

产品名称：**Cilomilast**
产品别名：西洛司特

| 生物活性: | | | | | |
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| Description | Cilomilast(SB 207499; Ariflo) is a potent PDE4 inhibitor with IC50 of about 110 nM, has anti-inflammatory activity and low central nervous system activity. IC50 value: 110 nM Target: PDE 4 Cilomilast (SB-207499) inhibits HPDE4 and LPDE4 catalytic activity with equal potency (Ki ≈100 nM). SB-207499 and rolipram are equipotent against LPDE4, but Cilomilast (Ariflo, SB-207499) is 100-fold less potent against HPDE4. This profile suggests that Cilomilast (Ariflo, SB-207499) retain the anti-inflammatory activity of rolipram yet have a decreased tendency to produce side effects. | | | | |
| | <p>In Vitro:</p> <p>DMSO : ≥ 100 mg/mL (291.19 mM)</p> <p>* ">" means soluble, but saturation unknown.</p> | | | | |
| Solvent&Solubility | Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
| | | 1 mM | 2.9119 mL | 14.5594 mL | 29.1189 mL |
| | | 5 mM | 0.5824 mL | 2.9119 mL | 5.8238 mL |
| | | 10 mM | 0.2912 mL | 1.4559 mL | 2.9119 mL |
| | <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℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 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 (7.28 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.28 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% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (7.28 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.28 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 900 μL 20% 的 SBE-β-CD 生理盐水中，混合均匀。</p> <p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (7.28 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.28 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> | | | | |

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| | <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> |
| References | <p>[1]. MARY S. BARNETTE et al. SB 207499 (Ariflo), a Potent and Selective Second-Generation Phosphodiesterase 4 Inhibitor: In Vitro Anti-inflammatory Actions JPET 284:420 -426, 1998</p> <p>[2]. Munisso MC, Kang JH, Tsurufuji M, Yamaoka T.Cilomilast enhances osteoblast differentiation of mesenchymal stem cells and bone formation induced by bone morphogenetic protein 2.Biochimie. 2012 Jun 15.</p> <p>[3]. Sadrai Z, Stevenson W, Okanobo A, Chen Y, Dohlman TH, Hua J, Amparo F, Chauhan SK, Dana R.PDE4 inhibition suppresses IL-17-associated immunity in dry eye disease.Invest Ophthalmol Vis Sci. 2012 Jun 14;53(7):3584-91. Print 2012 Jun.</p> <p>[4]. Pace E, Ferraro M, Uasuf CG, Giarratano A, La Grutta S, Liotta G, Johnson M, Gjemarkaj M.Cilomilast counteracts the effects of cigarette smoke in airway epithelial cells.Cell Immunol. 2011;268(1):47-53. Epub 2011 Feb 20.</p> <p>[5]. Barton AK, Niedorf F, Gruber AD, Kietzmann M, Ohnesorge B.Pharmacological studies of bronchial constriction inhibited by parasympatholytics and cilomilast using equine precision-cut lung slices.Berl Munch Tierarztl Wochenschr. 2010 May-Jun;123(5-6):229-35</p> |

源叶生物