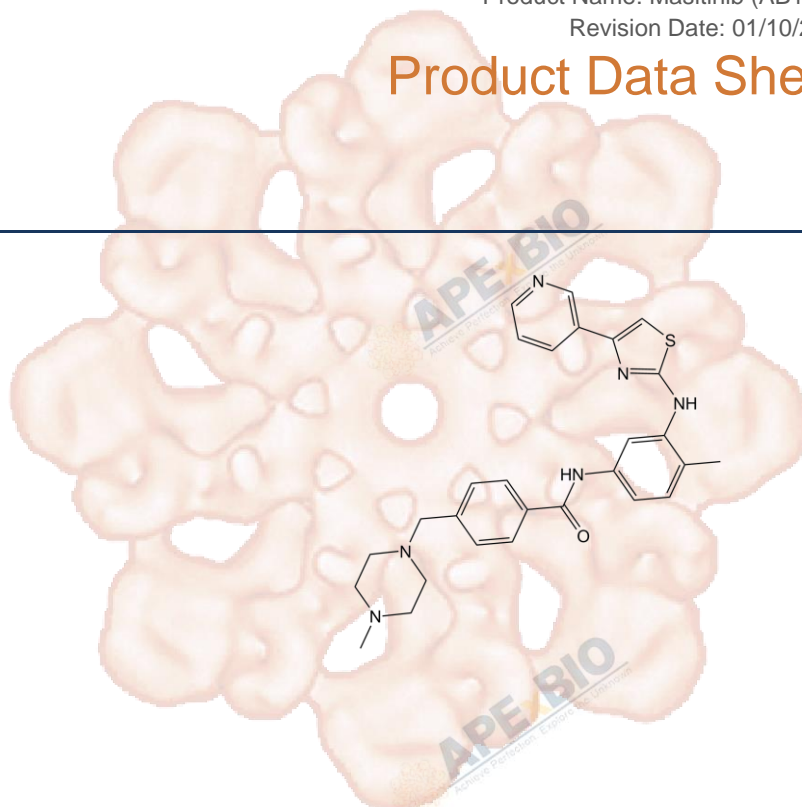


Product Data Sheet

Masitinib (AB1010)

Cat. No.:	A2942
CAS No.:	790299-79-5
Formula:	C ₂₈ H ₃₀ N ₆ O ₅
M.Wt:	498.64
Synonyms:	
Target:	Tyrosine Kinase
Pathway:	c-Kit
Storage:	Store at -20°C



Solvent & Solubility

≥24.95 mg/mL in DMSO; insoluble in H₂O; insoluble in EtOH

In Vitro

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	1 mM		2.0055 mL	10.0273 mL	20.0545 mL
	5 mM		0.4011 mL	2.0055 mL	4.0109 mL
	10 mM		0.2005 mL	1.0027 mL	2.0055 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

Tyrosine kinase inhibitor, potent and selective

IC₅₀ & Target

200 nM (KIT), 540 nM (PDGFR α), 800 nM (PDGFR β)

In Vitro

Cell Viability Assay

Cell Line:	Ba/F3 cells, HMC-1 α 155 and FMA3 cells, bone marrow cells
Preparation method:	The solubility of this compound in DMSO is > 25 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	37°C

	Applications:	Masitinib inhibited human mast cell degranulation, cytokine production and migration of bone marrow cells. In Ba/F3 cells, masitinib dose-dependently inhibited cell proliferation induced by the V559D mutant, commonly associated with GIST (exon 11), with an IC50 of 3.0 ± 0.1 nM. Masitinib dose-dependently inhibited $\Delta 27$ KIT-dependent proliferation of Ba/F3 cells with an IC50 of 5.0 ± 0.3 nM. In HMC-1 α 155 and FMA3 cells, which carry KIT with mutations in the juxtamembrane domain, the IC50 values were approximately 10 ± 1 nM and 30 ± 1.5 nM, respectively. Masitinib inhibited SCF-stimulated cell proliferation and tyrosine phosphorylation of KIT with an IC50 of 200 ± 50 nM. Masitinib inhibited cell growth and PDGFR phosphorylation in primary and metastatic ISS cell line.
In Vivo	Animal experiment	
	Animal models:	Ba/F3 $\Delta 27$ tumour model
	Dosage form:	Oral administration, 30, or 45 mg/kg, twice daily for 10 days
	Applications:	Mice treated with masitinib showed a dose-dependent inhibition of tumour growth. Masitinib at 30 or 45 mg/kg significantly reduced tumour growth following 11 days of treatment compared to placebo, with average tumour volume increases of 355% and 154%, respectively in the masitinib-treated mice. Orally administered masitinib at 100 mg/kg on mice having large $\Delta 27$ KIT-expressing tumours. Masitinib (12.5 mg/kg/d, p.o.) increased overall TTP (time-to-tumor progression) compared with placebo in dogs.
Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.	

Product Citations

See more customer validations on www.apexbt.com.

References

- [1]. Dubreuil P, Letard S, Ciufolini M, et al. Masitinib (AB1010), a potent and selective tyrosine kinase inhibitor targeting KIT[J]. PloS one, 2009, 4(9): e7258.
- [2]. Lawrence J, Saba C, Gogal R, et al. Masitinib demonstrates anti - proliferative and pro - apoptotic activity in primary and metastatic feline injection - site sarcoma cells[J]. Veterinary and comparative oncology, 2012, 10(2): 143-154.
- [3]. Hahn K A, Oglivie G, Rusk T, et al. Masitinib is safe and effective for the treatment of canine mast cell tumors[J]. Journal of Veterinary Internal Medicine, 2008, 22(6): 1301-1309.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX[®]BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APEX[®]BIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com

