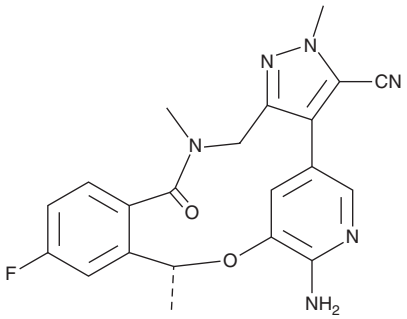
PRODUCT INFORMATION

PF-06463922

Item No. 18371

CAS Registry No.: 1454846-35-5
Formal Name: (10R)-7-amino-12-fluoro-10,15,16,17-tetrahydro-2,10,16-trimethyl-15-oxo-2H-4,8-methenopyrazolo[4,3-h][2,5,11]benzoxadiazacyclotetradecine-3-carbonitrile
Synonym: Lorlatinib
MF: $C_{21}H_{19}FN_6O_2$
FW: 406.4
Purity: ≥98%
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 319 nm
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-06463922 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-06463922 in the solvent of choice. PF-06463922 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of PF-06463922 in these ethanol is approximately 1 mg/ml and approximately 5 mg/ml in DMSO and DMF.

PF-06463922 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-06463922 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. PF-06463922 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Anaplastic lymphoma kinase (ALK) is a receptor tyrosine kinase that promotes cell proliferation and blocks apoptosis. PF-06463922 is an ATP-competitive, selective inhibitor of ALK (K_i = < 0.07 nM) and c-Ros oncogene 1 (ROS1, K_i = 0.7 nM).[1] It has strong activity against all known ALK and ROS1 mutants identified in patients, including the EML4-L1196M mutant of ALK (K_i = < 0.02 nM).[1-3] PF-06463922 is orally available, displaying inhibition of ALK phosphorylation and antitumor efficacy in a xenograft model expressing EML4-L1196M ALK.[1,4] It demonstrates efficient blood-brain barrier penetration, produces brain tumor regression in mice harboring EML4-ALK tumors, and increases overall survival.[2]

References

1. Johnson, T.W., Richardson, P.F., Bailey, S., *et al. J. Med. Chem.* **57(11)**, 4720-4744 (2014).
2. Awad, M.M. and Shaw, A.T. Clin. Adv. *Hematol. Oncol.* **12(7)**, 429-439 (2014).
3. Zou, H.Y., Li, Q., Engstrom, L.D., *et al. Proc. Natl. Acad. Sci. USA* **112(11)**, 3493-3498 (2015).
4. Yamazaki, S., Lam, J.L., Zou, H.Y., *et al. J. Pharmacol. Exp. Ther.* **351**, 67-76 (2014).

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