# TM-1

**Cat. No.:** HY-136882

**CAS No.:** 921099-13-0

**Molecular Formula:** $C_{26}H_{32}N_2O_6$

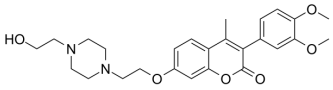
**Molecular Weight:** 468.54

**Target:** PDHK

**Pathway:** Metabolic Enzyme/Protease

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 50 mg/mL (106.71 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.1343 mL | 10.6714 mL | 21.3429 mL |
| 5 mM | 0.4269 mL | 2.1343 mL | 4.2686 mL |
| 10 mM | 0.2134 mL | 1.0671 mL | 2.1343 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: ≥ 1.25 mg/mL (2.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (2.67 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (2.67 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

TM-1 is a potent inhibitor of pyruvate dehydrogenase kinase (PDHK1). TM-1 inhibits PDHK1 and PDHK2 with $IC_{50}$s of 2.97 μM and 5.2 μM, respectively. TM-1 blocks pyruvate dehydrogenase complex (PDHC) phosphorylation, and inhibits cell proliferation[1].

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

IC50: 2.97 μM (PDK1), 5.2 μM (PDK2)[1]

**In Vitro**

TM-1 (0-10 μM) inhibits PDHK1 activity with the inhibition rate of 80.5% (dosage at 10 μM) and an $IC_{50}$ value of 2.97 μM[1].

TM-1 (0-2.1 μM; 12 h) shows anti-osteosarcoma activity and inhibits MG-63 cells with an $EC_{50}$ value of 14.5 μM[1].
TM-1 (3, 6, 12 μM; 24 h) decreases PDHC phosphorylation of both Ser293 and Ser232 sites in a dose-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

| | |
|---|---|
| Cell Line: | MG-63 cells |
| Concentration: | 3, 6, 12 μM |
| Incubation Time: | 24 hours |
| Result: | Dramatically reduced the PDHK phosphorylation of both Ser293 and Ser232 sites at 6 or 12 μM. |

## REFERENCES

[1]. Fang A, et al. Identification of pyruvate dehydrogenase kinase 1 inhibitors with anti-osteosarcoma activity. Bioorg Med Chem Lett. 2017 Dec 15;27(24):5450-5453.



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