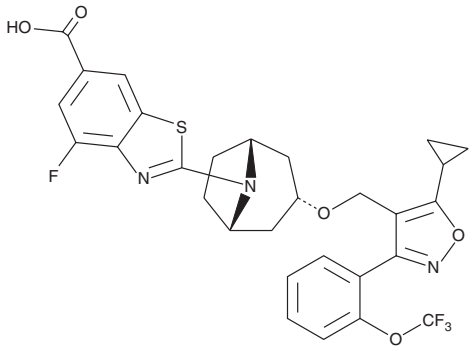
PRODUCT INFORMATION

Tropifexor

Item No. 25748

CAS Registry No.: 1383816-29-2
Formal Name: 2-[(3-*endo*)-3-[[5-cyclopropyl-3-[2-(trifluoromethoxy)phenyl]-4-isoxazolyl]methoxy]-8-azabicyclo[3.2.1]oct-8-yl]-4-fluoro-6-benzothiazolecarboxylic acid
Synonym: LJN452
MF: $C_{29}H_{25}F_4N_3O_5S$
FW: 603.6
Purity: ≥98%
UV/Vis.: λ_{max}: 313 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Tropifexor is supplied as a crystalline solid. A stock solution may be made by dissolving the tropifexor in the solvent of choice, which should be purged with an inert gas. Tropifexor is soluble in the organic solvent DMSO at a concentration of approximately 10 mg/ml.

Description

Tropifexor is an agonist of farnesoid X receptors (FXR).[1] It enhances the interaction between the human FXR ligand binding domain and the steroid receptor coactivator 1 (SRC-1) peptide in a homogeneous time-resolved fluorescence (HTRF) coactivator interaction assay (EC_{50} = 0.2 nM). It increases expression of the FXR target genes *BSEP* and *SHP* and decreases expression of *CPY8B1* in rat liver in a dose-dependent manner, as well as increases expression of *SHP* and *FGF15* in rat ileum. Tropifexor (0.3 mg/kg) reduces triglyceride levels by 79% in rat serum. It reduces fibrosis, inflammation, and steatosis in a mouse model of nonalcoholic steatohepatitis (NASH).[2]

References

1. Tully, D.C., Rucker, P.V., Chianelli, D., *et al.* Discovery of tropifexor (LJN452), a highly potent non-bile acid FXR agonist for the treatment of cholestatic liver diseases and nonalcoholic steatohepatitis (NASH). *J. Med. Chem.* **60(24)**, 9960-9973 (2017).
2. Laffitte, B., Hernandez, E., Kim, Y., *et al.* THU-468 - LJN452 (tropifexor) attenuates steatohepatitis, inflammation, and fibrosis in dietary mouse models of nonalcoholic steatohepatitis. *J. Hepatol.* **68(Suppl 1)**, S341-S342 (2018).

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