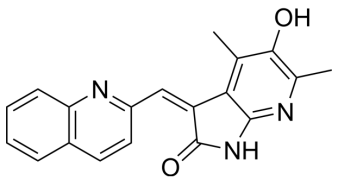
Anticancer agent 109

Cat. No.:	HY-149092
CAS No.:	2097497-16-8
Molecular Formula:	$C_{19}H_{15}N_3O_2$
Molecular Weight:	317.34
Target:	TAM Receptor
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Anticancer agent 109 (compound 6-15) is an inhibitor of the Gas6-Axl axis with anti-cancer activity. Anticancer agent 109 inhibits the expression of Gas6 and Axl, and the expression p-PI3K and p-AKT in cancer cells, leads to G1 phase arrest and promotes cancer cells apoptosis, and inhibits tumor growth significantly in nude mouse tumor bearing models[1].
IC_{50} & Target	Axl

In Vitro

Anticancer agent 109 (10 μM,48 h) inhibits of Gas6 and Axl in A549, inhibits of Gas6-Axl axis related proteins, increases the sub-G1 fraction and promotes of late stage apoptosis without altering DNA synthesis in PANC-1[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	MCF-7; PANC-1; MDA-MB-231 ; HT-29 ; DU145 ; U937 ; A549 ; PANC-1
Concentration:	30 μM
Incubation Time:	48 h
Result:	Inhibited the growth of cancer cells, and was up to 20-fold safer against normal cells and up to 5.4-fold more active than Sunitinib against the cancer cells. Inhibited growth with IC_{50}s of 2.0 μM (MCF-7); 2.8 μM (MDA-MB-231); 4.6 μM (HT-29); 1.1 μM (DU145); 6.7 μM (U937); 4.2 μM (A549); 4.0 μM (PANC-1).

Apoptosis Analysis[1]

Cell Line:	PANC-1
Concentration:	1 μM , 5 μM , 10 μM
Incubation Time:	48 h
Result:	Increased the sub-G1 fraction and induced late apoptosis.

Western Blot Analysis[1]

Cell Line:	A549; PANC-1

Concentration:	10 μM
Incubation Time:	48 h
Result:	Inhibited Gas6 and Axl in A549 and PANC-1 cell, increased the expression ratio of Bax/Bcl-2 and inhibited p-PI3K and p-AKT in PANC-1 cell.

RT-PCR[1]

Cell Line:	PANC-1
Concentration:	3 μM ;5 μM 10 μM
Incubation Time:	48 h
Result:	Inhibited Gas6 and Axl.

In Vivo

Anticancer agent 109 (3 mg/kg, intraperitoneal injection, A549 tumor model for 31 days, PANC-1 tumor model for 85 days, six times a week) reduced tumor size and weight significantly in xenograft models of nude mice[1].

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Animal Model:	A549 or PANC-1 xenografted in BALB/c-nu mice[1].
Dosage:	1 mg/kg; 3 mg/kg
Administration:	Intraperitoneal injection (i.p.) 6 times a week
Result:	Promoted tumor regression to around a quarter with 1 mg/kg, smaller but not eliminated with 3 mg/kg in A549 models. Promoted tumor regression to around a quarter with 3 mg/kg in PANC-1 models.

REFERENCES

[1]. Bae D, et al. Antitumor effect of 3-(quinolin-2-ylmethylene)-4,6-dimethyl-5-hydroxy-7-azaoxindole down-regulating the Gas6-Axl axis. Eur J Med Chem. 2023 May 5;251:115274.

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