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产品名称: IRAK inhibitor 1  
产品别名: IRAK inhibitor 1

|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                          |           |            |
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| 生物活性:                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                          |           |            |
| Description               | IRAK inhibitor 1 is a potent IRAK-4 inhibitor with IC <sub>50</sub> of 216 nM, is poorly active against JNK-1 and JNK-2 with IC <sub>50</sub> of 3.801 μM, and >10 μM, respectively.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |                          |           |            |
| IC <sub>50</sub> & Target | IC <sub>50</sub> : 216 nM (IRAK-4), 3.801 μM (JNK-1), >10 μM (JNK-2)[1]                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                          |           |            |
| In Vitro                  | IRAK inhibitor 1 possesses significant potency in an IRAK-4 enzyme assay but is poorly active against JNK-1 and JNK-2[1]. IRAK-4 is a novel member of the IRAK family with unique functional properties. IRAK-4 is the closest human homolog to Pelle. Endogenous IRAK-4 interacts with IRAK-1 and TRAF6 in an IL-1-dependent manner, and overexpression of IRAK-4 can activate NF-κB as well as mitogen-activated protein (MAP) kinase pathways. Most strikingly, and in contrast to the other IRAKs, IRAK-4 depends on its kinase activity to activate NF-κB. In addition, IRAK-4 is able to phosphorylate IRAK-1, and overexpression of dominant-negative IRAK-4 is blocking the IL-1-induced activation and modification of IRAK-1, suggesting a role of IRAK-4 as a central element in the early signal transduction of Toll/IL-1 receptors, upstream of IRAK-1. IRAK-4 shares the domain structure of the other IRAKs and it is able to activate similar signal transduction pathways, namely NF-κB and MAPK pathways. It rapidly and transiently associates with IRAK-1 and TRAF6 in an IL-1-dependent manner but it is not functionally redundant with IRAK-1. IRAK-4 is an active protein kinase and requires its kinase activity to activate NF-κB. IRAK-4 might act upstream of IRAK-1 as an IRAK-1 activator[2]. |                          |           |            |
| Solvent&Solubility        | <b>In Vitro:</b><br>DMSO : 12.2 mg/mL (41.59 mM; Need ultrasonic and warming)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |                          |           |            |
|                           | Preparing<br>Stock Solutions                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 | Solvent<br>Concentration | Mass      |            |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                          | 1 mg      | 5 mg       |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                          | 10 mg     |            |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 1 mM                     | 3.4087 mL | 17.0433 mL |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 5 mM                     | 0.6817 mL | 3.4087 mL  |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 10 mM                    | 0.3409 mL | 1.7043 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。<br>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。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                          |           |            |
| References                | [1]. Buckley GM, et al. IRAK-4 inhibitors. Part II: A structure-based assessment of imidazo[1,2-a]pyridine binding. Bioorg Med Chem Lett. 2008 Jun 1;18(11):3291-5.<br>[2]. Li S, et al. IRAK-4: a novel member of the IRAK family with the properties of an IRAK-kinase. Proc Natl Acad Sci U S A. 2002 Apr 16;99(8):5567-72.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |                          |           |            |