Proteins

SBI-797812

Cat. No.: HY-126255 CAS No.: 2237268-08-3 Molecular Formula: $C_{19}H_{22}N_4O_4S$ Molecular Weight: 402.47

Target: NAMPT

Pathway: Metabolic Enzyme/Protease

-20°C Storage: Powder 3 years

4°C 2 years

-80°C In solvent 2 years

> -20°C 1 year

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 250 mg/mL (621.16 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.4847 mL	12.4233 mL	24.8466 mL
	5 mM	0.4969 mL	2.4847 mL	4.9693 mL
	10 mM	0.2485 mL	1.2423 mL	2.4847 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 (5.17 mM); Clear solution; Need ultrasonic
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (5.17 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (5.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SBI-797812 is an orally active nicotinamide phosphoribosyltransferase (NAMPT) activator. SBI-797812 shifts NAMPT to NMN formation, increases NAMPT affinity for ATP, stabilizes phosphorylated NAMPT, promotes consumption of the pyrophosphate by-product, and blunts feedback inhibition by NAD⁺. SBI-797812 increases intracellular nicotinamide mononucleotide (NMN) and elevates liver NAD^+ in $mice^{[1][2]}$.

IC₅₀ & Target

NAMPT^[1]

In Vitro

SBI-797812 (0-4 μ M; 4 h) activates NAMPT in a dose-dependent manner with an EC₅₀ value of 0.37 μ M, and (1 μ M, 2 μ M; 1 h) increases NAMPT-mediated NMN in cells^[1].

SBI-797812 (2 μ M; 1 or 4 h) exerts NAMPT (30 nM) activation requiring ATP (2 mM) $^{[1]}$.

SBI-797812 (5 μ M; 2 h) impacts PP (20 μ M) consumption and pHisNAMPT reactivity [1].

SBI-797812 (0.4, 2, 10 μ M; 4 h) increases the level of nicotinamide mononucleotide (NMN) and NAD⁺ in A549 human lung carcinoma cells as well as in human or mouse primary myotubes at 10 μ M^[1].

SBI-797812, as an NRAMPT activator plays a role in successive aging promotion, while NAMPT is a rate limiting enzyme in NAD⁺ salvage pathway of Aging/Senescence process^[2].

 $\label{eq:mce} \mbox{MCE has not independently confirmed the accuracy of these methods. They are for reference only.}$

In Vivo

SBI-797812 (10 mg/kg; i.p. or o.p.; single dose) shows high plasma exposure by intraperitoneal injection, with C_{max} value of 3297 ng/mL, 8.2 μ M $^{[1]}$.

SBI-797812 (20 mg/kg; i.p.; single dose; measured 2 h post-dose) significant increases NAD⁺ in mouse liver^[1].

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

Animal Model:	Male C57BL/6 J mice (8-week-old) ^[1]		
Dosage:	20 mg/kg		
Administration:	Intraperitoneal injection; administrated 1 h after fasting; added Buthanasia-D (165 mg/kg; i.p.) 4 h after fasting		
Result:	Showed 0.311, 0.144, 0.078, and 0.078 μg/mg dry powder about NAD ⁺ in liver, heart, gastrocnemius and quadriceps, respectively by LC-MS/MS measurement.		

REFERENCES

[1]. Khaidizar FD, et al. Nicotinamide Phosphoribosyltransferase as a Key Molecule of the Aging/Senescence Process. Int J Mol Sci. 2021 Apr 2;22(7):3709.

[2]. Gardell SJ, et al. Boosting NAD+ with a small molecule that activates NAMPT. Nat Commun. 2019 Jul 19;10(1):3241.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA