Sparsentan

Cat. No.: HY-17621

CAS No.: 254740-64-2

Molecular Formula: $C_{32}H_{40}N_4O_5S$

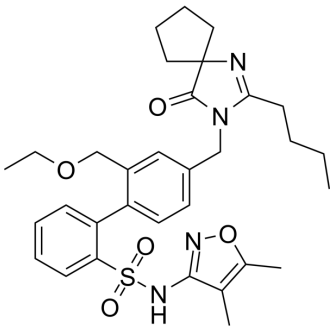
Molecular Weight: 592.75

Target: Angiotensin Receptor; Endothelin Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (168.71 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6871 mL	8.4353 mL	16.8705 mL
	5 mM	0.3374 mL	1.6871 mL	3.3741 mL
	10 mM	0.1687 mL	0.8435 mL	1.6871 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 (3.51 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.51 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sparsentan (RE-021) is a highly potent dual angiotensin II and endothelin A receptor antagonist with K_is of 0.8 and 9.3 nM, respectively[1].

IC_{50} & Target

Ki: 0.8 nM (Human angiotensin II), 9.3 nM (Human endothelin A), 0.4 nM (Rat angiotensin II)[1]

In Vivo

Sparsentan dose dependently antagonizes the angiotensin II-induced pressor response with an ED_{50} value of 0.8 μmol/kg iv and 3.6 μmol/kg po. Sparsentan also shows efficacious and long acting in the big ET-1-induced pressor model. Sparsentan

causes a significant lowering of blood pressure at the lowest dose tested (10 μmol/kg/day) in spontaneously hypertensive rats. Sparsentan shows good oral bioavailability in rats, dogs, and monkeys, averaging 40%, 86%, and 21% F, respectively. At 100 μmol/kg/day, Sparsentan reduces the blood pressure from 170 to less than 100 mmHg during the course of the drug's pharmacokinetic duration. Sparsentan at 100 μmol/kg/day essentially converts the spontaneously hypertensive rats into normotensive rats during the course of its pharmacokinetic duration[1].

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

PROTOCOL

Animal Administration [1]

Rats: Rats are gavaged with vehicle, and immediately thereafter the first bolus (intravenous) iv injection of angiotensin II served as the control pressor response. Irbesartan (30 μmol/kg) and Sparsentan (30 μmol/kg) are given by oral gavage (po), and the rats are re-challenged with angiotensin II at various intervals up to 240 min. There are 6-8 rats per drug dose. The difference between the maximum blood pressure increase before and after drug is reported as the percent (%) inhibition of the angiotensin II pressor effect[1].

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

REFERENCES

[1]. Murugesan N, et al. Dual angiotensin II and endothelin A receptor antagonists: synthesis of 2'-substituted N-3-isoxazolyl biphenylsulfonamides with improved potency and pharmacokinetics. J Med Chem. 2005 Jan 13;48(1):171-9.

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