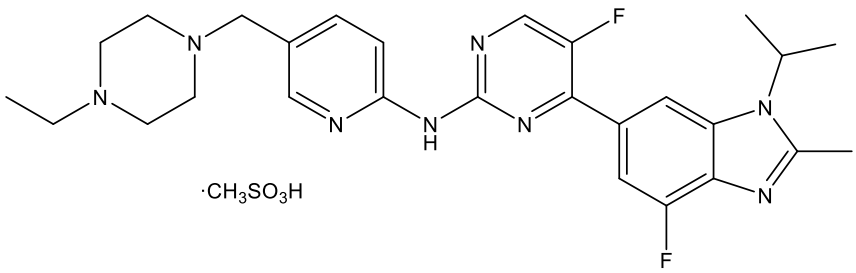
Catalog # 10-4833

Abemaciclib

CAS# 1231930-82-7

N-[5-[(4-Ethylpiperazin-1-yl)methyl]pyridine-2-yl]-5-fluoro-4-(7-fluoro-2-methyl-3-propan-2-ylbenzimidazol-5-yl)pyrimidin-2-amine methanesulfonic acid salt; LY2835219 mesylate

Lot # FBS4003



Abemaciclib is a potent and selective CDK4/6 inhibitor (IC_{50} = 2 nM and 10 nM respectively).[1] It caused G1 cell cycle arrest in colo-205 colorectal cells, MDA-MB-361 breast cancer cells, and MV4-11 AML cells. Abemaciclib was also active in several human tumor xenograft models. It displayed efficacy in patients with various solid tumors including breast cancer, non-small cell lung cancer, glioblastoma, melanoma, colorectal cancer, and hormone receptor-positive breast cancer.[2] Abemaciclib induced a T cell inflamed tumor microenvironment and enhanced the efficacy of PD-L1 checkpoint blockade in MCF-7 breast cancer cells.[3] FDA approved for the treatment of advanced breast cancers.

1) Gelbert *et al*. (2014), *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** 825
2) Patnaik *et al*. (2016), *Efficacy and Safety of Abemaciclib, an Inhibitor of CDK4 and CDK6, for Patients with Breast Cancer, Non-small Cell Lung Cancer, and Other Solid Tumors;* Cancer Discov., **6** 740
3) Schaer *et al*. (2018), *The CDK4/6 Inhibitor Abemaciclib Induces a T Cell Inflamed Tumor Microenvironment and Enhances the Efficacy of PD-L1 Checkpoint Blockade*; Cell Rep., **22** 2978

PHYSICAL DATA

Molecular Weight:	602.70
Molecular Formula:	$C_{27}H_{32}F_2N_8 \cdot CH_3SO_3H$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml), water (>25 mg/mL)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 1 year from the date of purchase. Solutions in DMSO or water may be stored at -20°C for up to 1 month.

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