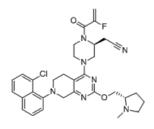


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Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	MRTX849
Cat. No.	:	PC-72227
CAS No.	:	2326521-71-3
Molecular Formula	:	C ₃₂ H ₃₅ CIFN ₇ O ₂
Molecular Weight	:	604.13
Target	:	Ras
Solubility	:	20 mM in DMSO (12.1 mg/mL)



Biological Activity

MRTX849 (Adagrasib, MRTX-849) is a potent, selective, and covalent **KRAS G12C** inhibitor, inhibits KRAS-dependent signaling.

MRTX849 (Adagrasib) binds to, stabilizes and selectively modifies mutant cysteine 12 in GDP-bound KRAS G12C, and inhibits KRAS-dependent signaling.

MRTX849 (Adagrasib) inhibited KRAS-dependent signaling targets including ERK1/2 phosphorylation (Thr202/Tyr204 ERK1; pERK), S6 phosphorylation (RSK-dependent Ser235/236; pS6) and expression of the ERK-regulated DUSP6, each with IC50 values in the single-digit nanomolar range in KRASG12C-mutant H358 lung and MIA PaCa-2 pancreatic cancer cell lines. MRTX849 (Adagrasib) potently inhibited cell growth in the vast majority of KRASG12C-mutant cell lines with IC50 of 10-973 nM.

MRTX849 (Adagrasib) inhibited KRAS signaling and tumor growth in vivo, demonstrated potent anti-tumor activity in KRASG12C-mutant and non KRASG12C-mutant human tumor xenografts models.

References

Hallin J, et al. Cancer Discov. 2020 Jan;10(1):54-71.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com