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产品名称: **MGL-3196**

产品别名: **Resmetirom; VIA-3196**

生物活性:

Description	Resmetirom (MGL-3196) is a highly selective thyroid hormone receptor β (THR- β) agonist with an EC50 value of 0.21 μ M.					
IC50 & Target	EC50: 0.21 μ M (THR- β)[1]					
In Vitro	Resmetirom (MGL-3196) is 28-fold selective for THR- β (EC50=0.21 μ M) over THR- α (EC50=3.74 μ M) in a functional assay. Resmetirom (MGL-3196) shows an IC20 of roughly 30 μ M for blockage of the hERG channel. The IC50 for CYP3A4/5 and for CYP2C19 is >50 μ M, and there is only weak inhibition (roughly 22 μ M) of CYP2C9[1].					
In Vivo	Resmetirom (MGL-3196) exhibits good exposures and reasonable oral bioavailability in rats. The volume of distribution and clearance are both low. Dose proportional increases in exposure are observed for a suspension of Resmetirom (MGL-3196) given orally to DIO mice[1].In animals treated with Resmetirom (MGL-3196) there is a reduction in cholesterol and in liver size, which is secondary to reduction of liver TG. There is no effect on bone mineral density (BMD) or heart or kidney size in Resmetirom (MGL-3196) treated animals[1].					
Solvent&Solubility	In Vitro: DMSO : \geq 31 mg/mL (71.23 mM) H2O : < 0.1 mg/mL (insoluble) * " \geq " means soluble, but saturation unknown.					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		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
	*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。					
References	[1]. Kelly MJ, et al. 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. J Med Chem. 2014 May 22;57(10):3912-23.					
实验参考:						
	Rats[1] Resmetirom (MGL-3196), compounds 54 and 55 are formulated in 4% DMSO, 15% PEG-400, and 81% of 30% HPBCD in phosphate buffer and are administered intraperitoneally. For MGL-3196 and 54, 4 rats per group are tested at 5, 20, and 37.5 mg/kg. For 55, 3 rats per group are tested at 5 and 15 mg/kg and 4 rats are tested at 50 mg/kg[1].					



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Animal Administration	<p>Mice[1]</p> <p>Six week old C57Bl/6J mice are placed on a high fat diet for 34 weeks. At day 0, 9 mice per group are treated daily doses by gavage with vehicle (2% Klucel LF, 0.1% Tween 80 in water) or 0.3, 1, 3, or 10 mg/kg Resmetirom (MGL-3196) for 23 days. In a parallel study, at day 0, 9 mice per group are treated with daily doses of vehicle (Dulbecco's phosphate buffered saline, pH adjusted to 9.0 with 1 N NaOH) or 10, 30, or 100 µg/kg T3[1].</p>
References	<p>[1]. Kelly MJ, et al. 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. J Med Chem. 2014 May 22;57(10):3912-23.</p>



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