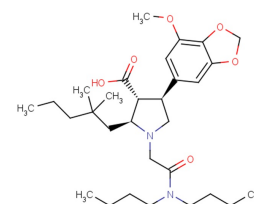


ABT-546

Chemical Properties

CAS No.:	212481-66-8
Formula:	C30H48N2O6
Molecular Weight:	532.71
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	ABT-546 (A-216546) is a potent, highly selective, and active endothelin ETA receptor antagonist with a K_i of 0.46 nM for [125 I]endothelin-1 binding to cloned human endothelin ETA.
Targets(IC ₅₀)	ETA: 0.46 nM (ki)
In vitro	ABT-546 is > 25,000-fold more selective for the ETA receptor than for the ETB receptor. ABT-546 blocks endothelin-1-induced arachidonic acid release and phosphatidylinositol hydrolysis (IC ₅₀ s: 0.59 nM and 3 nM). ABT-546 effectively inhibits specific I endothelin-1 binding to endothelin ETA receptor in membranes prepared from rat pituitary MMQ cells (IC ₅₀ : 0.56 nM). In membranes prepared from CHO cells stably transfected with the human endothelin ETA and ETB receptors, ABT-546 again effectively inhibits specific [125 I]endothelin-1 binding to endothelin ETA receptor (IC ₅₀ : 0.49 nM), but is less effective in inhibiting specific [125 I]endothelin-3 binding to endothelin ETB receptor (IC ₅₀ : 15,400 nM). In isolated vessels, ABT-546 inhibits endothelin ETA receptor-mediated endothelin-1-induced vasoconstriction, and endothelin ETB receptor-mediated sarafotoxin 6c-induced vasoconstriction with pA ₂ of 8.29 and 4.57, respectively.
In vivo	ABT-546 (0-100 mg/kg; p.o.; for 1 hour or 4 hours; male Sprague-Dawley rats) treatment dose-dependently blocks the endothelin-1-induced pressor response in conscious rats.

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	1.877 mL	9.386 mL	18.772 mL
5 mM	0.375 mL	1.877 mL	3.754 mL
10 mM	0.188 mL	0.939 mL	1.877 mL
50 mM	0.038 mL	0.188 mL	0.375 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.

Reference

1. u-Wong JR, et al. Pharmacology of A-216546: a highly selective antagonist for endothelin ET(A) receptor. Eur J Pharmacol. 1999 Feb 5;366(2-3):189-201.

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