

Product Data Sheet

Product Name: RVX-297

Cat. No.: GC63178

Chemical Properties

Cas. No. 1044871-04-6

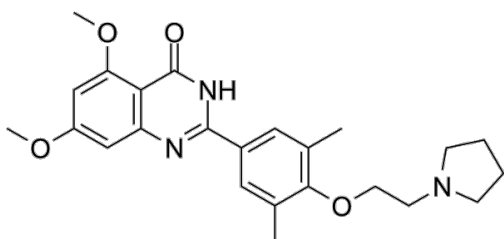
Formula $C_{24}H_{29}N_3O_4$ M.Wt 423.5

Solubility DMSO : 50 mg/mL (118.06 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

RVX-297 is a potent, orally active BET bromodomain inhibitor with selectivity for BD2. RVX-297

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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shows IC₅₀s of 0.08, 0.05, and 0.02 μ M for BRD2(BD2), BRD3(BD2), and BRD4(BD2), respectively. RVX-297 suppresses inflammatory gene expression in multiple immune cell types. RVX-297 is effective in acute inflammation and autoimmunity models[1][2].

RVX-297 (1-30 μ M; 24 hours) decreases proinflammatory gene expression in synovial fibroblasts[1]. RVX-297 displaces BET proteins from the promoters of sensitive genes and disrupted recruitment of active RNA polymerase II, a property shared with pan-BET inhibitors that nonselectively bind BET BDs[1]. RVX-297 reduces gene expression of inflammatory mediators in vitro. RVX-297 suppresses IL-6 gene induction in human U937 macrophages, mouse primary B cells isolated from the spleen, mouse BMDMs, and THP-1 monocytes in a dose-dependent manner. RVX-297 represses IL-1 β expression in LPS-stimulated mouse BMDMs, with an IC₅₀ of 0.4-3 μ M. RVX-297 inhibits MCP-1 expression in unstimulated human PBMCs with an IC₅₀ of 0.4 μ M. RVX-297 inhibits antigen stimulation of T cells and the induction of IL-17 expression[1].

RVX-297 (25-75 mg/kg; p.o.; per day for 6 day) inhibits progression of pathology in the rat collagen-induced arthritis model[1]. RVX-297 (75-150 mg/kg) inhibits progression of pathology in the mouse collagen-induced arthritis model[1]. RVX-297 suppresses cytokine production in LPS-treated mice[1].

[1]. Jahagirdar R, et al. RVX-297, a BET Bromodomain Inhibitor, Has Therapeutic Effects in Preclinical Models of Acute Inflammation and Autoimmune Disease. *Mol Pharmacol.* 2017;92(6):694-706.

[2]. Kharenko OA, et al. RVX-297- a novel BD2 selective inhibitor of BET bromodomains. *Biochem Biophys Res Commun.* 2016;477(1):62-67.

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