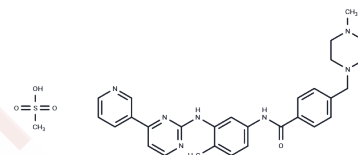


## Imatinib Mesylate

## Chemical Properties

CAS No. :	220127-57-1
Formula:	C <sub>29</sub> H <sub>31</sub> N <sub>7</sub> O·CH <sub>4</sub> SO <sub>3</sub>
Molecular Weight:	589.71
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Imatinib Mesylate (STI-571) is a tyrosine kinase receptor inhibitor with antineoplastic activity (IC50s: 0.6 μM, 0.1 μM and 0.1 μM for v-Abl, c-Kit and PDGFR, respectively).
Targets(IC50)	Bcr-Abl, Autophagy, c-Kit, PDGFR
In vitro	Inhibition of Steel factor (SLF)-induced c-kit autophosphorylation by STI 571 was dose-dependent, with complete inhibition observed at both 10 and 1.0 μmol/L. Inhibition was also apparent at a dose of 0.5 μmol/L, although limited c-kit autophosphorylation still occurred. Complete inhibition of MAP kinase activation occurred at 10- and 1.0-μmol/L concentrations of STI 571. Partial inhibition was observed at a dose of 0.1 μmol/L, and no inhibition occurred at a dose of 0.01 μmol/L. Total MAP kinase expression was not altered by treatment with STI 571 [1]. Exposure of cells to 1 μM STI571 for 24 hours before lysis resulted in a reduction of cellular tyrosine phosphorylation in general and of TEL/ARG specifically [2]. Imatinib had a more similar effect on Bcr/Abl- and c-Kit-dependent proliferation, with an IC50 of 19 nM in R10(-) cells and 82 nM in MO7e cells growing in the presence of SCF (KL, Kit ligand), respectively [3].
In vivo	The treatment of imatinib significantly reduced the incidence of adenocarcinomas (47.1% vs. 76.9% of untreated TRAMP mice) but had no effect against NE tumors, which instead significantly increased in frequency (23.5% vs. 15.4% of untreated TRAMP mice) [4]. In the imatinib group, lung function was improved with a lower W/D ratio. Perivascular edema and neutrophil infiltration were ameliorated. The imatinib group demonstrated maintained expression of VEC, inhibition of pCrkL, and a significantly higher level of interleukin (IL)-10 [5].
Cell Research	Cells were added to 96-well plates at a density of 20,000 cells/well for HMC-1 and 50,000 cells/well for M-07e. Experiments with M-07e were performed with the use of GM-CSF or SLF as a growth factor supplement. Experiments using HMC-1 were performed without growth factor supplementation. Proliferation at 48 hours was measured with an XTT-based assay [1].
Animal Research	Heterozygous experimental TRAMP mice were obtained by breeding wild-type C57BL/6 male mice and heterozygous female TRAMP mice. MC-deficient C57BL/6-KitW-sh/W-sh mice were intercrossed over 12 generations with TRAMP mice to obtain MC-deficient KitWsh-TRAMP mice. Cromolyn (10 mg/kg dissolved in saline; Sigma Aldrich) or imatinib (50 mg/kg dissolved in saline) were administered intraperitoneally in TRAMP mice for 5 days/week. Treatments started at 8 or 16 weeks, as indicated in text and figures, and

## A DRUG SCREENING EXPERT

Animal Research	continued for the duration of the experiment. Mice were sacrificed at 25 weeks and their urogenital apparatus collected for IHC [4].
-----------------	--------------------------------------------------------------------------------------------------------------------------------------

### Solubility Information

Solubility	H2O: 59 mg/mL (100.05 mM),Sonication is recommended. DMSO: 237.5 mg/mL (402.74 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (8.48 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6957 mL	8.4787 mL	16.9575 mL
5 mM	0.3391 mL	1.6957 mL	3.3915 mL
10 mM	0.1696 mL	0.8479 mL	1.6957 mL
50 mM	0.0339 mL	0.1696 mL	0.3391 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

- Gobin B, et al. Imatinib mesylate exerts anti-proliferative effects on osteosarcoma cells and inhibits the tumour growth in immunocompetent murine models. PLoS One. 2014 Mar 5;9(3):e90795.
- Hu X, Ye K, Bo S, et al. Monitoring imatinib decreasing pericyte coverage and HIF-1 $\alpha$  level in a colorectal cancer model by an ultrahigh-field multiparametric MRI approach. Journal of Translational Medicine. 2024, 22(1): 712.
- Zhao Q, Xing F, Tao Y, et al. Xiaozhang Tie Improves Intestinal Motility in Rats With Cirrhotic Ascites by Regulating the Stem Cell Factor/c-kit Pathway in Interstitial Cells of Cajal. Frontiers in Pharmacology. 2020, 11.
- Kim JL, et al. Imatinib-induced apoptosis of gastric cancer cells is mediated by endoplasmic reticulum stress. Oncol Rep. 2019 Mar;41(3):1616-1626.
- Azizi G, et al. Effects of imatinib mesylate in mouse models of multiple sclerosis and in vitro determinants. Iran J Allergy Asthma Immunol. 2014 Jun;13(3):198-206.
- Jachetti E, et al. Imatinib Spares cKit-Expressing Prostate Neuroendocrine Tumors, whereas Kills Seminal Vesicle Epithelial-Stromal Tumors by Targeting PDGFR- $\beta$ . Mol Cancer Ther. 2017 Feb;16(2):365-375.
- Tanaka S, et al. Protective Effects of Imatinib on Ischemia/Reperfusion Injury in Rat Lung. Ann Thorac Surg. 2016 Nov;102(5):1717-1724.
- Maj E, et al. Vitamin D Analogs Potentiate the Antitumor Effect of Imatinib Mesylate in a Human A549 Lung Tumor Model. Int J Mol Sci. 2015 Nov 13;16(11):27191-207.
- Zhao Q, Xing F, Tao Y, et al. Xiaozhang Tie Improves Intestinal Motility in Rats With Cirrhotic Ascites by Regulating the Stem Cell Factor/c-kit Pathway in Interstitial Cells of Cajal[J]. Frontiers in Pharmacology. 2020, 11.

**Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins**

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E\_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481