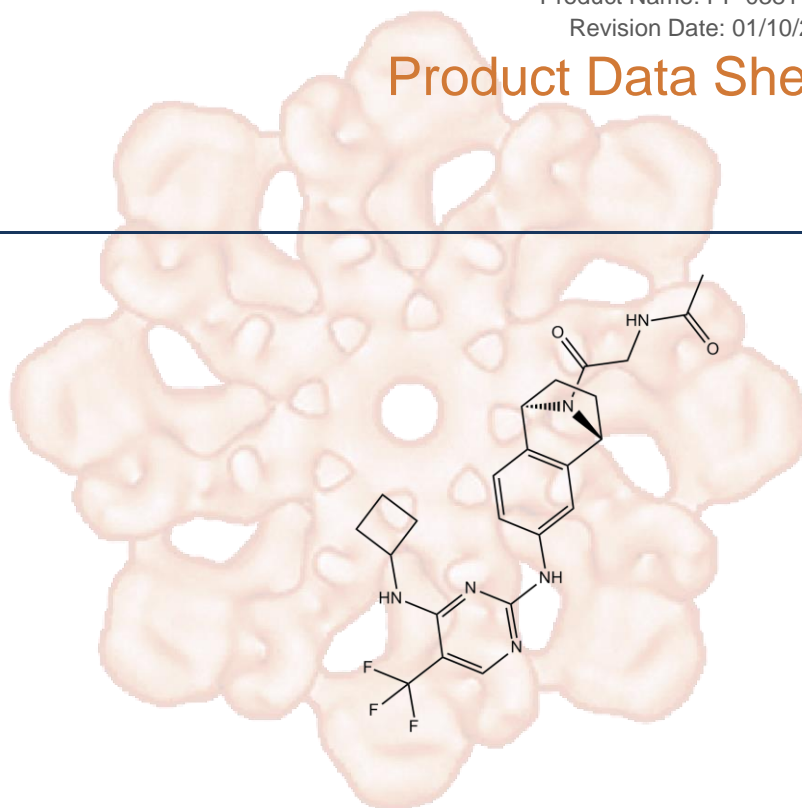


Product Data Sheet

PF-03814735

Cat. No.:	A4128
CAS No.:	942487-16-3
Formula:	C ₂₃ H ₂₅ F ₃ N ₆ O ₂
M.Wt:	474.48
Synonyms:	
Target:	Chromatin/Epigenetics
Pathway:	Aurora Kinase
Storage:	Store at -20°C



Solvent & Solubility

Soluble in DMSO

In Vitro

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	1 mM		2.1076 mL	10.5379 mL	21.0757 mL
	5 mM		0.4215 mL	2.1076 mL	4.2151 mL
	10 mM		0.2108 mL	1.0538 mL	2.1076 mL

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

Biological Activity

Shortsummary

Aurora A/B inhibitor

 IC₅₀ & Target

20 nM (Aurora A), 150 nM (Aurora B)

In Vitro

Cell Viability Assay

Cell Line:	MDA-MB-231 cells, various tumor types (HCT-116, HL-60, A549, and H125) as well as tumor cell lines of rat (C6), mouse (L1210), and dog (MDCK) origin.
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:	1-1000 nM; 4, 8, 12, 24, and 48 h
Applications:	In MDA-MB-231 cells, PF-03814735 markedly reduced levels of Aurora1 phosphorylated on Thr 232 and the phosphorylation of histone H3 on Ser10 with IC50 values of 20 nmol/L and 50 nmol/L. In various tumor cell lines, PF-03814735 resulted in a reduction in cell number with IC50 values ranging from 42 to 150 nmol/L. PF-03814735 at 300 nmol/L produced near-complete inhibition of cell proliferation.
Animal experiment	
Animal models:	Athymic mice bearing s.c. HCT-116 human colorectal cancer xenografts
Dosage form:	10, 20, and 30 mg/kg; oral gavage for 10 days
Applications:	In athymic mice bearing s.c. HCT-116 human colorectal cancer xenografts, PF-03814735 (≥20 mg/kg) resulted in statistically significant and dose-dependent tumor growth inhibition of ≥50% relative to vehicle-treated mice. The tumor growth inhibition was associated with a reduction in phosphorylated histone H3 levels of ≥50% for approximately 5 hours each day for 10 days.
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.

In Vivo

Product Citations

See more customer validations on www.apexbt.com.

References

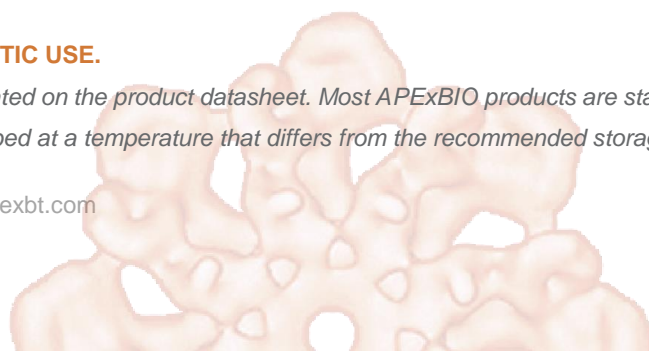
[1] Jani JP, Arcari J, Bernardo V, Bhattacharya SK, Briere D, Cohen BD, Coleman K, Christensen JG, Emerson EO, Jakowski A, Hook K, Los G, Moyer JD, Prumboom-Brees I, Pustilnik L, Rossi AM, Steyn SJ, Su C, Tsaparikos K, Wishka D, Yoon K, Jakubczak JL. PF-03814735, an orally bioavailable small molecule aurora kinase inhibitor for cancer therapy. *Mol Cancer Ther.* 2010 Apr;9(4):883-94.

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. 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.

APEX BIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com

