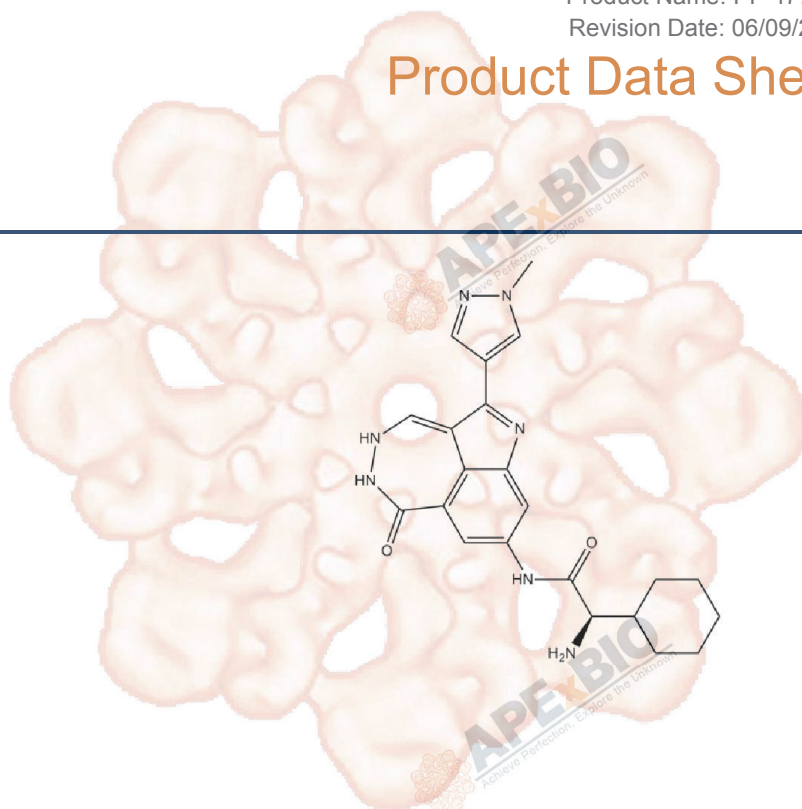


Product Data Sheet

PF-477736

Cat. No.:	B1437
CAS No.:	952021-60-2
Formula:	C ₂₂ H ₂₅ N ₇ O ₂
M.Wt:	419.48
Synonyms:	
Target:	Cell Cycle/Checkpoint
Pathway:	Chk
Storage:	Store at -20°C



Solvent & Solubility

insoluble in EtOH; insoluble in H₂O; ≥ 5.24 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	2.3839 mL	11.9195 mL	23.8390 mL
	5 mM	0.4768 mL	2.3839 mL	4.7678 mL
	10 mM	0.2384 mL	1.1920 mL	2.3839 mL

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

Biological Activity

Shortsummary

Chk1 inhibitor

IC₅₀ & Target

0.49 nM(Ki) (Chk1), 8 nM(Ki) (VEGFR2), 10 nM(Ki) (Fms), 14 nM(Ki) (YES), 47 nM(Ki) (Chk2)

In Vitro

Cell Viability Assay

Cell Line: HT29 cell lines

Preparation method:

The solubility of this compound in DMSO is >5.2mg/mL. 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:

69 nM, 24h

	Applications:	The gemcitabine and PF-477736 combination induced significant potentiation of the cytotoxic activity of gemcitabine, indicating that the combination treatment caused a permanent cellular damage that cannot be overcome even after drug removal. The cell kill induced by the combination treatment is time and dose dependent.
In Vivo	Animal experiment	
	Animal models:	Colo205 Xenograft Models in Athymic Nude Mice
	Dosage form:	i.p., 4-60 mg/kg, q3d × 4
	Applications:	In xenografts, PF-477736 enhanced the antitumor activity of gemcitabine in a dose-dependent manner.
	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] Blasina A1, Hallin J, Chen E, Arango ME, Kraynov E, Register J, Grant S, Ninkovic S, Chen P, Nichols T, O'Connor P, Anderes K. Breaching the DNA damage checkpoint via PF-00477736, a novel small-molecule inhibitor of checkpoint kinase 1. Mol Cancer Ther. 2008 Aug;7(8):2394-404.

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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