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Data Sheet

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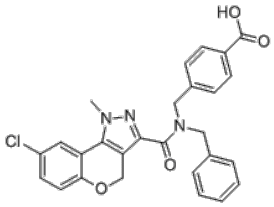
Product Name	:	Nidufexor
Cat. No.	:	PC-61390
CAS No.	:	1773489-72-7
Molecular Formula	:	$C_{27}H_{22}ClN_3O_4$
Molecular Weight	:	487.94
Target	:	Farnesoid X Receptor (FXR)
Solubility	:	10 mM in DMSO



1. Chianelli D, et al. ***J Med Chem.*** 2020 Apr 23;63(8):3868-3880.

Biological Activity

Nidufexor (LMB763, LMB-763) is a potent, selective, non-bile acid partial agonist of **farnesoid X receptor (FXR)** with EC50 of 7 nM in FXR-HTRF biochemical assay measuring the ligand-induced interaction between FXR and the Steroid Receptor Coactivator-1 (SRC1).
Nidufexor (LMB763) displays no activation of other nuclear receptors such as AR, ERα, GR, PPARγ, PR, or PXR using a TR-FRET assay (EC50 > 30 μM) and no activation of RXR, LXR, GR, PPARγ, or ERα using a cell-based agonist assay (EC50 > 10 μM).
Nidufexor (LMB763) exhibits EC50 of 32 nM in cell-based FXR BSEP-luciferase reporter assays.
Nidufexor (LMB763) induces BSEP mRNA levels ~3.7-fold compared to DMSO control, in rat primary hepatocytes, which is 100-fold lower potency than tropifexor.
Nidufexor (LMB763) (1.5 mg/kg, oral gavage, once daily for 14 days) exhibits statistically significant 3.5-fold increase in serum FGF15 protein levels in Han-Wistar rats.
Nidufexor (LMB763) (3, 10, 30 mg/kg) reduces NASH activity scores and limits liver fibrosis in NASH murine model.

References