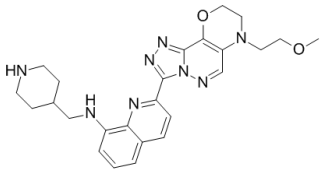
PIM1-IN-1

Cat. No.:	HY-111552
CAS No.:	1417630-95-5
Molecular Formula:	$C_{25}H_{30}N_8O_2$
Molecular Weight:	474.56
Target:	Pim
Pathway:	JAK/STAT Signaling
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	PIM1-IN-1 is a potent and highly selective **PIM1/3** inhibitor, with **IC_{50}**s of 7, 5530 and 70 nM for PIM1, PIM2, and PIM3, respectively, inhibits the phosphorylation of BAD, a downstream target of PIM, with an EC_{50} of 262 nM. PIM1-IN-1 shows no obvious effect on FLT3 or hERG binding. Antiproliferative and anti-cancer activity[1].

IC_{50} & Target	PIM1	PIM3	PIM2
	7 nM (IC_{50})	70 nM (IC_{50})	5530 nM (IC_{50})

In Vitro

PIM1-IN-1 (Compound 42) exhibits antiproliferative activity, with GI_{50} of 1.48 μM for melanoma cell line SKMEL-19. PIM1-IN-1 has significant synergistic effect combined with different antitumoral agents in different tumor cell lines[1]. PIM1-IN-1 (2.5, 5, or 10 μM, 24 hours) induces apoptosis in SKMEL19 cells[1].

Apoptosis Analysis[1]

Cell Line:	SKMEL19 cells
Concentration:	2.5, 5, or 10 μM
Incubation Time:	24 hours
Result:	Regulated cell cycle, induced cell apopsis in SKMEL19 cells.

In Vivo

PIM1-IN-1 shows cceptable clearance of 1.26 L/h/kg in BALB-C mice[1].

REFERENCES

[1]. Martínez-González S, et al. Discovery of novel triazolo[4,3-b]pyridazin-3-yl-quinoline derivatives as PIM inhibitors. Eur J Med Chem. 2019 Feb 19;168:87-109.

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

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