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产品名称：Elafibranor
产品别名： GFT505

生物活性：

Description	Elafibranor is a PPARα/δ agonist with EC50s of 45 and 175 nM, respectively.	
IC_{50} & Target	PPAR-α	PPAR-δ
	45 nM (EC_{50})	175 nM (EC_{50})
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].	

Solvent&Solubility

In Vitro:

DMSO : ≥ 33 mg/mL (85.83 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.17 mg/mL (5.64 mM); Clear solution

此方案可获得 ≥ 2.17 mg/mL (5.64 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 21.7 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；

	向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2 请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.17 mg/mL (5.64 mM); Clear solution 此方案可获得 ≥ 2.17 mg/mL (5.64 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 21.7 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Liu ZM, et al. Early investigational drugs targeting PPAR-α for the treatment of metabolic disease.Expert Opin Investig Drugs. 2015 May;24(5):611-21. [2]. Ratziu V, et al. 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. [3]. Hanf R, et al. 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 No