

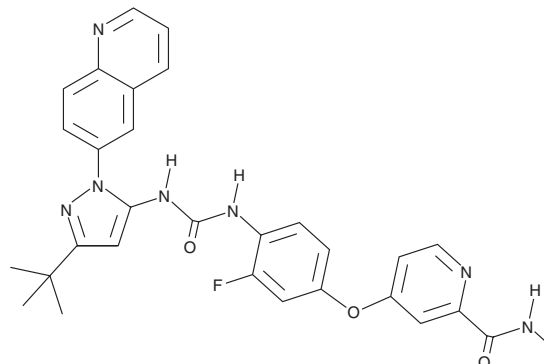
PRODUCT INFORMATION



Rebastinib

Item No. 21465

CAS Registry No.: 1020172-07-9
Formal Name: 4-[4-[[[3-(1,1-dimethylethyl)-1-(6-quinolinyl)-1H-pyrazol-5-yl]amino]carbonyl]amino]-3-fluorophenoxy]-N-methyl-2-pyridinecarboxamide
Synonym: DCC-2036
MF: C₃₀H₂₈FN₇O₃
FW: 553.6
Purity: ≥98%
UV/Vis.: λ_{max}: 251 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

Rebastinib is supplied as a crystalline solid. A stock solution may be made by dissolving the rebastinib in the solvent of choice, which should be purged with an inert gas. Rebastinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of rebastinib in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Rebastinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, rebastinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Rebastinib has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Rebastinib is an orally bioavailable tyrosine kinase inhibitor that inhibits Abl1 (IC₅₀ = 0.8 nM) as well as the gatekeeper mutant Abl1^{T315I} (IC₅₀ = 4 nM) and the activation loop mutant Abl1^{H396P}.¹ It also inhibits the Src family kinases Src, Lyn, Fgr, and Hck and the tyrosine kinases KDR, FLT3, and Tie2 at nanomolar concentrations. Rebastinib inhibits mutant Abl1^{T315I} signaling and prolongs survival in a mouse Ba/F3 cell allograft model.^{1,2} Rebastinib also exhibits *in vivo* antineoplastic activity against cells with the T674I point mutation of FIP1-like-1-platelet-derived growth factor receptor α.³

References

1. Chan, W.W., Wise, S.C., Kaufman, M.D., *et al.* Conformational control inhibition of the BCR-ABL1 tyrosine kinase, including the gatekeeper T315I mutant, by the switch-control inhibitor rebastinib. *Cancer Cell* **19**(4), 556-568 (2011).
2. Eide, C.A., Adrian, L.T., Tyner, J.W., *et al.* The ABL switch control inhibitor rebastinib is active against the chronic myeloid leukemia mutant BCR-ABL^{T315I} and exhibits a narrow resistance profile. *Cancer Res* **71**(9), 3189-3195 (2011).
3. Shen, Y., Shi, X., and Pan, J. The conformational control inhibitor of tyrosine kinases rebastinib is effective for imatinib-resistant cells expressing T674I FIP1L1-PDGFRα. *PLoS One* **8**(8), e73059 (2013).

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.

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