

Catalog Number: CM06120

产品信息

Catalog Number:
CM06120

CAS号:
923978-27-2

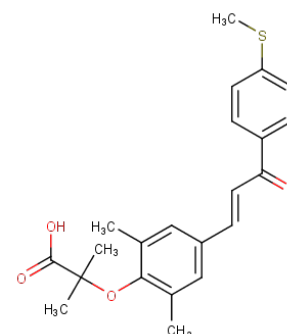
分子式:
C₂₂H₂₄O₄S

主要靶点:
PPAR

主要通路:
DNA损伤和修复|代谢

分子量:
384.49

溶解度:
DMSO:33 mg/mL



靶点活性

PPAR- δ :175 nM (EC₅₀)|PPAR- α :45 nM (EC₅₀)

体外活性

GFT505 is being developed as a dual PPAR- α /PPAR- δ agonist for the treatment of T2DM and non-alcoholic fatty liver disease. GFT505 has an active metabolite, GFT1007, and both have potent agonist activity for PPAR- α and to a lesser extent for PPAR- δ .

体内活性

GFT505 improves insulin sensitivity and early studies indicate it may be useful in non-alcoholic fatty liver disease which is being tested in a Phase IIb study. Elafibranor is well tolerated and does not cause weight gain or cardiac events, but does produce a mild, reversible increase in serum creatinine. Elafibranor improves insulin sensitivity, glucose homeostasis, and lipid metabolism and reduces inflammation. GFT505 treatment improves glucose control and plasma lipids in diabetic db/db mice. A significant dose-dependent reduction of hepatic expression of the key gluconeogenic enzymes glucose 6-phosphatase (G6Pase), PEPCK, and fructose 1,6-bisphosphatase 1 (FBP1) is observed with GFT505. GFT505 does not induce cardiac adverse effects of PPAR γ -activating agonists in monkeys.

描述

Elafibranor is an agonist of the peroxisome proliferator-activated receptor- α (PPAR- α) and peroxisome proliferator-activated receptor- δ (PPAR- δ) with EC₅₀ values of 45 and 175 nM, respectively.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years