Print Date: Dec 16th 2011

Certificate of Analysis

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Product Name: Crizotinib **Catalog No.: 4368** **Batch No.: 1**

CAS Number: 877399-52-5

IUPAC Name: 3-[(1*R*)-1-(2,6-Dichloro-3-fluorophenyl)ethoxy]-5-[1-(4-piperidinyl)-1*H*-pyrazol-4-yl]-2-pyridinamine

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{21}H_{22}Cl_2FN_5O$

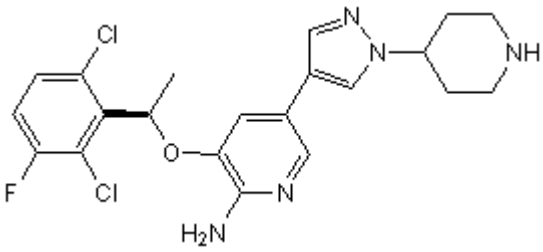
Batch Molecular Weight: 450.34

Physical Appearance: White solid

Solubility: DMSO to 20 mM
ethanol to 10 mM

Storage: Store at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.7% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	56.01	4.92	15.55
Found	56.19	4.91	15.64

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Description:

Potent inhibitor of c-MET and anaplastic lymphoma kinase (ALK) (cell IC_{50} values are 8.0 and 20 nM respectively). Displays antitumor efficacy in multiple tumor models; inhibits c-MET-dependent proliferation, migration and invasion of human tumor cells in vitro. Selective for c-MET and ALK against >120 different kinases. Orally bioavailable.

Physical and Chemical Properties:

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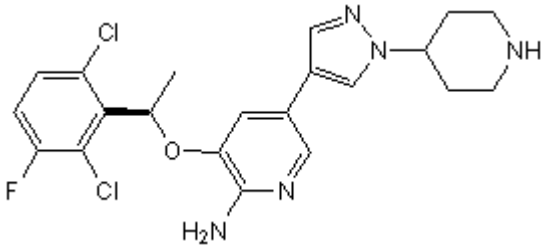
Batch Molecular Weight: 450.34

Physical Appearance: White solid

Minimum Purity: >99%

Batch Molecular Structure:



Storage: Store at +4°C

Solubility & Useage Info:

Soluble to 20 mM in DMSO and to 10 mM in ethanol

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:

Christensen *et al* (2007) Cytoreductive antitumor activity of PF-2341066, a novel inhibitor of anaplastic lymphoma kinase and c-Met, in experimental models of anaplastic large-cell lymphoma. Mol.Cancer Ther. ***6*** 3314. PMID: 18089725.

Zou *et al* (2007) An orally available small-molecule inhibitor of c-Met, PF-2341066, exhibits cytoreductive antitumor efficacy through antiproliferative and antiangiogenic mechanisms. Cancer Res. ***67*** 4408. PMID: 17483355.

Cui *et al* (2011) Structure based drug design of crizotinib (PF-02341066), a potent and selective dual inhibitor of mesenchymal-epithelial transition factor (c-MET) kinase and anaplastic lymphoma kinase (ALK). J.Med.Chem. ***54*** 6342. PMID: 21812414.

Congratulations on your purchase of Crizotinib, sold under license from Pfizer, Inc. If your research with Crizotinib results in an 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