

PRODUCT INFORMATION



Masitinib

Item No. 13105

CAS Registry No.: 790299-79-5

Formal Name: 4-[(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-thiazolyl]amino]phenyl]-benzamide

Synonyms: AB-1010, Masican, Masiviera

MF: C₂₈H₃₀N₆OS

FW: 498.6

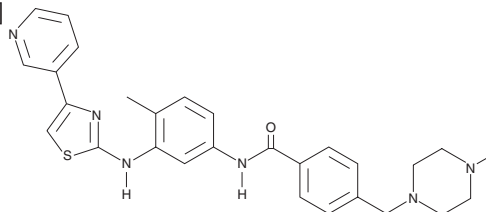
Purity: ≥98%

UV/Vis.: λ_{max}: 236, 282 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Masitinib is supplied as a crystalline solid. A stock solution may be made by dissolving the masitinib in the solvent of choice, which should be purged with an inert gas. Masitinib is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of masitinib in these solvents is approximately 10 and 3 mg/ml, respectively.

Description

Masitinib is an inhibitor of the receptor tyrosine kinase c-Kit (IC₅₀ = 200 nM for the recombinant human enzyme).¹ It is also an inhibitor of PDGFR α , PDGFR β , and Lyn B (IC₅₀s = 540, 800, and 510 nM, respectively). Masitinib inhibits stem cell factor-induced proliferation of Ba/F3 cells expressing wild-type KIT (IC₅₀ = 150 nM), as well as those expressing the KIT mutants KIT^{V559D} and KIT Δ 27 (IC₅₀s = 3 and 5 nM, respectively). It reduces tumor growth in a KIT Δ 27-expressing Ba/F3 murine model of cancer. Masitinib is also an inhibitor of the severe acute respiratory coronavirus 2 (SARS-CoV-2) main protease (M^{Pro}; K_i = 2.6 μ M), also known as 3C-like protease (3CL^{Pro}), and inhibits replication of SARS-CoV-2 in infected A549 cells (EC₅₀ = 3.2 μ M).² It decreases lung and nose viral titers, as well as reduces lung inflammation and increases survival, in ACE2-humanized mice infected with SARS-CoV-2 when administered at a dose of 25 mg/kg.

References

1. Dubreuil, P., Letard, S., Ciufolini, M., *et al.* Masitinib (AB1010), a potent and selective tyrosine kinase inhibitor targeting KIT. *PLoS One* **4**(9), e7258 (2009).
2. Drayman, N., DeMarco, J.K., Jones, K.A., *et al.* Masitinib is a broad coronavirus 3CL inhibitor that blocks replication of SARS-CoV-2. *Science* **373**(6557), 931-936 (2021).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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