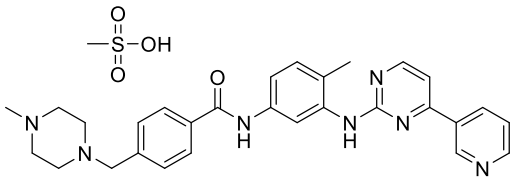
Product data sheet

MedKoo Cat#: 100470 Name: Imatinib mesylate CAS#: 220127-57-1 (mesylate) Chemical Formula: $C_{30}H_{35}N_7O_4S$ Molecular Weight: 589.71	
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:

Imatinib mesylate is the mesylate salt of imatinib, a tyrosine kinase inhibitor with antineoplastic activity. Imatinib binds to an intracellular pocket located within tyrosine kinases (TK), thereby inhibiting ATP binding and preventing phosphorylation and the subsequent activation of growth receptors and their downstream signal transduction pathways. This agent inhibits TK encoded by the bcr-abl oncogene as well as receptor TKs encoded by the c-kit and platelet-derived growth factor receptor (PDGFR) oncogenes. Imatinib is used for chronic myelogenous leukemia (CML) and acute lymphocytic leukemia (ALL) that are Philadelphia chromosome-positive (Ph+) and certain types of gastrointestinal stromal tumors (GIST), systemic mastocytosis, and myelodysplastic syndrome.

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	59.99	101.73
DMF	10.0	16.96
Ethanol	0.2	0.34
PBS (pH 7.2)	2.0	3.39
Water	75.66	128.30

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.70 mL	8.48 mL	16.96 mL
5 mM	0.34 mL	1.70 mL	3.39 mL
10 mM	0.17 mL	0.85 mL	1.70 mL
50 mM	0.03 mL	0.17 mL	0.34 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. Moslehi M, Namdar F, Esmaeilifallah M, Hejazi SH, Sokhanvari F, Siadat AH, Hosseini SM, Iraji F. Evaluation of Different Concentrations of Imatinib on the Viability of Leishmania major: An In Vitro Study. Adv Biomed Res. 2019 Oct 31;8:61. doi: 10.4103/abr.abr_58_19. PMID: 31737578; PMCID: PMC6839269.
2. Yao Z, Zhang J, Zhang B, Liang G, Chen X, Yao F, Xu X, Wu H, He Q, Ding L, Yang B. Imatinib prevents lung cancer metastasis by inhibiting M2-like polarization of macrophages. Pharmacol Res. 2018 Jul;133:121-131. doi: 10.1016/j.phrs.2018.05.002. Epub 2018 May 3. PMID: 29730267.

In vivo study

1. Tanaka A, Nishikawa H, Noguchi S, Sugiyama D, Morikawa H, Takeuchi Y, Ha D, Shigeta N, Kitawaki T, Maeda Y, Saito T, Shinohara Y, Kameoka Y, Iwaisako K, Monma F, Ohishi K, Karbach J, Jäger E, Sawada K, Katayama N, Takahashi N, Sakaguchi S.

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Tyrosine kinase inhibitor imatinib augments tumor immunity by depleting effector regulatory T cells. J Exp Med. 2020 Feb 3;217(2):e20191009. doi: 10.1084/jem.20191009. PMID: 31704808; PMCID: PMC7041710.
2. AlAsfoor S, Rohm TV, Bosch AJT, Dervos T, Calabrese D, Matter MS, Weber A, Cavelti-Weder C. Imatinib reduces non-alcoholic fatty liver disease in obese mice by targeting inflammatory and lipogenic pathways in macrophages and liver. Sci Rep. 2018 Oct 17;8(1):15331. doi: 10.1038/s41598-018-32853-w. PMID: 30333571; PMCID: PMC6193017.

7. Bioactivity

Biological target:

Imatinib Mesylate (STI571 Mesylate) is a tyrosine kinases inhibitor that inhibits c-Kit, Bcr-Abl, and PDGFR (IC50=100 nM) tyrosine kinases.

In vitro activity

Furthermore, results showed that imatinib with the dose of 100 µg had the same effect as 25 µg amphotericin B on the viability of L. major promastigotes. In addition, imatinib with the dose of 100 µg had almost the same effect as 25 µg amphotericin B on the viability of L. major amastigotes [Table 1]. Three-way repeated ANOVA measurements showed that both two cyclic forms of parasites ($P < 0.001$), both different doses of imatinib ($P < 0.001$), and duration of exposure to imatinib ($P < 0.001$) were effective on survival percentage of parasite stages. As seen in Table 1, the average survival of amastigotes is significantly higher than promastigotes. Increasing the concentration of imatinib, the percentage of survival has declined, as well as with increasing exposure time, the parasite survival rate has decreased. As a result, it can be stated that the percentage of viability of promastigotes and amastigotes produced reverse ratio with the exposure time and drug dosage. For more investigation, the estimated marginal means for different groups and treatment type were presented in Table 2.

Reference: Adv Biomed Res. 2019; 8: 61. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6839269/

In vivo activity

To translate findings in vivo, this study performed a time-resolved study assessing the effects of imatinib on macrophages and metabolic disease manifestations in HFD-induced obese mice: Reduction of TNFα in peritoneal and liver macrophages occurred most rapidly upon imatinib. Activated peritoneal macrophages are known to have both enhanced glycolysis and mitochondrial oxidation. Metabolic flux as another measure for macrophage activation confirmed altered polarization by lower metabolic oxidation upon imatinib. In the liver, this study was able to localize TNFα in liver macrophages, which decreased over time as shown by lower F4/80 area fraction and CD68 gene expression. Thus, it is conceivable that down-regulation of TNFα by imatinib interrupts the vicious cycle of resident liver macrophage activation and/or bone marrow-derived macrophage recruitment to the liver, subsequently lowering their activation and/or number.

Reference: Sci Rep. 2018; 8: 15331. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6193017/

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.