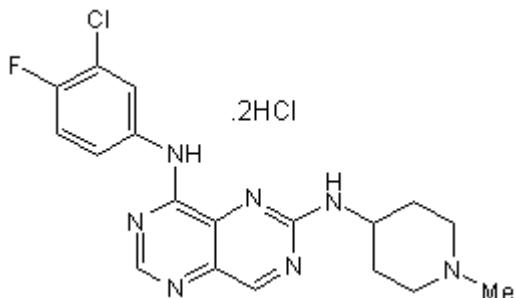


Certificate of Analysiswww.tocris.com**Product Name:** BIBX 1382 dihydrochloride**Catalog No.:** 2416**Batch No.:** 1

CAS Number: 1216920-18-1

IUPAC Name: *N*⁸-(3-Chloro-4-fluorophenyl)-*N*²-(1-methyl-4-piperidinyl)-pyrimido[5,4-*d*]pyrimidine-2,8-diamine dihydrochloride**1. PHYSICAL AND CHEMICAL PROPERTIES****Batch Molecular Formula:** C₁₈H₁₉ClFN₇.2HCl·2H₂O**Batch Molecular Weight:** 496.8**Physical Appearance:** Yellow solid**Solubility:** water to 50 mM
DMSO to 50 mM**Storage:** Desiccate at +4°C**Batch Molecular Structure:****2. ANALYTICAL DATA****TLC:** R_f = 0.35 (Dichloromethane:Methanol:Ammonia soln. [90:9:1])**HPLC:** Shows 97.2% purity**¹H NMR:** Consistent with structure**Mass Spectrum:** Consistent with structure**Microanalysis:** Carbon Hydrogen Nitrogen

Theoretical 43.52 5.07 19.74

Found 43.72 5.04 19.38

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Description:

Potent, selective inhibitor of epidermal growth factor receptor (EGFR) tyrosine kinase ($IC_{50} = 3$ nM). Displays > 1000-fold lower potency against ErbB2 ($IC_{50} = 3.4$ μ M) and a range of other related tyrosine kinases ($IC_{50} > 10$ μ M). Oral administration inhibits growth of established human xenografts in athymic mice.

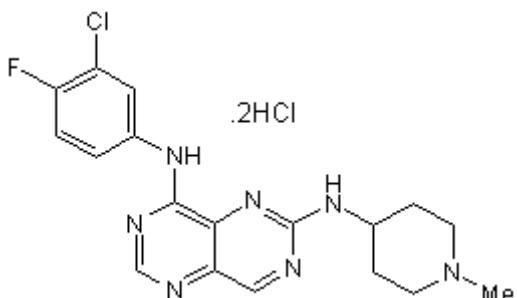
Physical and Chemical Properties:

 Batch Molecular Formula: C₁₈H₁₉ClFN₇.2HCl.2H₂O

Batch Molecular Weight: 496.8

Physical Appearance: Yellow solid

Minimum Purity: >97%

Batch Molecular Structure:

Storage: Desiccate at +4°C

Solubility & Usage Info:

 water to 50 mM
 DMSO to 50 mM

When purchased as a 1mg unit, this product is supplied as a lyophilized solid and may be very hard to visualize. Solutions should be made by adding solvent directly to the vial. The vial should then be vortexed vigorously to ensure the product has completely dissolved.

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Dittrich et al (2002) Phase I and pharmacokinetic study of BIBX1382 BS, an epidermal growth factor receptor (EGFR) inhibitor, given in a continuous daily oral administration. *Eur.J.Cancer* **38** 1072. PMID: 12008195.

Solca et al (2004) Inhibition of epidermal growth factor receptor activity by two pyrimidopyrimidine derivatives. *J.Pharmacol.Exp.Ther.* **311** 502. PMID: 15199094.

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