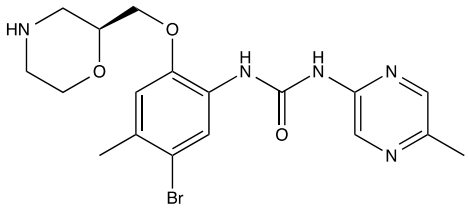
Catalog # 10-4123

LY2603618

CAS# 911222-45-2

N-[5-bromo-4-methyl-2-[(2S)-2-morpholinylmethoxy]phenyl]-N'-(5-methyl-2-pyrazinyl)urea; Rabusertib

Lot # FBS1055



LY2603618 is a potent (IC_{50} = 7nM) and selective (>1000x over Chk2) Checkpoint kinase 1 (Chk1) inhibitor.[1] Chk1 is an important regulator of the DNA damage response – combination treatment of LY2603618 with other chemotherapeutic agents significantly increased tumor growth inhibition when compared to chemotherapeutic alone.[2,3,4] LY2603618 caused a dramatic suppression of cell growth in MCF-7 and MDA-MB-231 human breast cancer cells *via* Chk1 inhibition induced upregulation of replication stress caused by oncogenes.[5]

1) King *et al*. (2014), *Characterization and preclinical development of LY2603618: a selective and potent Chk1 inhibitor*; Invest.New Drugs, **32** 213
2) Calvo *et al*. (2014), *Preclinical analyses and phase I evaluation of LY2603618 administered in combination with pemetrexed and cisplatin in patients with advanced cancer*; Invest.New Drugs, **32** 955
3) Calvo *et al*. (2016), *Phase I Study of CHK1 Inhibitor LY2603618 in Combination with Gemcitabine in Patients with Solid Tumors*; Oncology, **91** 251
4) Zhao *et al*. (2016), *Inhibition of CHK1 enhances cell death induced by the Bcl-1-selective inhibitor ABT-199 in acute myeloid leukemia cells*; Oncotarget, **7** 34785
5) Zhang *et al*. (2016), *Targeting radioresistant breast cancer cells by single agent CHK1 inhibitor via enhancing replication stress*; Oncotarget, **7** 34688

PHYSICAL DATA

Molecular Weight:	436.30
Molecular Formula:	$C_{18}H_{22}BrN_5O_3$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>10 mg/ml)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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