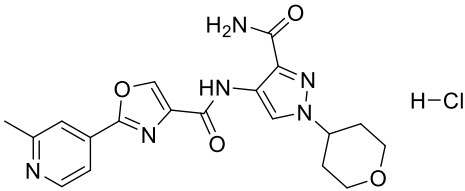


Product data sheet



MedKoo Cat#: 530638 Name: AS-2444697 HCl CAS#: 1287665-60-4 (HCl) Chemical Formula: C ₁₉ H ₂₁ ClN ₆ O ₄ Molecular Weight: 432.865	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

AS-2444697 is a RAK-4 inhibitor. Six weeks' repeated administration of AS2444697 (0.3-3 mg/kg, twice daily) dose-dependently and significantly reduced urinary protein excretion and prevented the development of glomerulosclerosis and interstitial fibrosis without affecting the blood pressure. AS2444697 significantly reduced or showed a decreasing trend in expression and levels of these inflammatory parameters. AS2444697 suppresses the progression of chronic renal failure via anti-inflammatory action and may therefore be potentially useful in treating CKD patients.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	16.83	38.88

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.31 mL	11.55 mL	23.10 mL
5 mM	0.46 mL	2.31 mL	4.62 mL
10 mM	0.23 mL	1.16 mL	2.31 mL
50 mM	0.05 mL	0.23 mL	0.46 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

TBD

In vivo study

1. Kondo M, Tahara A, Hayashi K, Inami H, Ishikawa T, Tomura Y. Therapeutic effects of interleukin-1 receptor-associated kinase 4 inhibitor AS2444697 on diabetic nephropathy in type 2 diabetic mice. *Naunyn Schmiedebergs Arch Pharmacol.* 2020 Jul;393(7):1197-1209. doi: 10.1007/s00210-020-01816-2. Epub 2020 Jan 23. PMID: 31974740.

2. Kondo M, Tahara A, Hayashi K, Abe M, Inami H, Ishikawa T, Ito H, Tomura Y. Renoprotective effects of novel interleukin-1 receptor-associated kinase 4 inhibitor AS2444697 through anti-inflammatory action in 5/6 nephrectomized rats. *Naunyn Schmiedebergs Arch Pharmacol.* 2014 Oct;387(10):909-19. doi: 10.1007/s00210-014-1023-z. Epub 2014 Jul 23. PMID: 25052043.

7. Bioactivity

Biological target:

AS2444697 is an orally active IRAK-4 inhibitor with an IC₅₀ of 21 nM.

In vitro activity

Product data sheet



TBD

In vivo activity

Chronic administration of AS2444697 dose-dependently and significantly attenuated urinary albumin excretion and hyperfiltration, alleviated renal hypertrophy, and decreased markers of renal tubular and glomerular podocyte injury. Diabetic mice exhibited renal tissue lesions, glomerulosclerosis, tubular dilatation, cell infiltration, and basophilic change (Fig. 4). Chronic administration of AS2444697 dose-dependently and significantly improved these indicators of renal injury. Further, diabetic mice showed signs of inflammation, as demonstrated by elevated plasma levels of proinflammatory cytokines (IL-1 β , IL-6, IL-18, TNF- α , and MCP-1) and inflammatory marker CRP (Fig. 5). AS2444697 dose-dependently and significantly decreased these elevated plasma proinflammatory cytokine and inflammatory marker levels. Diabetic mice also exhibited increased plasma levels of endothelial dysfunction parameters (ICAM-1, VCAM-1, E-selectin, and P-selectin) (Fig. 6) and plasma and renal levels of oxidative stress biomarkers (TBARS and protein carbonyl) (Fig. 7). AS2444697 dose-dependently and significantly reduced these endothelial dysfunction parameters and oxidative stress biomarkers.

Reference: Naunyn Schmiedebergs Arch Pharmacol. 2020 Jul;393(7):1197-1209. <https://pubmed.ncbi.nlm.nih.gov/31974740/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.