Small Molecules

LY2228820

p38 MAP kinase (MAPK) inhibitor

Catalog # 74162 1 mg
74164 5 mg

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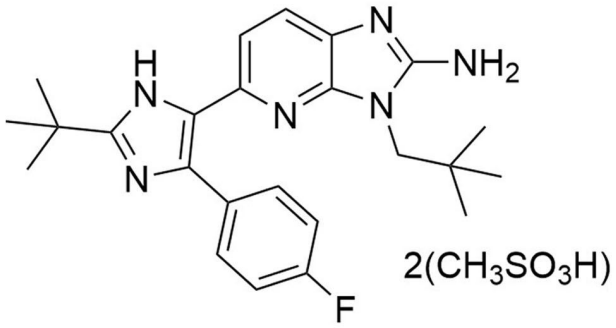
Product Description

LY2228820 is a trisubstituted imidazole derivative and a potent inhibitor of the α- and β-isoforms of p38 MAP kinase (MAPK) in vitro (IC_{50} = 5.3 and 3.2 nM, respectively) with anti-inflammatory and anti-neoplastic activities (Campbell et al.). This product is supplied as the dimesylate salt of the molecule.

Molecular Name: LY2228820 (Dimesylate)
Alternative Names: Ralimetinib Mesylate; LSN2322600
CAS Number: 862507-23-1
Chemical Formula: $C_{24}H_{29}FN_6 \bullet 2CH_3SO_3H$
Molecular Weight: 612.7 g/mol
Purity: ≥ 98%
Chemical Name: 5-[2-(1,1-dimethylethyl)-4-(4-fluorophenyl)-1H-imidazol-5-yl]-3-(2,2-dimethylpropyl)-3H-imidazo[4,5-b]pyridin-2-amine, dimethanesulfonate

Structure:



Properties

Physical Appearance: A crystalline solid

Storage: Product stable at -20°C as supplied. Protect product from prolonged exposure to light. For long-term storage, store with a desiccant.
Stable as supplied for 12 months from date of receipt.

Solubility:
· Water ≤ 200 mM
· DMSO ≤ 55 mM
· Absolute ethanol ≤ 4.8 mM
For example, to prepare a 10 mM stock solution in water, resuspend 1 mg in 163 µL of water.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

MAINTENANCE AND SELF-RENEWAL
· Combined with other small molecule inhibitors such as Rapamycin (Catalog #73362) and SR1 (Catalog #72342; Li et al.) or SB203580 (Catalog #72222), Vx702, and BIRB-796 (Catalog #72682), enhances the self-renewal of cord blood-derived hematopoietic stem cells (Baudet et al.).
CANCER RESEARCH
· By inhibiting p38 MAPK, which is highly expressed in human cancers, LY2228820 is potent and selective at inhibiting tumor growth in animal models of a variety of human cancers (Campbell et al.).

References

Baudet A et al. (2012) RNAi screen identifies MAPK14 as a druggable suppressor of human hematopoietic stem cell expansion. Blood 119(26): 6255–8.
Campbell RM et al. (2014) Characterization of LY2228820 dimesylate, a potent and selective inhibitor of p38 MAPK with antitumor activity. Mol Cancer Ther 13(2): 364–74.
Li X et al. (2015) Inhibition of both activated p38 MAPK and mTOR C1 potentiates the effect of SR1 on promotion of hematopoietic stem cell expansion. Blood 126(23): 381.

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