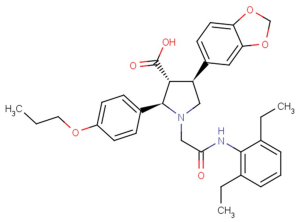
Data Sheet (Cat.No.T14068)

TargetMol
A DRUG SCREENING EXPERT

A-192621

Chemical Properties



CAS No.:	195529-54-5
Formula:	C33H38N2O6
Molecular Weight:	558.66
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	A-192621 is a potent, nonpeptide, orally active and selective endothelin B (ETB) receptor antagonist with an IC50 of 4.5 nM and a Ki of 8.8 nM. A-192621 promotes apoptosis in PASMCs and it also causes elevation of arterial blood pressure and an elevation in the plasma ET-1 level[1][2][3]. The selectivity of A-192621 is 636-fold higher than ETA (IC50 of 4280 nM and Ki of 5600 nM).
Targets(IC_{50})	ETB: 8.8 nM (ki) ETA: 5600 nM (ki)
In vitro	A-192621 induces apoptosis in a dose-dependent manner and increases the cells' susceptibility to apoptosis by Doxorubicin treatment[2]. A-192621 (1-100 μM; 48 hours; PASMCs) treatment markedly reduces the cell viability of PASMCs in a dose-dependent manner[2] and it treatment significantly increases the caspase-3/7 activity and cleaved caspase-3 expression in PASMCs.
In vivo	A-192621 (30-100 mg/kg; oral administration; daily; for 3 days; male Sprague-Dawley rats) treatment inhibits dilatory and pressor responses induced by S6c mediated by ETB, with an ED50 value of 30 mg/kg. A-192621 alone causes elevation of arterial blood pressure and an elevation in the plasma ET-1 level in the conscious normotensive rat[3]. A-192621 (30-100 mg/kg; oral administration; daily; for 3 days; male Sprague-Dawley rats) treatment failed to inhibit the ET-1-induced pressor response mediated by ETA. A-192621 alone causes elevation of arterial blood pressure and an elevation in the plasma ET-1 level in the conscious normotensive rat[3].

Solubility Information

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

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.79 mL	8.95 mL	17.9 mL
5 mM	0.358 mL	1.79 mL	3.58 mL
10 mM	0.179 mL	0.895 mL	1.79 mL
50 mM	0.036 mL	0.179 mL	0.358 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 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Wu-Wong JR, et al. Pharmacology of endothelin receptor antagonists ABT-627, ABT-546, A-182086 and A-192621: in vitro studies. Clin Sci (Lond). 2002 Aug;103 Suppl 48:107S-111S.

2. Sakai S, et al. Antagonists to endothelin receptor type B promote apoptosis in human pulmonary arterial smooth muscle cells. Life Sci. 2016 Aug 15;159:116-120.

3. Wessale JL, et al. Pharmacology of endothelin receptor antagonists ABT-627, ABT-546, A-182086 and A-192621: ex vivo and in vivo studies. Clin Sci (Lond). 2002 Aug;103 Suppl 48:112S-117S.

Inhibitors · Natural Compounds · Compound Libraries

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