Small Molecules

Imatinib

Tyrosine kinase inhibitor; Inhibits ABL, PDGFR, and KIT

Catalog #	72532	25 mg
	72534	100 mg

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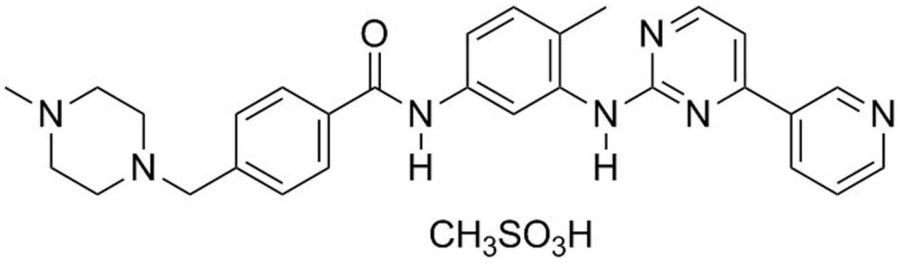
Product Description

Imatinib is a first generation tyrosine kinase inhibitor that is used in the treatment of chronic myelogenous leukemia (CML), gastrointestinal stromal tumors, and other cancers. It selectively targets certain tyrosine kinases, including ABL, platelet-derived growth factor receptor (PDGFR), and KIT (Druker 2008; Müller). This product is supplied as the mesylate salt of the molecule.

Molecular Name:	Imatinib (Mesylate)
Alternative Names:	CGP57148B; Gleevec; Glivec; STI-571
CAS Number:	220127-57-1
Chemical Formula:	$C_{29}H_{31}N_7O \cdot CH_4SO_3$
Molecular Weight:	589.7 g/mol
Purity:	≥ 98%
Chemical Name:	methanesulfonic acid;4-[(4-methylpiperazin-1-yl)methyl]-N-[4-methyl-3-[(4-pyridin-3-ylpyrimidin-2-yl)amino]phenyl]benzamide
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· PBS (pH 7.2) ≤ 3.3 mM · DMSO ≤ 20 mM · Absolute ethanol ≤ 330 µM For example, to prepare a 10 mM stock solution in DMSO, resuspend 25 mg in 4.24 mL of fresh DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

DIFFERENTIATION
· Inhibits proliferation of primary cultured human mesenchymal stem cells, and promotes adipogenic over osteogenic differentiation (Fierro et al.).
· Induces osteoblast differentiation in cultured osteoblastic cells, and reduces osteoclastogenesis in murine bone marrow cultures (O'Sullivan et al.).
CANCER RESEARCH
· In CML, Imatinib inhibits the oncoprotein BCR-ABL, the product of the Philadelphia chromosome gene fusion (Carroll et al.; Druker et al., 1996).
· Inhibits autonomous erythropoiesis in peripheral blood mononuclear cells isolated from patients with polycythemia vera (Oehler et al.).

References

Carroll M et al. (1997) CGP 57148, a tyrosine kinase inhibitor, inhibits the growth of cells expressing BCR-ABL, TEL-ABL, and TEL-PDGFR fusion proteins. Blood 90(12): 4947–52.
Druker BJ et al. (1996) Effects of a selective inhibitor of the Abl tyrosine kinase on the growth of Bcr–Abl positive cells. Nat Med 2(5): 561–566.
Druker BJ. (2008) Translation of the Philadelphia chromosome into therapy for CML. Blood 112(13): 4808–17.
Fierro F et al. (2007) Inhibition of platelet-derived growth factor receptorbeta by imatinib mesylate suppresses proliferation and alters differentiation of human mesenchymal stem cells in vitro. Cell Prolif 40(3): 355–66.
Müller BA. (2009) Imatinib and its successors--how modern chemistry has changed drug development. Curr Pharm Des 15(2): 120–33.
O'Sullivan S et al. (2007) Imatinib promotes osteoblast differentiation by inhibiting PDGFR signaling and inhibits osteoclastogenesis by both direct and stromal cell-dependent mechanisms. J Bone Miner Res 22(11): 1679–89.
Oehler L et al. (2003) Imatinib mesylate inhibits autonomous erythropoiesis in patients with polycythemia vera in vitro. Blood 102(6): 2240–42.

Related Small Molecules

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).