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产品名称: **Pamapimod**  
产品别名: **Ro4402257; R1503**

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| 生物活性:                                                                                                                                                            |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |                                                           |           |            |            |
| Description                                                                                                                                                      | <p>Pamapimod is a novel p38 mitogen-activated protein kinase inhibitor. Pamapimod inhibited p38α and p38β enzymatic activity, with IC50 values of 0.014 ± 0.002 and 0.48 ± 0.04 μM, respectively. Pamapimod is p38 inhibitor with IC50 of 0.06μM in THP-1 cell. IC50: 0.014 ± 0.002 and 0.48 ± 0.04 μM(p38α and p38β enzymatic activity,respectively.) IC50:0.06μM (THP-1 cell)[1] In vitro: Pamapimod inhibited p38α and p38β enzymatic activity, with IC50 values of 0.014 ± 0.002 and 0.48 ± 0.04 μM, respectively. There was no activity against p38δ or p38γ isoforms. When profiled across 350 kinases, pamapimod bound only to four kinases in addition to p38. Cellular potency was assessed using phosphorylation of heat shock protein-27 and c-Jun as selective readouts for p38 and c-Jun NH2-terminal kinase (JNK), respectively. Pamapimod inhibited p38 (IC50, 0.06 μM), but inhibition of JNK was not detected.Pamapimod also inhibited lipopolysaccharide (LPS)-stimulated tumor necrosis factor (TNF) α production by monocytes, interleukin (IL)-1β production in human whole blood, and spontaneous TNFα production by synovial explants from RA patients. LPS- and TNFα-stimulated production of TNFα and IL-6 in rodents also was inhibited by pamapimod. [1] In vivo: In murine collagen-induced arthritis, pamapimod reduced clinical signs of inflammation and bone loss at 50 mg/kg or greater. In a rat model of hyperalgesia, pamapimod increased tolerance to pressure in a dose-dependent manner, suggesting an important role of p38 in pain associated with inflammation. Finally, an analog of pamapimod that has equivalent potency and selectivity inhibited renal disease in lupus-prone MRL/lpr mice. [1]</p> |                                                           |           |            |            |
| Solvent&Solubility                                                                                                                                               | <b>In Vitro:</b><br><br><b>DMSO ≥ 34 mg/mL (83.67 mM)</b><br><br>* "≥" means soluble, but saturation unknown.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                                                           |           |            |            |
|                                                                                                                                                                  |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    | <div><div>SolventMass</div><div>Concentration</div></div> | 1 mg      | 5 mg       | 10 mg      |
|                                                                                                                                                                  | Preparing                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          | 1 mM                                                      | 2.4608 mL | 12.3038 mL | 24.6075 mL |
|                                                                                                                                                                  | Stock Solutions                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    | 5 mM                                                      | 0.4922 mL | 2.4608 mL  | 4.9215 mL  |
|                                                                                                                                                                  |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    | 10 mM                                                     | 0.2461 mL | 1.2304 mL  | 2.4608 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> |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |                                                           |           |            |            |
| References                                                                                                                                                       | <p>[1]. Hill, R. J. et al. Pamapimod, a novel p38 mitogen-activated protein kinase inhibitor: preclinical analysis of efficacy and selectivity. The Journal of pharmacology and experimental therapeutics 327, 610-619, doi:10.1124/jpet.108.139006 (2008).</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |                                                           |           |            |            |