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Inhibitors, Screening Libraries, Proteins

# URAT1

Urate transporter 1; SLC22A12

URAT1, a member of the OAT (organic anion transporter) family was first cloned from the human kidney, where it is localized to the apical (brush border) membrane of renal proximal tubular cells. URAT1 mediates the reabsorption of uric acid, thereby regulating blood uric acid concentrations. Impairment in URAT1 activity, either due to polymorphisms, or drug-drug interactions, can have toxicological consequences. In the kidney, URAT1 is distributed along the renal tubular cell membrane and involved in reabsorption and excretion of uric acid, organic acids, drugs and their metabolites. Uric acid is taken up by OAT1 and OAT3 from the blood and reabsorbed into renal tubular cells via URAT1, in exchange for dicarboxylic acid. URAT1, along with OAT4 mediates uptake of uric acid from the renal tubule into renal tubular cells in exchange for organic anions such as lactic acid and nicotinic acid. This exchange is electroneutral and can be trans-stimulated by  $\text{Cl}^-$  gradients and gradients of lactate transported by the sodium-monocarboxylate transporter. In the salivary glands, URAT1 is distributed along the entire surface, including the ductal and acinar cells, suggesting a role in the transport of organic acids and uric acid in the whole salivary gland.

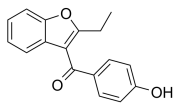
## URAT1 Inhibitors

### Benzarone

(Fragivix)

Cat. No.: HY-W011711

Benzarone (Fragivix) is a potent human uric acid transporter 1 (hURAT1) inhibitor, with an  $IC_{50}$  of 2.8  $\mu$ M in oocyte. Benzarone could lower uric acid serum levels.

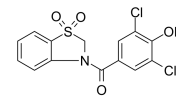


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Dotinurad

Cat. No.: HY-109031

Dotinurad is a potent and selective urate reabsorption inhibitor. Dotinurad inhibits urate transporter 1 (URAT1) with an  $IC_{50}$  value of 37.2 nM. Dotinurad acts as a uricosuric agent.

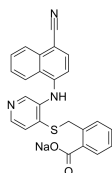


**Purity:** 98.56%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### KPH2f

Cat. No.: HY-144305

KPH2f is a safe, orally active, and effective dual URAT1/GLUT9 inhibitor with  $IC_{50}$ s of 0.24  $\mu$ M and 9.37  $\mu$ M for URAT1 and GLUT9, respectively. KPH2f shows little effects on OAT1 and ABCG2 ( $IC_{50}$ =32.14 and 26.74  $\mu$ M).



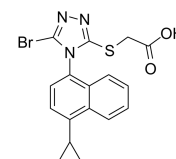
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Lesinurad

(RDEA594)

Cat. No.: HY-15258

Lesinurad is a URAT1 and OAT inhibitor, is determined to be a substrate for the kidney transporters OAT1 and OAT3 with  $K_m$  values of 0.85 and 2  $\mu$ M, respectively.



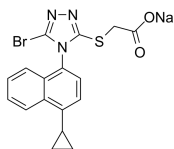
**Purity:** 99.93%  
**Clinical Data:** Launched  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Lesinurad sodium

(RDEA-594 sodium)

Cat. No.: HY-15258A

Lesinurad sodium is a URAT1 and OAT inhibitor, is determined to be a substrate for the kidney transporters OAT1 and OAT3 with  $K_m$  values of 0.85 and 2  $\mu$ M, respectively.

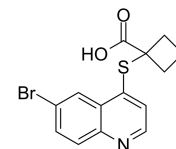


**Purity:** 99.96%  
**Clinical Data:** Launched  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### Ruzinurad

Cat. No.: HY-W052011

Ruzinurad is a highly selective URAT1 inhibitor (WO2020088641, compound I). Ruzinurad can be used in the study of hyperuricemia.

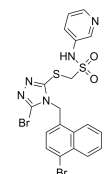


**Purity:** 99.05%  
**Clinical Data:** No Development Reported  
**Size:** 50 mg, 100 mg, 500 mg

### URAT1 inhibitor 1

Cat. No.: HY-114309

URAT1 inhibitor 1 (1g) is a uric acid transporter 1 (URAT1) inhibitor, with an  $IC_{50}$  of 32 nM. URAT1 inhibitor 1 has potential to treat hyperuricemia associated with gout.

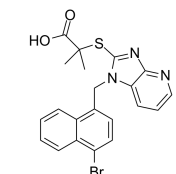


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### URAT1 inhibitor 2

Cat. No.: HY-143906

URAT1 inhibitor 2 is an orally active and potent URAT1 and CYP isozyme inhibitor, with  $IC_{50}$  values of 1.36  $\mu$ M, 16.97  $\mu$ M, 5.22  $\mu$ M for URAT1-mediated  $^{14}$ C-UA uptake, CYP1A2 and CYP2C9, respectively.



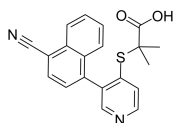
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Verinurad

(RDEA3170)

Cat. No.: HY-16733

Verinurad (RDEA3170) is a highly potent and specific URAT1 inhibitor with an  $IC_{50}$  of 25 nM.



**Purity:** 99.18%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 50 mg