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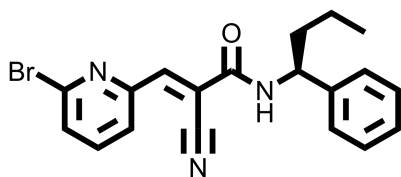
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Deubiquitinating Enzyme (DUB) Inhibitor – WP1130 (Degrasyn)

Chemical Name: (S,E)-3-(6-bromopyridin-2-yl)-2-cyano-N-(1-phenylbutyl)acrylamide



Molecular Weight:	384.27
Formula:	C ₁₉ H ₁₈ BrN ₃ O
Purity:	≥98%
CAS#:	856243-80-6
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

WP1130 (Degrasyn) is a cell-permeable, potent and selective inhibitor of the deubiquitinating enzymes (DUBs). At 5 μM it directly inhibits DUB activity of USP9x, USP5, USP14, UCH-L1, and UCH37, but not UCH-L3, resulting in downregulation of antiapoptotic and upregulation of proapoptotic proteins, such as MCL-1 and p53. WP1130 suppresses Bcr/Abl, JAK2 transducer (without affecting 20S proteasome) and activator of transcription (STAT). It did not directly suppress Jak2 kinase activity, but mediated Jak2 ubiquitination, resulting in its trafficking through HDAC6 to perinuclear aggresomes without cytokine stimulation or SOCS-1 induction.

How to Use:

In vitro: WP1130 was used at 5-10 μM final concentration in various in vitro assays.

In vivo: WP1130 was administered through IP injection at 40 mg/kg every other day.

Reference:

1. Bartholomeusz GA, et al. Activation of a novel Bcr/Abl destruction pathway by WP1130 induces apoptosis of chronic myelogenous leukemia cells. (2007) *Blood*. 109(8):3470-8.
2. Bartholomeusz G, et al. Degrasyn activates proteasomal-dependent degradation of c-Myc. (2007) *Cancer Res*. 67(8):3912-8.
3. Kapuria V, et al. Deubiquitinase inhibition by small-molecule WP1130 triggers aggresome formation and tumor cell apoptosis. (2010) *Cancer Res*. 70(22):9265-76.
4. Sun H, et al. Bcr-Abl ubiquitination and Usp9x inhibition block kinase signaling and promote CML cell apoptosis. (2011) *Blood*. 117(11):3151-62.
5. Kapuria V, et al. A novel small molecule deubiquitinase inhibitor blocks Jak2 signaling through Jak2 ubiquitination. (2011) *Cell Signal*. 23(12):2076-85.

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