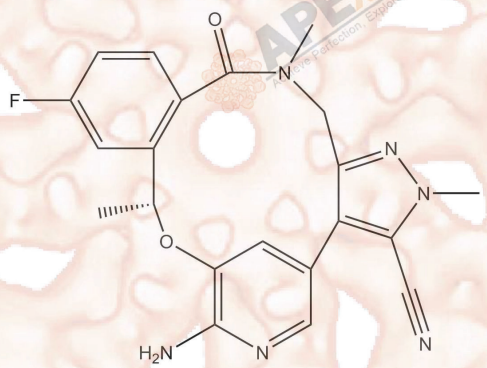
Product Data Sheet

PF-06463922

Cat. No.:	B4882
CAS No.:	1454846-35-5
Formula:	C21H19FN6O2
M.Wt:	406.41
Synonyms:	lorlatinib
Target:	Tyrosine Kinase
Pathway:	ALK
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

⩾20.3mg/mL in DMSO

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	2.4606 mL	12.3028 mL	24.6057 mL
5 mM	0.4921 mL	2.4606 mL	4.9211 mL
10 mM	0.2461 mL	1.2303 mL	2.4606 mL

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

Biological Activity

Shortsummary	ALK/ROS1 inhibitor,potent and selective
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	HCC78 cells harboring the SLC34A2-ROS1(S/L) proteins and BaF3 cells engineered to express the CD74-ROS1 fusion
Preparation method:	The solubility of this compound in DMSO is >20.3mg/mL. 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:	0-10000 nM

	Applications:	In HCC78 and BaF3 CD74-ROS1 cells, PF-06463922 potently inhibited cell proliferation with IC50 values of 1.3 and 0.6 nM, respectively. PF-06463922 dose-dependently decreased phosphorylation of SLC34A2-ROS1 and downstream signaling molecules SHP2, Erk1/2, and AKT in HCC78 cells.
In Vivo	**Animal experiment**	
	Animal models:	mice bearing FIG-ROS1 glioblastoma multiforme (GBM) tumors
	Dosage form:	10 mg/kg/d; s.c. osmotic pumps; 3-, 7-, or 14-d treatment
	Applications:	In mice bearing FIG-ROS1 GBM tumors, PF-06463922 significantly regressed the GBM LSL-FIG-ROS1;Cdkn2a-/-;LSL-Luc tumors following a 7-d and 14-d treatment. PF-06463922 reduced overall tumor cell size and the number of Ki67-positive cells. PF-06463922 reduced pFIG-ROS1, pSHP2, pMEK1/2, and pERK1/2 in tumor cell lysates.
	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

See more customer validations on www.apexbt.com.

References

[1]. Zou HY, Li Q, Engstrom LD, et al. PF-06463922 is a potent and selective next-generation ROS1/ALK inhibitor capable of blocking crizotinib-resistant ROS1 mutations. Proceedings of the National Academy of Sciences, 2015, 112(11): 3493-3498.

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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