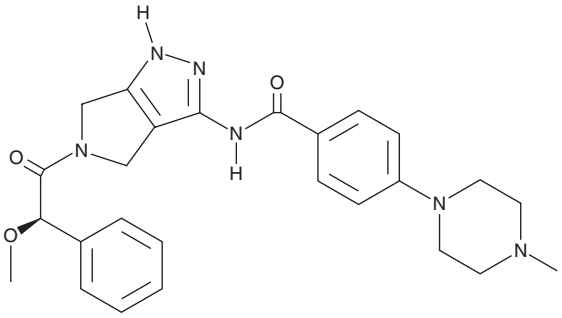
PRODUCT INFORMATION

Danusertib

Item No. 18387

CAS Registry No.:	827318-97-8
Formal Name:	4-(4-methyl-1-piperazinyl)-N-[1,4,5,6-tetrahydro-5-[(2R)-2-methoxy-2-phenylacetyl]pyrrolo[3,4-c]pyrazol-3-yl]-benzamide
Synonym:	PHA-739358
MF:	$C_{26}H_{30}N_6O_3$
FW:	474.6
Purity:	≥95%
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

Danusertib is supplied as a crystalline solid. A stock solution may be made by dissolving the danusertib in the solvent of choice, which should be purged with an inert gas. Danusertib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of danusertib in these solvents is approximately 25, 20, and 15 mg/ml, respectively.

Danusertib is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Danusertib is a pan-Aurora kinase inhibitor (IC_{50}s = 13, 79, and 61 nM for Aurora A, B, and C, respectively) that also targets Abl, Ret, TrkA and FGFR1 (IC_{50}s = 25, 31, 31, and 47 nM, respectively).[1] It exhibits anti-proliferative and pro-apoptotic activity against a panel of cancer cells *in vitro*, including those expressing wild type and mutant Bcr-Abl.[1,2] Danusertib is effective against diverse xenografts in mice, including those containing mutant Bcr-Abl that are resistant to imatinib (Item No. 13139).[1-3]

References

1. Carpinelli, P., Ceruti, R., Giorgini, M. L., *et al*. PHA-739358, a potent inhibitor of Aurora kinases with a selective target inhibition profile relevant to cancer. *Mol. Cancer Ther.* **6(12 Pt 1)**, 3158-3153 (2007).
2. Gontarewicz, A., Balabanov, S., Keller, G., *et al*. Simultaneous targeting of Aurora kinases and Bcr-Abl kinase by the small molecule inhibitor PHA-739358 is effective against imatinib-resistant BCR-ABL mutations including T315I. *Blood* **111(8)** 4355-4364 (2008).
3. Moore, A.S., Blagg, J., Linardopoulos, S., *et al*. Aurora kinase inhibitors: Novel small molecules with promising activity in acute myeloid and Philadelphia-positive leukemias. *Leukemia* **24(4)**, 671-678 (2010).

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