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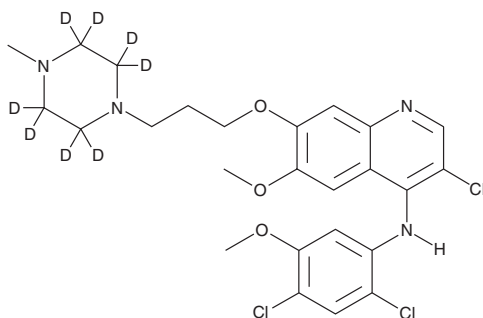
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PRODUCT INFORMATION



Bosutinib-d₈ Item No. 25460

Formal Name: 4-((2,4-dichloro-5-methoxyphenyl)amino)-6-methoxy-7-(3-(4-methylpiperazin-1-yl)-2,2,3,3,5,5,6,6-d₈)propoxyquinoline-3-carbonitrile
MF: C₂₆H₂₁Cl₂D₈N₅O₃
FW: 538.5
Chemical Purity: ≥98% (Bosutinib)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₈); ≤1% d₀
Supplied as: A solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Bosutinib-d₈ is intended for use as an internal standard for the quantification of bosutinib (Item No. 12030) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Bosutinib-d₈ is supplied as a solid. A stock solution may be made by dissolving the bosutinib-d₈ in the solvent of choice, which should be purged with an inert gas. Bosutinib-d₈ is slightly soluble in organic solvents such as methanol and DMSO.

Description

Bosutinib is an inhibitor of c-Src and Abl kinases (IC₅₀s = 1.2 and 1 nM, respectively).^{1,2} It also inhibits the kinases EPHB2, TrkA, TrkB, and TXK (IC₅₀s = 8.5, 22, 27, and 40 nM, respectively) among others.³ Bosutinib inhibits Src-dependent cell proliferation (IC₅₀ = 100 nM) and reverses Src-transformed fibroblasts to a non-transformed morphology when used at a concentration of 1 μM.¹ It reduces tumor growth in unstaged and staged Src-transformed fibroblast mouse xenograft models when administered at doses of 30 or 25 mg/kg, respectively, twice per day. Bosutinib (100 mg/kg) also induces complete tumor regression in a K562 mouse xenograft model when administered once per day for five days.²

References

1. Boschelli, D.H., Ye, F., Wang, Y.D., *et al.* Optimization of 4-phenylamino-3-quinolinecarbonitriles as potent inhibitors of Src kinase activity. *J. Med. Chem.* **44**(23), 3965-3977 (2001).
2. Golas, J.M., Arndt, K., Etienne, C., *et al.* SKI-606, a 4-anilino-3-quinolinecarbonitrile dual inhibitor of Src and Abl kinases, is a potent antiproliferative agent against chronic myelogenous leukemia cells in culture and causes regression of K562 xenografts in nude mice. *CancerRes.* **63**(2), 375-381 (2003).
3. Remsing Rix, L.L., Rix, U., Colinge, J., *et al.* Global target profile of the kinase inhibitor bosutinib in primary chronic myeloid leukemia cells. *Leukemia* **23**(3), 477-485 (2009).

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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