

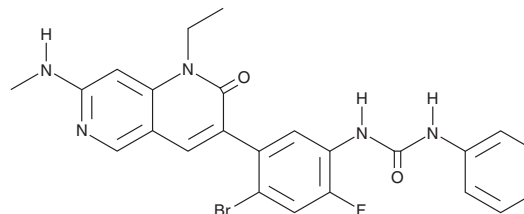
PRODUCT INFORMATION



Ripretinib

Item No. 33782

CAS Registry No.: 1442472-39-0
Formal Name: N-[4-bromo-5-[1-ethyl-1,2-dihydro-7-(methylamino)-2-oxo-1,6-naphthyridin-3-yl]-2-fluorophenyl]-N'-phenyl-urea
Synonym: DCC-2618
MF: C₂₄H₂₁BrFN₅O₂
FW: 510.4
Purity: ≥95%
UV/Vis.: λ_{max}: 238, 261, 354 nm
Supplied as: A 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

Ripretinib is supplied as a solid. A stock solution may be made by dissolving the ripretinib in the solvent of choice, which should be purged with an inert gas. Ripretinib is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of ripretinib in these solvents is approximately 1 mg/ml.

Description

Ripretinib is an inhibitor of KIT and PDGFR α (IC₅₀s = 3 and 3.6 nM, respectively).¹ It is selective for KIT and PDGFR α over a panel of 300 kinases (IC₅₀s = >100 nM) but does inhibit DDR2, VEGFR2, PDGFR β , and Tie2 (IC₅₀s = <10 nM for all). It also inhibits mutant isoforms of KIT and PDGFR α , including KIT^{V654A}, KIT^{T670I}, KIT^{D816H}, KIT^{D816V}, and PDGFR α ^{D842V} (IC₅₀s = 11, 9.2, 18, 25, and 36 nM, respectively). Ripretinib induces apoptosis in ROSA wild-type, and KIT^{D816V}- or KIT^{K509L}-expressing mast cells in a concentration-dependent manner.² It reduces tumor growth and increases survival in an imatinib-resistant patient-derived xenograft (PDX) mouse model of gastrointestinal stromal tumors (GISTs) when administered at doses of 50 and 100 mg/kg.¹ Formulations containing ripretinib have been used in the treatment of GISTs.

References

1. Smith, B.D., Kaufman, M.D., Lu, W.-P., *et al.* Ripretinib (DCC-2618) is a switch control kinase inhibitor of a broad spectrum of oncogenic and drug-resistant KIT and PDGFRA variants. *Cancer Cell* **35(5)**, 738-751 (2019).
2. Schneeweiss, M., Peter, B., Bibi, S., *et al.* The KIT and PDGFRA switch-control inhibitor DCC-2618 blocks growth and survival of multiple neoplastic cell types in advanced mastocytosis. *Haematologica* **103(5)**, 799-809 (2018).

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, 12/08/2022

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