Nepicastat

Cat. No.: HY-13289

CAS No.: 173997-05-2

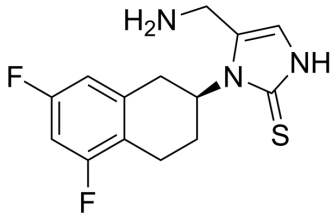
Molecular Formula: $C_{14}H_{15}F_2N_3S$

Molecular Weight: 295.35

Target: Dopamine β-hydroxylase

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 48 mg/mL (162.52 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	3.3858 mL	16.9290 mL	33.8580 mL
5 mM	0.6772 mL	3.3858 mL	6.7716 mL
10 mM	0.3386 mL	1.6929 mL	3.3858 mL

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

BIOLOGICAL ACTIVITY

Description

Nepicastat (SYN117) is a selective, potent, and orally active inhibitor of dopamine-beta-hydroxylase. Nepicastat (SYN117) produces concentration-dependent inhibition of bovine (IC_{50}=8.5 nM) and human (IC_{50}=9 nM) dopamine-beta-hydroxylase. Nepicastat (SYN117) can cross the blood-brain barrier (BBB)[1][2][3].

IC_{50} & Target

IC50: 8.5 nM (bovine dopamine-beta-hydroxylase), 9 nM (human dopamine-beta-hydroxylase)[2]

In Vivo

Nepicastat (3-100 mg/kg; p.o.; three consecutive times, 12 hours apart times) produces dose-dependent decreases in noradrenaline content, increases in dopamine content and increases in dopamine/noradrenaline ratio in the artery (mesenteric or renal), left ventricle[3].

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

Animal Model:	15-16 weeks male spontaneously hypertensive rats (SHRs)[3]
Dosage:	Oral administration; three consecutive times, 12 hours apart

Administration:	3, 10, 30, 100 mg/kg
Result:	Produced dose-dependent decreases in noradrenaline content, increases in dopamine content and increases in dopamine/noradrenaline ratio in the artery (mesenteric or renal), left ventricle and cerebral cortex.

CUSTOMER VALIDATION

- Commun Biol. 2022 Jan 25;5(1):96.
- bioRxiv. 2021 Mar 4.

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REFERENCES

[1]. Beliaev A, et al. Synthesis and biological evaluation of novel, peripherally selective chromanyl imidazolethione-based inhibitors of dopamine beta-hydroxylase.J Med Chem. 2006 Feb 9;49(3):1191-7.

[2]. Stanley WC, et al. Catecholamine modulatory effects of nepicastat (RS-25560-197), a novel, potent and selective inhibitor of dopamine-beta-hydroxylase.Br J Pharmacol. 1997 Aug;121(8):1803-9.

[3]. Stanley WC, et al. Cardiovascular effects of nepicastat (RS-25560-197), a novel dopamine beta-hydroxylase inhibitor. J Cardiovasc Pharmacol. 1998 Jun;31(6):963-70.

[4]. Sabbah HN, et al. Effects of dopamine beta-hydroxylase inhibition with nepicastat on the progression of left ventricular dysfunction and remodeling in dogs with chronic heart failure.

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