

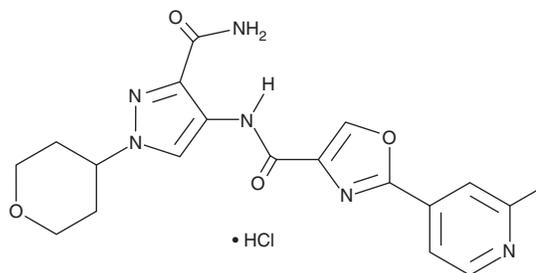
PRODUCT INFORMATION



AS-2444697 (hydrochloride)

Item No. 29505

CAS Registry No.: 1287665-60-4
Formal Name: N-[3-(aminocarbonyl)-1-(tetrahydro-2H-pyran-4-yl)-1H-pyrazol-4-yl]-2-(2-methyl-4-pyridinyl)-4-oxazolecarboxamide, monohydrochloride
MF: C₁₉H₂₀N₆O₄ • HCl
FW: 432.9
Purity: ≥95%
UV/Vis.: λ_{max}: 271 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AS-2444697 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the AS-2444697 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. AS-2444697 (hydrochloride) is soluble in the organic solvent DMSO.

Description

AS-2444697 is an inhibitor of interleukin-1 receptor-associated kinase 4 (IRAK4; IC₅₀ = 21 nM).¹ It is greater than 30-fold selective for IRAK4 over a panel of 146 kinases, but is less than 10-fold selective over PDGFRα, PDGFRβ, TrkA, TrkC, CLK1, Itk, and FLT3. AS-2444697 inhibits IL-1β-induced IL-6 production and LPS-induced TNF-α production in cellular assays (IC₅₀s = 250 and 47 nM, respectively). It is efficacious in rat models of adjuvant- and collagen-induced arthritis (ED₅₀s = 2.7 and 1.6 mg/kg, respectively). AS-2444697 (0.3-3 mg/kg, twice daily) reduces urinary protein excretion, the development of interstitial fibrosis and glomerulosclerosis, and renal mRNA expression of genes encoding IL-1β, IL-6, TNF-α, and chemokine (C-C motif) ligand 2 (CCL2) without affecting blood pressure in the 5/6 nephrectomized rat model of chronic kidney disease.²

References

- Haynes, J.J. and Nair, S.K. Advances in the discovery of small-molecule IRAK4 inhibitors. *Annual Reports in Medicinal Chemistry*. Desai, M.C., editor, 1st edition, Elsevier BV (2014).
- Kondo, M., Tahara, A., Hayashi, K., et al. 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.* **287**(10), 909-919 (2014).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM