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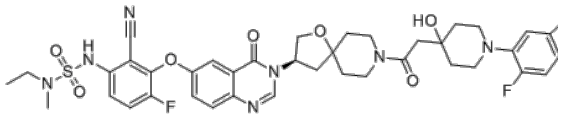
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	CFT1946
Cat. No.	:	PC-20593
CAS No.	:	2882165-79-7
Molecular Formula	:	$C_{45}H_{49}F_2N_{11}O_9S$
Molecular Weight	:	958.01
Target	:	PROTAC
Solubility	:	10 mM in DMSO



CAS: 2882165-79-7

Biological Activity

CFT1946 (CFT-1946) is a potent, selective CRBN-based PROTAC degrader of **mutant BRAF**, selectively degrades BRAF V600E (Class I), G469A (Class II), G466V (Class III) mutations and the p61-BRAFV600E splice variant, but not WT BRAF and CRAF.
CFT1946 potently degraded BRAFV600E in A375 cells (DC50=14 nM at 24hr), inhibited ERK phosphorylation (IC50=11nM at 24hr) and cell growth (GI50=94nM at 96hr) while having no effect in the mutant KRAS driven cell line HCT116.
CFT1946 (10 mg/kg PO BID) resulted in deeper tumor regressions in A375 xenografts.
CFT1946 degraded BRAFV600E and caused robust tumor growth inhibition in engineered A375-BRAFV600E/NRASQ61K double mutant model of BRAF inhibitor resistance, caused tumor regressions when combined with the MEK inhibitor, trametinib.
CFT1946, but not Encorafenib (Cat. PC-49770), inhibited proliferation of the BRAFG466V heterozygous lung tumor cell line H1666.

References

Mathew E. Sowa, et al. ***Cancer Res*** (2022) 82 (12_Supplement): 2158.

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