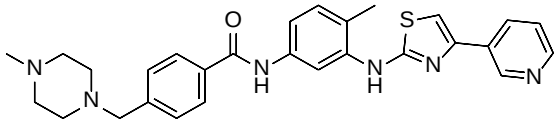
Product data sheet

MedKoo Cat#: 201804 Name: Masitinib CAS#: 790299-79-5 Chemical Formula: $C_{28}H_{30}N_6OS$ Exact Mass: 498.2202 Molecular Weight: 498.64	
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:
Masitinib, also known as AB1010, is an orally bioavailable and multi-targeted protein tyrosine kinase inhibitor with potential antineoplastic activity. Masitinib selectively binds to and inhibits both the wild-type and mutated forms of the stem cell factor receptor (c-Kit; SCFR); platelet-derived growth factor receptor (PDGFR); fibroblast growth factor receptor 3 (FGFR3); and, to a lesser extent, focal adhesion kinase (FAK). As a consequence, tumor cell proliferation may be inhibited in cancer cell types that overexpress these receptor tyrosine kinases (RTKs). Masitinib was approved for veterinary use.

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	10.0	20.05

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.01 mL	10.03 mL	20.05 mL
5 mM	0.40 mL	2.01 mL	4.01 mL
10 mM	0.20 mL	1.00 mL	2.01 mL
50 mM	0.04 mL	0.20 mL	0.40 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. Drayman N, Jones KA, Azizi SA, Froggatt HM, Tan K, Maltseva NI, Chen S, Nicolaescu V, Dvorkin S, Furlong K, Kathayat RS, Firpo MR, Mastrodomenico V, Bruce EA, Schmidt MM, Jedrzejczak R, Muñoz-Alía MÁ, Schuster B, Nair V, Botten JW, Brooke CB, Baker SC, Mounce BC, Heaton NS, Dickinson BC, Jaochimiak A, Randall G, Tay S. Drug repurposing screen identifies masitinib as a 3CLpro inhibitor that blocks replication of SARS-CoV-2 in vitro. bioRxiv [Preprint]. 2020 Sep 1:2020.08.31.274639. doi: 10.1101/2020.08.31.274639. PMID: 32908976; PMCID: PMC7480023.

In vivo study

1. Li T, Martin E, Abada YS, Boucher C, Cès A, Youssef I, Fenaux G, Forand Y, Legrand A, Nachiket N, Dhenain M, Hermine O, Dubreuil P, Delarasse C, Delatour B. Effects of Chronic Masitinib Treatment in APPswe/PSEN1dE9 Transgenic Mice Modeling Alzheimer's Disease. J Alzheimers Dis. 2020;76(4):1339-1345. doi: 10.3233/JAD-200466. PMID: 32623401.

7. Bioactivity
Biological target: Masitinib (AB1010) is an inhibitor of c-Kit with an IC50 of 200 nM for human recombinant c-Kit.

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In vitro activity

Masitinib, a drug originally developed as a tyrosine-kinase inhibitor for cancer treatment, strongly inhibited the activity of the SARS-CoV-2 main protease 3CLpro. X-ray crystallography revealed that masitinib directly binds to the active site of 3CLpro, thereby blocking its enzymatic activity. Mastinib also inhibited the related viral protease of picornaviruses and blocked picornaviruses replication. These results show that masitinib has broad anti-viral activity against two distinct beta-coronaviruses and multiple picornaviruses that cause human disease and is a strong candidate for clinical trials to treat SARS-CoV-2 infection.

Reference: bioRxiv. 2020 Sep 1:2020.08.31.274639. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7480023/

In vivo activity

Chronic oral treatment of APPswe/PSEN1dE9 transgenic mice modeling Alzheimer's disease with masitinib restored normal spatial learning performance while having no impacts on amyloid-β loads nor on neuroinflammation. However, masitinib promoted a recovery of synaptic markers. Complete genetic depletion of mast cells in APPswe/PSEN1dE9 mice similarly rescued synaptic impairments. These results underline that masitinib therapeutic efficacy might primarily be associated with a synapto-protective action in relation with mast cells inhibition.

Reference: J Alzheimers Dis. 2020;76(4):1339-1345. https://content.iospress.com/articles/journal-of-alzheimers-disease/jad200466

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.