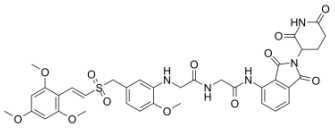
PROTAC B-Raf degrader 1

Cat. No.:	HY-111758
Molecular Formula:	$C_{36}H_{37}N_5O_{12}S$
Molecular Weight:	763.77
Target:	Raf; PROTAC
Pathway:	MAPK/ERK Pathway; PROTAC
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	PROTAC B-Raf degrader 1 (compound 2) is a proteolysis targeting chimera (PROTAC) for the degradation of **B-Raf**. With anti-cancer activity[1].
IC_{50} & Target	B-Raf

In Vitro

The IC_{50} values of PROTAC B-Raf degrader 1 (compound 2) towards MCF-7, MDA-MB-231, HepG2, LO2 and B16 cells are 2.7 μM, 21.21 μM, 18.70 μM, 41.11μM and 22.68 μM, respectively[1].

PROTAC B-Raf degrader 1 (5 or 10 μM) can accelerate the degradation of B-Raf by recruiting ubiquitin-proteasome system, and further affects the expression of Mcl-1, a downstream protein of B-Raf[1].

MCF-7 cells achieve an apoptosis rate of 76.70% (64.00% early apoptosis, 12.70% late apoptosis) after 24 h incubation of PROTAC B-Raf degrader 1 with the concentration of 20 μM[1].

PROTAC B-Raf degrader 1 arrests cell cycle at the G2/M phase[1].

Cell Cytotoxicity Assay[1]

Cell Line:	Human MCF-7 breast cancer cell line, MDA-MB-231 breast cancer cells, human HepG2 hepatoma cells, human normal LO2 liver cells, B16 cells.
Concentration:	0-200 μM.
Incubation Time:	72 hours.
Result:	The IC_{50} values are 2.7 μM, 21.21 μM, 18.70 μM, 41.11μM and 22.68 μM in MCF-7, MDA-MB-231, HepG2, LO2 and B16 cells, respectively.

Western Blot Analysis[1]

Cell Line:	Human MCF-7 breast cancer cell line.
Concentration:	5 or 10 μM.
Incubation Time:	24 hours.
Result:	Effectively induced the degradation of B-Raf and impacted the expression of Mcl-1.

Apoptosis Analysis[1]

Cell Line:	Human MCF-7 breast cancer cell line.
Concentration:	2.7-20 μM.
Incubation Time:	24 hours.
Result:	Achieved an apoptosis rate of 76.70% (64.00% early apoptosis, 12.70% late apoptosis) after 24 h incubation with the concentration of 20 μM.

Cell Cycle Analysis[1]

Cell Line:	Human MCF-7 breast cancer cell line.
Concentration:	20 μM.
Incubation Time:	24 hours.
Result:	1.94% cells were arrested at G1 phase, 8.20% at S phase, and 89.86% at G2/M phase.

REFERENCES

[1]. Chen H, et al. Pomalidomide hybrids act as proteolysis targeting chimeras: Synthesis, anticancer activity and B-Raf degradation. Bioorg Chem. 2019 Mar 19;87:191-199.

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