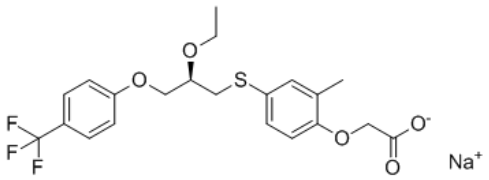
Product Data Sheet

Product Name: Seladelpar sodium salt
Cat. No.: GC37622

Chemical Properties

Cas. No.	3026272-26-1		
SMILES	O=C([O-])COC1=CC=C(SC[C@H](OCC)COC2=CC=C(C(F)(F)F)C=C2)C=C1C.[Na+]		
Formula	$C_{21}H_{22}F_3NaO_5S$	M.Wt	466.45
Solubility	DMSO: 50 mg/mL (107.19 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Protocol

Cell experiment: Human PPARδ, PPARα and PPARγ activity is monitored in transiently transfected cells treated with increasing concentrations of MBX-8025 (0.1 nM, 1 nM, 10 nM, 100, nM, 1000 nM) in comparison with reference compounds (0.1 nM-1 μM) for individual subtypes. The PPAR subtype selectivity of MBX-8025 is evaluated in a cell-based GAL4 reporter assay system[3].

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Animal experiment: Mouse: From weaning (week 4), Alms1 mutant (foz/foz) NOD.B10 mice or Wt littermates (female mice in both groups) are fed an atherogenic diet (23% fat, 0.2% cholesterol and 45% simple carbohydrate; 4.78 kcal/g digestible energy) ad libitum for 16 weeks, after which groups are randomized (n=8 to 12 mice/group) to once-a-day oral administration (by gavage) for 8 weeks of Seladelpar sodium salt (10 mg/kg in 1% methylcellulose) or vehicle (controls). Animals are housed under 12-hour light/dark cycle and constant temperature of 22°C and receive maximal humane care[4].

References:

[1]. Sahebkar A, et al. New peroxisome proliferator-activated receptor agonists: potential treatments for atherogenic dyslipidemia and non-alcoholic fatty liver disease. Expert Opin Pharmacother. 2014 Mar;15(4):493-503.
[2]. Bays HE, et al. MBX-8025, a novel peroxisome proliferator receptor-delta agonist: lipid and other metabolic effects in dyslipidemic overweight patients treated with and without atorvastatin. J Clin Endocrinol Metab. 2011 Sep;96(9):2889-97.
[3]. Choi YJ, et al. Effects of the PPAR-δ agonist MBX-8025 on atherogenic dyslipidemia. Atherosclerosis. 2012 Feb;220(2):470-6.
[4]. Haczeyni F, et al. The selective peroxisome proliferator-activated receptor-delta agonist seladelpar reverses nonalcoholic steatohepatitis pathology by abrogating lipotoxicity in diabetic obese mice. Hepatol Commun. 2017 Jul 31;1(7):663-674.

Background

Seladelpar sodium salt (MBX-8025) is an orally active, potent and specific PPARδ agonist with an EC50 of 2 nM, showing more than 750-fold and 2500-fold selectivity over the PPARα and PPARγ receptors, respectively. PPAR-δ|2 nM (EC50)

MBX-8025 is an orally active, potent (EC50=2 nM), and specific (750-fold and 2500-fold compared with PPARα or PPARγ receptors, respectively) PPARδ agonist being developed as a lipid-altering agent[2][3].

In atherogenic diet-fed Wt mice, administration of Seladelpar sodium salt reduces body weight by

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~18% (Pfoz/foz mice. Seladelpar sodium salt lowers serum alanine aminotransferase (ALT) levels in foz/foz mice (PWt mice. Seladelpar sodium salt normalizes serum cholesterol and decreases triglycerides in both genotypes (Pfoz/foz mice ($P<0.05$)[4].

[1]. Sahebkar A, et al. New peroxisome proliferator-activated receptor agonists: potential treatments for atherogenic dyslipidemia and non-alcoholic fatty liver disease. Expert Opin Pharmacother. 2014 Mar;15(4):493-503. [2]. Bays HE, et al. MBX-8025, a novel peroxisome proliferator receptor-delta agonist: lipid and other metabolic effects in dyslipidemic overweight patients treated with and without atorvastatin. J Clin Endocrinol Metab. 2011 Sep;96(9):2889-97. [3]. Choi YJ, et al. Effects of the PPAR-δ agonist MBX-8025 on atherogenic dyslipidemia. Atherosclerosis. 2012 Feb;220(2):470-6. [4]. Haczeyni F, et al. The selective peroxisome proliferator-activated receptor-delta agonist seladelpar reverses nonalcoholic steatohepatitis pathology by abrogating lipotoxicity in diabetic obese mice. Hepatol Commun. 2017 Jul 31;1(7):663-674.

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