

## Certificate of Analysis

**Product Name:** Bosutinib

**Catalog No.:** 4361

**Batch No.:** 1

CAS Number: 380843-75-4

IUPAC Name: 4-[(2,4-Dichloro-5-methoxyphenyl)amino]-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]-3-quinolinecarbonitrile

### 1. PHYSICAL AND CHEMICAL PROPERTIES

**Batch Molecular Formula:**  $C_{26}H_{29}Cl_2N_5O_3 \cdot \frac{1}{2}H_2O$

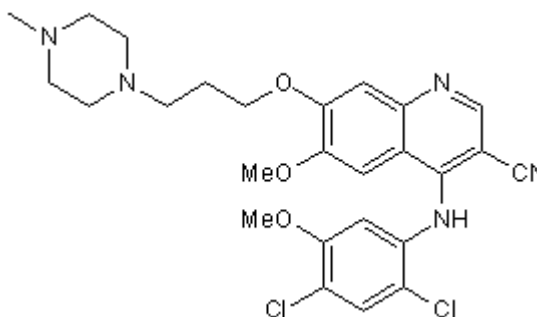
**Batch Molecular Weight:** 539.46

**Physical Appearance:** Pale yellow solid

**Solubility:** DMSO to 100 mM  
ethanol to 25 mM

**Storage:** Store at +4°C

**Batch Molecular Structure:**



### 2. ANALYTICAL DATA

**HPLC:** Shows 99.8% purity

**<sup>1</sup>H NMR:** Consistent with structure

**Mass Spectrum:** Consistent with structure

**Microanalysis:**

	Carbon	Hydrogen	Nitrogen
Theoretical	57.89	5.61	12.98
Found	57.72	5.81	12.95

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**Description:**

Dual inhibitor of Abl and Src kinases (IC<sub>50</sub> = 1.2 nM for Src in an enzymatic assay). Displays antiproliferative activity against chronic myelogenous leukemia (CML) cells and decreases the motility and invasion of breast cancer cell lines. Also exhibits potent antiproliferative activity in anchorage-independent, Src-transformed rat fibroblasts (IC<sub>50</sub> = 100 nM). Displays selectivity for Src over non-Src family kinases such as growth factor receptor tyrosine kinases.

**Physical and Chemical Properties:**

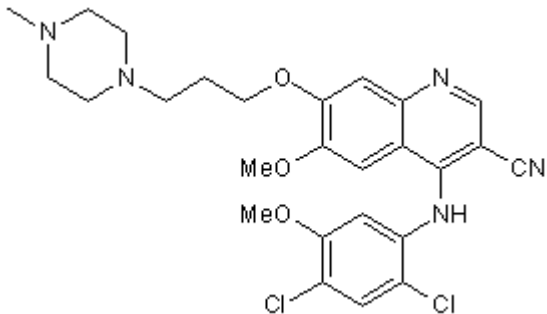
Batch Molecular Formula: C<sub>26</sub>H<sub>29</sub>Cl<sub>2</sub>N<sub>5</sub>O<sub>3</sub>·½H<sub>2</sub>O

Batch Molecular Weight: 539.46

Physical Appearance: Pale yellow solid

**Minimum Purity:** >99%

**Batch Molecular Structure:**



**References:**

**Boschelli et al** (2001) Optimization of 4-phenylamino-3-quinolinecarbonitriles as potent inhibitors of Src kinase activity. *J.Med.Chem.* **44** 3965. PMID: 11689083.

**Golas et al** (2003) 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** 375. PMID: 12543790.

**Golas et al** (2005) SKI-606, a Src/Abl inhibitor with *in vivo* activity in colon tumor xenograft models. *Cancer Res.* **65** 5358. PMID: 15958584.

**Vultur et al** (2008) SKI-606 (bosutinib), a novel Src kinase inhibitor, suppresses migration and invasion of human breast cancer cells. *Mol.Cancer.Ther.* **7** 1185. PMID: 18483306.

Congratulations on your purchase of Bosutinib, sold under license from Pfizer, Inc. If your research with Bosutinib results in a new discovery (e.g., new uses, new combinations, etc.) Pfizer is interested in discussing these discoveries with you. Also note that Pfizer has a Compound Transfer Program that provides a free sample of any Pfizer product at [www.pfizer.com/research/rd\\_works/compound\\_transfer\\_program.jsp](http://www.pfizer.com/research/rd_works/compound_transfer_program.jsp)

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