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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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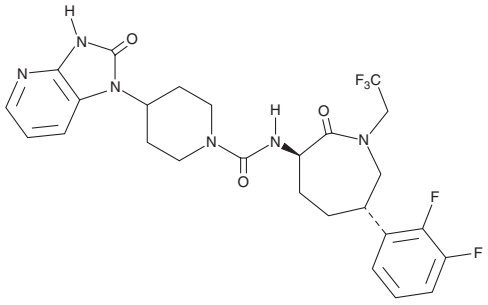
PRODUCT INFORMATION

MK-0974

Item No. 23960

CAS Registry No.:	781649-09-0
Formal Name:	N-[(3R,6S)-6-(2,3-difluorophenyl) hexahydro-2-oxo-1-(2,2,2-trifluoroethyl)-1H-azepin-3-yl]-4-(2,3-dihydro-2-oxo-1H-imidazo[4,5-b]pyridin-1-yl)-1-piperidinecarboxamide
MF:	$C_{26}H_{27}F_5N_6O_3$
FW:	566.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 293 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

MK-0974 is supplied as a crystalline solid. A stock solution may be made by dissolving the MK-0974 in the solvent of choice, which should be purged with an inert gas. MK-0974 is soluble in organic solvents such as ethanol and DMSO. The solubility of MK-0974 in these solvents is approximately 1 mg/ml and 10 mM, respectively.

Description

MK-0974 is an orally bioavailable antagonist of the calcitonin gene-related peptide (CGRP) receptor complex (K_i = 0.77 µM), which is composed of the calcitonin receptor-like receptor (CRLR) and receptor activity modifying protein 1 (RAMP1).[1] MK-0974 binds selectively to the human CGRP receptor complex, over the adrenomedullin receptor complexes, which are composed of CRLR and RAMP2 or RAMP3 (K_is = >100 and 29 µM, respectively, in HEK293 cells). MK-0974 also binds to rhesus, canine, and rat CGRP receptor complexes (K_is = 1.2, 1,204, and 1,192 nM, respectively). MK-0974 inhibits cAMP accumulation stimulated by CGRP (Item No. 24405) with an IC_{50} value of 2.2 nM in HEK293 cells expressing the CGRP receptor complex. *Ex vivo*, MK-0974 (5 µM) reduces CGRP-induced vasodilation in isolated human meningeal arteries.[2] MK-0974 also inhibits vasodilation induced by topical application of capsaicin (Item No. 92350) in rhesus monkeys (EC_{50} = 127 nM).[1]

References

1. Salvatore, C.A., Hershey, J.C., Corcoran, H.A., *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.* **324(2)**, 416-421 (2008).
2. Grände, G., Labruijere, S., Haanes, K.A., *et al.* Comparison of the vasodilator responses of isolated human and rat middle meningeal arteries to migraine related compounds. *J. Headache Pain* **15**, 22 (2014).

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