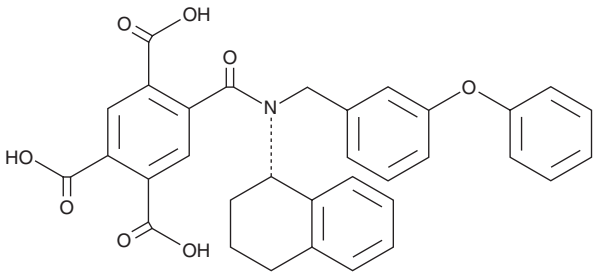
PRODUCT INFORMATION

A-317491

Item No. 19256

CAS Registry No.:	475205-49-3
Formal Name:	5-[[[(3-phenoxyphenyl)methyl][(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]carbonyl]-1,2,4-benzenetricarboxylic acid
MF:	$C_{33}H_{27}NO_8$
FW:	565.6
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

A-317491 is supplied as a crystalline solid. A stock solution may be made by dissolving the A-317491 in the solvent of choice. A-317491 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of A-317491 in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of A-317491 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of A-317491 in PBS, pH 7.2, is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

A-317491 is a non-nucleotide antagonist of the purinergic receptors $P2X_3$ and $P2X_{2/3}$ (K_is = 22-92 nM in a calcium influx assay) that is selective over other purinergic and neurotransmitter receptors, ion channels, and enzymes (IC_{50}s = >10 µM).[1] It reduces thermal hyperalgesia induced by complete Freund's adjuvant in rats (ED_{50} = 30 µmol/kg, s.c.) and nociceptive responses to chemically-induced pain. Chronic administration of A-317491 (30 µmol/kg, twice daily) reduces early, but not late, phase pain in a mouse model of bone cancer.[2] A-317491 does not readily cross the blood-brain barrier and reduces pain responses when applied topically in a rat model of inflammatory pain, indicating that it acts primarily at peripheral purinergic receptors.[3]

References

1. Jarvis, M.F., Burgard, E.C., McGaraughty, S., *et al.* A-317491, a novel potent and selective non-nucleotide antagonist of $P2X_3$ and $P2X_{2/3}$ receptors, reduces chronic inflammatory and neuropathic pain in the rat. *Proc. Natl. Acad. Sci. U.S.A.* **99(26)**, 17179-17184 (2002).
2. Hansen, R.R., Nasser, A., Falk, S., *et al.* Chronic administration of the selective $P2X_3$, $P2X_{2/3}$ receptor antagonist, A-317491, transiently attenuates cancer-induced bone pain in mice. *Eur. J. Pharmacol.* **688(1-3)**, 27-34 (2012).
3. Wu, G., Whiteside, G.T., Lee, G., *et al.* A-317491, a selective $P2X_3$/$P2X_{2/3}$ receptor antagonist, reverses inflammatory mechanical hyperalgesia through action at peripheral receptors in rats. *Eur. J. Pharmacol.* **504(1-2)**, 45-53 (2004).

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