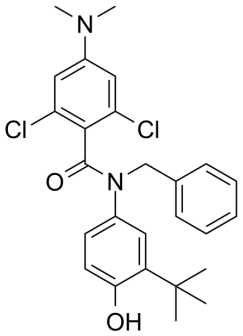
NDB

Cat. No.:	HY-138937
CAS No.:	1660153-08-1
Molecular Formula:	$C_{26}H_{28}Cl_2N_2O_2$
Molecular Weight:	471.42
Target:	FXR
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (212.13 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1213 mL	10.6063 mL	21.2125 mL
	5 mM	0.4243 mL	2.1213 mL	4.2425 mL
	10 mM	0.2121 mL	1.0606 mL	2.1213 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NDB is a selective human FXRα (hFXRα) antagonist that is effective in modulating transcription of FXRα downstream genes. NDB can be used in anti-diabetes research[1].

IC_{50} & Target

Human FXRα (hFXRα)[1]

In Vitro

NDB induces rearrangements of helix 11 (H11) and helix 12 (H12, AF-2) by forming a homodimer of hFXRα-LBD, totally different from the active conformation in monomer state[1].
NDB (25 μM) effectively antagonizes the GW4064-stimulated FXR/RXR interaction and FXRα target gene expression in primary mouse hepatocytes, including the small heterodimer partner (SHP) and bile-salt export pump (BSEP)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

NDB (24 mg/kg; intraperitoneal injection; once a day; for 4 weeks) efficiently decreases the gene expressions of phosphoenolpyruvate carboxykinase (PEPCK), glucose 6-phosphatase (G6-pase), small heterodimer partner, and BSEP in

db/db mice[1].

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

Animal Model:	Male C57BL/6J db/db mice (8 weeks of age)[1]
Dosage:	24 mg/kg
Administration:	Intraperitoneal injection; once a day; for 4 weeks
Result:	Decreased the gene expressions of PEPCK, G6-pase, small heterodimer partner, and BSEP.

REFERENCES

[1]. Xing Xu, et al. Structural Basis for Small Molecule NDB (N-Benzyl-N-(3-(tert-butyl)-4-hydroxyphenyl)-2,6-dichloro-4-(dimethylamino) Benzamide) as a Selective Antagonist of Farnesoid X Receptor α (FXRα) in Stabilizing the Homodimerization of the Receptor.

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