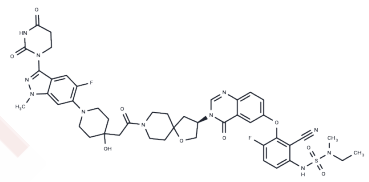
Data Sheet (Cat.No.T77972)

TargetMol

Tagarafdeg

Chemical Properties



CAS No. : 2882165-79-7

Formula: C45H49F2N11O9S

Molecular Weight: 958

Storage: Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Tagarafdeg (CFT1946) is an orally available PROTAC degradator targeting mutant BRAF, capable of degrading BRAF V600E (Class I), G469A (Class II), G466V (type III) mutations, and the p61-BRAF V600E splice variant. It exhibits favourable selectivity within the proteome, including for wild-type BRAF and CRAF, and inhibits tumour cell proliferation.
Targets(IC50)	Raf,PROTACs
In vitro	In A375 cells, Tagarafdeg (CFT1946) effectively degraded BRAFV600E (Emax = 26%; DC50 = 14 nM, 24 hours) and inhibited ERK phosphorylation (IC50 = 11 nM, 24 hours) and cell growth (GI50 = 94 nM, 96 hours), while having no effect on the mutant KRAS-driven cell line HCT116. [2]
In vivo	METHODS: Tagarafdeg (10 mg/kg, orally, twice daily) was used to treat A375 xenograft mice, and tumor growth in the mice was observed. RESULTS: Tagarafdeg resulted in deeper tumor regression. [2]

Solubility Information

Solubility	DMSO: 80 mg/mL (83.51 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0209 mL	0.1044 mL	0.2088 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

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.