

# A-740003

Catalog No: tcsc0297



## Available Sizes

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

861393-28-4

**Formula:**

$C_{26}H_{30}N_6O_3$

**Pathway:**

Membrane Transporter/Ion Channel

**Target:**

P2X Receptor

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 50 mg/mL (105.36 mM; Need ultrasonic); H2O :

**Observed Molecular Weight:**

474.55

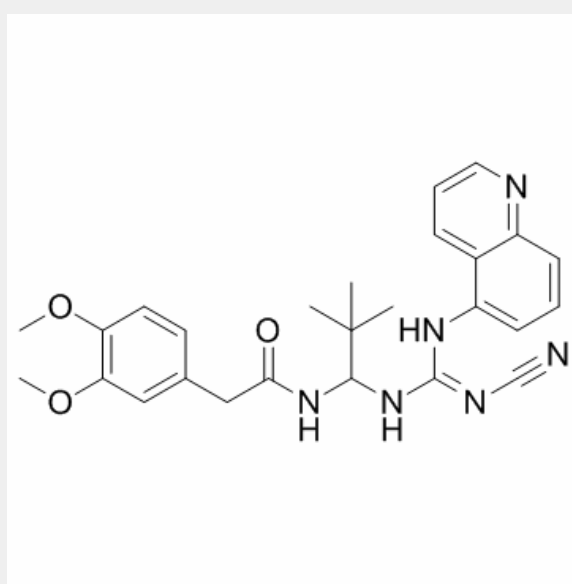
## Product Description

A-740003 is a potent, selective and competitive **P2X7 receptor** antagonist with **IC<sub>50</sub>** values are 18 and 40 nM for rat and human P2X7 receptors, respectively.

IC50 & Target: IC50: 18 nM (rat P2X7 receptor), 40 nM (human P2X7 receptor)

**In Vitro:** A 438079 or A 740003 (10  $\mu$ M) significantly blocks the sustained phase of the BzATP-induced response<sup>[1]</sup>. A-740003 infusion reduces SE-induced TNF- $\alpha$  expression in dentate granule cells. A-740003 infusions increases SE-induced neuronal death<sup>[2]</sup>. A-740003 and A-438079 show significantly greater potency in blocking P2X7 receptor activation across all species compared with other antagonists. A-740003 and A-438079 show greater activity at rat and human, as compared with mouse P2X7 receptors<sup>[3]</sup>. A-740003 potently blocks agonist-evoked IL-1 $\beta$  release with (IC<sub>50</sub>=156 nM) and pore formation (IC<sub>50</sub>=92 nM) in differentiated human THP-1 cells<sup>[4]</sup>.

**In Vivo:** Systemic administration of A-740003 produces dose-dependent antinociception in a spinal nerve ligation model (ED<sub>50</sub>=19 mg/kg i.p.) in the rat. A-740003 also attenuates tactile allodynia in two other models of neuropathic pain, chronic constriction injury of the sciatic nerve and vincristine-induced neuropathy. In addition, A-740003 effectively reduces thermal hyperalgesia observed following intraplantar administration of carrageenan or complete Freund's adjuvant (ED<sub>50</sub>=38-54 mg/kg i.p.). A-740003 is ineffective in attenuating acute thermal nociception in normal rats and does not alter motor performance at analgesic doses<sup>[4]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!