# Epaminurad

**Cat. No.:** HY-111345

**CAS No.:** 1198153-15-9

**Molecular Formula:** $C_{14}H_{10}Br_2N_2O_3$

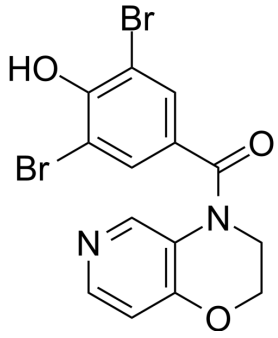
**Molecular Weight:** 414.05

**Target:** URAT1

**Pathway:** Membrane Transporter/Ion Channel

**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 : 100 mg/mL (241.52 mM; Need ultrasonic)

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.4152 mL | 12.0758 mL | 24.1517 mL |
| | 5 mM | 0.4830 mL | 2.4152 mL | 4.8303 mL |
| | 10 mM | 0.2415 mL | 1.2076 mL | 2.4152 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: 2.5 mg/mL (6.04 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.04 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (6.04 mM); Clear solution; Need ultrasonic

## BIOLOGICAL ACTIVITY

**Description**

Epaminurad (UR-1102) is an orally active, potent and selective URAT1 (urate transporter 1) inhibitor, with a $K_i$ of 0.057 μM. Epaminurad quite modestly inhibits OAT1 and OAT3 (organic anion transporter). Epaminurad is a uricosuric agent. Epaminurad can be used for gout and hyperuricemia research[1].

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

Ki: 0.057 ± 0.036 μM (URAT1), 2.4 ± 0.2 μM (OAT3), 7.2 ± 0.8 μM (OAT1)[1].

**In Vitro**

UR-1102 (0-12 μM) inhibits urate and PAH (p-aminohippuric acid) uptake by HEK293 cells transiently expressing URAT1,

OAT1, or OAT3[1].

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

**In Vivo**

Epaminurad (0-30 mg/kg, Orally, once a day for 3 consecutive days) shows uricosuric and urate-lowering effects[1].

Epaminurad (3-30 mg/kg, Orally, once) shows a good pharmacokinetic profile, increases the fractional excretion of urinary uric acid, and reduces plasma uric acid more effectively[1].

Pharmacokinetic Parameters of Epaminurad (UR-1102) in tufted capuchin monkeys[1].

| Group | 3 mg/kg | 10 mg/kg | 30 mg/kg |
|---|---|---|---|
| $C_{max}$ (μg/mL) | 8.96 ± 1.74 | 42.4 ± 12.8 | 92.9 ± 21.0 |
| $T_{max}$ (h) | 0.6 ± 0.2 | 0.5 ± 0.0 | 0.8 ± 0.3 |
| $T_{1/2}$ (h) | 4.7 ± 0.9 | 4.2 ± 1.1 | 3.3 ± 0.8 |
| $AUC_{0\text{-}inf}$ (mg*h/mL) | 26.2 ± 8.1 | 108 ± 51 | 257 ± 60 |

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

| | |
|---|---|
| Animal Model: | Tufted capuchin monkeys[1] |
| Dosage: | 0, 3, 10, and 30 mg/kg |
| Administration: | Orally, once a day, for 3 consecutive days |
| Result: | Showed good uricosuric and urate-lowering effects at 3 mg/kg, the lowest dose, which were comparable to those of benzbromarone at 100 mg/kg, the highest dose, with maximum efficacy. |

| | |
|---|---|
| Animal Model: | Tufted capuchin monkeys[1] |
| Dosage: | 0, 3, 10, and 30 mg/kg |
| Administration: | Orally, once |
| Result: | Showed a good pharmacokinetic profile. Exhibited both good systemic exposure and significantly great plasma urate-lowering at 3 mg/kg. |

## REFERENCES

[1]. Ahn SO, et al. Stronger Uricosuric Effects of the Novel Selective URAT1 Inhibitor UR-1102 Lowered Plasma Urate in Tufted Capuchin Monkeys to a Greater Extent than Benzbromarone. J Pharmacol Exp Ther. 2016 Apr;357(1):157-66.



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