PRODUCT INFORMATION



MRTX-849

Item No. 31440

CAS Registry No.: 2326521-71-3

Formal Name: (2S)-4-[7-(8-chloro-1-naphthalenyl)-

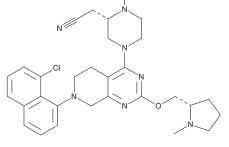
5,6,7,8-tetrahydro-2-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]pyrido[3,4-d] pyrimidin-4-yl]-1-(2-fluoro-1-oxo-2propen-1-yl)-2-piperazineacetonitrile

MF: C₃₂H₃₅CIFN₇O₂

FW: 604.1 **Purity:** ≥98% UV/Vis.: λ_{max} : 222 nm A crystalline solid Supplied as:

-20°C Storage: ≥4 years Stability:

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 agueous 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-RasG12C 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 KRASG12C inhibitor MRTX849 provides insight toward therapeutic susceptibility of KRAS-mutant cancers in mouse models and patients. Cancer Discov. 10(1), 54-71 (2020).

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

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.

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