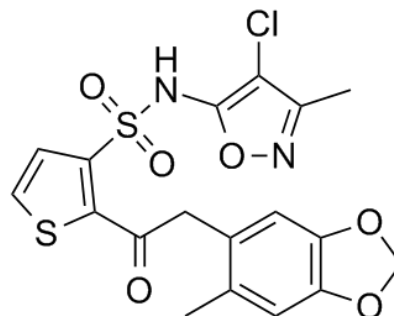


Product Name : Sitaxsentan
Cat. No. : PC-45971
CAS No. : 184036-34-8
Molecular Formula : C₁₈H₁₅ClN₂O₆S₂
Molecular Weight : 454.9045
Target : Endothelin Receptor
Solubility : 10 mM in DMSO



Biological Activity

A potent, long acting, orally active, selective ETA receptor antagonist that binds competitively to human ETA receptor with K_i of 0.43 nM, IC₅₀ of 1.4 nM; displays >5,000-fold selectivity over ETB receptors; inhibits ET1-induced stimulation of phosphoinositide turnover with K_i of 0.686 nM, and pA₂ of 8.0; excellent PK profile and oral bioavailability.

Hypertension

Withdrawn

References

Wu C, et al. J Med Chem. 1997 May 23;40(11):1690-7.

Wanebo JE, et al. Neurosurgery. 1998 Dec;43(6):1409-17; discussion 1417-8.

Tilton RG, et al. Pulm Pharmacol Ther. 2000;13(2):87-97.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com