



上海源叶生物科技有限公司
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产品名称: **ML224**

产品别名: **NCGC00242364; ANTAG3**

生物活性:

Description	ML224(NCGC00242364; ANTAG3) is a selective TSHR inverse agonist; inhibits TSH-stimulated cAMP production with an IC50 = 2.3 μM. IC50 value: 2.3 uM [1] Target: TSHR agonist in vitro: ANTAG3 was selective for TSHR inhibition; half-maximal inhibitory doses were 2.1 μM for TSHR and greater than 30 μM for LH and FSH receptors [2]. in vivo: In mice treated with TRH, ANTAG3 lowered serum free T4 by 44% and lowered mRNAs for sodium-iodide cotransporter and thyroperoxidase by 75% and 83%, respectively. In mice given M22, ANTAG3 lowered serum free T4 by 38% and lowered mRNAs for sodium-iodide cotransporter and thyroperoxidase by 73% and 40%, respectively [2].																					
Solvent&Solubility	<p>In Vitro:</p> <p>DMSO : 100 mg/mL (190.26 mM; Need ultrasonic)</p> <p>H₂O : < 0.1 mg/mL (insoluble)</p> <table border="1" data-bbox="446 893 1354 1102"><thead><tr><th rowspan="2">Preparing Stock Solutions</th><th>Solvent / Mass</th><th>1 mg</th><th>5 mg</th><th>10 mg</th></tr><tr><th>Concentration</th><th></th><th></th><th></th></tr></thead><tbody><tr><td>1 mM</td><td>1.9026 mL</td><td>9.5131 mL</td><td>19.0262 mL</td></tr><tr><td>5 mM</td><td>0.3805 mL</td><td>1.9026 mL</td><td>3.8052 mL</td></tr><tr><td>10 mM</td><td>0.1903 mL</td><td>0.9513 mL</td><td>1.9026 mL</td></tr></tbody></table>	Preparing Stock Solutions	Solvent / Mass	1 mg	5 mg	10 mg	Concentration				1 mM	1.9026 mL	9.5131 mL	19.0262 mL	5 mM	0.3805 mL	1.9026 mL	3.8052 mL	10 mM	0.1903 mL	0.9513 mL	1.9026 mL
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<p>*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。</p> <p>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。</p>																						
<p>In Vivo:</p> <p>请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液,再依次添加助溶剂:</p> <p>——为保证实验结果的可靠性,澄清的储备液可以根据储存条件,适当保存;体内实验的工作液,建议您现用现配,当天使用;以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比;如在配制过程中出现沉淀、析出现象,可以通过加热和/或超声的方式助溶</p>																						
<p>1.请依序添加每种溶剂: 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% saline</p> <p>Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.76 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例,取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中,混合均匀;向上述体系中加入 50 μL Tween-80, 混合均匀;然后继续加入 450 μL 生理盐水定容至 1 mL。</p> <p>2.请依序添加每种溶剂: 10% DMSO → 90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.76 mM, 饱和度未知) 的澄清溶液,此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例,取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中,混合均匀。</p>																						



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References

- [1]. Huang W, et al. Identification of Thyroid Stimulating Hormone Receptor Inverse Agonists. Probe Reports from the NIH Molecular Libraries Program
- [2]. Neumann S, et al. A selective TSH receptor antagonist inhibits stimulation of thyroid function in female mice. *Endocrinology*. 2014 Jan;155(1):310-4.