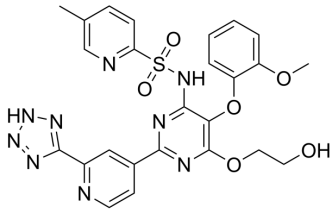
Clazosentan

Cat. No.:	HY-17352
CAS No.:	180384-56-9
Molecular Formula:	$C_{25}H_{23}N_9O_6S$
Molecular Weight:	577.57
Target:	Endothelin Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (144.28 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7314 mL	8.6570 mL	17.3139 mL
	5 mM	0.3463 mL	1.7314 mL	3.4628 mL
	10 mM	0.1731 mL	0.8657 mL	1.7314 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

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].

In Vitro

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].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

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].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats[2]
Dosage:	10 μM, 0.05 mL/kg

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

REFERENCES

[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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