



上海源叶生物科技有限公司
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产品名称: **VU0238441**

CAS 号: **85511-68-8**

产品货号: **S89245**

生物活性:

Description	VU0238441 是泛毒蕈碱型乙酰胆碱受体 (mAChR) 阳性变构调节剂 (PAM), 对 M1, M2, M3, M5 和 M4 的 EC ₅₀ 分别为 3.2 μM, 2.8 μM, 2.2 μM, 2.1 μM 和 >10 μM。			
IC₅₀ & Target	EC ₅₀ : 3.2 μM (M1), 2.8 μM (M2), 2.2 μM (M3), 2.1 μM (M5) and >10 μM (M4)[1]			
Cellular Effect	Cell Line	Type	Value	Description
	CHO	EC ₅₀	2.1 μM	Agonist activity at human muscarinic M5 expressed in CHO cells assessed as stimulation of calcium mobilization
	CHO	EC ₅₀	2.11 μM	Activation of human muscarinic M5 receptor expressed in CHO cells coexpressing Gq protein assessed as potentiation of acetylcholine-induced intracellular Ca ²⁺ mobilization
	CHO	EC ₅₀	2.2 μM	Agonist activity at human muscarinic M3 expressed in CHO cells assessed as stimulation of calcium mobilization
	CHO	EC ₅₀	2.22 μM	Activation of human muscarinic M3 receptor expressed in CHO cells coexpressing Gq protein assessed as potentiation of acetylcholine-induced intracellular Ca ²⁺ mobilization
	CHO	EC ₅₀	2.8 μM	Agonist activity at rat muscarinic M2 expressed in CHO cells assessed as stimulation of calcium mobilization
	CHO	EC ₅₀	2.84 μM	Activation of rat muscarinic M2 receptor expressed in CHO cells co-expressing chimeric Gqi5 protein assessed as potentiation of acetylcholine-induced intracellular Ca ²⁺ mobilization
	CHO	EC ₅₀	3.2 μM	Agonist activity at rat muscarinic M1 expressed in CHO cells assessed as stimulation of calcium mobilization
	CHO	EC ₅₀	3.2 μM	Activation of rat muscarinic M1 receptor expressed in CHO cells co-expressing Gq protein assessed as potentiation of acetylcholine-induced intracellular Ca ²⁺ mobilization
	CHO	EC ₅₀	> 10 μM	Agonist activity at rat muscarinic M4 expressed in CHO cells assessed as stimulation of calcium mobilization
	CHO	EC ₅₀	> 10 μM	Activation of rat muscarinic M4 receptor expressed in CHO cells coexpressing chimeric Gqi5 protein assessed as potentiation of acetylcholine-induced intracellular Ca ²⁺ mobilization
In Vitro	VU0238441 is an analogue of VU0119498[2].			
Solvent&Solubility	细胞实验: DMSO 中的溶解度 : 125 mg/mL (367.97 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 mM	2.9438 mL	14.7189 mL
		5 mM	0.5888 mL	2.9438 mL
				5.8875 mL



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	10 mM	0.2944 mL	1.4719 mL	2.9438 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。			
参考文献	[1]. Thomas M Bridges, et al. Chemical lead optimization of a pan G(q) mAChR M(1), M(3), M(5) positive allosteric modulator (PAM) lead. Part I: Development of the first highly selective M(5) PAM. Bioorg Med Chem Lett. 2010 Jan 15;20(2):558-62. [2]. Thomas M Bridges, et al. Discovery of the first highly M5-preferring muscarinic acetylcholine receptor ligand, an M5 positive allosteric modulator derived from a series of 5-trifluoromethoxy N-benzyl isatins. J Med Chem. 2009 Jun 11;52(11):3445-8.			

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° 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。

可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	1 mM	2.9438 mL	14.7189 mL	29.4377 mL	73.5943 mL
	5 mM	0.5888 mL	2.9438 mL	5.8875 mL	14.7189 mL
	10 mM	0.2944 mL	1.4719 mL	2.9438 mL	7.3594 mL
	15 mM	0.1963 mL	0.9813 mL	1.9625 mL	4.9063 mL
	20 mM	0.1472 mL	0.7359 mL	1.4719 mL	3.6797 mL
	25 mM	0.1178 mL	0.5888 mL	1.1775 mL	2.9438 mL
	30 mM	0.0981 mL	0.4906 mL	0.9813 mL	2.4531 mL
	40 mM	0.0736 mL	0.3680 mL	0.7359 mL	1.8399 mL
	50 mM	0.0589 mL	0.2944 mL	0.5888 mL	1.4719 mL
	60 mM	0.0491 mL	0.2453 mL	0.4906 mL	1.2266 mL
	80 mM	0.0368 mL	0.1840 mL	0.3680 mL	0.9199 mL
	100 mM	0.0294 mL	0.1472 mL	0.2944 mL	0.7359 mL