

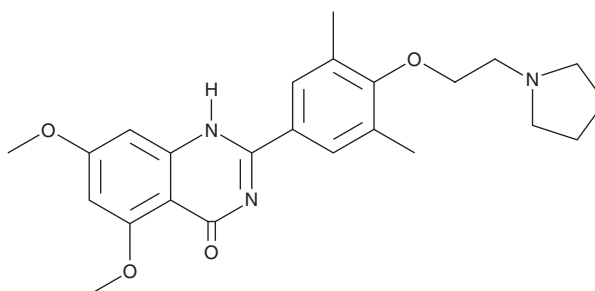
PRODUCT INFORMATION



RVX-297

Item No. 38777

CAS Registry No.: 1044871-04-6
Formal Name: 2-[3,5-dimethyl-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-5,7-dimethoxy-4(3H)-quinazolinone
MF: C₂₄H₂₉N₃O₄
FW: 423.5
Purity: ≥95%
Supplied as: A 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

RVX-297 is supplied as a solid. A stock solution may be made by dissolving the RVX-297 in the solvent of choice, which should be purged with an inert gas. RVX-297 is soluble in methanol.

Description

RVX-297 is an inhibitor of the bromodomain and extra-terminal domain (BET) family protein bromodomain 2 (BD2).¹ It inhibits BD2 in bromodomain-containing protein 2 (BRD2), BRD3, and BRD4, (IC₅₀s = 0.08, 0.05, and 0.02 μM, respectively) and is selective for BD2 over BD1 (IC₅₀s = 3.76, 2.34, and 1.16 μM for BRD2, BRD3, and BRD4, respectively), as well as CREB-binding protein (CREBBP) and p300 (IC₅₀s = >50 μM for both). RVX-297 (10 and 30 μM) decreases levels of the mRNAs encoding IL-6 and vascular cell adhesion molecule-1 (VCAM-1) in primary synovial fibroblasts isolated from patients with rheumatoid arthritis.² It prevents LPS-induced increases in IL-6 and Ifn-γ serum levels in a mouse model of LPS-induced endotoxemia when administered at a dose of 75 mg/kg. RVX-297 (25, 50, and 75 mg/kg twice per day) inhibits collagen-induced increases in ankle and knee diameter, cartilage damage, the ankle tissue levels of mRNA encoding IL-1β, matrix metalloproteinase-3 (Mmp-3), Mmp-13, and Rankl, and ankle joint protein levels of IL-6 and VCAM-1 in a rat model of collagen-induced rheumatoid arthritis. It improves gait and mobility and reverses body weight loss, as well as decreases the number of spinal cord inflammatory foci and apoptotic cells and reduces spinal nerve demyelination in a mouse model of experimental autoimmune encephalomyelitis (EAE) when administered at doses of 75 and 125 mg/kg per day.

References

1. Kharenko, O.A., Gesner, E.M., Patel, R.G., *et al.* RVX-297 - a novel BD2 selective inhibitor of BET bromodomains. *Biochem. Biophys. Res. Commun.* **477**(1), 62-67 (2016).
2. Jahagirdar, R., Attwell, S., Marusic, S., *et al.* RVX-297, a BET bromodomain inhibitor, has therapeutic effects in preclinical models of acute inflammation and autoimmune disease. *Mol. Pharmacol.* **92**(6), 694-706 (2017).

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.

WARRANTY AND LIMITATION OF REMEDY

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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