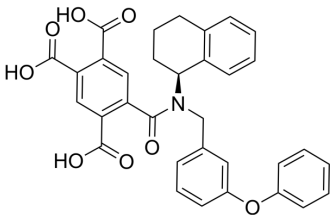
A-317491

Cat. No.:	HY-15568
CAS No.:	475205-49-3
Molecular Formula:	$C_{33}H_{27}NO_8$
Molecular Weight:	565.57
Target:	P2X Receptor
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 47 mg/mL (83.10 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

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 (4.42 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.42 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-317491 is a potent, selective and non-nucleotide antagonist of $P2X_3$ and $P2X_{2/3}$ receptors, with K_is of 22, 22, 9, and 92 nM for $hP2X_3$, $rP2X_3$, $hP2X_{2/3}$, and $rP2X_{2/3}$, respectively. A-317491 is highly selective (IC50>10 μM) over other P2 receptors and other neurotransmitter receptors, ion channels, and enzymes. A-317491 reduces inflammatory and neuropathic pain by blocking $P2X_3$ and $P2X_{2/3}$ receptor-mediated calcium flux[1][2].

IC_{50} & Target

P2X3 Receptor

In Vitro	A-317491 potently blocks recombinant human and rat $P2X_3$ and $P2X_{2/3}$ receptor-mediated calcium flux (K_i=22-92 nM) [1]. A-317491 (1 nM-10 μM) produces a concentration-dependent block of dorsal root ganglion (DRG) currents with an IC_{50} of 15 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	A-317491 (0.1-30 mg/kg; a single s.c.) dose-dependently reverses inflammatory mechanical hyperalgesia in rats[2]. A-317491 (3-30 mg/kg; a single .v.) exhibits the plasma half-life (7.38 h), clearance rate (1.83 L/h/kg), and volume of distribution (0.17 L/kg)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male adult Sprague-Dawley rats received an intraplantar injection of Freund's complete adjuvant[2]
Dosage:	0.1, 1, 3, 10, 30 mg/kg
Administration:	A single s.c.
Result:	Produced a dose-dependent reduction in mechanical hyperalgesia 1 h, 3 h and 5 h post-administration.

CUSTOMER VALIDATION

- Int J Nanomedicine. 2017 Nov 9;12:8171-8183.
- Biochem Biophys Res Commun. 2016 Apr 29;473(2):396-402.
- Exp Physiol. 2024 Jan 11.
- Neurourol Urodyn. 2021 Oct 7.

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REFERENCES

[1]. 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.

[2]. 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.

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