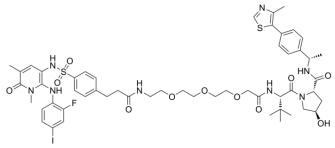
PROTAC MEK1 Degrader-1

Cat. No.:	HY-153864
CAS No.:	2671004-41-2
Molecular Formula:	$C_{53}H_{66}FIN_8O_{11}S_2$
Molecular Weight:	1201.17
Target:	PROTACs; MEK; ERK
Pathway:	PROTAC; MAPK/ERK Pathway; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PROTAC MEK1 Degrader-1 is a PROTAC targeting MEK1 with a pIC_{50} value of 7.0. PROTAC MEK1 Degrader-1 consists of a MEK1 inhibitor and a von Hippel-Lindau ligand. PROTAC MEK1 Degrader-1 can inhibit ERK1/2 phosphorylation. PROTAC MEK1 Degrader-1 shows an antiproliferative activity against A375 cells[1].

In Vitro

PROTAC MEK1 Degrader-1 (Compound 3) (10 μM-100 pM; 12 h) occurs degradation at the two highest concentrations of 1 μM and 10 μM, whereas lower concentrations lead to an increase of MEK1 and ERK1/2 expression[1].PROTAC MEK1 Degrader-1 (Compound 3) (10 μM; 12 h) strongly suppresses in regulation of secretion of cytokines, such as IL6[1].PROTAC MEK1 Degrader-1 (Compound 3) (10 μM; 0-72 h) shows an antiproliferative activity against A375 cells [1].PROTAC MEK1 Degrader-1 (Compound 3) (10 μM; 0-20 h) can significantly degrade MEK1 and ERK1/2 after 5 h, and completely inhibit from 8 hours later [1].

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

Cell Proliferation Assay[1]

Cell Line:	A375 cells
Concentration:	10 μM
Incubation Time:	0 h, 20 h, 40 h, 60 h, 80h
Result:	Had a strong inhibitory effect on cell growth

Western Blot Analysis[1]

Cell Line:	A375 cells
Concentration:	10 μM
Incubation Time:	16 h
Result:	It degraded MEK and inhibited phosphorylation of ERK1/2.

REFERENCES

[1]. Vollmer S, et al. Design, Synthesis, and Biological Evaluation of MEK PROTACs. J Med Chem. 2020 Jan 9;63(1):157-162.

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