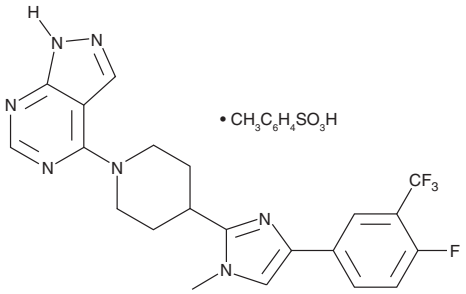
PRODUCT INFORMATION

LY2584702 (tosylate)

Item No. 15320

CAS Registry No.: 1082949-68-5
Formal Name: 4-[4-[4-[4-fluoro-3-(trifluoromethyl) phenyl]-1-methyl-1H-imidazol-2-yl]-1-piperidinyl]-1H-pyrazolo[3,4-d] pyrimidine, 4-methylbenzenesulfonate
Synonym: LYS6K2
MF: $C_{21}H_{19}F_4N_7 \bullet C_7H_8O_3S$
FW: 617.6
Purity: ≥98%
UV/Vis.: λ_{max}: 268 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

LY2584702 (tosylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the LY2584702 (tosylate) in the solvent of choice, which should be purged with an inert gas. LY2584702 (tosylate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of LY2584702 (tosylate) in these solvents is approximately 0.5, 20, and 10 mg/ml, respectively.

LY2584702 (tosylate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LY2584702 (tosylate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. LY2584702 (tosylate) has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LY2584702 is an inhibitor of p70 ribosomal S6 kinase (p70S6K; IC_{50} = 0.004 µM).[1] It is selective for p70S6K over a panel of 83 kinases. LY2584702 inhibits the phosphorylation of S6 in HCT116 colon cancer cells (IC_{50} = 0.1-0.24 µM) and decreases triglyceride levels and apolipoprotein B secretion in tuberous sclerosis complex 2 (*TSC2*) knockdown HepG2 cells.[1,3] It suppresses self-renewal of primary mouse bone marrow stromal cells when used at a concentration of 2 µM.[3] LY2584702 reduces tumor growth in an HCT116 colon cancer mouse xenograft model (ED_{50} = 2.3 mg/kg).[1]

References

1. Tolcher, A., Goldman, J., Patnaik, A., *et al.* A phase I trial of LY2584702 tosylate, a p70 S6 kinase inhibitor, in patients with advanced solid tumours. *Eur. J. Cancer* **50(5)**, 867-875 (2014).
2. Roberts, J.L., He, B., Erickson, A., *et al.* Improvement of mTORC1-driven overproduction of apoB-containing triacylglyceride-rich lipoproteins by short-chain fatty acids, 4-phenylbutyric acid and (R)-α-lipoic acid, in human hepatocellular carcinoma cells. *Biochim. Biophys. Acta* **1861(3)**, 166-176 (2016).
3. Gu, X., Fu, X., Lu, J., *et al.* Pharmacological inhibition of S6K1 impairs self-renewal and osteogenic differentiation of bone marrow stromal cells. *J. Cell. Biochem.* **119(1)**, 1041-1049 (2017).

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