

PRODUCT INFORMATION

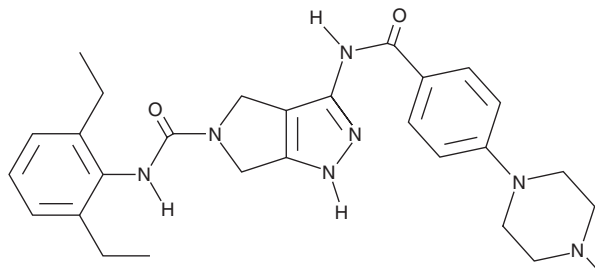


PHA-680632

Item No. 28381

CAS Registry No.: 398493-79-3
Formal Name: N-(2,6-diethylphenyl)-4,6-dihydro-3-[[4-(4-methyl-1-piperazinyl)benzoyl]amino]-pyrrolo[3,4-c]pyrazole-5(1H)-carboxamide

MF: C₂₈H₃₅N₇O₂
FW: 501.6
Purity: ≥98%
UV/Vis.: λ_{max}: 310 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

PHA-680632 is supplied as a crystalline solid. A stock solution may be made by dissolving the PHA-680632 in the solvent of choice, which should be purged with an inert gas. PHA-680632 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PHA-680632 in these solvents is approximately 30 mg/ml.

PHA-680632 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PHA-680632 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PHA-680632 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PHA-680632 is an inhibitor of Aurora A, B, and C kinases (IC₅₀s = 27, 135, and 120 nM, respectively).¹ It has greater than 15-fold selectivity for Aurora A kinase in a panel of 31 kinases. PHA-680632 inhibits phosphorylation of serine 10 on histone H3 in U2OS cells (IC₅₀ = 0.39 μM). It inhibits proliferation of U2OS, HeLa, A549, HCT116, U937, and HL-60 cells (IC₅₀s = 1.6, 0.4, 0.6, 0.1, 0.1, and 0.1 μM, respectively). PHA-680632 reduces the size of established tumors in transgenic v-Ha-ras mice and in an HL-60 human leukemia mouse xenograft model when administered at a dose of 45 mg/kg.

Reference

1. Soncini, C., Carpinelli, P., Gianellini, L., *et al.* PHA-680632, a novel Aurora kinase inhibitor with potent antitumoral activity. *Clin. Cancer Res.* **12(13)**, 4080-4089 (2006).

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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