
Product Data Sheet

Product Name: Clazosentan

Cat. No.: GC68435

Chemical Properties

Cas. No. 180384-56-9

Formula $C_{25}H_{23}N_9O_6S$

M.Wt 577.57

Solubility DMSO : 83.33 mg/mL (144.28 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

Background

Clazosentan (Ro 61-1790) is a selective **endothelin A (ET_A) receptor** antagonist. Clazosentan inhibits ET-1-mediated vasoconstriction. Clazosentan prevents cerebral vasospasm, vasospasm-related cerebral infarction^{[1][5]}.

Clazosentan (0.1 μM) inhibits the ET_A receptor in cerebral arteries^[3].

Clazosentan is a substrate of the organic anion-transporting polypeptide (OATP) 1B1/1B3^[5].

Clazosentan (10 μM, 0.05 mL/kg, intracisternal injection) inhibits the contractile responses to ET-1 in rats^[2].

Clazosentan (10 mg/kg, s.c.) inhibits IL-33-induced hypernociception in mice^[4].

Animal Model: Rats^[2]

Dosage: 10 μM, 0.05 mL/kg

Administration: Intracisternal injection

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

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Result: Inhibited the contractile responses to ET-1, without preventing SAH-induced upregulation of ET receptors in cerebral arteries.

- [1]. Juif PE, et al. Clinical Pharmacology of Clazosentan, a Selective Endothelin A Receptor Antagonist for the Prevention and Treatment of aSAH-Related Cerebral Vasospasm. *Front Pharmacol.* 2021 Feb 4;11:628956.
- [2]. Povlsen GK, et al. MEK1/2 inhibitor U0126 but not endothelin receptor antagonist clazosentan reduces upregulation of cerebrovascular contractile receptors and delayed cerebral ischemia, and improves outcome after subarachnoid hemorrhage in rats. *J Cereb Blood Flow Metab.* 2015 Feb;35(2):329-37.
- [3]. Vatter H, et al. Cerebrovascular characterization of clazosentan, the first nonpeptide endothelin receptor antagonist clinically effective for the treatment of cerebral vasospasm. Part I: inhibitory effect on endothelin(A) receptor-mediated contraction. *J Neurosurg.* 2005 Jun;102(6):1101-7.
- [4]. Verri WA Jr, Guerrero AT, Fukada SY, Valerio DA, Cunha TM, Xu D, Ferreira SH, Liew FY, Cunha FQ. IL-33 mediates antigen-induced cutaneous and articular hypernociception in mice. *Proc Natl Acad Sci U S A.* 2008 Feb 19;105(7):2723-8.
- [5]. Juif PE, et al. Influence of Rifampin-Mediated Organic Anion-Transporting Polypeptide 1B1/1B3 Inhibition on the Pharmacokinetics of Clazosentan. *Clin Transl Sci.* 2019 Sep;12(5):440-444.

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