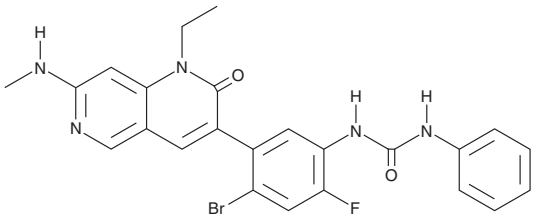
PRODUCT INFORMATION

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:	$C_{24}H_{21}BrFN_5O_2$
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 PDGFRα (IC_{50}s = 3 and 3.6 nM, respectively).[1] It is selective for KIT and PDGFRα over a panel of 300 kinases (IC_{50}s = >100 nM) but does inhibit DDR2, VEGFR2, PDGFRβ, and Tie2 (IC_{50}s = <10 nM for all). It also inhibits mutant isoforms of KIT and PDGFRα, including KIT^{V654A}, KIT^{T670I}, KIT^{D816H}, KIT^{D816V}, and $PDGFR\alpha^{D842V}$ (IC_{50}s = 11, 9.2, 18, 25, and 36 nM, respectively). Ripretinib induces apoptosis in ROSA wild-type, and KIT^{D816V}- or KIT^{K509I}-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).

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