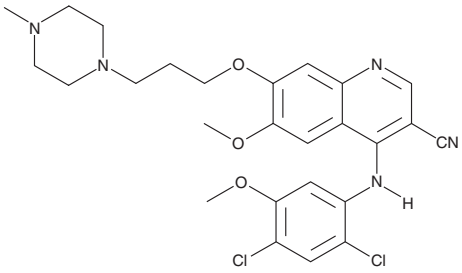
PRODUCT INFORMATION

Bosutinib

Item No. 12030

CAS Registry No.:	380843-75-4
Formal Name:	4-[(2,4-dichloro-5-methoxyphenyl)amino]-6-methoxy-7-[3-(4-methyl-1-piperazinyl) propoxy]-3-quinolinecarbonitrile
Synonym:	SKI 606
MF:	$C_{26}H_{29}Cl_2N_5O_3$
FW:	530.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 269, 344 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

Bosutinib is supplied as a crystalline solid. A stock solution may be made by dissolving the bosutinib in the solvent of choice, which should be purged with an inert gas. Bosutinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of bosutinib in these solvents is approximately 20 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of bosutinib can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of bosutinib in PBS (pH 7.2) is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Bosutinib is an inhibitor of c-Src and Abl kinases (IC_{50}s = 1.2 and 1 nM, respectively).[1,2] It also inhibits the kinases EPHB2, TrkA, TrkB, and TXK (IC_{50}s = 8.5, 22, 27, and 40 nM, respectively) among others.[3] Bosutinib inhibits Src-dependent cell proliferation (IC_{50} = 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. *Cancer Res.* **63(2)**, 375-381 (2003).
3. Remsing Rix, L.L., Rix, U., Colinge, J., *et al.* Global target profile of the kinase inhbitor bosutinib in primary chronic myeloid leukemia cells. *Leukemia* **23(3)**, 477-485 (2009).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM