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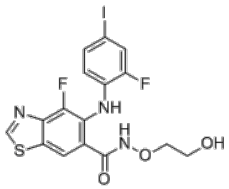
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Tunlametinib
Cat. No.	:	PC-38424
CAS No.	:	1801756-06-8
Molecular Formula	:	$C_{16}H_{12}F_2IN_3O_3S$
Molecular Weight	:	491.251
Target	:	MEK (MAP2K)
Solubility	:	10 mM in DMSO



Biological Activity

Tunlametinib (HL-085) is a highly selective and potent **MEK** inhibitor with IC50 of 1.9 nM (**MEK1**), exhibits potent activity against RAS/RAF mutant cancer cells.
Tunlametinib (HL-085) exhibits approximately 19-fold greater inhibitory activity against MEK1/MAP2K1(h) kinase than the lead compound MEK162.
Tunlametinib (HL-085) at 10 μmol/L shows complete inhibition against MEK1 and no inhibition against other 77 kinases tested.
Tunlametinib (HL-085) dramatically inhibits cell proliferation in a panel of cell lines with RAS or RAF mutation, with IC50 values of 0.67 and 59.89 nM, with minimal inhibitory effect on the proliferation of RAS/RAF wild-type tumor cells (H1975) and normal cells (MRC-5)
Tunlametinib (HL-085) is more effective for RAS/RAF-mutant cell lines with improved potency compared to AZD6244.
Tunlametinib (HL-085) potently inhibits ERK phosphorylation in A375 cells with IC50 of 1.16 nM.
Tunlametinib (HL-085) could dose-dependently increase the proportion of G0/G1 phase in A375 cells at concentration from 1 nM to 9 nM.
Tunlametinib (HL-085) (1, 3, 6 mg/kg, QD) inhibits tumor growth of cell line-derived xenografts (CDXs) or patient derived CRC xenograft (PDX).
Tunlametinib (HL-085) combined with BRAF/KRASG12C/SHP2 inhibitors or docetaxel showed synergistically enhanced response and marked tumor inhibition.

References

Patent WO2013107283 A1.
2. Liu Y, et al. ***Front Pharmacol***. 2023 Sep 21;14:1271268.

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

E-mail: tech@probechem.com