Bioactive Molecules, Building Blocks, Intermediates

Data Sheet

Product Name: Elafibranor
Cat. No.: CS-5522
CAS No.: 923978-27-2
Molecular Formula: C_{22}H_{24}O_{4}S
Molecular Weight: 384.49
Target: PPAR
Pathway: Cell Cycle/DNA Damage
Solubility: DMSO : ≥ 33 mg/mL (85.83 mM); H_{2}O : < 0.1 mg/mL (insoluble)

BIOLOGICAL ACTIVITY:

Elafibranor is a PPARα/δ agonist with EC_{50}s of 45 and 175 nM, respectively.

IC_{50} & Target: EC_{50}: 45 nM (PPAR-α), 175 nM (PPAR-δ)[1]

In Vitro: 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[1].

In Vivo: 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[1]. 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[2]. 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 PPARγ-activating agonists in monkeys[3].

References:


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