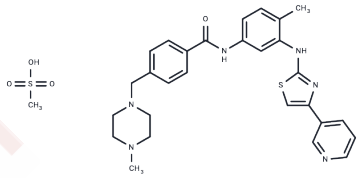
Data Sheet (Cat.No.T8544)

TargetMol

Masitinib mesylate

Chemical Properties



CAS No. : 1048007-93-7

Formula: C29H34N6O4S2

Molecular Weight: 594.75

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	Masitinib mesylate (AB-1010 mesylate) is a selective, orally bioavailable c-Kit inhibitor with an IC50 of 200 nM for human recombinant c-Kit, and IC50 values of 540/800 nM and 510 nM for PDGFRα/β and LynB, respectively.
Targets(IC50)	Apoptosis,FAK,FGFR,c-Kit,PDGFR,Src
In vitro	In vitro, masitinib had greater activity and selectivity against KIT than imatinib, inhibiting recombinant human wild-type KIT with an half inhibitory concentration (IC50) of 200±40 nM and blocking stem cell factor-induced proliferation and KIT tyrosine phosphorylation with an IC50?of 150±80 nM in Ba/F3 cells expressing human or mouse wild-type KIT. Masitinib also potently inhibited recombinant PDGFR and the intracellular kinase Lyn, and to a lesser extent, fibroblast growth factor receptor 3. In contrast, masitinib demonstrated weak inhibition of ABL and c-Fms and was inactive against a variety of other tyrosine and serine/threonine kinases. This highly selective nature of masitinib suggests that it will exhibit a better safety profile than other tyrosine kinase inhibitors; indeed, masitinib-induced cardiotoxicity or genotoxicity has not been observed in animal studies. Molecular modelling and kinetic analysis suggest a different mode of binding than imatinib, and masitinib more strongly inhibited degranulation, cytokine production, and bone marrow mast cell migration than imatinib. Furthermore, masitinib potently inhibited human and murine KIT with activating mutations in the juxtamembrane domain.?In vivo, masitinib blocked tumour growth in mice with subcutaneous grafts of Ba/F3 cells expressing a juxtamembrane KIT mutant[1].
In vivo	Masitinib (12.5 mg/kg/d, p.o.) increases overall TTP (time-to-tumor progression) compared with placebo in dogs[2]

Solubility Information

Solubility	DMSO: 250 mg/mL (420.34 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6814 mL	8.4069 mL	16.8138 mL
5 mM	0.3363 mL	1.6814 mL	3.3628 mL
10 mM	0.1681 mL	0.8407 mL	1.6814 mL
50 mM	0.0336 mL	0.1681 mL	0.3363 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

Dubreuil P, et al. Masitinib (AB1010), a Potent and Selective Tyrosine Kinase Inhibitor Targeting KIT. PLoS One, 2009, 4(9), e7258.
Hahn KA, et al. Masitinib is safe and effective for the treatment of canine mast cell tumors. J Vet Intern Med, 2008, 22(6), 1301-1309.
Marech I, et al. Masitinib (AB1010), from canine tumor model to human clinical development: where we are? Crit Rev Oncol Hematol. 2014 Jul;91(1):98-111.