

Data Sheet

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 Product Name
 :
 MRTX1257

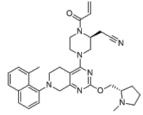
 Cat. No.
 :
 PC-35952

 CAS No.
 :
 2206736-04-9

 Molecular Formula
 :
 C₃₃H₃₉N₇O₂

 Molecular Weight
 :
 565.722

Solubility : 10 mM in DMSO



Biological Activity

Target

MRTX1257 (MRTX-1257, MRTX 1257) is a potent, selective, covalent and irreversible inhibitor of **KRAS G12C**, inhibits KRAS dependent ERK-phosphorylation in the H358 cell with IC50 of 0.9 nM.

MRTX1257 demonstrates high selectivity toward the Cys12 of KRAS G12C in NCI-H358 cells, without effect on other free cysteine residues.

MRTX1257 shows sustained regression at 3,10, 30, and 100 mg/kg dose in MIA PaCa-2 xenografts, shows rapid growth inhibition and durable efficacy even after dosing was stopped, and MRTX1257 is orally active.

References

U.S. Pat. Appl. Publ. (2018), US 20180072723 A1 20180315.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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