SPH3127

Cat. No.: HY-151111

CAS No.: 1399849-02-5

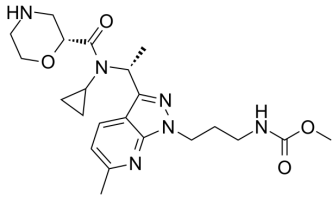
Molecular Formula: $C_{22}H_{32}N_6O_4$

Molecular Weight: 444.53

Target: Renin

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (224.96 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.2496 mL	11.2478 mL	22.4957 mL
	5 mM	0.4499 mL	2.2496 mL	4.4991 mL
	10 mM	0.2250 mL	1.1248 mL	2.2496 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: ≥ 5 mg/mL (11.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (11.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (11.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SPH3127 (DRI 18) is a novel, highly potent, and orally active direct renin inhibitor (recombinant human-renin IC_{50}=0.4 nM, human plasma renin activity IC_{50}=0.45 nM). SPH3127 shows antihypertensive effect and can be used in essential hypertension research[1].

In Vivo

SPH3127 (oral administration; 0-10 mg/kg; once) shows favorable bioavailability in cynomolgus monkeys[1].
SPH3127 (oral administration; 0-3 mg/kg; once) shows a hypotensive effect on tsukuba hypertensive mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Cynomolgus monkeys pretreated with a low-sodium diet and furosemide[1]
Dosage:	0, 1, 3, and 10 mg/kg
Administration:	Oral administration; 1, 3, and 10 mg/kg; once
Result:	Inhibited plasma renin activity with the IC_{50} value of 0.46 nM, and showed hypotensive effect.

Animal Model:	Tsukuba hypertensive mice (THM)[1]
Dosage:	0, 0.3, 1, or 3 mg/kg
Administration:	Oral administration; 0, 0.3, 1, or 3 mg/kg; once
Result:	Exhibited a hypotensive effect on tsukuba hypertensive mice in a dose-dependent manner from 0.3 to 3 mg/kg, and showed a maximum hypotensive effect of approximately 30 mmHg at 2-3 h after administration at any dose.

REFERENCES

[1]. Daisuke Iijima, et al. Discovery of SPH3127: A Novel, Highly Potent, and Orally Active Direct Renin Inhibitor. J Med Chem. 2022 Aug 8.

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