

# 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

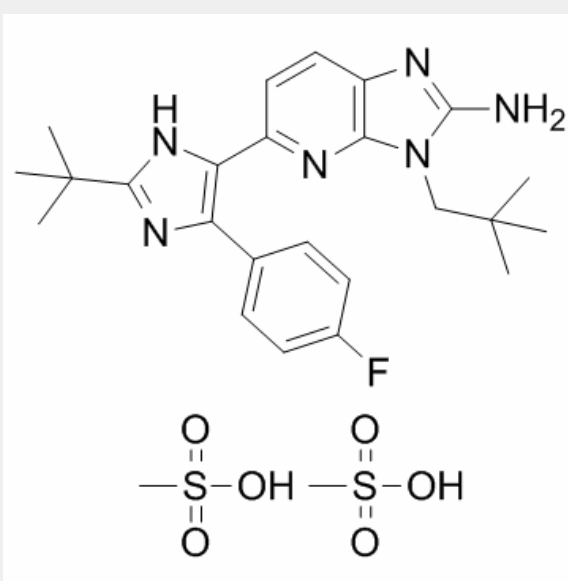
## Product Description

Ralimetinib dimesylate (LY2228820) is a selective, ATP-competitive inhibitor of **p38 MAPK  $\alpha/\beta$**  with **IC<sub>50</sub>**s of 5.3 and 3.2 nM, respectively.

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

**In Vitro:** Ralimetinib dimesylate (LY2228820) inhibits p38 $\alpha$ , as well as the level of phosphoMAPKAPK-2 (pMK2) in RAW 264.7 cells, with IC<sub>50</sub> values of 7 nM and 34.3 nM, respectively. Furthermore, Ralimetinib dimesylate (LY2228820) inhibits lipopolysaccharide (LPS)-induced TNF $\alpha$  formation in murine peritoneal macrophages, with IC<sub>50</sub> of 5.2 nM<sup>[1]</sup>. 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 $\alpha$  in long-term BM stromal cells (LT-BMSCs), BM mononuclear cells (BMMNCs), peripheral blood (PB) CD138<sup>+</sup>, CD138<sup>-</sup> or PB CD14<sup>+</sup> cells. Ralimetinib dimesylate (LY2228820) (400 nM-800 nM) also blocks osteoclastogenesis from CD14<sup>+</sup> cells<sup>[2]</sup>.

**In Vivo:** In LPS-induced mice, Ralimetinib dimesylate (LY2228820) effectively inhibits the formation of TNF $\alpha$  with a threshold minimum 50% effective dose (TMED<sub>50</sub>) 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 (TMED<sub>50</sub>) of 1.5 mg/kg<sup>[1]</sup>. Ralimetinib dimesylate (LY2228820) inhibits tumor phospho-MK2 in a dose-dependent manner (TED<sub>50</sub>=1.95 mg/kg, TED<sub>70</sub>=11.17 mg/kg) in mice implanted with B16-F10 melanoma. Ralimetinib dimesylate (LY2228820) inhibits MK2 phosphorylation: mouse in vivo TED<sub>50</sub>=1.01 mg/kg (compound exposure approximately 100 nM) and human ex vivo IC<sub>50</sub>=0.12  $\mu$ M with either mouse or human PBMC<sup>[3]</sup>.



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