



Certificate of Analysis

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Product Name: PD 180970 Catalog No.: 4965 Batch No.: 1

CAS Number: 287204-45-9

IUPAC Name: 6-(2,6-Dichlorophenyl)-2-[(4-fluoro-3-methylphenyl)amino]-8-methyl-pyrido[2,3-d]pyrimidin-7(8H)-one

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{21}H_{15}CI_2FN_4O$

Batch Molecular Weight: 429.27

Physical Appearance: Yellow solid

Solubility: DMSO to 50 mM

Storage: Store at +4°C

Batch Molecular Structure:

2. ANALYTICAL DATA

HPLC: Shows 98.4% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 58.76 3.52 13.04 Found 58.67 3.61 12.85



Product Information

Print Date: Jan 14th 2016

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Description:

ATP-competitive inhibitor of p210bcr/abl tyrosine kinase; selectively induces apoptosis in chronic myeloid leukemia (CML) K562 cells. Inhibits in vivo tyrosine phosphorylation of Gab2, CrkL and p210bcr/abl (IC $_{50}$ values are 80, 80 and 170 nM respectively). Potently inhibits p210bcr/abl autophosphorylation in vitro (IC $_{50}$ = 5 nM). Also potently inhibits c-Src and KIT (IC $_{50}$ values are 0.8 and 50 nM, respectively).

Physical and Chemical Properties:

Batch Molecular Formula: C21H15Cl2FN4O

Batch Molecular Weight: 429.27 Physical Appearance: Yellow solid

Minimum Purity: >98%

Batch Molecular Structure:

Storage: Store at +4°C

Solubility & Usage Info:

DMSO to 50 mM

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:

Dorsey *et al* (2000) The pyrido[2,3-*d*]pyrimidine derivative PD180970 inhibits p210^{bcr-abl} tyrosine kinase and induces apoptosis of K562 leukemic cells. Cancer Res. *60* 3127. PMID: 10866298.

La Rosée et al (2002) Activity of the Bcr-Abl kinase inhibitor PD180970 against clinically relevant Bcr-Abl isoforms that cause resistance to imatinib mesvlate (Gleevec, STI571). Cancer Res. 62 7149. PMID: 12499247.

Corbin et al (2004) Sensitivity of oncogenic KIT mutants to the kinase inhibitors MLN518 and PD180970. Blood 104 3754. PMID: 15304388.