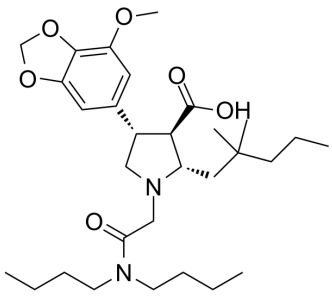
ABT-546

Cat. No.:	HY-135283
CAS No.:	212481-66-8
Molecular Formula:	$C_{30}H_{48}N_2O_6$
Molecular Weight:	532.71
Target:	Endothelin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ABT-546 (A-216546) is a potent, highly selective and active endothelin ET_A receptor antagonist with a K_i of 0.46 nM for [^{125}I]endothelin-1 binding to cloned human endothelin ET_A. ABT-546 is >25,000-fold more selective for the ET_A receptor than for the ET_B receptor. ABT-546 blocks endothelin-1-induced arachidonic acid release and phosphatidylinositol hydrolysis with IC_{50} of 0.59 nM and 3 nM, respectively[1].
IC_{50} & Target	ET_A 0.46 nM (Ki)
In Vitro	ABT-546 (A-216546) effectively inhibits specific I endothelin-1 binding to endothelin ET_A receptor in membranes prepared from rat pituitary MMQ cells with an IC_{50} value of 0.56 nM. ABT-546 is much less effective in inhibiting specific [^{125}I]endothelin-3 binding to endothelin ET_B rceptor in membranes prepared from porcine cerebellum with an IC_{50} value of 16,700 nM. In membranes prepared from CHO cells stably transfected with the human endothelin ET_A and ET_B receptors, ABT-546 again effectively inhibits specific [^{125}I]endothelin-1 binding to endothelin ET_A receptor with an IC_{50} value of 0.49 nM, but is less effective in inhibiting specific [^{125}I]endothelin-3 binding to endothelin ET_B receptor with an IC_{50} value of 15,400 nM[1]. In isolated vessels, ABT-546 inhibits endothelin ET_A receptor-mediated endothelin-1-induced vasoconstriction, and endothelin ET_B receptor-mediated sarafotoxin 6c-induces vasoconstriction with pA_2 of 8.29 and 4.57, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	ABT-546 (A-216546; 0-100 mg/kg; oral administration; for 1 hour or 4 hours; male Sprague-Dawley rats) treatment dose-dependently blocks endothelin-1-induced pressor response in conscious rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (250-350 g) induced with endothelin-1[1]
Dosage:	0.1 mg/kg, 1 mg/kg, 10 mg/kg, 30 mg/kg, 100 mg/kg
Administration:	Oral administration; for 1 hour or 4 hours
Result:	Exhibited a dose-dependent inhibition of the peak pressor response to endothelin-1, and statistically significant inhibition was achieved at doses of 3 to 100 mg/kg.

REFERENCES

[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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA