Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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_{50}** values are 18 and 40 nM for rat and human P2X7 receptors, respectively.

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

In Vitro: A 438079 or A 740003 (10 μM) 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-1β release with (IC_{50}=156 nM) and pore formation (IC_{50}=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 (ED_{50}=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_{50}=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].