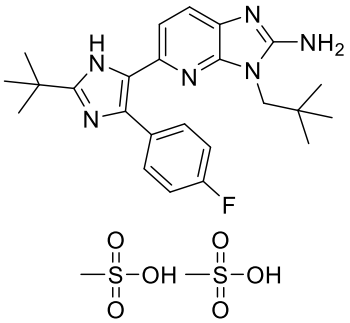
Product data sheet

MedKoo Cat#: 206737 Name: Ralimetinib mesylate CAS: 1198782-86-3 Chemical Formula: $C_{26}H_{37}FN_6O_6S_2$ Molecular Weight: 612.74	
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.

Product description:
Ralimetinib, also known as LY2228820, is a potent and selective, ATP-competitive inhibitor of the α- and β-isoforms of p38 MAPK in vitro.

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
DMSO	61	99.55

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.63 mL	8.16 mL	16.32 mL
5 mM	0.33 mL	1.63 mL	3.26 mL
10 mM	0.16 mL	0.82 mL	1.63 mL
50 mM	0.03 mL	0.16 mL	0.33 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. Zhang C, Liu T, Wang G, Wang H, Che X, Gao X, Liu H. Rac3 Regulates Cell Invasion, Migration and EMT in Lung Adenocarcinoma through p38 MAPK Pathway. J Cancer. 2017 Aug 2;8(13):2511-2522. doi: 10.7150/jca.18161. PMID: 28900489; PMCID: PMC5595081.

In vivo study

1. Patnaik A, Haluska P, Tolcher AW, Erlichman C, Papadopoulos KP, Lensing JL, Beeram M, Molina JR, Rasco DW, Arcos RR, Kelly CS, Wijayawardana SR, Zhang X, Stancato LF, Bell R, Shi P, Kulanthaivel P, Pitou C, Mulle LB, Farrington DL, Chan EM, Goetz MP. A First-in-Human Phase I Study of the Oral p38 MAPK Inhibitor, Ralimetinib (LY2228820 Dimesylate), in Patients with Advanced Cancer. Clin Cancer Res. 2016 Mar 1;22(5):1095-102. doi: 10.1158/1078-0432.CCR-15-1718. Epub 2015 Nov 18. Erratum in: Clin Cancer Res. 2016 May 15;22(10):2596. PMID: 26581242.
2. Tate CM, Blosser W, Wyss L, Evans G, Xue Q, Pan Y, Stancato L. LY2228820 dimesylate, a selective inhibitor of p38 mitogen-activated protein kinase, reduces angiogenic endothelial cord formation in vitro and in vivo. J Biol Chem. 2013 Mar 1;288(9):6743-53. doi: 10.1074/jbc.M112.425553. Epub 2013 Jan 18. PMID: 23335506; PMCID: PMC3585111.

7. Bioactivity
Biological target:
Ralimetinib dimesylate is a selective, ATP-competitive inhibitor of p38 MAPK α/β with IC50s of 5.3 and 3.2 nM, respectively.

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Ralimetinib potently and selectively inhibited phosphorylation of MK2 in anisomycin-stimulated HeLa cells and anisomycin-induced mouse RAW264.7 macrophages (IC(50) = 35.3 nmol/L) with no changes in phosphorylation of p38 α MAPK, JNK, ERK1/2, c-Jun, ATF2, or c-Myc ⩽ 10 μmol/L.

In vitro activity

Ralimetinib inhibited Rac3-induced cell invasion and migration of lung adenocarcinoma. Following Ralimetinib treatment after silencing of Rac3, E-cadherin expression was increased and vimentin expression was decreased.

Reference: J Cancer. 2017 Aug 2;8(13):2511-2522. https://pubmed.ncbi.nlm.nih.gov/28900489/

In vivo activity

After a single dose, ralimetinib inhibited p38 MAPK-induced phosphorylation of MAPKAP-K2 in peripheral blood mononuclear cells. Ralimetinib demonstrated acceptable safety, tolerability, and pharmacokinetics for patients with advanced cancer.

Reference: Clin Cancer Res. 2016 Mar 1;22(5):1095-102. https://pubmed.ncbi.nlm.nih.gov/26581242/

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.