产品名称：**AZD7545**
产品别名：**AZD7545**

## 生物活性：

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| **Description** | AZD7545 is a potent, competitive, selective PDHK2 (pyruvate dehydrogenase kinase 2) inhibitor with IC50s of 36.8 nM, 6.4 nM for PDHK1 and PDHK2, respectively[1]. | |
| **$IC_{50}$ & Target** | IC50: 6.4 nM (PDHK2), 36.8 nM (PDHK1)[1] | |
| **In Vitro** | AZD7545 (10 μM; 90 hours for BRAFV600E human melanoma cells and 120 hours for NRASmut human melanoma cells) specifically suppresses growth of cells harboring BRAF and NRAS mutations as well as in inhibitor-resistant human melanoma[2]. | |
| | **Cell Proliferation Assay[2]** | |
| | Cell Line: | Human melanoma cells lines of $BRAF^{V600E}$ (A375, IGR37) and $NRAS^{mut}$ (SKMel30, IPC298, MelJuso) |
| | Concentration: | 10 μM |
| | Incubation Time: | 90 hours ($BRAF^{V600E}$ human melanoma cells) and 120 hours ($NRAS^{mut}$ human melanoma cells) |
| | Result: | Mediated growth suppression of $BRAF^{V600E}$ mutant and $NRAS^{mut}$ human melanoma cells. |
| **In Vivo** | A single dose of AZD7545 (Oral administration; 10 mg/kg once a day (08:00 h) or Twice a day (08:00 and 18:00 h); for 7 days) to Wistar rats increases the proportion of liver PDH in its active, dephosphorylated form in a dose-related manner. A single dose of 10 mg/kg also significantly elevates muscle PDH activity in obese Zucker (fa/fa) rats[3]. | |
| | **Animal Model:** | Obese male (fa/fa) Zucker rats [3] |
| | **Dosage:** | 10 mg/kg |
| | **Administration:** | Oral administration; once a day (08:00 h) or Twice a day ( 08:00 and 18:00 h); for 7 days |
| | **Result:** | Improved the control of blood glucose levels. |

**Solvent&Solubility**

***In Vitro:***

**DMSO : ≥ 46 mg/mL (96.06 mM)**

* "≥" means soluble, but saturation unknown.

| **Preparing Stock Solutions** | Solvent / Mass / Concentration | **1 mg** | **5 mg** | **10 mg** |
|---|---|---|---|---|
| | 1 mM | 2.0882 mL | 10.4412 mL | 20.8825 mL |
| | 5 mM | 0.4176 mL | 2.0882 mL | 4.1765 mL |
| | 10 mM | 0.2088 mL | 1.0441 mL | 2.0882 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。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

***In Vivo:***

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现

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| | 用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶<br>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline<br>Solubility: ≥ 2.5 mg/mL (5.22 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (5.22 mM，饱和度未知) 的澄清溶液。<br>以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。<br><br>2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)<br>Solubility: ≥ 2.5 mg/mL (5.22 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (5.22 mM，饱和度未知) 的澄清溶液。<br>以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。<br><br>3.请依序添加每种溶剂： 10% DMSO →90% corn oil<br>Solubility: ≥ 2.5 mg/mL (5.22 mM); Clear solution<br>此方案可获得 ≥ 2.5 mg/mL (5.22 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。<br>以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。 |