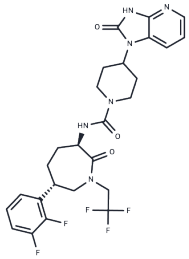
# Data Sheet (Cat.No.T16093)

TargetMol

## Telcagepant

### Chemical Properties



CAS No. : 781649-09-0

Formula: C26H27F5N6O3

Molecular Weight: 566.52

Storage: Store at low temperature,Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

### Biological Description

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|---|---|
| Description | Telcagepant (MK-0974) is an oral calcitonin gene-related peptide receptor (CGRP) antagonist that blocks CGRP receptors and reduces neurotransmission in the central nervous system and is used in the study of migraines and for pain relief. |
| Targets(IC50) | CGRP Receptor |
| In vitro | The in vitro activity of Telcagepant was evaluated in HEK293 cells stably expressing the human CGRP receptor (CLR/RAMP1). Cells were pretreated with Telcagepant for 30 minutes, followed by stimulation with α-CGRP (0.3nM) for 5 minutes to assess cAMP levels. Telcagepant inhibited CGRP-induced cAMP accumulation in a concentration-dependent manner with an $IC_{50}$ of 2.2nM, increasing to 10.9nM in the presence of 50% human serum. Radioligand binding assays confirmed high affinity for human CGRP receptors (Ki = 0.77nM) and much lower affinity for dog and rat receptors (Ki > 1200nM), indicating strong species selectivity [1]. |
| In vivo | The in vivo activity of Telcagepant was assessed in a rhesus monkey dermal vasodilation model. Monkeys received intravenous Telcagepant (0.03–3.7mg/kg bolus followed by 0.13–20μg/kg/min infusion), and capsaicin (2mg) was applied topically to induce dermal blood flow via endogenous CGRP release. Laser Doppler imaging showed that Telcagepant inhibited capsaicin-induced vasodilation in a plasma concentration-dependent manner, with calculated $EC_{50}$ and $EC_{90}$ values of 127nM and 994nM, respectively, confirming in vivo CGRP receptor blockade [1]. |

### Solubility Information

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|---|---|
| Solubility | DMSO: 40 mg/mL (70.61 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.5 mg/mL (4.41 mM),Sonication is recommended.<br>*Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.* |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 1.7652 mL | 8.8258 mL | 17.6516 mL |
| 5 mM | 0.353 mL | 1.7652 mL | 3.5303 mL |
| 10 mM | 0.1765 mL | 0.8826 mL | 1.7652 mL |
| 50 mM | 0.0353 mL | 0.1765 mL | 0.353 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Salvatore CA, et al. Pharmacological characterization of MK-0974 [N-[(3R,6S)-6-(2,3-difluorophenyl)-2-oxo-1-(2,2,2-trifluoroethyl)azepan-3-yl]-4-(2-oxo-2,3-dihydro-1H-imidazo[4,5-b]pyridin-1-yl)piperidine-1-carboxamide], a potent and orally active calcitonin gene-related peptide receptor antagonist for the treatment of migraine. J Pharmacol Exp Ther. 2008 Feb;324(2):416-21. Epub 2007 Nov 26.

Tfelt-Hansen P. Optimal balance of efficacy and tolerability of oral triptans and Telcagepant: a review and a clinical comment. J Headache Pain. 2011 Jun;12(3):275-80.

Ho TW, et al. Randomized controlled trial of the CGRP receptor antagonist Telcagepant for migraine prevention. Neurology. 2014 Sep 9;83(11):958-66.