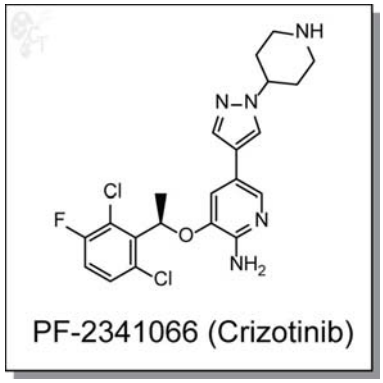
Product Specification Sheet

Product Name: PF-2341066 (Crizotinib)

Catalog Number: C7234



PF-2341066 (Crizotinib)

Technical information:

Chemical Formula:	$C_{21}H_{22}Cl_2FN_5O$
CAS #:	877399-52-5
Molecular Weight:	450.34
Purity:	> 99%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 40 mM
Chemical Name:	3-((R)-1-(2,6-dichloro-3-fluorophenyl)ethoxy)-5-(1-(piperidin-4-yl)-1H-pyrazol-4-yl)pyridin-2-amine
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.222mL of DMSO for each mg of PF-2341066 (Crizotinib).
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

PF-2341066 (Crizotinib) is an orally-available, ATP-competitive, aminopyridine-based dual inhibitor of c-Met and ALK with IC50 of 11 nM and 24 nM, respectively. [1] A kinase selectivity panel shows that PF-2341066 is selective against most tyrosine and serine-threonine kinases, with modest activity with Ron (IC50, 80 nM). [2] PF-2341066 inhibits c-Met phosphorylation and c-Met-dependent proliferation, migration, and invasion of human tumor cells in vitro at IC50s of 5 to 20 nM.

PF-2341066 has been shown to be effective in osteosarcoma growth [3] and anaplastic large-cell lymphomas [4].

Reference:

1. Zou et al., An orally available small-molecule inhibitor of c-Met, PF-2341066, exhibits cytoreductive antitumor efficacy through antiproliferative and antiangiogenic mechanisms. Cancer Res. 2007, 67, 4408-4417. Pubmed ID: 17483355
2. Cui et al., 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. 2011. 54. 6342-6363. Pubmed ID: 21812414
3. Sampson et al., The orally bioavailable met inhibitor PF-2341066 inhibits osteosarcoma growth and osteolysis/matrix production in a xenograft model. J. Bone. Miner. Res. 2011, 26(6), 1283-1294. Pubmed ID: 21308771
4. Christensen et al., 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. 2007, 6, 3314-3322. Pubmed ID: 18089725

To reorder: http://www.cellagentech.com/PF-2341066-Crizotinib/

For Technical Support: technical@cellagentech.com

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