## SC-57461A

| Cat. No.:<br>CAS No.:<br>Molecular Formula:<br>Molecular Weight:<br>Target:<br>Pathway: | HY-103226<br>423169-68-0<br>C <sub>20</sub> H <sub>26</sub> CINO <sub>3</sub><br>364<br>Aminopeptidase<br>Metabolic Enzyme/Protease | ПОТОТО ПО |
|---|---|---|
| Pathway:  | Metabolic Enzyme/Protease   |   |
| Storage:  | 4°C, sealed storage, away from moisture<br>* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)      |   |

## SOLVENT & SOLUBILITY

| In Vitro | DMSO : 250 mg/mL (686.81 mM; Need ultrasonic)   |  |           |            |            |  |
|----------|---|--|-----------|------------|------------|--|
|          | Preparing<br>Stock Solutions  | Solvent Mass<br>Concentration                                      | 1 mg      | 5 mg       | 10 mg      |  |
|          |   | 1 mM   | 2.7473 mL | 13.7363 mL | 27.4725 mL |  |
|          |   | 5 mM   | 0.5495 mL | 2.7473 mL  | 5.4945 mL  |  |
|          |   | 10 mM  | 0.2747 mL | 1.3736 mL  | 2.7473 mL  |  |
|          | Please refer to the solubility information to select the appropriate solvent.   |  |           |            |            |  |
| In Vivo  | 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline<br>Solubility: ≥ 2.08 mg/mL (5.71 mM); Clear solution |  |           |            |            |  |
|          | 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)<br>Solubility: ≥ 2.08 mg/mL (5.71 mM); Clear solution            |  |           |            |            |  |
|          | <ol> <li>Add each solvent of<br/>Solubility: ≥ 2.08 m</li> </ol>  | one by one: 10% DMSO >> 90% cor<br>ng/mL (5.71 mM); Clear solution | n oil     |            |            |  |

| BIOLOGICAL ACTIVITY |  |  |  |  |
|---------------------|--|--|--|--|
| Description         | SC-57461A is a potent, orally active, nonpeptide, and selective inhibitor of Leukotriene A4 (LTA4) hydrolase with IC <sub>50</sub> s of 2.5 nM, 3 nM, and 23 nM for recombinant human, mouse, and rat LTA4 hydrolase, respectively <sup>[1]</sup> .  |  |  |  |
| In Vitro            | SC-57461A inhibits ionophore-stimulated LTB4 production in whole blood with IC <sub>50</sub> s of 49, 166, and 466 nM in human mouse<br>and rat, respectively <sup>[1]</sup> .SC-57461A demonstrates excellent potency against LTA4 hydrolase (IC <sub>50</sub> =2.5 nM) and in whole cells<br>(IC <sub>50</sub> =49 nM) <sup>[2]&lt;</sup><br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |  |  |  |
| In Vivo             | SC-57461A also shows excellent potency in the mouse ex vivo assay, inhibiting the production of LTB4 with an ED <sub>50</sub> =0.2   |  |  |  |



mg/kg and an  $ED_{90}=1$  mg/kg. SC-57461A also inhibits the production of LTB4 in the rat peritoneal model with an  $ED_{50}=1$  mg/kg<sup>[2]</sup>. SC-57461A is a potent, selective, and competitive inhibitor of LTA4 hydrolase with excellent activity in whole animals. SC-57461A demonstrates good oral activity in both the mouse and the rat<sup>[3]</sup>.

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| Animal Model:   | Fasted CD rats <sup>[3]</sup>   |
|-----------------|---|
| Dosage:         | 0.01, 0.1, 1, and 10 mg/kg  |
| Administration: | Orally administered   |
| Result:         | The ED <sub>50</sub> values were 0.2 mg/kg at 1.0 h and 0.8 mg/kg at 3.0 h. A single dose of 10 mg/kg blocked LTB4 production 79% at 6 h, 67% at 18 h, and 44% at 24 h. |

## REFERENCES

[1]. Leslie J Askonas, et al. Pharmacological characterization of SC-57461A (3-[methyl[3-[4-(phenylmethyl])phenoxy]propyl]amino]propanoic acid HCl), a potent and selective inhibitor of leukotriene A(4) hydrolase I: in vitro studies. J Pharmacol Exp Ther. 2002 F

[2]. T D Penning. Inhibitors of leukotriene A4 (LTA4) hydrolase as potential anti-inflammatory agents. Curr Pharm Des. 2001 Feb;7(3):163-79.

[3]. James F Kachur, et al. Pharmacological characterization of SC-57461A (3-[methyl[3-[4-(phenylmethyl]phenoxy]propyl]amino]propanoic acid HCl), a potent and selective inhibitor of leukotriene A(4) hydrolase II: in vivo studies. J Pharmacol Exp Ther. 2002 Feb

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