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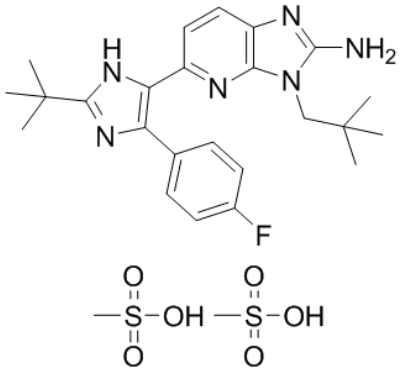
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	LY2228820 dimesylate
Cat. No.	:	PC-43421
CAS No.	:	862507-23-1
Molecular Formula	:	$C_{26}H_{37}FN_6O_6S_2$
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 p38α and p38β, 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 p38α MAPK, JNK, ERK1/2, c-Jun, ATF2, or c-Myc.
LY2228820 dimesylate (Ralimetinib) also reduces TNF-α secretion by LPS/IFN-γ–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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