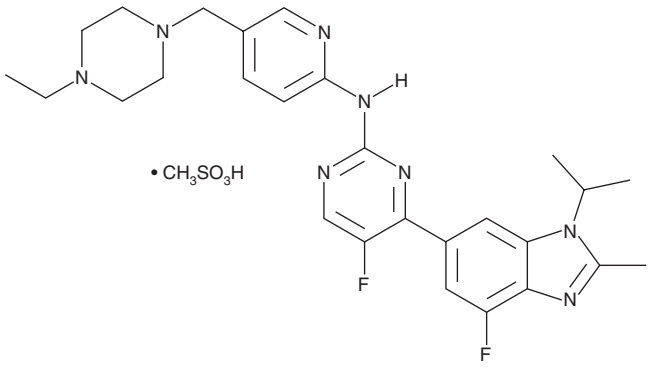
PRODUCT INFORMATION

LY2835219 (methanesulfonate)

Item No. 17740

CAS Registry No.:	1231930-82-7
Formal Name:	N-[5-[(4-ethyl-1-piperazinyl) methyl]-2-pyridinyl]-5-fluoro-4-[4-fluoro-2-methyl-1-(1-methylethyl)-1H-benzimidazol-6-yl]-2-pyrimidinamine, methanesulfonate
Synonyms:	Abemaciclib
MF:	$C_{27}H_{32}F_2N_8 \bullet CH_4O_3S$
FW:	602.7
Purity:	≥95%
UV/Vis.:	λ_{max}: 245, 272, 299 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

LY2835219 (methanesulfonate) is supplied as a crystalline solid. A stock solution may be made by dissolving the LY2835219 (methanesulfonate) in the solvent of choice, which should be purged with an inert gas. LY2835219 (methanesulfonate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of LY2835219 (methanesulfonate) in ethanol is approximately 5 mg/ml and approximately 1 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of LY2835219 (methanesulfonate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of LY2835219 (methanesulfonate) in PBS (pH 7.2) is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

LY2835219 is an orally-bioavailable dual inhibitor of cyclin-dependent kinase 4 (CDK4) and CDK6 (IC_{50}s = 2 and 10 nM, respectively).[1-3] Through this mechanism, it blocks phosphorylation of retinoblastoma protein, resulting in arrest of cell cycling in the G_1 phase. LY2835219 has antitumor action against xenografts when used alone or in combination with other chemotherapeutic compounds.[2,3]

References

1. Dickson, M.A. Molecular pathways: CDK4 inhibitors for cancer therapy. *Clin. Cancer Res.* **20(13)**, 3379-3383 (2014).
2. Gelbert, L.M., Cai, S., Lin, X., *et al.* Preclinical characterization of the CDK4/6 inhibitor LY2835219: *In-vivo* cell cycle-dependent/independent anti-tumor activities alone/in combination with gemcitabine. *Invest. New Drugs* **32(5)**, 825-837 (2014).
3. Tate, S.C., Cai, S., Ajamie, R.T., *et al.* Semi-mechanistic pharmacokinetic/pharmacodynamic modeling of the antitumor activity of LY2835219, a new cyclin-dependent kinase 4/6 inhibitor, in mice bearing human tumor xenografts. *Clin. Cancer Res.* **20(14)**, 3763-3774 (2014).

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