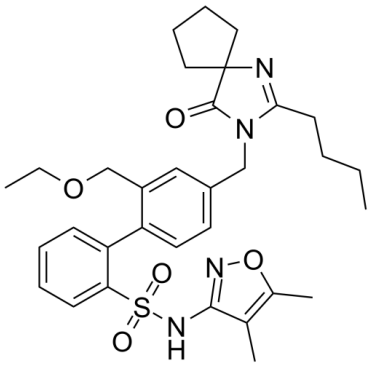
Data Sheet

Product Name:	Sparsentan
Cat. No.:	CS-7947
CAS No.:	254740-64-2
Molecular Formula:	C32H40N4O5S
Molecular Weight:	592.75
Target:	Angiotensin Receptor; Endothelin Receptor
Pathway:	GPCR/G Protein
Solubility:	DMSO : 250 mg/mL (421.76 mM; Need ultrasonic); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

Sparsentan (RE-021) is a highly potent dual **angiotensin II** and **endothelin A** receptor antagonist with **K_i**s of 0.8 and 9.3 nM, respectively. IC50 & 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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

[1,1'-Biphenyl]-2-sulfonamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(4,5-dimethyl-3-isoxazolyl)-2'-(ethoxymethyl)-

SMILES:

O=S(C1=CC=CC=C1C2=CC=C(CN3C(CCCC)=NC4(CCCC4)C3=O)C=C2COCC)(NC5=NOC(C)=C5C)=O

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

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