PRODUCT INFORMATION



AMG 900

Item No. 19176

CAS Registry No.: 945595-80-2

Formal Name: N-[4-[[3-(2-amino-4-

> pyrimidinyl)-2-pyridinyl]oxy] phenyl]-4-(4-methyl-2-thienyl)-1-

phthalazinamine

 $C_{28}H_{21}N_{7}OS$ MF:

FW: 503.6 **Purity:** ≥98%

UV/Vis.: λ_{max} : 212, 325 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AMG 900 is supplied as a crystalline solid. A stock solution may be made by dissolving the AMG 900 in the solvent of choice. AMG 900 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of AMG 900 in these solvents is approximately 15 mg/ml.

AMG 900 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AMG 900 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. AMG 900 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Three Aurora kinases, A-C, are involved in phosphorylation events that are critical for the completion of mitosis. Their expression is elevated in a variety of human cancers. AMG 900 is an orally bioavailable, selective Aurora kinase inhibitor with IC₅₀ values of 5, 4, and 1 nM for Aurora A, B, and C, respectively. 1 It is greater than 10-fold selective for Aurora kinases over p38 α , TYK2, JNK2, Met, and Tie2 (IC₅₀s = 53, 220, 520, 550, and 650 nM, respectively). At 2-3 nM, AMG 900 has been shown to inhibit the proliferation of 26 different tumor cell lines in vitro, including cell lines resistant to either the antimitotic agent paclitaxel (Item No. 10461) or to other Aurora kinase inhibitors. Furthermore, AMG 900 is reported to be broadly active in multiple xenograft models, including three multidrug-resistant xenograft models, representing five tumor types.¹

Reference

1. Payton, M., Bush, T.L., Chung, G., et al. Preclinical evaluation of AMG 900, a novel potent and highly selective pan-aurora kinase inhibitor with activity in taxane-resistant tumor cell lines. Cancer Res. 70(23), 9846-9854 (2010).

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

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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