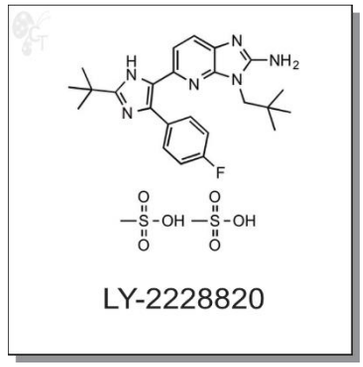
Cellagen Technology

Product Specification Sheet

Product Name: LY-2228820

Catalog Number: C5922



Technical information:

Chemical Formula:	$C_{24}H_{29}FN_6 \cdot 2CH_4O_3S$
CAS #:	862507-23-1
Molecular Weight:	612.74
Purity:	> 98%
Appearance:	Off-white solid
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	5-(2-tert-butyl-4-(4-fluorophenyl)-1H-imidazol-5-yl)-3-neopentyl-3H-imidazo[4,5-b]pyridin-2-amine dimethanesulfonate
Storage:	For longer shelf life, store solid powder or DMSO solution at -20°C desiccated.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.163mL of DMSO for each mg of LY-2228820.
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

LY2228820 is a potent and selective ATP-competitive inhibitor of the α- and β-isoforms of p38 mitogen-activated protein kinases (MAPKs). It inhibits p38α and p38β with IC50 values of 5.3 nM and 3.2 nM, respectively. [1] In cell-based assays, LY2228820 selectively inhibited the level of phospho-MAPKAP-K2 (pMK2) in anisomycin-induced RAW264.7 cells, with IC50 of 35.3 nM. LY2228820 also inhibits lipopolysaccharide (LPS)/IFN-γ-induced TNFα formation in murine peritoneal macrophages, with IC50 of 6.3 nM. [1] Furthermore, LY2228820 inhibits angiogenic endothelial cord formation in multiple in vitro and in vivo assay models. [2]
LY2228820 is currently being evaluated in Phase I/II clinical trials in patients with newly diagnosed glioblastoma [3] and platinum-sensitive ovarian cancer [4]."

Reference:

1. Campbell RM, et al. Characterization of LY2228820 dimesylate, a potent and selective inhibitor of p38 MAPK with antitumor activity. Mol Cancer Ther. 2014; 13(2):364-74 Pubmed ID: 24356814
2. Tate CM, et al. LY2228820 dimesylate, a selective inhibitor of p38 mitogen-activated protein kinase, reduces angiogenic endothelial cord formation in vitro and in vivo. J Biol Chem. 2013; 288(9):6743-53 Pubmed ID: 23335506
3. https://clinicaltrials.gov/ct2/show/NCT02364206 Pubmed ID: NCT02364206
4. https://clinicaltrials.gov/ct2/show/NCT01663857 Pubmed ID: NCT01663857

To reorder: http://www.cellagentech.com/LY-2228820/

For Technical Support: technical@cellagentech.com

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