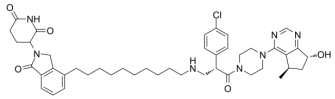
INY-03-041

Cat. No.:	HY-133120
CAS No.:	2503017-97-6
Molecular Formula:	$C_{44}H_{56}ClN_7O_5$
Molecular Weight:	798.41
Target:	PROTAC; Akt
Pathway:	PROTAC; PI3K/Akt/mTOR
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

INY-03-041 is a potent, highly selective and PROTAC-based pan-AKT degrader consisting of the ATP-competitive AKT inhibitor GDC-0068 conjugated to Lenalidomide. INY-03-041 inhibits AKT1, AKT2 and AKT3 with IC_{50}s of 2.0 nM, 6.8 nM and 3.5 nM, respectively[1].

IC_{50} & Target

Akt1	Akt2	Akt3	Cereblon
2.0 nM (IC_{50})	6.8 nM (IC_{50})	3.5 nM (IC_{50})	

In Vitro

INY-03-041 (10-1000 nM; 2-24 hours; MDA-MB-468 cells) treatment induces potent degradation of all three AKT isoforms in a dose-dependent manner after a 12-h treatment, with maximal degradation observed between 100 and 250 nM. At concentrations of 500 nM and greater, AKT degradation is diminished. Treatment with 250 nM of INY-03-041 over time reveals partial degradation of all AKT isoforms within 4 h and progressive loss of AKT abundance out to 24 h[1].

INY-03-041 exhibits potent in vitro inhibition of S6K1 (IC_{50} =37.3 nM) and PKG1 (IC_{50} = 33.2 nM)[1].

INY-03-041 displays enhanced anti-proliferative effects compared with GDC-0068 in MDA-MB-468 and HCC1937 cells[1].

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

Western Blot Analysis[1]

Cell Line:	MDA-MB-468 cells
Concentration:	10 nM, 50 nM, 100 nM, 250 nM, 500 nM, 1000 nM
Incubation Time:	2 hours, 4 hours, 6 hours, 8 hours, 10 hours, 12 hours, 24 hours
Result:	Induced potent degradation of all three AKT isoforms in MDA-MB-468 cells.

REFERENCES

[1]. You I, et al. Discovery of an AKT Degrader with Prolonged Inhibition of Downstream Signaling. Cell Chem Biol. 2020 Jan 16;27(1):66-73.e7.

Caution: Product has not been fully validated for medical applications. For research use only.

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