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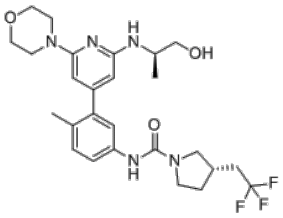
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Exarafenib
Cat. No.	:	PC-21219
CAS No.	:	2639957-39-2
Molecular Formula	:	$C_{26}H_{34}F_3N_5O_3$
Molecular Weight	:	521.59
Target	:	Raf
Solubility	:	10 mM in DMSO



CAS: 2639957-39-2

Biological Activity

Exarafenib (KIN-2787) is a highly potent and selective **pan-RAF** inhibitor with IC50 of 2.4/3.5/1.4 nM for ARAF/BRAF/CRAF, respectively.
Exarafenib (KIN-2787) intercepts the RAF protein in the dimer compatible αC-helix-IN conformation.
Exarafenib (KIN-2787) displays a class-leading selectivity profile in a full kinase panel of >600 kinases.
Exarafenib (KIN-2787) inhibits pERK signaling in monomeric class I altered cell lines (A375 EC50 = 62 nM and Colo800 EC50 = 103 nM), dimer-driven class II altered cell lines (NCI-H2405 EC50 = 10 nM, BxPC-3 EC50 = 51 nM, and OV-90 EC50 = 26 nM) and heterodimer class III altered cell lines (WM3629 EC50 = 9 nM, and CAL-12T EC50 = 18 nM).
Exarafenib (KIN-2787) inhibits both protomers of BRAFWT dimer signaling in a cellular context, a dose dependent inhibition of pERK (EC50 = 265 nM).
Exarafenib (KIN-2787) (1.5, 3, 5, and 10 mg/kg twice daily) exhibited dose-dependent tumor growth inhibition (TGI) of 68%, 79%, 88%, and 118% respectively in BxPC-3 xenograft tumors.

References

Tim S. Wang, et al. Cancer Res (2023) 83 (7_Supplement): 4927.

Patent WO2022226261 A1

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

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