

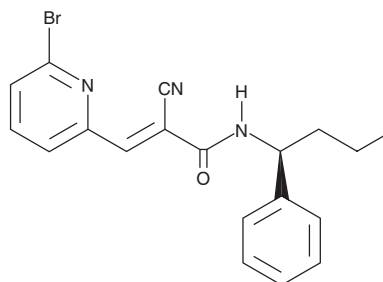
PRODUCT INFORMATION



WP1130

Item No. 15227

CAS Registry No.: 856243-80-6
Formal Name: (2E)-3-(6-bromo-2-pyridinyl)-2-cyano-N-[1S-phenylbutyl]-2-propenamamide
Synonym: Degrasyn
MF: C₁₉H₁₈BrN₃O
FW: 384.3
Purity: ≥95%
UV/Vis.: λ_{max}: 260, 312 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

WP1130 is supplied as a crystalline solid. A stock solution may be made by dissolving the WP1130 in the solvent of choice. WP1130 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of WP1130 in these solvents is approximately 10, 16, and 20 mg/ml, respectively.

WP1130 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, WP1130 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. WP1130 has a solubility of approximately 0.09 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

Protein ubiquitination is a dynamic process that can be reversed by deubiquitinating enzymes (DUBs) that remove ubiquitin from proteins, sparing them from degradation by the proteasome. The DUBs have been divided into two subgroups: ubiquitin-specific proteases (USPs) and ubiquitin-specific COOH-terminal hydrolases (UCHs). Recent evidence suggests that several DUBs are activated in tumor cells and many contribute to a transformed phenotype.¹ WP1130 is a second-generation tyrphostin derivative that inhibits the deubiquitinase activity of USP9x, USP5, USP14, and UCH37.^{1,2} At 5 μM, WP1130-mediated inhibition of tumor-activated DUBs induces a rapid accumulation of protein-ubiquitin conjugates, resulting in the formation of aggresomes and apoptosis in a variety of tumor cells.¹ Through this mechanism, WP1130 has been shown to downregulate the antiapoptotic proteins Bcr/Abl and Jak2 and to upregulate the proapoptotic proteins MCL-1 and p53.¹

References

1. Kapuria, V., Peterson, L.F., Fang, D., *et al.* Deubiquitinase inhibition by small-molecule WP1130 triggers aggresome formation and tumor cell apoptosis. *Cancer Res.* **70(22)**, 9265-9276 (2010).
2. Bartholomeusz, G.A., Talpaz, M., Kapuria, V., *et al.* Activation of a novel Bcr/Abl destruction pathway by WP1130 induces apoptosis of chronic myelogenous leukemia cells. *Blood* **109(8)**, 3470-3478 (2007).

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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