



LKT Laboratories, Inc.

Lorlatinib

Phone: 888-558-5227

651-644-8424

Fax: 888-558-7329

Email: getinfo@lktlabs.com

Web: lktlabs.com

Product Information

Product ID L582694

CAS No. 1454846-35-5

Chemical Name 7-amino-12-fluoro-2,10,16-trimethyl-15-oxo-10,15,16,17-tetrahydro-2H-8,4-(metheno)pyrazolo(4,3-h)(2,5,11)benzoxadiazacyclotetradecine-3-carbonitrile

Synonym PF-6463922, PF-06463922

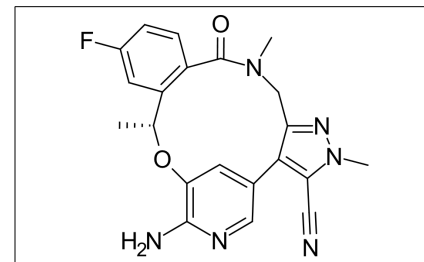
Formula C₂₁H₁₉FN₆O₂

Formula Wt. 406.21

Melting Point

Purity ≥98%

Solubility



Bulk quantities available upon request

Product ID	Size
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L582694	5 mg
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L582694	25 mg
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L582694	100 mg
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Store Temp Ambient

Ship Temp Ambient

Description Lorlatinib is a 3rd generation macrocyclic ALK inhibitor that shows significant improvement of inhibition against ROS1 kinase over 2nd generation inhibitors. Several cancers, when treated by ALK inhibitors, eventually develop point mutations, making the treatment ineffective. In a glioblastoma tumor model genetically engineered to reproduce ROS1 mutation, lorlatinib was yet able to demonstrate anticancer activity. Lorlatinib has also been shown to be effective as a single agent treatment on a mouse model of neuroblastoma. In addition, PET studies have found that lorlatinib successfully penetrates the blood-brain barrier resulting in high brain permeability of this inhibitor.

References 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. *Proc Natl Acad Sci USA*. 2015 Mar 17;112(11):3493-3498. PMID: 25733882.

Basit S, Ashraf Z, Lee K, et al. First macrocyclic 3rd-generation ALK inhibitor for treatment of ALK/ROS1 cancer: clinical and designing strategy update of lorlatinib. *Eur J Med Chem*. 2017 Jul 7;134:348-356. PMID: 28431340.

Guan J, Tucker ER, Wan H, et al. The ALK inhibitor PF-06463922 is effective as a single agent in neuroblastoma driven by expression of ALK and MYCN. *Dis Model Mech*. 2016 Sep 1;9(9):941-952. PMID: 27483357.

Collier TL, Maresca KP, Normandin MD, et al. Brain penetration of the ROS1/ALK inhibitor lorlatinib confirmed by PET. *Mol Imaging*. 2017 Jan-Dec;16:1536012117736669. PMID: 29067878.

Caution: This product is intended for laboratory and research use only. It is not for human or drug use.