

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

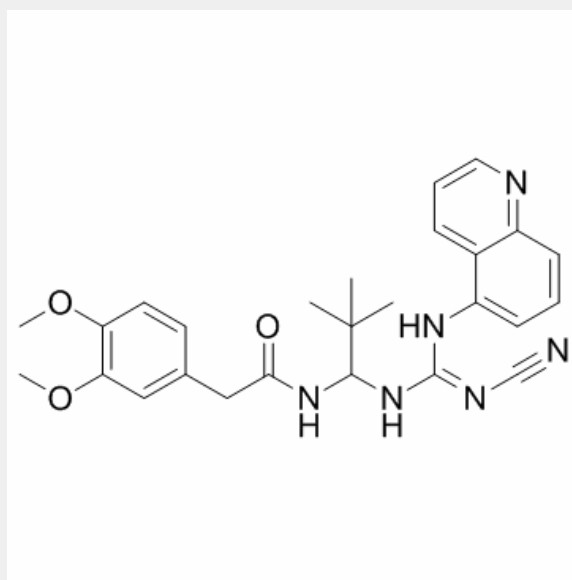
Product Description

A-740003 is a potent, selective and competitive **P2X7 receptor** antagonist with **IC₅₀** 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 μ M) significantly blocks the sustained phase of the BzATP-induced response^[1]. A-740003 infusion reduces SE-induced TNF- α expression in dentate granule cells. A-740003 infusions increases SE-induced neuronal death^[2]. 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^[3]. A-740003 potently blocks agonist-evoked IL-1 β release with (IC₅₀=156 nM) and pore formation (IC₅₀=92 nM) in differentiated human THP-1 cells^[4].

In Vivo: Systemic administration of A-740003 produces dose-dependent antinociception in a spinal nerve ligation model (ED₅₀=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 (ED₅₀=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^[4].



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