**Product Data Sheet**

### Chemical Properties

**Product Name:** (R)-Crizotinib

**Cas No.:** 877399-52-5

**M.Wt:** 450.34

**Formula:** C21H22Cl2FN5O

**Synonyms:** Crizotinib, PF-2341066, PF02341066, PF 2341066

**Chemical Name:** 3-[(1R)-1-(2,6-dichloro-3-fluorophenyl)ethoxy]-5-(1-piperidin-4-ylpyr azol-4-yl)pyridin-2-amine

**Canonical SMILES:** CC(C1=C(C=C(CC(=C1Cl)F)Cl)OC2=C(N=CC(=C2)C3=CN(N=C3)C4CCNCC 4)N

**Solubility:** >7.5mg/mL in DMSO

**Storage:** Store at -20°C

**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

**Shopping Condition:** Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

### Biological Activity

**Targets:** c-MET

**Pathways:** Tyrosine Kinase/Adaptors >> c-MET

**Description:**

Crizotinib is a potent, ATP-competitive, small-molecule and orally available inhibitor of c-Met kinase with a Ki value of 4 nmol/L[1].

Crizotinib has shown to inhibit wild-type c-Met phosphorylation with a mean IC50 value of 11 nmol/L in multiple human endothelial and carcinoma cell lines. Crizotinib has been demonstrated to inhibit cell growth and induce apoptosis in human GTL-16 gastric carcinoma cells. Additionally,
crizotinib could inhibit cell migration and invasion induced by HGF in human NCI-H441 lung cancer cells. Moreover, crizotinib has revealed to block cell scattering of MDCK [1]. Crizotinib has been indicated to suppress tumor growth in GTL-16, NCI-H441 NSCLC, Caki-1 RCC, U87MG glioblastoma or PC-3 prostate tumor xenograft mice [1].

Reference:

Protocol

Cell experiment:

Cell lines LLC SP and MP cell lines

Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions 12 h; IC50=21.3 nM (MP cells); cell survival rate of 50.0±0.6%=22.4 nM (SP cells)

Applications The inhibitory effects of crizotinib on MP cells and SP cells were determined by colony formation assay. The IC50 value of crizotinib for MP cells was 21.3 nM. Of note, the SP cells showed no significant changes after crizotinib treatment. However, the SP cells showed a cell survival rate of 50.0±0.6% following a combined treatment of crizotinib (22.4 nM) and verapamil (500 µM), compared with 105.3±0.4% survival of SP cells treated with crizotinib (22.4 nM) alone. The growth curves obtained demonstrate that crizotinib inhibited the growth of SP and MP cells, and this inhibition was dependent on both concentration and time.

Animal experiment [3]:

Animal models NU/NU nude mice

Dosage form intratumoral injection

Applications Tumorigenicity was examined using immune-deficient mice, into which SP or MP cells of LLC were subcutaneously transplanted. Nonsorted LLC cells formed xenografts in mice at 1x10^5 cells. Tumor size was significantly decreased in the crizotinib-treated LLC groups.
(225±29 mm³) compared to the untreated group (PBS: 834±41 mm³) by 40 days after treatment.

Other notes
Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Product Validation

HCT116 cells were treated with 12μmol/L crizotinib (PF0234 10660) (PF) for indicated time. The expression levels of PUMA, p-Met (T1234/1235), total Met, p-AKT (S473), total AKT, p-ERK (T202/Y204), and total ERK were analyzed by Western blotting.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.