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Data Sheet

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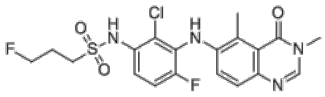

Product Name	:	PF-07284890
Cat. No.	:	PC-72612
CAS No.	:	2573781-75-4
Molecular Formula	:	$C_{19}H_{19}ClF_2N_4O_3S$
Molecular Weight	:	456.89
Target	:	Raf
Solubility	:	10 mM in DMSO (4.6 mg/mL)

Biological Activity

Tinlorafenib (PF-07284890, ARRY-461) is an orally bioavailable, brain penetrant, potent, small molecule **BRAF V600 mutants** inhibitor, inhibits BRAF and CRAF with IC50 of 5.8 and 4.1 nM, respectively.
PF-07284890 inhibits the clinically relevant kinase domain BRAF V600 mutants (V600E and V600K) with IC50 of 24-25 nM.
PF-07284890 potently inhibits phosphorylation of ERK, a downstream marker of BRAF inhibition, and potently inhibits proliferation of BRAF V600E/K mutant melanoma cell lines (IC50=18-38 nM).
PF-07284890 inhibits phosphorylation of ERK in A375 BRAF V600E tumors, achieving maximal target inhibitions at a dose of 10 mg/kg.
PF-07284890 (10-30 mg/kg BID) caused significant and durable tumor regressions in the intracranial A375-luc BRAF V600E melanoma xenograft model.

References

Patent WO2020261156A1.

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