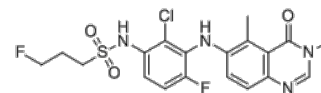


Product Name : PF-07284890
Cat. No. : PC-72612
CAS No. : 2573781-75-4
Molecular Formula : C₁₉H₁₉ClF₂N₄O₃S
Molecular Weight : 456.89
Target : Raf
Solubility : 10 mM in DMSO (4.6 mg/mL)



Biological Activity

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.

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

E-mail: tech@probechem.com