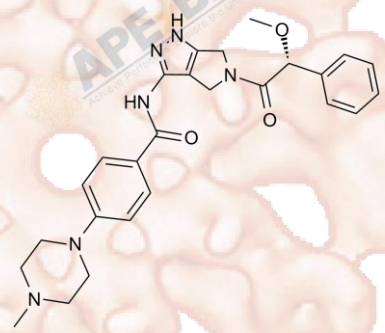
Product Data Sheet

Danusertib (PHA-739358)

Cat. No.:	A4116
CAS No.:	827318-97-8
Formula:	C26H30N6O3
M.Wt:	474.55
Synonyms:	5-Amido-pyrrolopyrazole 9d
Target:	Tyrosine Kinase
Pathway:	c-RET
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥23.75 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	2.1073 mL	10.5363 mL	21.0726 mL
5 mM	0.4215 mL	2.1073 mL	4.2145 mL
10 mM	0.2107 mL	1.0536 mL	2.1073 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Pan-aurora kinase inhibitor
IC_{50} & Target	13 nM/79 nM/61 nM (Aurora A/B/C), 25nM (Bcr-Abl), 31 nM (c-RET), 47 nM (FGFR), 30 nM (TrkA)

In Vitro

Cell Viability Assay

Cell Line:	CD34+ cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.
Reacting conditions:	5 μM; 5 days

	Applications:	In CD34+ cells from an IM-resistant CML patient, Danusertib induced apoptosis and reduced phosphorylation of P-CrkL.
In Vivo	**Animal experiment**	
	Animal models:	Female SCID mice s.c. injected with K562 cells
	Dosage form:	15 mg/kg; i.p.; b.i.d., for 10 days
	Applications:	Danusertib significantly inhibited K562 cell proliferation and virtually suppressed tumor growth.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Wang H, Tian L, et al. "The Osteogenic Niche Is a Calcium Reservoir of Bone Micrometastases and Confers Unexpected Therapeutic Vulnerability." Cancer Cell. 2018 Nov 12;34(5):823-839.e7.PMID:30423299

2. Wang H, Tian L, et al. "Bone-in-culture array as a platform to model early-stage bone metastases and discover anti-metastasis therapies." Nat Commun. 2017 Apr 21;8:15045.PMID:28429794

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References

[1]. Carpinelli P, Ceruti R, Giorgini ML, Cappella P, Gianellini L, Croci V, Degrassi A, Texido G, Rocchetti M, Vianello P, Rusconi L, Storici P, Zugnoni P, Arrigoni C, Soncini C, Alli C, Patton V, Marsiglio A, Ballinari D, Pesenti E, Fancelli D, Moll J. PHA-739358, a potent inhibitor of aurora kinases with a selecyive target inhibiton profile relevant to cancer. Mol Cancer Ther 2007; 6(12 Pt 1): 3158-3168.

[2]. Gontarewicz A, Balabanov S, Keller G, Colombo R, Graziano A, Pesenti E, Benten D, Bokemeyer C, Fiedler W, Moll J, Brümmendorf TH. 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. 2008 Apr 15;111(8):4355-64.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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