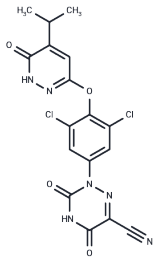
Data Sheet (Cat.No.T3595)

TargetMol

Resmetirom

Chemical Properties



CAS No. : 920509-32-6

Formula: C17H12Cl2N6O4

Molecular Weight: 435.22

Storage: Store at low temperature,Store under nitrogen
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	Resmetirom (MGL-3196) is a THR-β agonist (EC50=0.21 μM), with high selectivity and oral activity. Resmetirom can be used in the research of non-cirrhotic and non-alcoholic steatohepatitis.
Targets(IC50)	Thyroid hormone receptor(THR)
In vitro	**METHODS**: CYP3A4/5, CYP2C19 and CYP2C9 were treated with Resmetirom to detect the inhibition of cell growth. **RESULTS**: The IC50 of ResmetiromCYP3A4/5 and CYP2C19 were both greater than 50 μM, with no growth inhibitory effect. The inhibitory effect on CYP2C9 was relatively weak, and the IC50 was approximately 22 μM. [1]
In vivo	**METHODS**: To study the effect of Resmetirom on the liver, the suspension of MGL-3196 (0.3, 1, 3, 10 mg/kg) was administered by gavage to DIO mice for 23 consecutive days. **RESULTS**: Resmetirom demonstrated good exposure and reasonable oral bioavailability in rats. Both the distribution volume and the clearance rate are relatively low. For the MGL-3196 suspension orally administered to DIO mice, an increased exposure in the dose ratio was observed [1]. Among the animals treated with McL-3196, both cholesterol and liver size decreased, which was mainly due to the reduction of triglycerides in the liver. Among the animals treated with McL-3196, there was no effect on bone mineral density (BMD), heart or kidney size [1]. **METHODS**: To study the improvement of liver pathological characteristics by Resmetirom, mouse models of non-alcoholic steatohepatitis (NASH) were treated with Resmetirom(3 mg/kg, 5 mg/kg). **RESULTS**: In the NASH mouse model, Resmetirom was able to significantly improve the pathological characteristics of the liver. Through the oil red O staining experiment, it was found that Resmetirom could effectively reduce liver fat accumulation, and the effect was more obvious in the high-dose group (5 mg/kg). In addition, Resmetirom can also improve liver fibrosis and inflammation caused by NASH by restoring the expression of RGS5 and inhibiting the activation of STAT3 and NF-κB signaling pathways. [2]

Solubility Information

Solubility	DMSO: 101 mg/mL (232.07 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (7.58 mM),Sonication is recommended. *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	2.2977 mL	11.4884 mL	22.9769 mL
5 mM	0.4595 mL	2.2977 mL	4.5954 mL
10 mM	0.2298 mL	1.1488 mL	2.2977 mL
50 mM	0.046 mL	0.2298 mL	0.4595 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

Kelly, M., Pietranico-Cole, S., Larigan, J., Haynes, N., Reynolds, C., & Scott, N. et al. (2014). Discovery of 2-[3,5-Dichloro-4-(5-isopropyl-6-oxo-1,6-dihydropyridazin-3-yloxy)phenyl]-3,5-dioxo-2,3,4,5-tetrahydro[1,2,4]triazine-6-carbonitrile (MGL-3196), a Highly Selective Thyroid Hormone Receptor β Agonist in Clinical Trials for the Treatment of Dyslipidemia. Journal Of Medicinal Chemistry, 57(10), 3912-3923.

Wang X, et al. Resmetirom Ameliorates NASH-Model Mice by Suppressing STAT3 and NF-κB Signaling Pathways in an RGS5-Dependent Manner. Int J Mol Sci. 2023 Mar 19;24(6):5843.