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

**In Vivo**
Sparsentan dose dependently antagonizes the angiotensin II-induced pressor response with an ED₅₀ 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].
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

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