Data Sheet

Product Name: Sparsentan
Cat. No.: HY-17621
CAS No.: 254740-64-2
Molecular Formula: C32H40N4O5S
Molecular Weight: 592.75
Target: Angiotensin Receptor; Endothelin Receptor
Pathway: GPCR/G Protein
Solubility: DMSO

BIOLOGICAL ACTIVITY:

Sparsentan (RE–021; BMS–346567; PS433540; DARA–a) is a highly potent dual angiotensin II and endothelin A receptor antagonist with $K_i$ of 0.8 and 9.3 nM, respectively.

IC50 & Target: $K_i$: 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: $^{[1]}$Rat: 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:


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