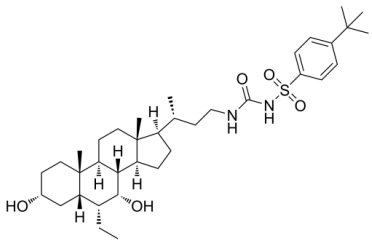
Data Sheet

Product Name:	EDP-305
Cat. No.:	CS-0168636
CAS No.:	1933507-63-1
Molecular Formula:	$C_{36}H_{58}N_2O_5S$
Molecular Weight:	630.92
Target:	Cytochrome P450; FXR; Phosphatase
Pathway:	Metabolic Enzyme/Protease
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

EDP-305 is an orally active, potent and selective **farnesoid X receptor (FXR)** agonist, with **EC_{50}** values of 34 nM (chimeric FXR in CHO cells) and 8 nM (full-length FXR in HEK cells). EDP-305 shows a potent and consistent antifibrotic effect. EDP-305 can be used for primary biliary cholangitis (PBC) and non-alcoholic steatohepatitis (NASH) research[1][2]. IC50 & Target:IC50: 8 ± 3 nM (Full-length FXR in HEK cells), 34 ± 8 nM (Chimeric FXR in CHO cells), >15000 nM (TGR5 in CHO cells)[2] **In Vitro:** EDP‐305 (10 μM, 72 h) directly activates FXR in liver hepatoctyes but not stellate cells[1].
EDP-305 (0-5 μM, 16 h) increases the expression of the FXR target gene, SHP, and downregulates CYP7A1 expression in HepaRG hepatocytes[2]. **In Vivo:** EDP‐305 (0-30 mg/kg, Oral gavage, daily for 2 weeks) reduces serum markers of liver injury, and reduces liver fibrosis in a dose-dependent manner in BDL rats[1].
EDP‐305 (0-30 mg/kg, Oral gavage, daily for 6 weeks) reduces liver fibrosis in a dose-dependent manner in CDAHFD mice[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: EDP‐305 is dissolved in serum‐free Dulbecco's modified Eagle's medium

References:

[1]. Erstad DJ, et al. Molecular magnetic resonance imaging accurately measures the antifibrotic effect of EDP-305, a novel farnesoid X receptor agonist. Hepatol Commun. 2018 May 21;2(7):821-835.

[2]. Chau M, et al. Characterization of EDP-305, a Highly Potent and Selective Farnesoid X Receptor Agonist, for the Treatment of Non-alcoholic Steatohepatitis[J]. International Journal of Gastroenterology, 2019(1).

CAIndexNames:

Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[[[(3α,5β,6α,7α)-6-ethyl-3,7-dihydroxy-24-norcholan-23-yl]amino]carbonyl]-

SMILES:

O[C@H]1[C@]2([H])[C@@](CC[C@]3([C@@]2([H])CC[C@]3([H])[C@H](C)CCNC(NS(=O)(C4=CC=C(C=C4)C(C)(C)C)=O)=O)C)([H])[C@@]5([C@](C[C@@H](CC5)O)([H])[C@H]1CC)C

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

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