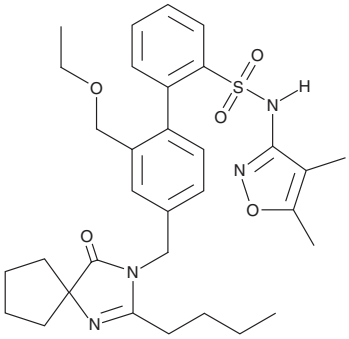
PRODUCT INFORMATION

Sparsentan

Item No. 33429

CAS Registry No.: 254740-64-2
Formal Name: 4′-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(4,5-dimethyl-3-isoxazolyl)-2′-(ethoxymethyl)-[1,1′-biphenyl]-2-sulfonamide
MF: $C_{32}H_{40}N_4O_5S$
FW: 592.8
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Sparsentan is supplied as a crystalline solid. A stock solution may be made by dissolving the sparsentan in the solvent of choice, which should be purged with an inert gas. Sparsentan is soluble in the organic solvent DMSO.

Description

Sparsentan is a dual antagonist of angiotensin II type 1 (AT_1) and endothelin receptor type A (ET_A; K_is = 0.8 and 9.3 nM, respectively, for the human receptors).[1] It is selective for these receptors over AT_2 and ET_B receptors (K_is = >10 µM for both). Sparsentan inhibits angiotensin II-induced pressor responses in conscious normotensive rats (ED_{50} = 3.6 µmol/kg, p.o). It reduces mean arterial pressure in spontaneously hypertensive rats when administered orally at doses of 10, 30, or 100 µmol/kg per day. Dietary administration of sparsentan (1,800 ppm) reduces albuminuria and inhibits development of glomerulosclerosis in the gddY mouse model of IgA nephropathy.[2]

References

1. Murugesan, N., Gu, Z., Fadnis, L., *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.* **48(1)**, 171-179 (2005).
2. Nagasawa, H., Suzuki, H., Jenkinson, C., *et al.* The dual endothelin ETA and angiotensin AT1 receptor blocker sparsentan protects against the development of albuminuria and glomerulosclerosis in the gddY mouse model of IgA. *Nephrol. Dial. Transplant.* **35(Suppl. 3)**, P0348 (2020).

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