



上海源叶生物科技有限公司
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产品名称: **AR231453**
CAS 号: **733750-99-7**
产品货号: **S86357**

生物活性:

Description	AR 231453 是有效的、特异性的、具有口服活性的 GPR119 激动剂。AR 231453 可刺激细胞增殖，改善胰岛 β 细胞的功能。				
Cellular Effect	Cell Line	Type	Value	Description	
	CHO	EC ₅₀	0.003 mM	Agonist activity at human GPR119 overexpressed in CHO cells assessed as stimulation of cAMP production by HTRF assay	
	HEK293	EC ₅₀	0.7 μM	Agonist activity at CREB-LBD and GAL4-DBD fused human GPR119 expressed in HEK293 cells by luciferase reporter gene assay	
	HEK293	EC ₅₀	4.78 nM	Agonist activity at recombinant human GPR119 expressed in HEK293 cells after 24 hrs by steady-glo luciferase assay	
In Vitro	AR 231453 is inactive at all other GPCRs tested (more than 230, including all known pancreatic islet receptors), indicating that it is highly selective for GPR119[1].				
	AR 231453 potently stimulates cAMP accumulation (EC50 = 4.7 nM) with a maximal efficacy similar to that seen with forskolin. AR 231453 significantly enhancesinsulin release in HIT-T15 cells, with an EC50 of 3.5 nM[1].				
In Vivo	AR 231453 also stimulates insulin release in isolated mouse islets at glucose concentrations ranging from 8-17 mM[1]。				
	AR231453 (20 mg/kg, orally) markedly improves oral glucose tolerance in a dose-dependent fashion, with efficacy similar to maximally effective doses of the sulfonylurea glyburide[1].				
	Animal Model:	Mice[1].			
	Dosage:	20 mg/kg.			
Solvent&Solubility	Administration:	Orally, once.			
	Result:	Improved glucose tolerance in mice.			
Solvent&Solubility	细胞实验:				
	DMSO 中的溶解度 : 25 mg/mL (49.45 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	1.9782 mL	9.8908 mL	19.7816 mL
		5 mM	0.3956 mL	1.9782 mL	3.9563 mL
10 mM		0.1978 mL	0.9891 mL	1.9782 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, 2 years; -20°C, 1 year。-80°C 储存时, 请在 2 年内使用, -20°C 储存时, 请在 1 年内使用。					
参考文献	[1]. Chu ZL, et al. A role for beta-cell-expressed G protein-coupled receptor 119 in glycemic control by enhancing glucose-dependent insulin release. Endocrinology. 2007 Jun;148(6):2601-9.				
	[2]. J Gao, et al. Stimulating β -cell replication and improving islet graft function by AR231453, A GPR119				



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agonist. Transplant Proc. 2011 Nov;43(9):3217-20.

完整储备液配制表:

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℃, 2 years; -20℃, 1 year。-80℃ 储存时，请在 2 年内使用， -20℃ 储存时，请在 1 年内使用。

可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	1 mM	1.9782 mL	9.8908 mL	19.7816 mL	49.4540 mL
	5 mM	0.3956 mL	1.9782 mL	3.9563 mL	9.8908 mL
	10 mM	0.1978 mL	0.9891 mL	1.9782 mL	4.9454 mL
	15 mM	0.1319 mL	0.6594 mL	1.3188 mL	3.2969 mL
	20 mM	0.0989 mL	0.4945 mL	0.9891 mL	2.4727 mL
	25 mM	0.0791 mL	0.3956 mL	0.7913 mL	1.9782 mL
	30 mM	0.0659 mL	0.3297 mL	0.6594 mL	1.6485 mL
	40 mM	0.0495 mL	0.2473 mL	0.4945 mL	1.2364 mL

源叶