

## **Data Sheet**

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**Product Name** : LY2228820 dimesylate

Molecular Weight : 612.737
Target : p38 MAPK

**Solubility** : DMSO: < 7.8 mg/mL

## **Biological Activity**

LY2228820 dimesylate (Ralimetinib) is a potent, selective, orally available inhibitor **p38 MAPK** with IC50 of 5.3 and 3.2 nM for p38 $\alpha$  and p38 $\beta$ , respectively.

LY2228820 dimesylate (Ralimetinib) potently and selectively inhibits phosphorylation of MK2 (Thr334) in anisomycin-stimulated HeLa cells and mouse RAW264.7 macrophages (IC50=35.3 nM), with no changes in phosphorylation of p38 $\alpha$  MAPK, JNK, ERK1/2, c-Jun, ATF2, or c-Myc.

LY2228820 dimesylate (Ralimetinib) also reduces TNF- $\alpha$  secretion by LPS/IFN- $\gamma$ -stimulated macrophages with IC50 of 6.3 nM.

LY2228820 dimesylate (Ralimetinib) produces significant tumor growth delay in multiple in vivo cancer models (melanoma, non-small cell lung cancer, ovarian, glioma, myeloma, breast).

## References

Tate CM, et al. *J Biol Chem*. 2013 Mar 1;288(9):6743-53.

Campbell RM, et al. *Mol Cancer Ther.* 2014 Feb;13(2):364-74.

Ishitsuka K, et al. *Br J Haematol.* 2008 May;141(5):598-606.

Patnaik A, et al. *Clin Cancer Res.* 2016 Mar 1;22(5):1095-102.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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