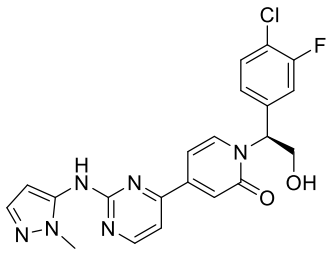
Product data sheet

MedKoo Cat#: 206065 Name: Ravoxertinib CAS: 1453848-26-4 (free base) Chemical Formula: $C_{21}H_{18}ClFN_6O_2$ Exact Mass: 440.1164 Molecular Weight: 440.86	
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:
Ravoxertinib also known as GDC-0994, GDC994 and RG7842, is an orally available inhibitor of extracellular signal-regulated kinase (ERK), with potential antineoplastic activity. Upon oral administration, GDC-0994 inhibits both ERK phosphorylation and activation of ERK-mediated signal transduction pathways. This prevents ERK-dependent tumor cell proliferation and survival. The mitogen-activated protein kinase (MAPK)/ERK pathway is upregulated in a variety of tumor cell types and plays a key role in tumor cell proliferation, differentiation and survival.

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	30.0	68.45

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.27 mL	11.34 mL	22.68 mL
5 mM	0.45 mL	2.27 mL	4.54 mL
10 mM	0.23 mL	1.13 mL	2.27 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 se ction of "Calculator"

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

1. Blake JF, Burkard M, Chan J, Chen H, Chou KJ, Diaz D, Dudley DA, Gaudino JJ, Gould SE, Grina J, Hunsaker T, Liu L, Martinson M, Moreno D, Mueller L, Orr C, Pacheco P, Qin A, Rasor K, Ren L, Robarge K, Shahidi-Latham S, Stults J, Sullivan F, Wang W, Yin J, Zhou A, Belvin M, Merchant M, Moffat J, Schwarz JB. Discovery of (S)-1-(1-(4-Chloro-3-fluorophenyl)-2-hydroxyethyl)-4-(2-((1-methyl-1H-pyrazol-5-yl)amino)pyrimidin-4-yl)pyridin-2(1H)-one (GDC-0994), an Extracellular Signal-Regulated Kinase 1/2 (ERK1/2) Inhibitor in Early Clinical Development. J Med Chem. 2016 Jun 23;59(12):5650-60. doi: 10.1021/acs.jmedchem.6b00389. Epub 2016 Jun 7. PMID: 27227380.

In vivo study

1. Yang MF, Sun SY, Lv HG, Wang WQ, Li HX, Sun JY, Zhang ZY. Ravoxertinib Improves Long-Term Neurologic Deficits after Experimental Subarachnoid Hemorrhage through Early Inhibition of Erk1/2. ACS Omega. 2023 May 23;8(22):19692-19704. doi: 10.1021/acsomega.3c01296. PMID: 37305289; PMCID: PMC10249378.
2. Janardhan HP, Dresser K, Hutchinson L, Trivedi CM. Pathological MAPK activation-mediated lymphatic basement membrane disruption causes lymphangiectasia that is treatable with ravoxertinib. JCI Insight. 2022 Sep 8;7(17):e153033. doi: 10.1172/jci.insight.153033. PMID: 36073544; PMCID: PMC9536262.

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7. Bioactivity

Biological target:

Ravoxertinib is an orally active ERK kinase inhibitor with an IC50 of 6.1 nM and 3.1 nM for ERK1 and ERK2, respectively. It inhibits ERK-dependent p90RSK serine 380 phosphorylation in PMA-stimulated HepG2 cells with an IC50 value of 12 nM.

In vitro activity

This article describes the discovery and characterization of ravoxertinib.

Reference: J Med Chem. 2016 Jun 23;59(12):5650-60. https://pubmed.ncbi.nlm.nih.gov/27227380/

In vivo activity

Ravoxertinib (RAH) treatment attenuates neurobehavioral deficits, the blood-brain barrier damage, and cerebral edema after subarachnoid hemorrhage (SAH). RAH treatment decreases the SAH-elevated apoptosis-related factor active caspase-3 and RIPK1 expression. RAH attenuated neuronal apoptosis in the basal cortex at 72 h after SAH in rats. These results suggest that RAH improves long-term neurologic deficits through early inhibition of Erk1/2 in experimental SAH.

Reference: ACS Omega. 2023 May 23;8(22):19692-19704. https://pubmed.ncbi.nlm.nih.gov/37305289/

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.