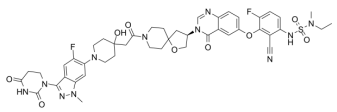
CFT1946

Cat. No.:	HY-153341
CAS No.:	2882165-79-7
Molecular Formula:	$C_{45}H_{49}F_2N_{11}O_9S$
Molecular Weight:	958
Target:	PROTACs; Raf
Pathway:	PROTAC; MAPK/ERK Pathway
Storage:	4°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (104.38 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0438 mL	5.2192 mL	10.4384 mL
	5 mM	0.2088 mL	1.0438 mL	2.0877 mL
	10 mM	0.1044 mL	0.5219 mL	1.0438 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

CFT1946 is an orally active, CRBN-based and mutant-selective bifunctional degradation activating compound (BiDAC™) degrader of $BRAF^{V600E}$ with a DC_{50} of 14 nM in A375 cells. CFT1946 is capable of degrading BRAF V600E (Class I), G469A (Class II), G466V (Class III) mutations, and the p61-$BRAF^{V600E}$ splice variant. CFT1946 can be used in tumor research[1][2].

IC_{50} & Target	Cereblon	$BRaf^{V600E}$

In Vitro

CFT1946 (100 nM; 24 h) causes $BRAF^{V600E}$ degradation and inhibits MAPK Signaling with pERK loss in $BRAF^{V600E}$ cells but not in WT-BRAF cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	A375 cells
Concentration:	100 nM
Incubation Time:	24 h

Result:	Caused $BRAF^{V600E}$ degradation.

In Vivo

CFT1946 (0.3-10 mg/kg; PO; BID; 20 days) induces tumor regression in the $BRAF^{V600E}$ A375 xenograft mouse model with 10 mg/kg[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	$BRAF^{V600E}$ A375 xenograft mouse model[2]
Dosage:	0.3, 3, 10 mg/kg
Administration:	PO; BID; 20 days
Result:	Shows dose-dependent tumor regression. 10 mg/kg BID dose resulted in sustained tumor regression and is the minimum efficacious dose.

REFERENCES

[1]. Sowa M E, et al. Preclinical evaluation of CFT1946 as a selective degrader of mutant BRAF for the treatment of BRAF driven cancers[J]. Cancer Research, 2022, 82(12_Supplement): 2158-2158.

[2]. Yanke Liang. The Discovery and Characterization of CFT1946: A Potent, Selective, and Orally Bioavailable Degrader of Mutant BRAF for the Treatment of BRAF-driven Cancers. ANNUAL MEETING, American Association for Cancer Research, 2023.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA