Small Molecules

MK0457

Aurora kinase pathway inhibitor;
Inhibits aurora kinase A

Catalog # 73282 50 mg
73284 250 mg

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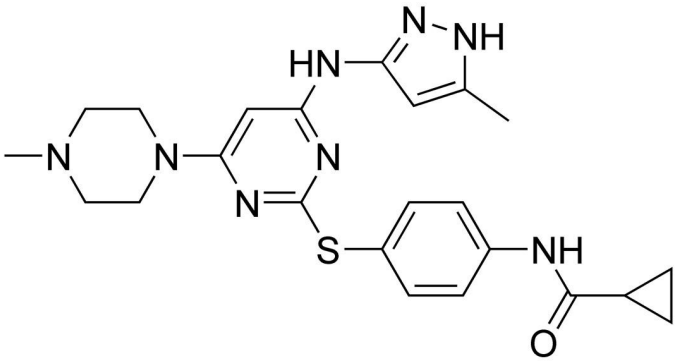
Product Description

MK0457 is a pan-Aurora kinase inhibitor with a preference for Aurora A (Ki = 0.6 nM) over Aurora B (Ki = 18 nM) or Aurora C (Ki = 4.6 nM) (Harrington et al.; Pollard & Mortimore;). It is selective in a protein kinase screen (190 kinases tested) with the closest hit being Fms-related tyrosine kinase 3 (FLT3; Ki = 30 nM; Harrington et al.; Pollard & Mortimore).

Molecular Name: MK0457
Alternative Names: Tozasertib; VX 680
CAS Number: 639089-54-6
Chemical Formula: $C_{23}H_{28}N_8OS$
Molecular Weight: 464.6 g/mol
Purity: ≥ 98%
Chemical Name: N-[4-[4-(4-methylpiperazin-1-yl)-6-[(5-methyl-1H-pyrazol-3-yl)amino]pyrimidin-2-yl]sulfanylphenyl]-cyclopropanecarboxamide

Structure:



Properties

Physical Appearance: A crystalline solid

Storage: Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.

Solubility:
· DMSO ≤ 30 mM
For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 2.15 mL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH
· Inhibits proliferation of a wide variety of tumor cell lines in vitro (Arlot-Bonnemains et al.; Harrington et al.; Li et al.).
· Blocks the growth of tumors in xenograft models of cancer, inhibits histone H3 phosphorylation, and increases apoptosis (Harrington et al.; Li et al.; Lin et al.).
· Disrupts bipolar spindle formation during mitosis, arresting cell cycle progression at the G2/M phase (Li et al.).

References

Arlot-Bonnemains Y et al. (2008) Effects of the Aurora kinase inhibitor VX-680 on anaplastic thyroid cancer-derived cell lines. Endocr Relat Cancer 15(2): 559–68.
Harrington EA et al. (2004) VX-680, a potent and selective small-molecule inhibitor of the Aurora kinases, suppresses tumor growth in vivo. Nat Med 10(3): 262–7.
Li Y et al. (2010) VX680/MK-0457, a potent and selective Aurora kinase inhibitor, targets both tumor and endothelial cells in clear cell renal cell carcinoma. Am J Transl Res 2(3): 296–308.
Lin YG et al. (2008) Targeting aurora kinase with MK-0457 inhibits ovarian cancer growth. Clin Cancer Res 14(17): 5437–46.
Pollard JR & Mortimore M. (2009) Discovery and development of aurora kinase inhibitors as anticancer agents. J Med Chem 52(9): 2629–51.

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