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产品名称: **DG 051 (HCl salt)**  
产品别名: **DG051**

|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |                                           |           |            |
|---------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------|-----------|------------|
| 生物活性:                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |                                           |           |            |
| Description               | DG051 is a potent leukotriene A4 hydrolase inhibitor of leukotriene B4 biosynthesis in the enzyme assay with an IC <sub>50</sub> =47 nM.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                                           |           |            |
| IC <sub>50</sub> & Target | IC <sub>50</sub> : 47 nM (LTA4H)[1]                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |                                           |           |            |
| In Vitro                  | DG051 is a potent inhibitor of LTA4H aminopeptidase activity against L-alanine p-nitroanilide (IC <sub>50</sub> =72 nM). DG051 inhibits human whole blood (HWB) with IC <sub>50</sub> of 37 nM. As applied within the context of LTA4H inhibitor design, the chemistry team is able to design a potent DG051(K <sub>d</sub> =26 nM) with high aqueous solubility (>30 mg/mL) and high oral bioavailability (>80% across species) that is currently undergoing clinical evaluation for the treatment of myocardial infarction and stroke[1] DG-051 is a first-in-class small molecule inhibitor of leukotriene A4 hydrolase (LTA4H), currently in Phase II clinical development for the prevention of heart attack[2]. |                                           |           |            |
| Solvent&Solubility        | <b>In Vitro:</b><br><b>DMSO : ≥ 325 mg/mL (762.32 mM)</b><br><br>* "≥" means soluble, but saturation unknown.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |                                           |           |            |
|                           | <div>Preparing Stock Solutions</div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  | <div>Solvent Mass<br/>Concentration</div> | 1 mg      | 5 mg       |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       | 1 mM                                      | 2.3456 mL | 11.7280 mL |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       | 5 mM                                      | 0.4691 mL | 2.3456 mL  |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       | 10 mM                                     | 0.2346 mL | 1.1728 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><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]. Sandanayaka V, et al. Discovery of 4-[(2S)-2-[[4-(4-chlorophenoxy)phenoxy]methyl]-1-pyrrolidinyl]butanoic acid (DG-051) as a novel leukotriene A4 hydrolase inhibitor of leukotriene B4 biosynthesis. J Med Chem. 2010 Jan 28;53(2):573-85.<br><br>[2]. Enache LA, et al. Synthesis and structural assignment of two major metabolites of the LTA4H inhibitor DG-051. Bioorg Med Chem Lett. 2009 Nov 15;19(22):6275-9.                                                                                                                                                                                                                                                                                           |                                           |           |            |