

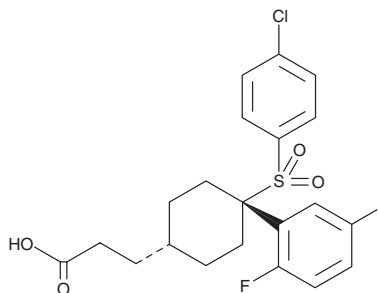
# PRODUCT INFORMATION



**MK-0752**

Item No. 16706

**CAS Registry No.:** 471905-41-6  
**Formal Name:** cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexanepropanoic acid  
**MF:** C<sub>21</sub>H<sub>21</sub>ClF<sub>2</sub>O<sub>4</sub>S  
**FW:** 442.9  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 230, 275 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-0752 is supplied as a crystalline solid. A stock solution may be made by dissolving the MK-0752 in the solvent of choice. MK-0752 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of MK-0752 in these solvents is approximately 5, 10, and 50 mg/ml, respectively.

MK-0752 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MK-0752 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. MK-0752 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

## Description

γ-Secretase is a protease complex that cleaves single-pass transmembrane proteins, such as Notch receptors and β-amyloid precursor protein (APP), within the transmembrane domain.<sup>1,2</sup> MK-0752 is a potent, reversible inhibitor of γ-secretase, reducing the cleavage of APP to Aβ40 in human neuroblastoma SH-SY5Y cells with an IC<sub>50</sub> value of 5 nM.<sup>3,4</sup> It is orally bioavailable and crosses the blood-brain barrier, as orally administered MK-0752 dose-dependently reduces the generation of new amyloid β protein in the brain of rhesus monkeys.<sup>4</sup> Through its effects on the Notch pathway, MK-0752 reduces the number of breast cancer stem cells in tumorgrafts, enhancing the efficacy of the chemotherapy drug docetaxel (Item No. 11637) in mice with breast cancer tumors.<sup>5</sup>

## References

1. Andersson, E.R. and Lendahl, U. Therapeutic modulation of Notch signalling - are we there yet? *Nat. Rev. Drug Discov.* **13**(5), 357-378 (2014).
2. Jurisch-Yaksi, N., Sannerud, R., and Annaert, W. A fast growing spectrum of biological functions of γ-secretase in development and disease. *Biochim Biophys. Acta.* **1828**(12), 2815-2827 (2013).
3. Glover, J.N. Insights into the molecular basis of human hereditary breast cancer from studies of the BRCA1 BRCT domain. *Fam. Cancer* **5**(1), 89-93 (2006).
4. Mirguet, O., Gosmini, R., Toum, J., et al. Discovery of epigenetic regulator I-BET762: Lead optimization to afford a clinical candidate inhibitor of the BET bromodomains. *J. Med. Chem.* **56**(19), 7501-7515 (2013).
5. Landmesser, U. High density lipoproteins - should we raise it? *Curr. Vasc. Pharmacol.* **10**(6), 718-719 (2012).

### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

### WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 11/16/2022

## CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897  
[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM