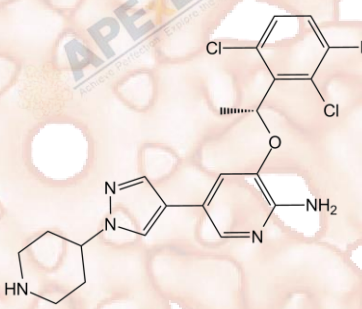
Product Data Sheet

(R)-Crizotinib



Cat. No.: A3020

CAS No.: 877399-52-5

Formula: C21H22Cl2FN5O

M.Wt: 450.34

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

Target: Tyrosine Kinase

Pathway: c-MET

Storage: Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; insoluble in EtOH; ≥7.51 mg/mL in DMSO

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	2.2205 mL	11.1027 mL	22.2054 mL
5 mM	0.4441 mL	2.2205 mL	4.4411 mL
10 mM	0.2221 mL	1.1103 mL	2.2205 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	C-MET/ALK inhibitor,potent and ATP-competitve
IC_{50} & Target	11 nM (c-Met), 24 nM (ALK)

In Vitro

Cell Viability Assay

Cell Line:	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.
In Vivo	**Animal experiment**	
	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 1x105 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.

Product Citations

1. Lev A, Deihimi S, et al."Preclinical rationale for combination of crizotinib with mitomycin C for the treatment of advanced colorectal cancer." Cancer Biol Ther. 2017 Sep 2;18(9):694-704.PMID:28886275

2. Huang XX, Xie FF, et al."Crizotinib synergizes with cisplatin in preclinical models of ovarian cancer." AmJ Transl Res. 2017 Apr 15;9(4):1667-1679.PMID:28469773

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References

[1] Xia P, Gou W F, Zhao S, et al. Crizotinib may be used in lewis lung carcinoma: A novel use for crizotinib[J]. Oncology reports, 2013, 30(1): 139-148.

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. Shortterm 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.

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