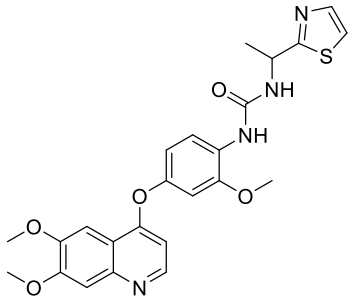
Product data sheet

MedKoo Cat#: 526787 Name: KI-20227 CAS: 623142-96-1 Chemical Formula: $C_{24}H_{24}N_4O_5S$ Exact Mass: 480.1467 Molecular Weight: 480.539	
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:

KI-20227 is a potent and orally active inhibitor of c-Fms tyrosine kinase (M-CSFR, CSF1R) (IC50 values are 2, 12, 217 and 451 nM for c-Fms, VEGFR-2, PDGFRβ and c-Kit respectively). Ki20227 suppresses osteoclast differentiation and osteolytic bone destruction in a bone metastasis model. Ki20227 inhibits disease progression in a collagen-induced arthritis mouse model. Ki20227 suppresses experimental autoimmune encephalomyelitis.

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	12.5	26.01
DMSO	54.76	113.96
DMSO:PBS (pH 7.2) (1:3)	0.25	0.52
Ethanol	3.0	6.24

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.08 mL	10.40 mL	20.81 mL
5 mM	0.42 mL	2.08 mL	4.16 mL
10 mM	0.21 mL	1.04 mL	2.08 mL
50 mM	0.04 mL	0.21 mL	0.42 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. Ohno H, Uemura Y, Murooka H, Takanashi H, Tokieda T, Ohzeki Y, Kubo K, Serizawa I. The orally-active and selective c-Fms tyrosine kinase inhibitor Ki20227 inhibits disease progression in a collagen-induced arthritis mouse model. Eur J Immunol. 2008 Jan;38(1):283-91. doi: 10.1002/eji.200737199. PMID: 18085662.
2. Ohno H, Kubo K, Murooka H, Kobayashi Y, Nishitoba T, Shibuya M, Yoneda T, Isoe T. A c-fms tyrosine kinase inhibitor, Ki20227, suppresses osteoclast differentiation and osteolytic bone destruction in a bone metastasis model. Mol Cancer Ther. 2006 Nov;5(11):2634-43. doi: 10.1158/1535-7163.MCT-05-0313. PMID: 17121910.

In vivo study

1. Du X, Gao F, Chen S, Botchway BOA, Amin N, Hu Z, Fang M. Combinational Pretreatment of Colony-Stimulating Factor 1 Receptor Inhibitor and Triptolide Upregulates BDNF-Akt and Autophagic Pathways to Improve Cerebral Ischemia. Mediators Inflamm. 2020 Oct 31;2020:8796103. doi: 10.1155/2020/8796103. PMID: 33192177; PMCID: PMC7648715.

Product data sheet

2. Du X, Xu Y, Chen S, Fang M. Inhibited CSF1R Alleviates Ischemia Injury via Inhibition of Microglia M1 Polarization and NLRP3 Pathway. Neural Plast. 2020 Aug 28;2020:8825954. doi: 10.1155/2020/8825954. PMID: 32908485; PMCID: PMC7474788.

7. Bioactivity

Biological target:

Ki20227 is an orally active and highly selective c-Fms tyrosine kinase (CSF1R) inhibitor with IC_{50}s of 2 nM, 12 nM, 451 and 217 nM for CSF1R, VEGFR2 (vascular endothelial growth factor receptor-2), c-Kit (stem cell factor receptor) and PDGFRβ (platelet-derived growth factor receptor β). Ki20227 suppresses osteoclast differentiation and osteolytic bone destruction.

In vitro activity

Ki20227 inhibited M-CSF-dependent reactions, such as lipopolysaccharide-induced tumor necrosis factor-alpha production, which were enhanced by M-CSF in vitro.

Reference: Eur J Immunol. 2008 Jan;38(1):283-91. https://pubmed.ncbi.nlm.nih.gov/18085662/

In vivo activity

TP (triptolide) and Ki20227 pretreatments improved the neurobehavioral function in stroke mice. Synaptic protein expressions and density of dendritic spine density were upregulated in Ki20227 and TP pretreated stroke mice. Further, optimized integration of TP and Ki20227 pretreatments upregulated the NeuN expression and downregulated Iba1 expression after stroke.

Reference: Mediators Inflamm. 2020 Oct 31;2020:8796103. https://pubmed.ncbi.nlm.nih.gov/33192177/

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.