**Product Data Sheet**

### Chemical Properties

**Product Name:** A-317491  
**Cas No.:** 475205-49-3  
**M.Wt:** 565.57  
**Formula:** C33H27NO8  
**Synonyms:** A 317491; A317491  
**Chemical Name:** 5-[(3-phenoxyphenyl)methyl-[(1S)-1,2,3,4-tetrahydronaphthalen-1-yl]carbamoyl]benzene-1,2,4-tricarboxylic acid  
**Canonical SMILES:** C1CC(C2=CC=CC=C2C1)N(CC3=CC(=CC=C3)OC4=CC=CC=C4)C(=O)C5=CC=C(C=C5C(=O)O)C(=O)O(=O)O  
**Solubility:** ≥56.6 mg/mL in DMSO, ≥40.73 mg/mL in EtOH with gentle warming, insoluble in H2O  
**Storage:** Store at -20°C  
**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.  
**Shopping Condition:** Evaluation sample solution: ship with blue ice  
All other available size: ship with RT, or blue ice upon request

### Biological Activity

**Targets:** Membrane Transporter/Ion Channel  
**Pathways:** P2X purinergic receptor  
**Description:**  
A-317491 is a high-affinity and selective antagonist of P2X2/3 and P2X3 receptors with Ki values of 9 and 22 nM, respectively for human P2X2/3 and P2X3 [1]. The P2X3 receptor is an ATP-sensitive ligand-gated ion channel expressed on sensory afferent neurons. When it combines with the P2X2 receptor, they form as a heteromeric receptor P2X2/3.
Unlike the P2X2 receptor, P2X2/3 can be activated by low concentration of α, β-me ATP which was the agonist of P2X3. As an ion channel, the P2X3 receptor plays roles in the pain signaling propagation. A-317491 is the first non-nucleotide antagonist of P2X2/3 and P2X3 receptors with high selectivity. It showed anti-nociceptive in animal models of neuropathic pain and chronic inflammatory [2].

In cell membrane of 1321N1 human astrocytoma cells stably transfected with individual human P2X2 and P2X3 receptors, 3 nM of A-317491 showed 60% of total binding and the binding could be enhanced by the addition of CaCl2. Besides that, the binding was found to be reversible. In rat DRG neurons, A-317491 blocked DRG currents dose-dependently with IC50 value of 15 nM. A-317491 is highly selective against P2X2/3 and P2X3, it showed less potent effects against other P2X and the P2Y2 receptors such as P2X1 (Ki value of 2.5 μM) and P2X2 (Ki value of 4.1 μM) [2 and 3].

In rat model with CFA-induced thermal hyperalgesia, intrathecal administration of A-317491 at doses of 30 and 100 nM both showed significant anti-hyperalgesia effects. When delivered as intraplantar administration, A-317491 showed notably anti-hyperalgesia effects only at dose of 300 nM. In carrageenan-treated rats, intrathecal administration of A-317491 at doses of 30 and 100 nM also exerted anti-hyperalgesia effects. In both CCI and L5-L6 models of neuropathic allodynia, intrathecal administration of A-317491 at doses of 10 and 30 nM resulted in significant withdrawal responses to von Frey hair stimulation [4].

Reference:


Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet.
Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.