

# Telcagepant

**Catalog No: tcsc0291**



## Available Sizes

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**Size:** 2mg

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

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**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

**Observed Molecular Weight:**

566.52

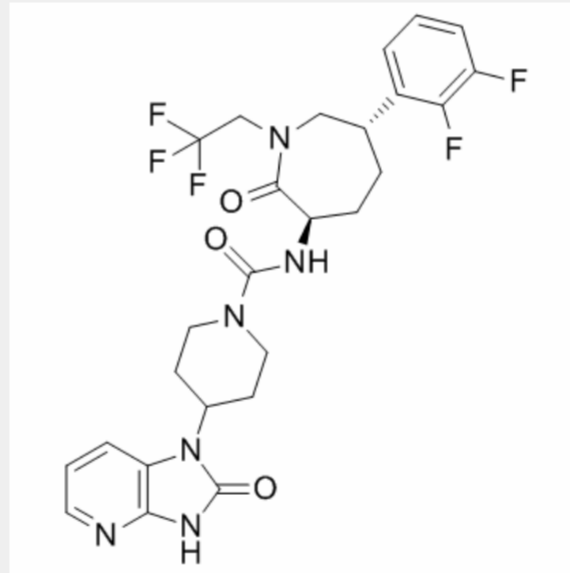
**Product Description**

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:  $K_i$ : 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  $\alpha$ -CGRP-stimulated cAMP responses in human CGRP receptor expressing HEK293 cells with an  $IC_{50}$  of 2.2 nM<sup>[1]</sup>. 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<sup>[2]</sup>.

**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<sup>[1]</sup>. 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<sup>[3]</sup>.



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