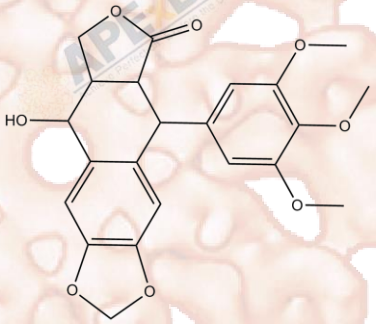
Product Data Sheet

AXL1717



Cat. No.:	A3209
CAS No.:	477-47-4
Formula:	C22H22O8
M.Wt:	414.41
Synonyms:	Picropodophyllotoxin;Picropodophyllin;PPP;AXL-1717;AXL 1717
Target:	Tyrosine Kinase
Pathway:	IGF1R
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾20.7 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.4131 mL	12.0653 mL	24.1307 mL
5 mM	0.4826 mL	2.4131 mL	4.8261 mL
10 mM	0.2413 mL	1.2065 mL	2.4131 mL

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

Biological Activity

Shortsummary	IGF-1R inhibitor,orally active
IC_{50} & Target	1 nM (IGF1R)

In Vitro

Cell Viability Assay

Cell Line:	Melanoma cells, sarcoma cells, P6 cells, OPM-2 and RPMI-8226 cells
Preparation method：	The solubility of this compound in DMSO > 20.7 mg/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:	0.025-1 μM, 1 h
	Applications:	AXL1717 (0.05-0.5 μM, 48 h) dose-dependently inhibited cell growth, and induced apoptosis in cultured melanoma cells (FM 55 and SK-MEL-28), sarcoma cells (RD-ES), and the mouse cell line P6 (overexpressing IGF-1R). In P6 cells, AXL1717 (0.025-1 μM) efficiently inhibited IGF-1-stimulated IGF-1R, as well as Akt (serine 473) and Erk1/2 phosphorylation. AXL1717 (1 μM) synergistically enhanced the anti-myeloma activity of ABT-737. In OPM-2 and RPMI-8226 cells, AXL1717 (125-500 nM) synergistically enhanced ABT-737 and ABT-199 mediated apoptosis. AXL1717 (1 μM) inhibited DNA synthesis, chemotaxis, and VEGF secretion of 5T33MM cells.
In Vivo	**Animal experiment**	
	Animal models:	SCID mice xenografted with human ES-1, BE, and PC3; 5T33MM murine model;
	Dosage form:	Intraperitoneal injection, 20 mg/kg/12 h, 8–14 days
	Applications:	In SCID mice xenografted with human ES-1, BE, and PC3, AXL1717 (20 mg/kg/12 h, i.p.) resulted in complete tumor regression. In 5T33MM murine model, AXL1717 (1.5 mg/kg, oral administration) showed a strong and significant reduction in BM plasmacytosis and serum M-protein levels. The combination of ABT-737 and AXL1717 (20 mg/kg, twice a day, intraperitoneally) did significantly and strongly prolong the overall survival. AXL1717 inhibited angiogenesis and prolonged the overall survival.
	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]. Girnita A, Girnita L, del Prete F, et al. Cyclolignans as inhibitors of the insulin-like growth factor-1 receptor and malignant cell growth[J]. Cancer research, 2004, 64(1): 236-242.

[2]. Bieghs L, Lub S, Fostier K, et al. The IGF-1 receptor inhibitor picropodophyllin potentiates the anti-myeloma activity of a BH3-mimetic[J]. Oncotarget, 2014, 5(22): 11193.

[3]. Menu E, Jernberg-Wiklund H, Stromberg T, et al. Inhibiting the IGF-1 receptor tyrosine kinase with the cyclolignan PPP: an in vitro and in vivo study in the 5T33MM mouse model[J]. Blood, 2006, 107(2): 655-660.

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 APExBIO 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