

Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 MRTX1257

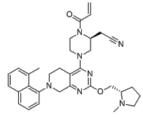
 Cat. No.
 :
 PC-35952

 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.

2. Khan HY, et al. *Cancer Res Commun*. 2022 May;2(5):342-352.

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

E-mail: tech@probechem.com