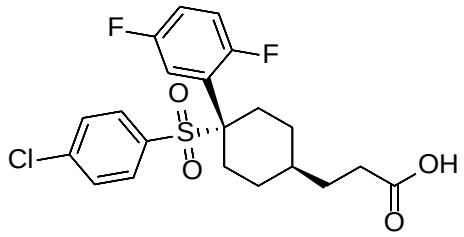
Product data sheet

MedKoo Cat#: 525670 Name: MK-0752 CAS: 471905-41-6 Chemical Formula: $C_{21}H_{21}ClF_2O_4S$ Exact Mass: 442.0817 Molecular Weight: 442.9018	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
MK0752 is a synthetic small molecule with potential antineoplastic activity. MK0752 inhibits the Notch signaling pathway, which may result in induction of growth arrest and apoptosis in tumor cells in which the Notch signaling pathway is overactivated. The Notch signaling pathway plays an important role in cell-fate determination, cell survival, and cell proliferation. Check for active clinical trials or closed clinical trials using this agent. (NCI Thesaurus).

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	50.0	112.89
DMSO	66.33	149.77
DMSO:PBS (pH 7.2) (1:1)	0.5	1.13
Ethanol	7.5	16.93

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.26 mL	11.29 mL	22.58 mL
5 mM	0.45 mL	2.26 mL	4.52 mL
10 mM	0.23 mL	1.13 mL	2.26 mL
50 mM	0.05 mL	0.23 mL	0.45 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Jiang J, Zhang J, Fu K, Zhang T. Function and mechanism exploration of zinc finger protein 64 in lung adenocarcinoma cell growth and metastasis. J Recept Signal Transduct Res. 2021 Oct;41(5):457-465. doi: 10.1080/10799893.2020.1825490. Epub 2020 Oct 15. PMID: 33054540.
2. Saltarella I, Frassanito MA, Lamanuzzi A, Brevi A, Leone P, Desantis V, Di Marzo L, Bellone M, Derudas D, Ribatti D, Chiaramonte R, Palano MT, Neri A, Mariggiò MA, Fumarulo R, Dammacco F, Racanelli V, Vacca A, Ria R. Homotypic and Heterotypic Activation of the Notch Pathway in Multiple Myeloma-Enhanced Angiogenesis: A Novel Therapeutic Target? Neoplasia. 2019 Jan;21(1):93-105. doi: 10.1016/j.neo.2018.10.011. Epub 2018 Dec 5. PMID: 30529074; PMCID: PMC6282459.

In vivo study

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1. Chen X, Gong L, Ou R, Zheng Z, Chen J, Xie F, Huang X, Qiu J, Zhang W, Jiang Q, Yang Y, Zhu H, Shi Z, Yan X. Sequential combination therapy of ovarian cancer with cisplatin and γ-secretase inhibitor MK-0752. Gynecol Oncol. 2016 Mar;140(3):537-44. doi: 10.1016/j.ygyno.2015.12.011. Epub 2015 Dec 15. PMID: 26704638.
2. Cook JJ, Wildsmith KR, Gilberto DB, Holahan MA, Kinney GG, Mathers PD, Michener MS, Price EA, Shearman MS, Simon AJ, Wang JX, Wu G, Yarasheski KE, Bateman RJ. Acute gamma-secretase inhibition of nonhuman primate CNS shifts amyloid precursor protein (APP) metabolism from amyloid-beta production to alternative APP fragments without amyloid-beta rebound. J Neurosci. 2010 May 12;30(19):6743-50. doi: 10.1523/JNEUROSCI.1381-10.2010. PMID: 20463236; PMCID: PMC2913973.

7. Bioactivity

Biological target:

MK-0752 is a potent, orally active and specific γ-secretase inhibitor.

In vitro activity

H1975 cell viability, cell cycle progression, and migration after transfection or under Notch inhibitor MK-0752 treatment were detected through MTT assay, flow cytometer, and wound healing assay, respectively. Notch inhibitor MK-0752 inhibited the effects of overexpressed ZFP64 on H1975 cell viability, cell cycle, migration, EMT progress, and Notch pathway activation.

Reference: J Recept Signal Transduct Res. 2021 Oct;41(5):457-465. https://pubmed.ncbi.nlm.nih.gov/33054540/

In vivo activity

In this study, the GSI (gamma-secretase inhibitor) MK-0752 was administered to conscious CMP rhesus monkeys in conjunction with in vivo stable-isotope-labeling, and dose-dependently reduced newly generated CNS Abeta. These results indicate that most of the CNS APP was metabolized to products other than Abeta, including C-terminal truncated forms of Abeta: 1-14, 1-15 and 1-16; this demonstrates an alternative degradation pathway for CNS amyloid precursor protein during gamma-secretase inhibition.

Reference: J Neurosci. 2010 May 12;30(19):6743-50. https://pubmed.ncbi.nlm.nih.gov/20463236/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.