Web: www.taiclone.com
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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

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Product Description

Ralimetinib dimesylate (LY2228820) is a selective, ATP-competitive inhibitor of **p38 MAPK α/β** with **IC_{50}**s of 5.3 and 3.2 nM, respectively.

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

In Vitro: Ralimetinib dimesylate (LY2228820) inhibits p38α, as well as the level of phosphoMAPKAPK-2 (pMK2) in RAW 264.7 cells, with IC_{50} values of 7 nM and 34.3 nM, respectively. Furthermore, Ralimetinib dimesylate (LY2228820) inhibits lipopolysaccharide (LPS)-induced TNFα formation in murine peritoneal macrophages, with IC_{50} 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-1α 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 TNFα with a threshold minimum 50% effective dose ($TMED_{50}$) less than 1 mg/kg. In a rat model of collagen-inducedarthritis (CIA), Ralimetinib dimesylate (LY2228820) displays potent effects on paw swelling, bone erosion, and cartilage destruction, with a threshold minimum 50% effective dose ($TMED_{50}$)of 1.5 mg/kg[1]. Ralimetinib dimesylate (LY2228820) inhibits tumor phospho-MK2 in a dose-dependent manner (TED_{50}=1.95 mg/kg, TED_{70}=11.17 mg/kg) in mice implanted with B16-F10 melanoma. Ralimetinib dimesylate (LY2228820) inhibits MK2 phosphorylation: mouse in vivo TED_{50}=1.01 mg/kg (compound exposure approximately 100 nM) and human ex vivo IC_{50}=0.12 μM with either mouse or human PBMC[3].