

Product Data Sheet

BAY 87-2243

Cat. No.:	B1115
CAS No.:	1227158-85-1
Formula:	C ₂₆ H ₂₆ F ₃ N ₇ O ₂
M.Wt:	525.53
Synonyms:	
Target:	Angiogenesis
Pathway:	HIF
Storage:	Store at -20°C



Solvent & Solubility

insoluble in H₂O; ≥8.24 mg/mL in EtOH with ultrasonic; ≥8.76 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	1.9028 mL	9.5142 mL	19.0284 mL
	5 mM	0.3806 mL	1.9028 mL	3.8057 mL
	10 mM	0.1903 mL	0.9514 mL	1.9028 mL

Please refer to the solubility information to select the appropriate solvent

Biological Activity

Shortsummary

HIF-1 inhibitor, potent and selective

IC₅₀ & Target

Cell Viability Assay

In Vitro

Cell Line:	H460, RCC4 cells, BRAFWT melanoma cells, and BRAFV600E melanoma cells
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:	1, 10, 100, and 1000 nmol/L BAY 87-2243 for 16 h; or 10 nmol/L BAY 87-2243

		for 24, 48, and 72 h
	Applications:	BAY 87-2243 (100 nmol/L) significantly inhibited the expression of HIF target gene, including ANGPTL4, ADM, and CA9 in hypoxic H460 cells. Moreover, BAY 87-2243 inhibited mitochondrial complex I and induced cell death of melanoma cells in a dose-dependent manner.
In Vivo	Animal experiment	
	Animal models:	H460 xenograft tumors model; melanoma xenografts (G-361 and SK-MEL-28); patient-derived (MEXF 276 and MEXF 1732) melanoma xenograft tumors model
	Dosage form:	0.5, 1.0, 2.0, and 4.0 mg/kg, oral administration, once daily, for 21 days; or 9 mg/kg, oral gavage (p.o.), once a day
	Applications:	BAY 87-2243 dose-dependently decreased tumor weight, hypoxia-inducible factor (HIF)-1a protein, and HIF-1 target gene expression levels in H460 xenograft tumors. Moreover, BAY 87-2243 significantly reduced tumor growth in all BRAF mutant melanoma xenografts.
	Other notes:	Please test the solubility of all compounds in your system, 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. Ellinghaus, P., Heisler, I., Unterschemmann, K., Haerter, M., Beck, H., Greschat, S., Ehrmann, A., Summer, H., Flamme, I., Oehme, F., Thierauch, K., Michels, M., Hess-Stumpp, H. and Ziegelbauer, K. (2013) BAY 87-2243, a highly potent and selective inhibitor of hypoxia-induced gene activation has antitumor activities by inhibition of mitochondrial complex I. *Cancer Med.* 2, 611-6242
2. Schockel, L., Glasauer, A., Basit, F., Bitschar, K., Truong, H., Erdmann, G., Algire, C., Hagebarth, A., Willems, P. H., Kopitz, C., Koopman, W. J. and Heroult, M. (2015) Targeting mitochondrial complex I using BAY 87-2243 reduces melanoma tumor growth. *Cancer Metab.* 3, 11

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.



APExBIO 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

