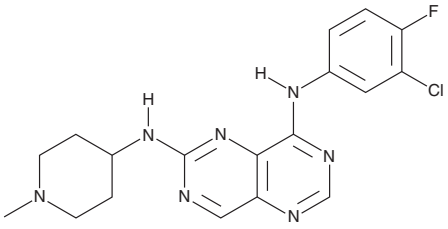
PRODUCT INFORMATION

BIBX1382

Item No. 29630

CAS Registry No.:	196612-93-8
Formal Name:	N^8-(3-chloro-4-fluorophenyl)-N^2-(1-methyl-4-piperidinyl)-pyrimido[5,4-d] pyrimidine-2,8-diamine
Synonym:	BIBX 1382 BS
MF:	$C_{18}H_{19}ClFN_7$
FW:	387.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 282, 397 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

BIBX1382 is supplied as a crystalline solid. A stock solution may be made by dissolving the BIBX1382 in the solvent of choice, which should be purged with an inert gas. BIBX1382 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of BIBX1382 in these solvents is approximately 30 mg/ml.

BIBX1382 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BIBX1382 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BIBX1382 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BIBX1382 is an EGFR inhibitor (IC_{50} = 3 nM).[1] It is selective for EGFR over HER2 (IC_{50} = 3,400 nM), as well as insulin-like growth factor 1 receptor (IGF-1R), β-insulin receptor kinase (β-InsRK), c-Met, c-Src, and VEGFR2 (IC_{50}s = >10 µM for all). BIBX1382 inhibits proliferation and colony formation in A549 cancer cells expressing mutant K-Ras, as well as FaDu cancer cells expressing wild-type K-Ras when used at a concentration of 5 µM.[2] It enhances radiation-induced cytotoxicity in mutant K-Ras-expressing A549 and MDA-MB-231 cancer cells, but not FaDu, HTB-35, or HH4dd cancer cells that express wild-type K-Ras. BIBX1382 reduces tumor growth in A431 and FaDu mouse xenograft models when administered at doses of 70 and 60 mg/kg per day, respectively.[1]

References

1. Solca, F.F., Baum, A., Langkopf, E., *et al*. Inhibition of epidermal growth factor receptor activity by two pyrimidopyrimidine derivatives. *J. Pharmacol. Exp. Ther*. **311(2)**, 502-509 (2004).
2. Toulany, M., Dittmann, K., Baumann, M., *et al*. Radiosensitization of ras-mutated human tumor cells in vitro by the specific EGF receptor antagonist BIBX1382BS. *Radiother. Oncol*. **74(2)**, 117-129 (2005).

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