

PRODUCT INFORMATION

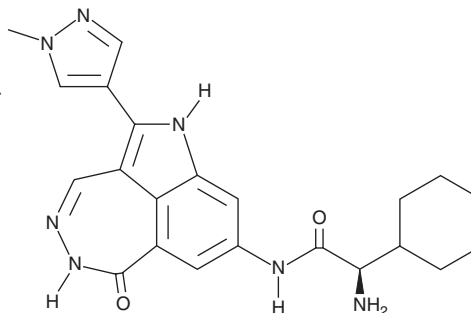


PF-477736

Item No. 17859

CAS Registry No.: 952021-60-2
Formal Name: (αR)-amino-N-[5,6-dihydro-2-(1-methyl-1H-pyrazol-4-yl)-6-oxo-1H-pyrrolo[4,3,2-ef][2,3]benzodiazepin-8-yl]-cyclohexaneacetamide

MF: C₂₂H₂₅N₇O₂
FW: 419.5
Purity: ≥98%
UV/Vis.: λ_{max}: 265, 330 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

PF-477736 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-477736 in the solvent of choice, which should be purged with an inert gas. PF-477736 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PF-477736 in these solvents is approximately 30 mg/ml.

PF-477736 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-477736 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PF-477736 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Checkpoint kinase 1 (Chk1) regulates S and G₂-M phase cell cycle checkpoints in response to DNA damage. PF-477736 is an ATP-competitive inhibitor of Chk1 with a K_i value of 0.49 nM that demonstrates 100-fold selectivity over Chk2.¹ When used in combination with various chemotherapeutics, PF-477736 abrogates DNA damage-induced cell cycle arrest, potentiating the antiproliferative effects of these compounds in tumor cell lines and xenografts.¹⁻³

References

1. Blasina, A., Hallin, J., Chen, E., *et al.* Breaching the DNA damage checkpoint via PF-00477736, a novel small-molecule inhibitor of checkpoint kinase 1. *Mol. Cancer Ther.* **7(8)**, 2394-2404 (2008).
2. Zhang, C., Yan, Z., Painter, C.L., *et al.* PF-00477736 mediates checkpoint kinase 1 signaling pathway and potentiates docetaxel-induced efficacy in xenografts. *Clin. Cancer Res.* **15(14)**, 4630-4640 (2009).
3. Nguyen, T., Hawkins, E., Kolluri, A., *et al.* Synergism between bosutinib (SKI-606) and the Chk1 inhibitor (PF-00477736) in highly imatinib-resistant BCR-ABL⁺ leukemia cells. *Leuk. Res.* **39**, 65-71 (2015).

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.

WARRANTY AND LIMITATION OF REMEDY

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