# UAMC00039 dihydrochloride

**Cat. No.:** HY-101769

**CAS No.:** 697797-51-6

**Molecular Formula:** $C_{16}H_{26}Cl_3N_3O$

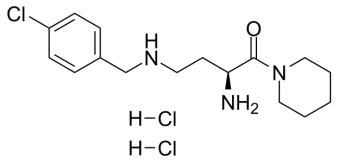
**Molecular Weight:** 382.76

**Target:** Dipeptidyl Peptidase

**Pathway:** Metabolic Enzyme/Protease

**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**

DMSO : ≥ 150 mg/mL (391.89 mM)

$H_2O$ : 100 mg/mL (261.26 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.6126 mL | 13.0630 mL | 26.1260 mL |
| | 5 mM | 0.5225 mL | 2.6126 mL | 5.2252 mL |
| | 10 mM | 0.2613 mL | 1.3063 mL | 2.6126 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (261.26 mM); Clear solution; Need ultrasonic

## BIOLOGICAL ACTIVITY

**Description**

UAMC00039 dihydrochloride is a potent, reversible and competitive dipeptidyl peptidase II inhibitor with an $IC_{50}$ of 0.48 nM.

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

IC50: 0.48 nM (DPPII)[1]; Ki: 0.082 nM (DPPII)[2]

**In Vitro**

UAMC00039 has an $IC_{50}$ of 0.48±0.04 nM and a high selectivity for DPPII compared to DPPIV ($IC_{50}$=165±9 μM) and DPP activity not caused by DPPII or DPPIV. UAMC00039 seems a promising tool to unravel the function of DPPII as well as to validate its potential as a therapeutic target[1]. The efficacy of a DPPII inhibitor in cell culture depends not only on the inhibitors' potency towards the enzyme but also on its stability in the medium and its ability to enter the cell. UAMC00039 is stable for at least 48 h at 37 °C in culture medium and in DPPII assay buffer. The compound is able to enter PBMC within 1 min resulting in a concentration-dependent inhibition of intracellular DPPII activity without affecting the 'non-DPPII' DPP activity. 1 and 100 μM UAMC00039 inhibits DPPII activity of PBMC and U937 cells more than 90%[2].

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

| | |
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| **In Vivo** | A dose dependent inhibition of DPPII but not of DPPIV is observed in the peripheral organs of both the rats and the mice (after oral administration) and the rabbits (after IV administration). UAMC00039 tested orally at 2 mg/kg does not cause signs of acute toxicity and does not cause any significant changes in the following functions that are evaluated: general behaviour, body temperature, respiration, bleeding time, blood pressure, urine volume, liver function, fasting glucose and gastrointestinal parameters like acidity, motility and irritation[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

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| **Kinase Assay** [2] | Stability of UAMC00039 in RPMI medium or assay buffer (50 mM cacodylate buffer pH5.5) is monitored at 37 °C. The inhibitors' capacity ($IC_{50}$) to inhibit DPPII is measured at different time points (up to 48 h). U937 cells are incubated with various concentrations of UAMC00039 for 15 min at 37 °C in RPMI. Cells are then ished with PBS, lysed and assayed for DPPII activity. Concentration–response and time–response curves are generated from incubations of PBMC with UAMC00039 (0.01 nM–1 μM) in RPMI at 37 °C for 1, 5, 15, 30 and 60 min. Ished cells are lysed overnight at 4 °C using 100 mM HEPES buffer pH 7.4, 10 mM EDTA, 70 μg/mL aprotinin and 1% octylglucoside[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Animal Administration** [1] | Rats: UAMC00039 is administered orally at 2 mg/kg (-5 μmol/kg in a vehicle of 2% tween 80, 10 mL/kg) on a blind basis in all in vivo assays. For each assay, a reference compound and vehicle control is analyzed concurrently. For the in vivo studies 3 to 5 animals per condition are tested[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES

[1]. Maes MB, et al. In vivo effects of a potent, selective DPPII inhibitor: UAMC00039 is a possible tool for the elucidation of the physiological function of DPPII. Adv Exp Med Biol. 2006;575:73-85.

[2]. Maes MB, et al. Dipeptidyl peptidase II and leukocyte cell death. Biochem Pharmacol. 2006 Jun 28;72(1):70-9.



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