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

Telcagepant

Catalog No: tcsc0291

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
781649-09-0

Formula:
$C_{26}H_{27}F_5N_6O_3$

Pathway:
GPCR/G Protein;Neuronal Signaling

Target:
CGRP Receptor;CGRP Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
MK-0974

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Observed Molecular Weight:
566.52

Product Description

Telcagepant (MK-0974) is a **calcitonin gene-related peptide (CGRP) receptor** antagonist with **K_i**s of 0.77 nM and 1.2 nM for human and rhesus CGRP receptors, respectively.

IC50 & Target: Ki: 0.77 nM (human CGRP), 1.2 nM (rhesus CGRP)

In Vitro: Telcagepant (MK-0974) displays affinity (K_i) for the canine and rat receptors, with values of 1204 nM and 1192 nM (n=10), respectively. Telcagepant (MK-0974) potently blocks human α-CGRP-stimulated cAMP responses in human CGRP receptor expressing HEK293 cells with an IC_{50} of 2.2 nM[1]. Telcagepant (MK-0974) displays saturable binding to SK-N-MC membranes with a K_D of 1.9 nM and B_{max} of 479 fmol/mg protein. Telcagepant (MK-0974) also displays saturable binding to rhesus cerebellum homogenate with a K_D of 1.3 nM and B_{max} of 20 fmol/mg[2].

In Vivo: Telcagepant (MK-0974) (i.v. bolus, 1 mg/kg) demonstrates that the efficacy of this antagonist is time-dependent and correlated with plasma levels[1]. The pharmacokinetics of Telcagepant (MK-0974) remains linear across 0.5-10 mg/kg intravenous dose in monkeys, but the oral area under the plasma concentration-time curve (AUC) increase (5-30 mg/kg) is 15-fold over dose-proportional[3].