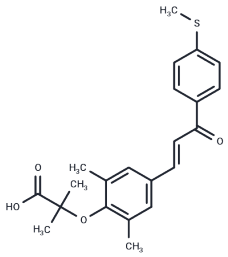
# Data Sheet (Cat.No.T4408)

TargetMol

## Elafibranor

### Chemical Properties



CAS No. : 923978-27-2

Formula: C22H24O4S

Molecular Weight: 384.49

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

### Biological Description

| | |
|---|---|
| Description | Elafibranor (GFT505) 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. |
| Targets(IC50) | PPAR |
| In vitro | GFT505, under development as a dual PPAR-α/δ agonist, targets both Type 2 Diabetes Mellitus (T2DM) and non-alcoholic fatty liver disease. It, alongside its active metabolite GFT1007, exhibits strong agonistic activity for PPAR-α and, to a lesser extent, PPAR-δ. |
| 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. 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 PPARγ-activating agonists in monkeys |

### Solubility Information

| | |
|---|---|
| Solubility | DMSO: 125 mg/mL (325.11 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.2 mM),Sonication is recommended.<br>*Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.* |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.6008 mL | 13.0042 mL | 26.0085 mL |
| 5 mM | 0.5202 mL | 2.6008 mL | 5.2017 mL |
| 10 mM | 0.2601 mL | 1.3004 mL | 2.6008 mL |
| 50 mM | 0.052 mL | 0.2601 mL | 0.5202 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Liu ZM,etal.Early investigational drugs targeting PPAR-α for the treatment of metabolic disease.Expert Opin Investig Drugs. 2015 May;24(5):611-21.

Ratziu V,etal.Elafibranor, an Agonist of the Peroxisome Proliferator-Activated Receptor-α and -δ, Induces Resolution of Nonalcoholic Steatohepatitis Without Fibrosis Worsening. Gastroenterology. 2016 May;150(5):1147-1159.

Hanf R,etal.The dual peroxisome proliferator-activated receptor alpha/delta agonist GFT505 exerts anti-diabetic effects in db/db mice without peroxisome proliferator-activated receptor gamma-associated adverse cardiac effects.Diab Vasc Dis Res. 2014 Nov;11(6):440-7.