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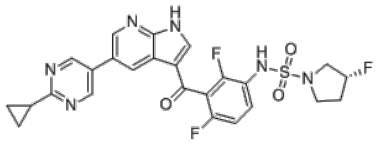
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PLX8394
Cat. No.	:	PC-72242
CAS No.	:	1393466-87-9
Molecular Formula	:	$C_{25}H_{21}F_3N_6O_3S$
Molecular Weight	:	542.54
Target	:	Raf
Solubility	:	10 mM in DMSO



Biological Activity

PLX8394 (Plixorafenib, PLX-8394) is a next-generation, orally available, small-molecule **BRAF** inhibitor with IC50 values of 3.8 nM, 14 nM and 23 nM for BRAF (V600E), WT BRAF and CRAF, respectively.
PLX8394 suppresses mutant BRAF cells without activating the MAPK pathway in cells bearing upstream activation, overcame several known mechanisms of resistance to first-generation RAF inhibitors.
PLX8394 inhibits ERK signaling by specifically disrupting BRAF-containing dimers, including BRAF homodimers and BRAF-CRAF heterodimers, but not CRAF homodimers or ARAF-containing dimers.
As a BRAF-specific dimer breaker, PLX8394 selectively inhibits ERK signaling in tumors driven by dimeric BRAF mutants, including BRAF fusions and splice variants as well as BRAF V600 monomers, but spares RAF function in normal cells in which CRAF homodimers can drive signaling.

References

Marimuthu A, et al. ***Nature.*** 2015 Oct 22;526(7574):583-6.

Tutuka CSA, et al. ***Mol Cancer.*** 2017 Jun 28;16(1):112.

Hartsough EJ, et al. ***Mol Cancer Ther.*** 2018 Jan;17(1):84-95.

Yao Z, et al. ***Nat Med.*** 2019 Feb;25(2):284-291.

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