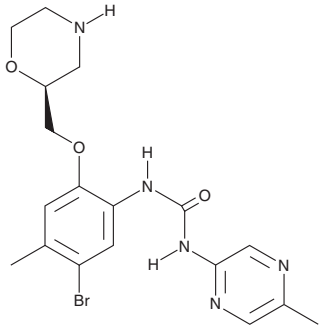
PRODUCT INFORMATION

LY2603618

Item No. 20351

CAS Registry No.:	911222-45-2
Formal Name:	N-[5-bromo-4-methyl-2-[(2S)-2-morpholinylmethoxy]phenyl]-N'-(5-methyl-2-pyrazinyl)-urea
Synonyms:	IC-83, Rabusertib
MF:	$C_{18}H_{22}BrN_5O_3$
FW:	436.3
Purity:	≥95%
UV/Vis.:	λ_{max}: 212, 226, 254, 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

LY2603618 is supplied as a crystalline solid. A stock solution may be made by dissolving the LY2603618 in the solvent of choice, which should be purged with an inert gas. LY2603618 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of LY2603618 in these solvents is approximately 10 and 20 mg/ml, respectively.

LY2603618 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LY2603618 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. LY2603618 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LY2603618 is a checkpoint kinase 1 (Chk1) inhibitor (IC_{50} = 7 nM) that prevents the repair of DNA caused by DNA-damaging agents.[1] It can inhibit Chk1 autophosphorylation and increase DNA damage-mediated Chk1 phosphorylation.[2] LY2603618 has been used in xenograft tumor models to potentiate the antitumor efficacy of chemotherapeutic agents such as gemcitabine (Item No. 11690).[3]

References

1. King, C., Diaz, H., Barnard, D., *et al.* Characterization and preclinical development of LY2603618: A selective and potent Chk1 inhibitor. *Invest New Drugs* **32(2)**, 213-226 (2014).
2. Wang, F.-Z., Fei, H.-r., Cui, Y.-J., *et al.* The checkpoint 1 kinase inhibitor LY2603618 induces cell cycle arrest, DNA damage response and autophagy in cancer cells. *Apoptosis* **19(9)**, 1389-1398 (2014).
3. Barnard, D., Diaz, H. B., Burke, T., *et al.* LY2603618, a selective CHK1 inhibitor, enhances the anti-tumor effect of gemcitabine in xenograft tumor models. *Invest New Drugs* **34(1)**, 49-60 (2016).

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