

Tezosentan

Chemical Properties

CAS No.:	180384-57-0
Formula:	C ₂₇ H ₂₇ N ₉ O ₆ S
Molecular Weight:	605.63
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).

Biological Description

Description	Tezosentan is an antagonist of the endothelin receptor (pA ₂ s: 9.5, 7.7 for ETA and ETB receptors, respectively).
Targets(IC ₅₀)	ETA: 9.5(pA ₂) ETB: 7.7(pA ₂)
In vitro	Tezosentan inhibits the specific ¹²⁵ I-labeled ET-1 binding to ETA receptors with an inhibitory potency (K _i) of 0.3 nM on CHO cells and of 18 nM on membranes of baculovirus-infected insect cells. Tezosentan also inhibits the specific binding of ¹²⁵ I-labeled ET-1, ET-3, or sarafotoxin S6c to ETB receptors with an inhibitory affinity of 10 to 21 nM. Tezosentan up to a concentration of 1 μM did not exhibit any binding inhibitory activity in 27 radioligand binding assays different from ET binding [1].
In vivo	Tezosentan dose-dependently inhibits the pressor effect of big ET-1 (P<0.001 at all doses) in pithed Wistar rats. Tezosentan inhibits the pressor effect of the various doses of big ET-1 by 50 to 80% at the lowest dose tested of 1 mg/kg. Tezosentan has no effect by itself on blood pressure in these pithed rats. Tezosentan is very effective in a rat model of acute renal failure. ET antagonists have been shown to prevent vasoconstriction and the renal failure that follow acute renal ischemia in rats[1].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.651 mL	8.256 mL	16.512 mL
5 mM	0.33 mL	1.651 mL	3.302 mL
10 mM	0.165 mL	0.826 mL	1.651 mL
50 mM	0.033 mL	0.165 mL	0.33 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Inhibitors · Natural Compounds · Compound Libraries

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use.

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