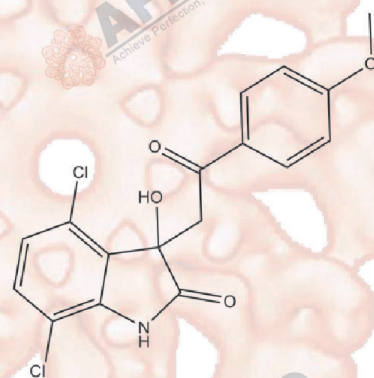


Product Data Sheet

YK-4-279

Cat. No.: A3946
CAS No.: 1037184-44-3
Formula: C₁₇H₁₃Cl₂N₂O₄
M.Wt: 366.2
Synonyms: YK 4-279
Target: Others
Pathway: ES-FLI1/RHA
Storage: Store at -20°C



Solvent & Solubility

insoluble in H₂O; ≥16.35 mg/mL in DMSO; ≥24.25 mg/mL in EtOH with ultrasonic

In Vitro

	Solvent	Mass Concentration	1mg	5mg	10mg
Preparing Stock Solutions	1 mM		2.7307 mL	13.6537 mL	27.3075 mL
	5 mM		0.5461 mL	2.7307 mL	5.4615 mL
	10 mM		0.2731 mL	1.3654 mL	2.7307 mL

Please refer to the solubility information to select the appropriate solvent

Biological Activity

Shortsummary

RNA Helicase A (RHA) inhibitor

IC₅₀ & Target

In Vitro

Cell Viability Assay

Cell Line: VCaP cells, LNCaP cells

Preparation method: The solubility of this compound in DMSO is >16.35 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: 10 μM, 48 hr

Applications:

YK-4-279 inhibited ERG and ETV1 mediated transcriptional activity. YK-4-279 bound to ERG with an affinity (KD) of 11.7 μ M and bound to ETV1 with an affinity of 17.4 μ M. In LNCaP cells, YK-4-279 (1 μ M) resulted in decreased gene expression of MMP13 without significant reduction in ETV1 levels. In VCaP cells, YK-4-279 (10 μ M, 48 hours) decreased expression of PLAU, ADAM19 and PLAT mRNA. YK-4-279 inhibited VCaP (10 μ M) and LNCaP (1 μ M) cell invasion of HUVECs. YK-4-279 (10 μ M) inhibited motility in a scratch assay in high-passage LNCaP cells. YK-4-279 showed anti-proliferative activity with the IC50 values of 1 and 8 μ M in primary cell lines ES925 and GUES1. YK-4-279 induced caspase-3 activity in four ESFT cell lines (TC32, A4573, TC71, and ES925). Treatment of TC32, HEK293, HFK, and HEC with short-term (6 hours) high dose (50 μ M) YK-4-279 resulted in significant apoptosis of the ESFT cells. In LNCaP-luc-M6 cells, YK-4-279 (1 μ M) significantly reduced mRNA levels of several ETV1 target genes, including MMP7, MMP13, FKBP10 and GLYATL2, without affecting the expression of ETV1. YK-4-279 treatment of LNCaP-luc-M6 cells resulted in a significant decrease in cell migration.

Animal experiment

Animal models:

SCID/bg mice bearing ESFT (orthotopic) or prostate cancer cell xenograft tumors, SCID/beige mice subcutaneously injected with LNCaP-luc-M6

Dosage form:

Intraperitoneal injection, 1.5mg/dose, three times per week; 75 mg/kg YK-4-279 three times a week and 150 mg/kg YK-4-279 five times a week

Applications:

YK-4-279 (1.5 mg/dose i.p.) inhibited the growth of ESFT xenograft tumors. In SCID/beige mice were subcutaneously injected with LNCaP-luc-M6 cells, YK-4-279 (75 mg/kg YK-4-279 three times a week and 150 mg/kg YK-4-279 five times a week) reduced tumor growth and inhibited lung metastasis. YK-4-279 treatment resulted in decreased gene expression of MMP7, GLYATL2 and FKBP10 in LNCaP-luc-M6 animals.

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]. Rahim S, Beauchamp E M, Kong Y, et al. YK-4-279 inhibits ERG and ETV1 mediated prostate cancer cell invasion[J]. PloS one, 2011, 6(4): e19343.

[2]. Erkizan H V, Kong Y, Merchant M, et al. A small molecule blocking oncogenic protein EWS-FLI1 interaction with RNA helicase A inhibits growth of Ewing's sarcoma[J]. Nature medicine, 2009, 15(7): 750-756.

[3]. Rahim S, Minas T, Hong S H, et al. A small molecule inhibitor of ETV1, YK-4-279, prevents prostate cancer growth and metastasis in a mouse xenograft model[J]. PloS one, 2014, 9(12): e114260.

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