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Produktinformation

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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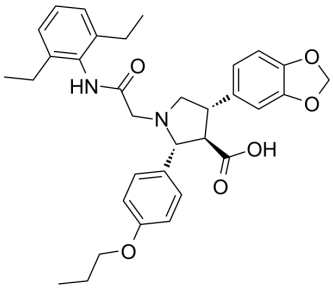
A-192621

Cat. No.:	HY-120295
CAS No.:	195529-54-5
Molecular Formula:	$C_{33}H_{38}N_2O_6$
Molecular Weight:	558.66
Target:	Endothelin Receptor; Apoptosis
Pathway:	GPCR/G Protein; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (179.00 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	1.7900 mL	8.9500 mL	17.9000 mL
	5 mM	0.3580 mL	1.7900 mL	3.5800 mL
	10 mM	0.1790 mL	0.8950 mL	1.7900 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.47 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-192621 is a potent, nonpeptide, orally active and selective endothelin B (ET_B) receptor antagonist with an IC_{50} of 4.5 nM and a K_i of 8.8 nM. The selectivity of A-192621 is 636-fold higher than ET_A (IC_{50} of 4280 nM and K_i of 5600 nM). A-192621 promotes apoptosis in PASMCs. A-192621 alos causes elevation of arterial blood pressure and an elevation in the plasma ET-1 level[1][2][3].

IC_{50} & Target				
	ET_B	ET_B	ET_A	ET_A
	4.5 nM (IC_{50})	8.8 nM (Ki)	4280 nM (IC_{50})	5600 nM (Ki)

In Vitro

A-192621 (1-100 μM; 48 hours; PASMCs) treatment markedly reduces the cell viability of PASMCs in a dose-dependent manner[2].

A-192621 (1-100 μM; 48 hours; PASMCs) treatment significantly increases the caspase-3/7 activity and cleaved caspase-3

expression in PASMCs. A-192621 induces apoptosis in a dose-dependent manner and increases the cells' susceptibility to apoptosis by Doxorubicin treatment[2].

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

Cell Viability Assay[2]

Cell Line:	Pulmonary arterial smooth muscle cells (PASMCs) with Doxorubicin
Concentration:	1 μM, 10 μM, 50 μM, 100 μM
Incubation Time:	72 hours
Result:	The viability of PASMCs was significantly decreased in a dose-dependent manner.

Western Blot Analysis[2]

Cell Line:	Pulmonary arterial smooth muscle cells (PASMCs) with Doxorubicin
Concentration:	1 μM, 10 μM, 100 μM
Incubation Time:	72 hours
Result:	The caspase-3/7 activity in PASMCs was significantly increased in a dose-dependent manner.

In Vivo

A-192621 (30-100 mg/kg; oral administration; daily; for 3 days; male Sprague-Dawley rats) treatment inhibits both dilatory and pressor responses induced by S6c mediated by ET_B with an ED_{50} value of 30 mg/kg, and failed to inhibit the ET-1-induced pressor response mediated by ET_A. A-192621 alone causes elevation of arterial blood pressure and an elevation in the plasma ET-1 level in the conscious normotensive rat[3].

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

Animal Model:	Male Sprague-Dawley rats (250-350 g)[3]
Dosage:	30 mg/kg 100 mg/kg
Administration:	Oral administration; daily; for 3 days
Result:	Inhibited both dilatory and pressor responses induced by S6c mediated by ET_B with an ED_{50} value of 30 mg/kg.

REFERENCES

[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.

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

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