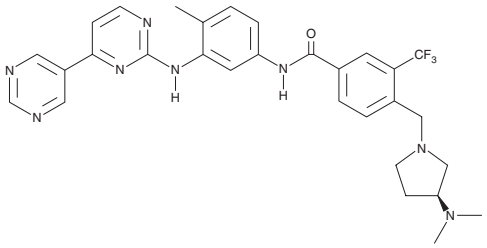
PRODUCT INFORMATION

Bafetinib

Item No. 19169

CAS Registry No.:	859212-16-1
Formal Name:	N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[(3S)-3-(dimethylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-benzamide
Synonyms:	INNO-406, NS-187
MF:	$C_{30}H_{31}F_3N_8O$
FW:	576.6
Purity:	≥95%
UV/Vis.:	λ_{max}: 232 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

Bafetinib is supplied as a crystalline solid. A stock solution may be made by dissolving the bafetinib in the solvent of choice. Bafetinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of bafetinib in ethanol and DMF is approximately 25 mg/ml and approximately 15 mg/ml in DMSO.

Bafetinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, bafetinib should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Bafetinib has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Bcr-Abl, a fusion protein with deregulated tyrosine kinase activity, is highly expressed in chronic myelogenous leukemia (CML). Bafetinib is a rationally developed tyrosine kinase inhibitor based on the chemical structure of imatinib (Item No. 13139), with modifications added to improve binding and potency against Bcr-Abl kinase (IC_{50} = 5.8 nM).[1] It is 25- to 55-fold more potent than imatinib *in vitro* and ≥10-fold more potent *in vivo*.[1] Bafetinib inhibits 12 out of the 13 most frequent imatinib-resistant Bcr-Abl point mutations, but not the T315I mutation and also targets the Src family kinase Lyn (IC_{50} = 19 nM), which has been associated with resistance to imatinib in CML.[1]

Reference

1. Kimura, S., Naito, H., Segawa, H., *et al.* NS-187, a potent and selective dual Bcr-Abl/Lyn tyrosine kinase inhibitor, is a novel agent for imatinib-resistant leukemia. *Blood* **106(12)**, 3948-3954 (2005).

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