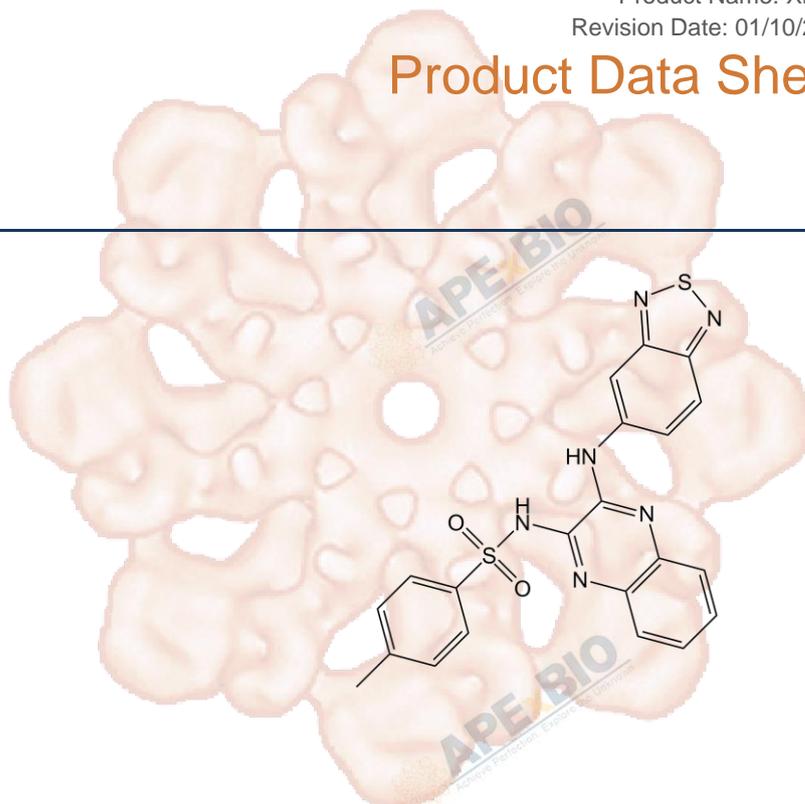


Product Data Sheet

XL147

Cat. No.:	A5112
CAS No.:	956958-53-5
Formula:	C ₂₁ H ₁₆ N ₆ O ₂ S ₂
M.Wt:	448.52
Synonyms:	
Target:	PI3K/Akt/mTOR Signaling
Pathway:	PI3K
Storage:	Store at -20°C



Solvent & Solubility

≥22.45 mg/mL in DMSO with gentle warming; insoluble in H₂O; insoluble in EtOH

In Vitro	Preparing Stock Solutions	Mass			
		Solvent	1mg	5mg	10mg
		Concentration			
		1 mM	2.2296 mL	11.1478 mL	22.2955 mL
		5 mM	0.4459 mL	2.2296 mL	4.4591 mL
		10 mM	0.2230 mL	1.1148 mL	2.2296 mL

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

Biological Activity

Shortsummary	PI3K inhibitor, selective and reversible	
IC ₅₀ & Target	39 nM (PI3K α), 36 nM (PI3K δ), 23 nM (PI3K γ), 383 nM (PI3K β)	
In Vitro	Cell Viability Assay	
	Cell Line:	Rhabdomyosarcoma cell lines, neuroblastoma cell lines
	Preparation method:	Limited solubility. 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:	10 nM-100 μ M, 6–12 h

	Applications:	In PPTP cell lines, XL147 (100 μ M) demonstrated cytotoxic activity with the IC50 values ranged from 2.7 μ M (CHLA-10) to 24.5 μ M (TC-71). There was a trend for lower values for the rhabdomyosarcoma panel (median rIC50 5.6 μ M) and higher values for the neuroblastoma panel (median rIC50 19.5 μ M). XL147 showed higher sensitivity for the rhabdomyosarcoma cell lines and lower sensitivity for the neuroblastoma cell lines.
In Vivo	Animal experiment	
	Animal models:	Solid glioma xenografted mouse model
	Dosage form:	Oral administration, 100 mg/kg, daily for 14 days
	Applications:	In BALB/c nu/nu mice, Pilaralisib (100 mg/kg, p.o.) induced tumor growth inhibition for solid glioma xenografts. Pilaralisib was well tolerated, with only 0.7% toxicity rate in the treated groups. In athymic female mouse, Pilaralisib (100 mg/kg, p.o.) significantly delayed tumor growth without significant drug-related toxicity.
	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]. Reynolds C P, Kang M H, Carol H, et al. Initial testing (stage 1) of the phosphatidylinositol 3' kinase inhibitor, SAR245408 (XL147) by the pediatric preclinical testing program[J]. Pediatric blood & cancer, 2013, 60(5): 791-798.
- [2]. Chakrabarty A, Sánchez V, Kuba M G, et al. Feedback upregulation of HER3 (ErbB3) expression and activity attenuates antitumor effect of PI3K inhibitors[J]. Proceedings of the National Academy of Sciences, 2012, 109(8): 2718-2723.

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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