



# SZABO SCANDIC

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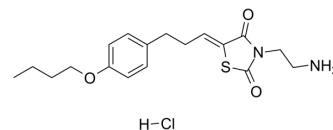
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## K145 hydrochloride

|                    |                                                                                     |
|--------------------|-------------------------------------------------------------------------------------|
| Cat. No.:          | HY-15779A                                                                           |
| CAS No.:           | 1449240-68-9                                                                        |
| Molecular Formula: | C <sub>18</sub> H <sub>25</sub> ClN <sub>2</sub> O <sub>3</sub> S                   |
| Molecular Weight:  | 384.92                                                                              |
| Target:            | SphK; Apoptosis                                                                     |
| Pathway:           | Immunology/Inflammation; Apoptosis                                                  |
| Storage:           | 4°C, sealed storage, away from moisture                                             |
|                    | * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture) |



### SOLVENT & SOLUBILITY

|                                                                               |                                                                                                                                           |                                                      |           |            |            |
|-------------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------|------------------------------------------------------|-----------|------------|------------|
| In Vitro                                                                      | H <sub>2</sub> O : 126.7 mg/mL (329.16 mM; Need ultrasonic and warming)                                                                   |                                                      |           |            |            |
|                                                                               | DMSO : 50 mg/mL (129.90 mM; Need ultrasonic)                                                                                              |                                                      |           |            |            |
|                                                                               | Preparing<br>Stock Solutions                                                                                                              | <div>Solvent<br/>Concentration</div> <div>Mass</div> | 1 mg      | 5 mg       | 10 mg      |
|                                                                               |                                                                                                                                           | 1 mM                                                 | 2.5979 mL | 12.9897 mL | 25.9794 mL |
|                                                                               |                                                                                                                                           | 5 mM                                                 | 0.5196 mL | 2.5979 mL  | 5.1959 mL  |
| 10 mM                                                                         |                                                                                                                                           | 0.2598 mL                                            | 1.2990 mL | 2.5979 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: ≥ 0.83 mg/mL (2.16 mM); Clear solution |                                                      |           |            |            |
|                                                                               | 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)<br>Solubility: ≥ 0.83 mg/mL (2.16 mM); Clear solution            |                                                      |           |            |            |
|                                                                               | 3. Add each solvent one by one: 10% DMSO >> 90% corn oil<br>Solubility: ≥ 0.83 mg/mL (2.16 mM); Clear solution                            |                                                      |           |            |            |
|                                                                               |                                                                                                                                           |                                                      |           |            |            |

### BIOLOGICAL ACTIVITY

|                           |                                                                                                                                                                                                                                                                                                                                         |
|---------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description               | K145 hydrochloride is a selective, substrate-competitive and orally active SphK2 inhibitor with an IC <sub>50</sub> of 4.3 μM and a K <sub>i</sub> of 6.4 μM. K145 hydrochloride is inactive against SphK1 and other protein kinases. K145 hydrochloride induces cell apoptosis and has potentially antitumor activity <sup>[1]</sup> . |
| IC <sub>50</sub> & Target | IC <sub>50</sub> : 4.3 μM (SphK2) <sup>[1]</sup><br>K <sub>i</sub> : 6.4 μM (SphK2) <sup>[1]</sup>                                                                                                                                                                                                                                      |
| In Vitro                  | K145 (0-10 μM; 24-72 hours; U937 cells) treatment significantly inhibits the growth of U937 cells in a concentration-                                                                                                                                                                                                                   |

dependent manner<sup>[1]</sup>.

K145 (10  $\mu$ M; 24 hours; U937 cells) treatment significantly induces apoptosis in U937 cells<sup>[1]</sup>.

K145 (4-8  $\mu$ M; 3 hours; U937 cells) treatment decreases the phosphorylation of ERK and Akt<sup>[1]</sup>.

Treatment with K145 (10  $\mu$ M) causes a decrease of total cellular S1P without significant effects on ceramide levels<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Cell Viability Assay<sup>[1]</sup>

|                  |                                                                                       |
|------------------|---------------------------------------------------------------------------------------|
| Cell Line:       | U937 cells                                                                            |
| Concentration:   | 0 $\mu$ M, 4 $\mu$ M, 6 $\mu$ M, 8 $\mu$ M, 10 $\mu$ M                                |
| Incubation Time: | 24 hours, 48 hours, 72 hours                                                          |
| Result:          | Significantly inhibited the growth of U937 cells in a concentration-dependent manner. |

#### Apoptosis Analysis<sup>[1]</sup>

|                  |                                                |
|------------------|------------------------------------------------|
| Cell Line:       | U937 cells                                     |
| Concentration:   | 10 $\mu$ M                                     |
| Incubation Time: | 24 hours                                       |
| Result:          | Significantly induced apoptosis in U937 cells. |

#### Western Blot Analysis<sup>[1]</sup>

|                  |                                            |
|------------------|--------------------------------------------|
| Cell Line:       | U937 cells                                 |
| Concentration:   | 4 $\mu$ M, 8 $\mu$ M                       |
| Incubation Time: | 3 hours                                    |
| Result:          | Phosphorylated ERK and Akt were decreased. |

#### In Vivo

K145 (50 mg/kg; oral gavage; daily; for 15 days; BALB/c-nu mice) treatment significantly inhibits the growth of U937 tumors in nude mice<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

|                 |                                                                                             |
|-----------------|---------------------------------------------------------------------------------------------|
| Animal Model:   | BALB/c-nu mice injected with U937 cells <sup>[1]</sup>                                      |
| Dosage:         | 50 mg/kg                                                                                    |
| Administration: | Oral gavage; daily; for 15 days                                                             |
| Result:         | Inhibited the growth of U937 tumors at 50 mg/kg dose and no apparent toxicity was observed. |

#### CUSTOMER VALIDATION

- Am J Cancer Res. 2019 Mar 1;9(3):546-561.
- Sci China Life Sci. 2021 May 27;1-21.
- Biochem Biophys Res Commun. 2021 Sep 28;580:1-6.

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- Biochem Biophys Res Commun. 2017 Nov 4;493(1):286-290.
  - Channels. 2020 Dec;14(1):216-230.

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## REFERENCES

[1]. Liu K, et al. Biological characterization of 3-(2-amino-ethyl)-5-[3-(4-butoxyl-phenyl)-propylidene]-thiazolidine-2,4-dione (K145) as a selective sphingosine kinase-2 inhibitor and anticancer agent. PLoS One. 2013;8(2):e56471.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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