# KA2507

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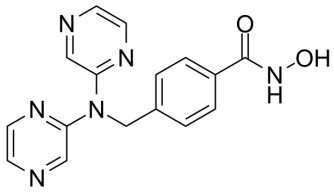
| **Cat. No.:** | HY-138799 |
| **CAS No.:** | 1636894-46-6 |
| **Molecular Formula:** | $C_{16}H_{14}N_6O_2$ |
| **Molecular Weight:** | 322.32 |
| **Target:** | HDAC |
| **Pathway:** | Cell Cycle/DNA Damage; Epigenetics |
| **Storage:** | 4°C, protect from light<br>* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light) |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 66.67 mg/mL (206.84 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 3.1025 mL | 15.5125 mL | 31.0251 mL |
| | 5 mM | 0.6205 mL | 3.1025 mL | 6.2050 mL |
| | 10 mM | 0.3103 mL | 1.5513 mL | 3.1025 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
   Solubility: ≥ 2.08 mg/mL (6.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.45 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

KA2507 is a potent, orally active and selective HDAC6 inhibitor, with an $IC_{50}$ of 2.5 nM. KA2507 shows antitumor activities and immune modulatory effects in preclinical models[1].

**$IC_{50}$ & Target**

| HDAC6 | HDAC8 |
|---|---|
| 2.5 nM ($IC_{50}$) | 621 ($IC_{50}$) |

**In Vitro**

KA2507 did not inhibit the in vitro proliferation of mouse or human cancer cells at concentrations that are selective for HDAC6 inhibition[1].

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

**In Vivo**

KA2507 (100-200 mg/kg; p.o.; daily; for 20 days) inhibits tumor growth in the syngeneic B16-F10 mouse melanoma model[1].
KA2507 also demonstrates antitumor efficacy in CT26 and MC38 colorectal cancer models[1].
Analysis of tumor samples also indicates modulation of biomarkers of antitumor immunity at efficacious dosing, with KA2507 administration resulting in reduced STAT3 activation (as measured by phospho-STAT3, an important suppressor of the antitumor immune response), reduced PD-L1 expression, and increased expression of MHC class I[1].
KA2507 exhibits poor oral bioavailability (mice 15%) and Cmax (mice 300 ng/mL) following oral administration (mice 200 mg/kg)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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| Animal Model: | Male C57BL/6 mice, B16-F10 melanoma model[1] |
| Dosage: | 100 mg/kg, 200 mg/kg, 200 mg/kg |
| Administration: | Oral gavage, daily, for 20 days |
| Result: | Demonstrated antitumor efficacy. |

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| Animal Model: | Male C57BL/6 mice[1] |
| Dosage: | 200 mg/kg (Pharmacokinetic Analysis) |
| Administration: | Oral administration |
| Result: | Oral bioavailability (15%), Cmax (300 ng/mL). |

## REFERENCES

[1]. Apostolia M Tsimberidou, et al. Preclinical Development and First-in-Human Study of KA2507, a Selective and Potent Inhibitor of Histone Deacetylase 6, for Patients with Refractory Solid Tumors. Clin Cancer Res. 2021 May 4.



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