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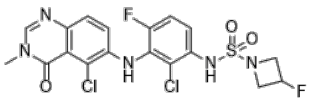
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PF-07799933
Cat. No.	:	PC-22523
CAS No.	:	2754408-94-9
Molecular Formula	:	$C_{18}H_{15}Cl_2F_2N_5O_3S$
Molecular Weight	:	490.31
Target	:	Raf
Solubility	:	10 mM in DMSO



CAS: 2754408-94-9

Biological Activity

PF-07799933 (Claturafenib, ARRY-440) is a brain-penetrant, selective, pan-**mutant BRAF** inhibitor, demonstrated broad inhibition of pERK levels in cell lines harboring Class I (IC50=0.7-7 nM), II (10-14 nM), III (0.8, 7.8 nM), and indel (113, 179 nM) mutations, acquired BRAF p61 splice variant (59 nM), and acquired NRAS-Q61K (16 nM), but significantly spared pERK in BRAF wild-type cells (≥9800 nM).
PF-07799933 (ARRY-440) disrupts endogenous mutant-BRAF:wild-type-CRAF dimers, and spares wild-type ERK signaling.
PF-07799933 (ARRY-440) inhibited pERK in vitro in cells driven by BRAF V600E-mutant monomers, BRAF Class II/III-mutant dimers, and treatment-acquired genetic alterations that induce mutant-BRAF V600E dimerization.
PF-07799933 disrupted endogenous mutant-BRAF:wild-type-CRAF dimers in cells containing BRAF V600E + p61 splice variant or BRAF V600E + NRAS Q61K that induce mutant BRAF dimerization.
PF-07799933 spared pERK and did not disrupt BRAF-wild-type:CRAF-wild-type dimers in vitro in BRAF wild-type cells.
PF-07799933 had broad in vivo anti-tumor activity, systemically and in the brain, against BRAF V600E and non-V600 mutations as monotherapy, and against BRAF V600E with a treatment-acquired BRAF p61 splice variant in combination with binimetinib.

References

Yaeger R, et al. ***Cancer Discov.*** 2024 Apr 30. doi: 10.1158/2159-8290.CD-24-0024.
2. US Patent Application No. 17/338,767 Ex. 126.

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

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