A-317491 sodium salt hydrate

Cat. No.: HY-15568A

Molecular Formula: $C_{33}H_{29}NNaO_9$

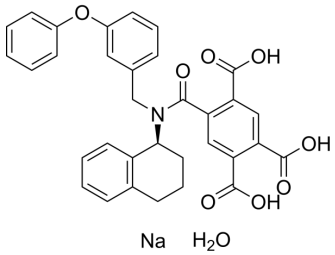
Molecular Weight: 606.57

Target: P2X Receptor

Pathway: Membrane Transporter/Ion Channel

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (164.86 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6486 mL	8.2431 mL	16.4861 mL
	5 mM	0.3297 mL	1.6486 mL	3.2972 mL
	10 mM	0.1649 mL	0.8243 mL	1.6486 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (82.43 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

A-317491 sodium salt hydrate 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 sodium salt hydrate is highly selective (IC 50>10 μM) over other P2 receptors and other neurotransmitter receptors, ion channels, and enzymes. A-317491 sodium salt hydrate 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.
- 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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