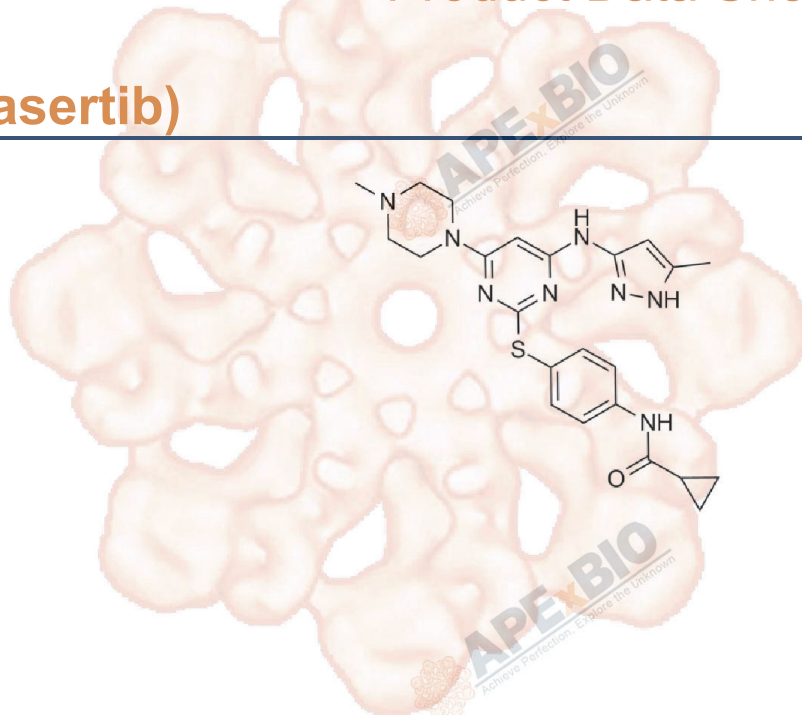


Product Data Sheet

VX-680 (MK-0457, Tozasertib)

Cat. No.:	A4111
CAS No.:	639089-54-6
Formula:	C ₂₃ H ₂₈ N ₈ O ₅
M.Wt:	464.59
Synonyms:	
Target:	Chromatin/Epigenetics
Pathway:	Aurora Kinase
Storage:	Store at -20°C



Solvent & Solubility

≥23.25 mg/mL in DMSO; insoluble in EtOH; insoluble in H₂O

In Vitro	Preparing Stock Solutions	Mass			
		Solvent	1mg	5mg	10mg
		Concentration			
		1 mM	2.1524 mL	10.7622 mL	21.5244 mL
		5 mM	0.4305 mL	2.1524 mL	4.3049 mL
		10 mM	0.2152 mL	1.0762 mL	2.1524 mL

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

Biological Activity

Shortsummary	Aurora kinase inhibitor	
IC ₅₀ & Target	0.6 nM (Ki) (Aurora A), 4.6 nM (Ki) (Aurora B), 18 nM (Ki) (Aurora C), 30 nM (Ki) (FLT3), 30 nM (Ki) (Bcr-Abl)	
In Vitro	Cell Viability Assay	
	Cell Line:	CAL-62 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 ~ 500 nM; 4 days

	Applications:	VX-680 prevented the CAL-62 proliferation in a time-dependent manner.
In Vivo	Animal experiment	
	Animal models:	Female athymic NCr-nu mice bearing HL-60 leukemia cells
	Dosage form:	12.5, 25, 50 or 70 mg/kg; i.p.; b.i.d., for 13 days
	Applications:	In female athymic NCr-nu mice bearing HL-60 leukemia cells, VX-680 (70 mg/kg; i.p.; b.i.d., for 13 days) reduced the tumor volume by 98%.
	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

See more customer validations on www.apexbt.com.

References

- [1]. Young MA, Shah NP, Chao LH, Seeliger M, Milanov ZV, Biggs WH 3rd, Treiber DK, Patel HK, Zarrinkar PP, Lockhart DJ, Sawyers CL, Kuriyan J. Structure of the kinase domain of an imatinib-resistant Abl mutant in complex with the Aurora kinase inhibitor VX-680. *Cancer Res.* 2006 Jan 15;66(2):1007-14.
- [2]. Arlot-Bonnemains Y, Baldini E, Martin B, Delcros JG, Toller M, Curcio F, Ambesi-Impiombato FS, D'Armiento M, Ulisse S. Effects of the Aurora kinase inhibitor VX-680 on anaplastic thyroid cancer-derived cell lines. *Endocr Relat Cancer.* 2008 Jun;15(2):559-68.
- [3]. Harrington EA, Bebbington D, Moore J, Rasmussen RK, Ajose-Adeogun AO, Nakayama T, Graham JA, Demur C, Hercend T, Diu-Hercend A, Su M, Golec JM, Miller KM. VX-680, a potent and selective small-molecule inhibitor of the Aurora kinases, suppresses tumor growth in vivo. *Nat Med.* 2004 Mar;10(3):262-7. Epub 2004 Feb 22.

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 APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term 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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