TD1092

Cat. No.: HY-151966

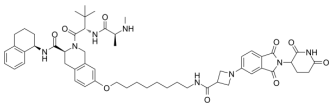
Molecular Formula: $C_{55}H_{70}N_8O_9$

Molecular Weight: 987.19

Target: IAP; PROTACs; Caspase

Pathway: Apoptosis; PROTAC

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

TD1092 is a pan-IAP degrader, degrades cIAP1, cIAP2, and XIAP. TD1092 activates Caspase 3/7, and promotes cancer cells apoptosis via IAP degradation. TD1092 inhibits TNFα mediated NF-κB pathway and reduces the phosphorylation of IKK, IkB α, p65, and p38. TD1092 can act as PROTAC, and is used for cancer research[1].

IC_{50} & Target

cIAP1	cIAP2	XIAP	Caspase 3
Caspase-7			

In Vitro

TD1092 (0.1 μM-10 μM; 0.5-6 h) potently degrades cIAP1, cIAP2, and XIAP in a dose- and time-dependent manner[1].
TD1092 (0.01, 0.1 and 1 μM; 18 h) activates caspase 3/7 in MCF-7 cells[1].
TD1092 (1 μM; 48 h and 72 h) promotes cancer cell death[1].
TD1092 (0.1 μM; 24 h) inhibits TNFα-induced migration and invasion against triple-negative breast cancer cells[1].
TD1092 (1 μM; 6 h) inhibits TNFα-induced NF-κB signaling pathway and epithelial-mesenchymal transition (EMT) via IAP degradation[1].
TD1092 (1 μM; 72 h) inhibits MCF-7 cells growth with an IG_{50} value of 0.395 μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	MCF-7 cells
Concentration:	(1) 0, 0.1, 1, 10 μM or 0.1 μM (2) 0.1 μM, with or without 100 ng/mL TNFα
Incubation Time:	18 hours or 0.5, 1, 2, 4, 6 hours for (1) and 4 hours for (2)
Result:	Dose- and time-dependently decreases the protein level of cIAP1, cIAP2, and XIAP. Inhibited the phosphorylation of IKK, IkBα, p65, and p38 mediated by TNFα. Counterbalanced the effect of TNFα on the levels of E-cadherin (CDH1; an epithelial marker) and vimentin (VIM; a mesenchymal marker).

Cell Migration Assay [1]

Cell Line:	MDA-MB-231 and MDA-MB-157 cells

Concentration:	0.1 μM; with or without 100 ng/mL TNFα
Incubation Time:	24 hours
Result:	Inhibited TNFα-induced (100 ng/mL) migration and invasion against two triple-negative breast cancer (TNBC; MDA-MB-231 and MDA-MB-157) cell lines.

REFERENCES

[1]. Park S, et al. Discovery of pan-IAP degraders via a CRBN recruiting mechanism. Eur J Med Chem. 2023 Jan 5;245(Pt 2):114910.

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