

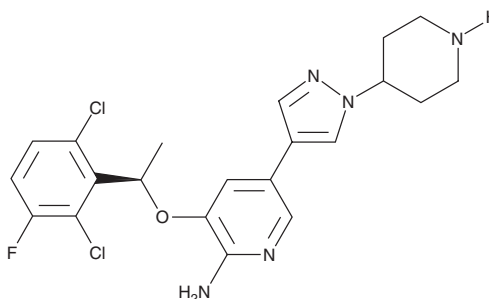
PRODUCT INFORMATION



(R)-Crizotinib

Item No. 12087

CAS Registry No.: 877399-52-5
Formal Name: 3-[(1R)-1-(2,6-dichloro-3-fluorophenyl)ethoxy]-5-[1-(4-piperidinyl)-1H-pyrazol-4-yl]-2-pyridinamine
Synonym: PF 2341066
MF: C₂₁H₂₂Cl₂FN₅O
FW: 450.3
Purity: ≥98%
UV/Vis.: λ_{max}: 206, 269, 323 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

(R)-Crizotinib is supplied as a crystalline solid. A stock solution may be made by dissolving the (R)-crizotinib in the solvent of choice, which should be purged with an inert gas. (R)-Crizotinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of (R)-crizotinib in ethanol and DMSO is approximately 0.5 mg/ml and approximately 5 mg/ml in DMF.

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

Description

(R)-Crizotinib is a dual inhibitor of c-Met and anaplastic lymphoma kinase (ALK; IC₅₀s = 8 and 20 nM, respectively, in a cell-based assay).¹ It is selective for c-Met and ALK over several other receptor- and non-receptor tyrosine kinases, including Ron, Tie2, Abl, LCK, and VEGFR2 (IC₅₀s = 0.08, 0.448, 1.159, 2.741, and >10 μM, respectively). (R)-Crizotinib selectively inhibits the proliferation of cancer cell lines with MET amplifications over cancer cell lines with MET or EGFR mutations (IC₅₀s = 5-10, 472-1,284, and 767-787 nM, respectively).² It induces apoptosis in MET-amplified EBC-1 and NCI H1993 lung cancer cells when used at a concentration of 100 nM. (R)-Crizotinib (25 mg/kg) reduces tumor volume in an EBC-1 mouse xenograft model. Formulations containing crizotinib have been used in the treatment of metastatic, relapsed, or refractory ALK-positive cancers.

References

1. Cui, J.J., Tran-Dubé, M., Shen, H., *et al.* Structure based drug design of crizotinib (PF-02341066), a potent and selective dual inhibitor of mesenchymal-epithelial transition factor (c-MET) kinase and anaplastic lymphoma kinase (ALK). *J. Med. Chem.* **54**(18), 6342-6363 (2011).
2. Tanizaki, J., Okamoto, I., Okamoto, K., *et al.* MET tyrosine kinase inhibitor crizotinib (PF-02341066) shows differential antitumor effects in non-small cell lung cancer according to MET alterations. *J. Thorac. Oncol.* **6**(10), 1624-1631 (2011).

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

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 07/11/2023

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM