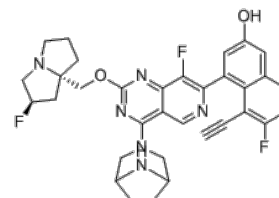


Product Name : MRTX1133
Cat. No. : PC-72331
CAS No. : 2621928-55-8
Molecular Formula : C₃₃H₃₁F₃N₆O₂
Molecular Weight : 600.646
Target : Ras
Solubility : 10 mM in DMSO (6 mg/mL in DMSO)



Biological Activity

MRTX-1133 (MRTX1133) is a potent, selective and noncovalent inhibitor **KRAS G12D** with SPR Kd of 0.2 nM, AlphaLISA IC₅₀ of 5 nM.

MRTX1133 inhibited ERK phosphorylation in the AGS cell line with an IC₅₀ of 2 nM, demonstrated high potency against AGS cell line in a 2D viability assay with IC₅₀ of 6 nM, >500-fold selectivity against MKN1, a cell line which is dependent on wild-type KRAS.

MRTX1133 modulated KRAS-dependent ERK phosphorylation in the Panc 04.03 xenograft tumor model at 30 mg/kg BID (IP), MRTX1133 exhibited dose-dependent antitumor activity with 94% growth inhibition observed at 3 mg/kg BID (IP) and tumor regressions of -62% and -73% observed at 10 and 30 mg/kg BID (IP), respectively, no significant antitumor activity in the non-KRASG12D tumor model MKN1.

References

Xiaolun Wang, et al. *J Med Chem.* 2022 Feb 24;65(4):3123-3133.

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

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