

PRODUCT INFORMATION

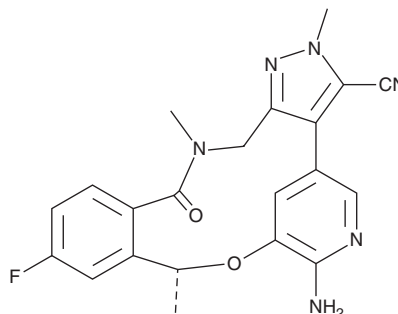


PF-06463922

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: C₂₁H₁₉FN₆O₂
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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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