Product Datasheet

Tropifexor (orb1226396)

Catalog Number	orb1226396
Category	Small Molecules
Description	Tropifexor (LJN-452, LJN452) is a novel highly potent, selective, orally acitive FXR full agonist with EC50 of 0.26 nM; shows no significant off-target activity (>10,000-fold selectivity for FXR) in a panel of targets, including TGR5 (>10 uM); demonstratesin vivo activity in rodent PD models, and shows potential for treatment of cholestatic liver diseases and NASH. Steatohepatitis,Phase 2 Clinical(In Vitro):Tropifexor (compound 1) is a novel and highly potent agonist of FXR with an EC50 of 0.2 nM. Robust induction of both BSEP and SHP genes is observed in primary cells by Tropifexor in a concentration-dependent manner.BSEP induction above vehicle (DMSO) control is observed at concentrations as low as 1 nM, while strong induction of SHP (15-fold above vehicle) is observed at 10 nM and modest induction of SHP at 1 nM (3-fold).\n(In Vivo):Tropifexor (compound 1) demonstrates highly potent induction of SHP and FGF15 in the ileum as doses as low as 0.1 mg/kg. In the liver, robust induction of SHP is observed at 0.01 mg/kg of Tropifexor with maximal levels of gene induction achieved at 0.3 mg/kg. Expression of CYP8B1 mRNA following 14 day treatment with Tropifexor is already apparent at the lowest dose (0.003 mg/kg), and CYP8B1 gene expression is fully repressed at doses above 0.03 mg/kg.Treatment of rats with Tropifexor exhibits a clear dose-dependent increase in plasma FGF15 protein, with maximal levels of FGF15 detected at 7 h postdose.Treatment with Tropifexor for 14 days produces a robust dose-dependent reduction in serum triglycerides and reaches a maximal response with a 0.3 mg/kg dose, resulting in a decrease of triglyceride levels to approximately 79% below the vehicle control group.
Target	FXR
Purity	>98% (HPLC)
MW	603.589
Target Areas	FXR

Biorbyt Ltd.
7 Signet Court, Swann Road
Cambridge
CB5 8LA
United Kingdom
Email: info@biorbyt.com, support@biorbyt.com
Phone: +44 (0)1223 859353 | Fax: +1 (415) 651-8558

Biorbyt LLC
68 TW Alexander Drive
Research Triangle Park
Durham
NC 27713
United States
Email: info@biorbyt.com, support@biorbyt.com
Phone: +1 (415) 906-5211 | Fax: +1 (415) 651-8558

Solubility (25°C)	10 mM in DMSO
CAS Number	1383816-29-2
Formula	$C_{29}H_{25}F_4N_3O_5S$
SMILES	O=C(C1=CC(F)=C2N=C(N3[C@@]4([H])C[C@H](OCC5=C(C6CC6)ON=C5C7=CC=CC=C7OC(F)(F)F)C[C@]3([H])CC4)SC2=C1)O
Chemical Name	2-[(1R,3r,5S)-3-({5-cyclopropyl-3-[2-(trifluoromethoxy)phenyl]-1,2-oxazol-4-yl}methoxy)-8-azabicyclo[3.2.1]octan-8-yl]-4-fluoro-1,3-benzothiazole-6-carboxylic acid
Storage	Storage temperature: -20°C. Stability: ≥ 2 years
Note	For research use only
Expiration Date	12 months from date of receipt.

Biorbyt Ltd.
7 Signet Court, Swann Road
Cambridge
CB5 8LA
United Kingdom
Email: info@biorbyt.com, support@biorbyt.com
Phone: +44 (0)1223 859353 | Fax: +1 (415) 651-8558

Biorbyt LLC
68 TW Alexander Drive
Research Triangle Park
Durham
NC 27713
United States
Email: info@biorbyt.com, support@biorbyt.com
Phone: +1 (415) 906-5211 | Fax: +1 (415) 651-8558