



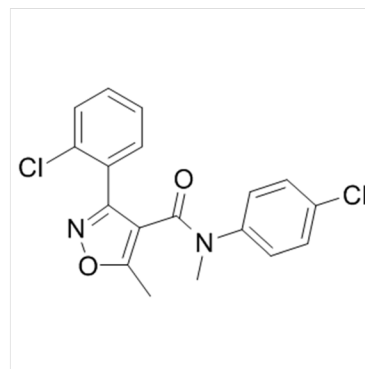
TGR5 (GPCR19激动剂)

产品编号	产品名称	包装
SD2384-10mM	TGR5 (GPCR19激动剂)	10mM×0.2ml
SD2384-5mg	TGR5 (GPCR19激动剂)	5mg
SD2384-25mg	TGR5 (GPCR19激动剂)	25mg

产品简介:

➤ 化学信息:

化学名	3-(2-chlorophenyl)-N-(4-chlorophenyl)-N,5-dimethyl-1,2-oxazole-4-carboxamide
简称	TGR5
别名	TGR5 Receptor Agonist, CCDC, AOB2659, BDBM50414954, ZINC43208218
中文名	N/A
化学式	C ₁₈ H ₁₄ Cl ₂ N ₂ O ₂
分子量	361.22
CAS号	1197300-24-5
纯度	98%
溶剂/溶解度	Water <1mg/ml; DMSO 40mg/ml; Ethanol 40mg/ml
溶液配制	5mg加入1.38ml DMSO, 或每3.61mg加入1ml DMSO, 配制成10mM溶液。SD2384-10mM用DMSO配制。



➤ 生物信息:

产品描述	TGR5 Receptor Agonist, a potent TGR5(GPCR19) agonist, showed improved potency in the U2-OS cell assay (pEC ₅₀ =6.8) and in melanophore cells (pEC ₅₀ =7.5).			
信号通路	GPCR & G Protein			
靶点	TGR5	—	—	—
IC ₅₀	—	—	—	—
体外研究	<p>TGR5 Receptor Agonist was profiled against more than 100 in-house and external 7TM, ion channel, enzyme, transporter, and nuclear hormone receptor selectivity assays, including FXR, another bile acid receptor, and showed significant response only in secretion of the pro-inflammatory cytokine TNFalpha (pIC₅₀=6.8) in human primary monocytes following stimulation with LPS (lipopolysaccharide). In addition, TGR5 Receptor Agonist has good physicochemical properties and no measurable activity against three of the common cytochrome P450 (CYP450) isoforms (1A2, 2C9, and 2D6) or hERG dofetilide binding (pIC₅₀<4.3).</p> <p>In rat pharmacokinetic (PK) studies, however, TGR5 Receptor Agonist showed high in vivo clearance (Cl=85ml/min/kg) and intrinsic clearance (Cl_{int}=48ml/min/g) which provided a reasonable explanation for the observed poor exposure. Because the TGR5 receptor is expressed in the GI tract at levels that increase corresponding with L-cell population density, we believe that agonists such as 6 and 7 possessing poor systemic exposure are good tool compounds for directly targeting the TGR5 receptor in the GI tract via local administration (vide infra) rather than systemic exposure. Our hypothesis was that for this receptor, systemic exposure was not necessary to achieve the desired effect of stimulating GLP-1 secretion in vivo.</p>			
体内研究	N/A			
临床实验	N/A			
特征	N/A			

➤ 相关实验数据(此数据来自于公开文献, 碧云天并不保证其有效性):

酶活性检测实验	
方法	N/A
细胞实验	

细胞系	N/A
浓度	N/A
处理时间	N/A
方法	N/A

动物实验	
动物模型	N/A
配制	N/A
剂量	N/A
给药方式	N/A

➤ **参考文献:**

1.Evans KA, et al. J Med Chem. 2009 Dec 24, 52(24), 7962-5.

包装清单:

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SD2384-10mM	TGR5 (GPCR19激动剂)	10mM×0.2ml
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SD2384-25mg	TGR5 (GPCR19激动剂)	25mg
—	说明书	1份

保存条件:

-20°C保存，至少一年有效。5mg和25mg包装也可以室温保存，至少6个月有效。如果溶于非DMSO溶剂，建议分装后-80°C保存，预计6个月有效。

注意事项:

- 本产品仅限于专业人员的科学研究用，不得用于临床诊断或治疗，不得用于食品或药品，不得存放于普通住宅内。
- 为了您的安全和健康，请穿实验服并戴一次性手套操作。

使用说明:

1. 收到产品后请立即按照说明书推荐的条件保存。使用前可以在2,000-10,000g离心数秒，以使液体或粉末充分沉淀至管底后再开盖使用。
2. 对于10mM溶液，可直接稀释使用。对于固体，请根据本产品的溶解性及实验目的选择相应溶剂配制高浓度的储备液(母液)后使用。
3. 具体的最佳工作浓度请参考本说明书中的体外、体内研究结果或其他相关文献，或者根据实验目的，以及所培养的特定细胞和组织，通过实验进行摸索和优化。
4. 不同实验动物依据体表面积的等效剂量转换表请参考如下网页：

<http://www.beyotime.com/support/animal-dose.htm>

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