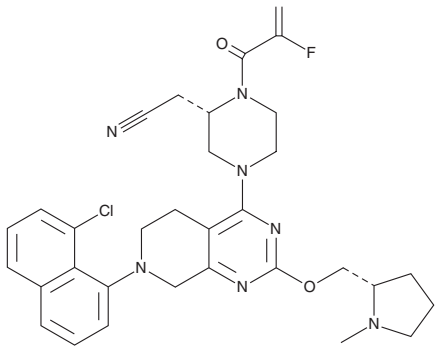
PRODUCT INFORMATION

MRTX-849

Item No. 31440

CAS Registry No.:	2326521-71-3
Formal Name:	(2S)-4-[7-(8-chloro-1-naphthalenyl)-5,6,7,8-tetrahydro-2-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]pyrido[3,4-d]pyrimidin-4-yl]-1-(2-fluoro-1-oxo-2-propen-1-yl)-2-piperazineacetonitrile
MF:	$C_{32}H_{35}ClFN_7O_2$
FW:	604.1
Purity:	≥98%
UV/Vis.:	λ_{max}: 222 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

MRTX-849 is supplied as a crystalline solid. A stock solution may be made by dissolving the MRTX-849 in the solvent of choice, which should be purged with an inert gas. MRTX-849 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of MRTX-849 in these solvents is approximately 1 and 10 mg/ml, respectively.

MRTX-849 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MRTX-849 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. MRTX-849 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MRTX-849 is a covalent inhibitor of K-Ras^{G12C}, a mutant form of K-Ras that accumulates in cancer cells.[1] It binds to and stabilizes GDP-bound inactive K-Ras^{G12C} in an electrophoretic mobility shift assay when used at concentrations ranging from 2 to 15.6 nM. MRTX-849 (33-1,000 nM) reduces phosphorylation of the K-Ras targets ERK and S6 in MIA PaCa-2 cancer cells, which express K-Ras^{G12C}. *In vivo*, MRTX-849 (100 mg/kg) reduces tumor volume in 17 K-Ras^{G12C}-expressing lung, colon, pancreatic, cervical, and esophageal cancer mouse xenograft models but not wild-type K-Ras-expressing A549, HCT116, and H1299 mouse xenograft models.

Reference

1. Hallin, J., Engstrom, L.D., Hargis, L., *et al*. The KRAS^{G12C} inhibitor MRTX849 provides insight toward therapeutic susceptibility of KRAS-mutant cancers in mouse models and patients. *Cancer Discov*. **10(1)**, 54-71 (2020).

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