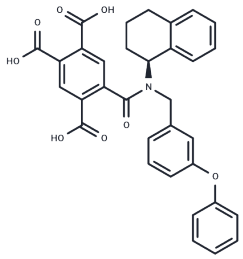
Data Sheet (Cat.No.TQ0002)

TargetMol

A-317491

Chemical Properties



CAS No. : 475205-49-3

Formula: C33H27NO8

Molecular Weight: 565.57

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	A-317491 (ABT 202) is a non-nucleotide P2X3 (Ki: 22 nM) and P2X2/3 receptor (Ki: 9 nM) antagonist, which inhibits calcium flux mediated by the receptors.
Targets(IC50)	P2X Receptor
In vitro	A-317491 blocks recombinant human and rat P2X3 and P2X2/3 receptor-mediated calcium flux (Ki = 22-92 nM) and is highly selective (IC50 >10 μM) over other P2 receptors and other ion channels, neurotransmitter receptors, and enzymes [1].
In vivo	A-317491 dose-dependently reduces complete Freund's adjuvant-induced thermal hyperalgesia in the rat (ED50: 30 μmol/kg s.c.). A-317491 is most potent in attenuating both thermal hyperalgesia and mechanical allodynia after chronic nerve constriction injury (ED50: 10-15 μmol/kg s.c.). Although active in chronic pain models, A-317491 is ineffective in reducing nociception in animal models of acute pain, postoperative pain, and visceral pain (ED50 >100 μmol/kg s.c.) [1]. A-317491 is effective in reducing pain associated behavior in several animal models of inflammatory and neuropathic pain when administered systemically [2].

Solubility Information

Solubility	DMSO: 47 mg/mL (83.1 mM),Sonication is recommended. Ethanol: 90 mg/mL (159.13 mM),Sonication is recommended. H2O: < 0.1 mg/mL (insoluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.54 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7681 mL	8.8406 mL	17.6813 mL
5 mM	0.3536 mL	1.7681 mL	3.5363 mL
10 mM	0.1768 mL	0.8841 mL	1.7681 mL
50 mM	0.0354 mL	0.1768 mL	0.3536 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Jarvis MF,et al. A-317491, a novel potent and selective non-nucleotide antagonist of P2X3 and P2X2/3 receptors, reduces chronic inflammatory and neuropathic pain in the rat. Proc Natl Acad Sci U S A. 2002 Dec 24;99(26):17179-84.

Wu G, et al. A-317491, a selective P2X3/P2X2/3 receptor antagonist, reverses inflammatory mechanical hyperalgesia through action at peripheral receptors in rats. Eur J Pharmacol. 2004 Nov 3;504(1-2):45-53.

Hansen RR, Nasser A, Falk S, et al. Chronic administration of the selective P2X3, P2X2/3 receptor antagonist, A-317491, transiently attenuates cancer-induced bone pain in mice. Eur J Pharmacol. 2012 Aug 5;688(1-3):27-34.