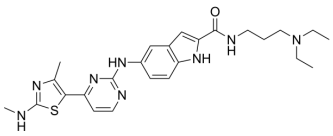
Nur77 antagonist 1

Cat. No.:	HY-155490
CAS No.:	2378780-25-5
Molecular Formula:	$C_{25}H_{32}N_8OS$
Molecular Weight:	492.64
Target:	Nuclear Hormone Receptor 4A/NR4A; Apoptosis
Pathway:	Vitamin D Related/Nuclear Receptor; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Nur77 antagonist 1(Compound ja) is a selective Nur77 antagonist($K_D^{SPR}{}_{Nur77}$ = 91 nM). Nur77 antagonist 1 induces cancer cell apoptosis. ja displays excellent antitumor against triple-negative breast cancer (TNBC) cells[1].
IC_{50} & Target	Nur77/NR4A1
In Vitro	Nur77 antagonist 1(Compound ja), shows selectivity against tumor cells from different tissues, possesses highly selective antiproliferative activity toward all tested TNBC cell lines (IC_{50}: 0.40 ± 0.03, 0.38 ± 0.08, 2.12 ± 0.15 for MDA-MB-231,HCC-1806, and BT549) compared to the human normal breast cell line (IC_{50}: 48.01 ± 2.86 for MCF-10A)[1]. Nur77 antagonist 1 (0-2 μM, 6 h) induces MDA-MB-231-sictr cells apoptosis in a Nur77-dependent manner[1]. Nur77 antagonist 1 (0-5 μM, 6 h) induces Nur77-dependent cell-cycle arrest and apoptosis by mediating the TP53 phosphorylation pathway in MDA-MB-231 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-231 cells
Concentration:	0-5 μM
Incubation Time:	6 h
Result:	Induced extrinsic Nur77 degradation. Induced PARP cleavage in a dose- and time-dependent manner in MDA-MB-231 cells.

Apoptosis Analysis[1]

Cell Line:	MDA-MB-231 cells
Concentration:	0.32-5 μM
Incubation Time:	5 h
Result:	Exhibited the apoptotic cells accounted for 15.10, 25.38, 40.01, 54.83, and 74.62% at 0.32, 0.63, 1.25, 2.5, and 5.0 μM.

In Vivo	Nur77 antagonist 1(Compound ja) (10 mg/kg, i.p) has excellent antitumor efficiency and good in vivo tolerance in the breast

cancer MDA-MB-231 xenograft nude mice model[1].
Nur77 antagonist 1 (1.25-5 μM) has good in vivo safety in zebrafish embryo model[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	the breast cancer MDA-MB-231 xenograft nude mice model[1]
Dosage:	10 mg/kg
Administration:	i.p
Result:	Reduced tumor weight and volume with tumor growth inhibition (TGI) of 99.95%. Increased cleaved caspase 3 and decreased the proliferation marker Ki67 expression in tumor tissues.

REFERENCES

[1]. Qin J, et al. Discovery of 5-(Pyrimidin-2-ylamino)-1H-indole-2-carboxamide Derivatives as Nur77 Modulators with Selective and Potent Activity Against Triple-Negative Breast Cancer. J Med Chem. 2023 Nov 20.

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