

LY2228820 (Ralimetinib Dimesylate;LSN2322600)

Catalog No: tcsc0208



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

862507-23-1

Formula:

$C_{26}H_{37}FN_6O_6S_2$

Pathway:

MAPK/ERK Pathway

Target:

p38 MAPK

Purity / Grade:

>98%

Solubility:

DMSO : 61 mg/mL (99.55 mM; Need ultrasonic and warming)

Alternative Names:

LY2228820; LY2228820 dimesylate

Observed Molecular Weight:

612.74

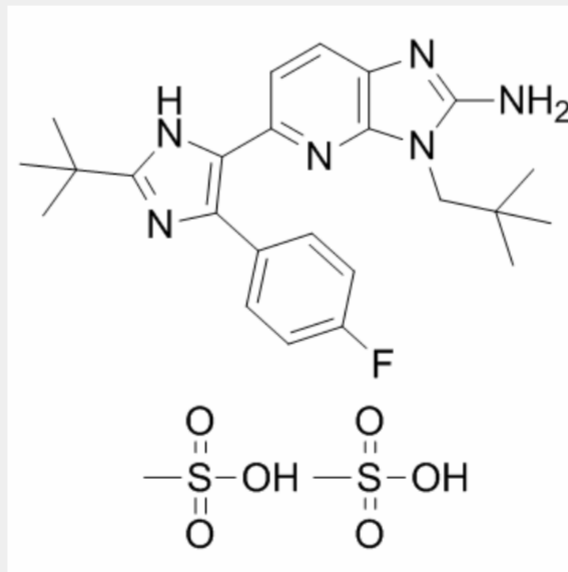
Product Description

Ralimetinib dimesylate (LY2228820) is a selective, ATP-competitive inhibitor of **p38 MAPK α/β** with **IC₅₀s** of 5.3 and 3.2 nM, respectively.

IC50 & Target: IC50: 7 nM (p38 MAPK)

In Vitro: Ralimetinib dimesylate (LY2228820) inhibits p38 α , as well as the level of phosphoMAPKAPK-2 (pMK2) in RAW 264.7 cells, with IC₅₀ values of 7 nM and 34.3 nM, respectively. Furthermore, Ralimetinib dimesylate (LY2228820) inhibits lipopolysaccharide (LPS)-induced TNF α formation in murine peritoneal macrophages, with IC₅₀ of 5.2 nM^[1]. In multiple myeloma (MM) cells, including INA6, RPMI-8226, U266, and RPMI-Dox40, Ralimetinib dimesylate (LY2228820) (200 nM-800 nM) significantly blocks p38MAPK signaling, as revealed by its inhibition on phosphorylation of HSP27, a downstream target of p38MAPK, without affecting the expression level of HSP27. Ralimetinib dimesylate (LY2228820) (200 nM-400 nM) enhances bortezomib-induced cytotoxicity and apoptosis, but Ralimetinib dimesylate (LY2228820) alone doesn't inhibit the growth of MM.1S cells. Ralimetinib dimesylate (LY2228820) (200 nM-800 nM) also inhibits secretion of IL-6 and MIP-1 α in long-term BM stromal cells (LT-BMSCs), BM mononuclear cells (BMMNCs), peripheral blood (PB) CD138⁺, CD138⁻ or PB CD14⁺ cells. Ralimetinib dimesylate (LY2228820) (400 nM-800 nM) also blocks osteoclastogenesis from CD14⁺ cells^[2].

In Vivo: In LPS-induced mice, Ralimetinib dimesylate (LY2228820) effectively inhibits the formation of TNF α with a threshold minimum 50% effective dose (TMED₅₀) less than 1 mg/kg. In a rat model of collagen-induced arthritis (CIA), Ralimetinib dimesylate (LY2228820) displays potent effects on paw swelling, bone erosion, and cartilage destruction, with a threshold minimum 50% effective dose (TMED₅₀) of 1.5 mg/kg^[1]. Ralimetinib dimesylate (LY2228820) inhibits tumor phospho-MK2 in a dose-dependent manner (TED₅₀=1.95 mg/kg, TED₇₀=11.17 mg/kg) in mice implanted with B16-F10 melanoma. Ralimetinib dimesylate (LY2228820) inhibits MK2 phosphorylation: mouse in vivo TED₅₀=1.01 mg/kg (compound exposure approximately 100 nM) and human ex vivo IC₅₀=0.12 μ M with either mouse or human PBMC^[3].



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