

PRODUCT INFORMATION



MK-0457

Item No. 13600

CAS Registry No.: 639089-54-6

Formal Name: N-[4-[[4-(4-methyl-1-piperazinyl)-6-[(5-methyl-1H-pyrazol-3-yl)amino]-2-pyrimidinyl]thio]phenyl]-cyclopropanecarboxamide

Synonyms: Tozasertib, VX-680

MF: C₂₃H₂₈N₈OS

FW: 464.6

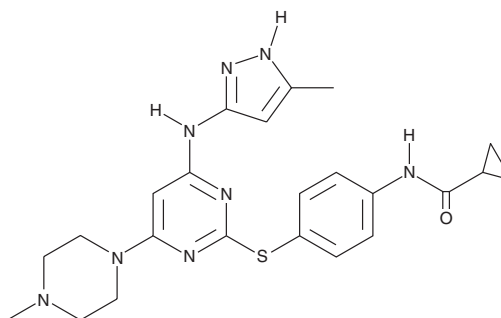
Purity: ≥98%

UV/Vis.: λ_{max}: 253 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

MK-0457 is supplied as a crystalline solid. A stock solution may be made by dissolving the MK-0457 in the solvent of choice. MK-0457 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of MK-0457 in these solvents is approximately 15 and 20 mg/ml, respectively.

MK-0457 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MK-0457 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. MK-0457 has a solubility of approximately 0.1 mg/ml in a 1:10 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The Aurora kinases (A, B, and C) are a family of serine-threonine kinases that regulate various stages of mitotic function. With significant roles in cell cycle and cell division, Aurora kinase gene amplification and overexpression are linked to tumorigenesis.¹ MK-0457 is a potent pan-Aurora kinase inhibitor but favors Aurora A (K_i = 0.6 nM) over Aurora B (K_i = 18 nM) or Aurora C (K_i = 4.6 nM).² It shows selectivity against a panel of more than 190 different protein kinases.² MK-0457 effectively inhibits proliferation of several different cell lines of clear cell renal carcinoma (IC₅₀s = <10 μM) and blocks the growth of tumors in a rodent model of cancer (80 mg/kg), inhibiting histone H3 phosphorylation and increasing apoptosis.¹ By depleting Aurora activity, MK-0457 disrupts bipolar spindle formation during mitosis, arresting cell cycle progression at the G₂/M phase.¹

References

1. Li, Y., Zhang, Z.-F., Chen, J., *et al.* 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 (2010).
2. Pollard, J.R. and Mortimore, M. Discovery and development of Aurora kinase inhibitors as anticancer agents. *J. Med. Chem.* **52(9)**, 2629-2651 (2009).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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