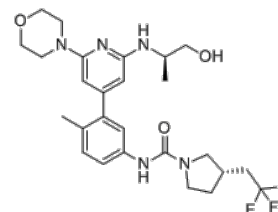


Product Name : Exarafenib
Cat. No. : PC-21219
CAS No. : 2639957-39-2
Molecular Formula : C₂₆H₃₄F₃N₅O₃
Molecular Weight : 521.59
Target : Raf
Solubility : 10 mM in DMSO



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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