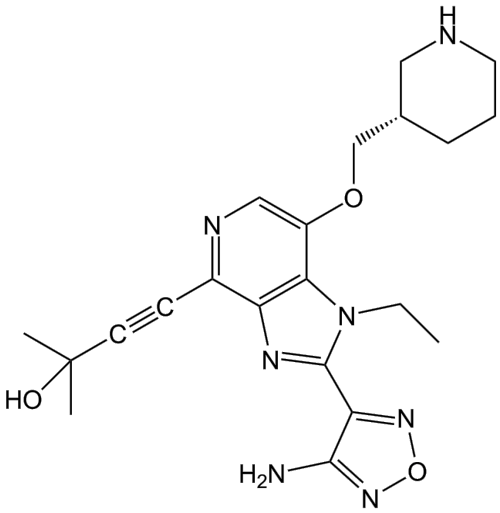
# Product Data Sheet

Product Name: GSK690693
Cat. No.: GC13696

## Chemical Properties

| | | | |
|---|---|---|---|
| Cas. No. | 937174-76-0 | | |
| Chemical Name | 4-[2-(4-amino-1,2,5-oxadiazol-3-yl)-1-ethyl-7-[[(3S)-piperidin-3-yl]methoxy]imidazo[4,5-c]pyridin-4-yl]-2-methylbut-3-yn-2-ol | | |
| SMILES | CCN1C2=C(C(=NC=C2OCC3CCCNC3)C#CC(C)(C)O)N=C1C4=NON=C4N | | |
| Formula | $C_{21}H_{27}N_7O_3$ | M.Wt | 425.48 |
| Solubility | ≥ 21.25mg/mL in DMSO | Storage | Store at -20°C |
| General tips | For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months. | | |
| Shipping Condition | Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request. | | |

Structure




## Protocol

| Cell experiment [1]: | |
|---|---|
| Cell lines | A549 cells |

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|---|---|
| Preparation Method | A549 cells were cultured in RPMI-1640 medium, supplemented with 10% fetal bovine serum (FBS), and 1% penicillin/streptomycin at 37°C in an incubator with 5% $CO_2$. Exponentially growing cells were plated at $2\times10^4$ cells/ml into 96-well cell culture microplates and incubated for 24h before the addition of GSK690693. After 24h treatment with different concentrations of GSK690693 (0, 1, 5, 10, 20, 100, and 500μM), cell viability was measured. |
| Reaction Conditions | 0, 1, 5, 10, 20, 100, and 500μM; 24h |
| Applications | GSK690693 treatment reduced cell viability of A549 cells in a dose-dependent manner. |
| **Animal experiment [2]:** | |
| Animal models | Female CD1 Swiss nude mice |
| Preparation Method | Female CD1 Swiss nude mice (8 weeks old) were raised in a standard environment, with free access to food and water. $10^6$ LNCaP cells were injected s.c. in mice. When tumors reached a volume of 100 to 200mm$^3$, mice were randomized and divided into groups of 8 to 12 mice per group. GSK690693 was administered once daily at 30mg/kg by i.p. administration for 21 days. Mice were euthanized by inhalation of $CO_2$ at the completion of the study. Tumor volume was measured twice weekly by calipers. |
| Dosage form | 30mg/kg/day; 21 days; i.p. |
| Applications | GSK690693 treatment inhibited tumor growth in mice with LNCaP xenografts. |

***Caution: Product has not been fully validated for medical applications. For research use only.***
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# Product Data Sheet

References:

[1] Altıntop M D, Ertorun I, Çiftçi G A, et al. Design, synthesis and biological evaluation of a new series of imidazothiazole-hydrazone hybrids as dual EGFR and Akt inhibitors for NSCLC therapy[J]. European Journal of Medicinal Chemistry, 2024, 276: 116698.

[2] Rhodes N, Heerding D A, Duckett D R, et al. Characterization of an Akt kinase inhibitor with potent pharmacodynamic and antitumor activity[J]. Cancer research, 2008, 68(7): 2366-2374.

## Background

GSK690693 is a potent and selective, ATP-competitive, pan-Akt kinase inhibitor with $IC_{50}$ values of 2nM, 13nM, and 9nM for Akt1, Akt2, and Akt3, respectively[1]. GSK690693 effectively downregulated the expression of YAP protein and estrogen receptor in MCF-7 breast cancer cells by inhibiting the activity of the Hippo pathway, resulting in cell cycle arrest[2]. GSK690693 reduces the activation of AKT and ERK, stimulates the activity of GSK-3β and FOXO, improves the oxidative resistance, intestinal integrity and autophagy ability of *Drosophila*, thereby extending the lifespan of *Drosophila*[3]. GSK690693 has been widely used in cancer research to effectively prevent the progression of leukemia and osteosarcoma[4].

In vitro, GSK690693 treatment for 72 hours inhibited the proliferation of JVM-2, Maver-1, and Jeko-1 cells, with $IC_{50}$ values of 1.6μM, 5.1μM, and 3.0μM, respectively[5]. Treatment with 11.83μM GSK690693 for 24 hours induced apoptosis in A549 cells and arrested the cells in the G0/G1 phase[6]. Treatment with 5μM GSK690693 for 12 hours reduced the localization of Prohibitin 1 in the mitochondria of T24 cells and inhibited the phosphorylation of Prohibitin 1[7].

In vivo, GSK690693 treatment via intraperitoneal injection at a dose of 30mg/kg/day for 21 days markedly restrained tumor growth in the BT474 xenograft mouse model, without affecting the body weight of the mice[8]. Intraperitoneal injection of GSK690693 at a dose of 10mg/kg five times per week for 4 weeks inhibited prostate cancer progression and prolonged survival in Pten-deficient mice[9].

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References:

[1] Heerding D A, Rhodes N, Leber J D, et al. Identification of 4-(2-(4-amino-1, 2, 5-oxadiazol-3-yl)-1-ethyl-7-{[(3 S)-3-piperidinylmethyl] oxy}-1 H-imidazo [4, 5-c] pyridin-4-yl)-2-methyl-3-butyn-2-ol (GSK690693), a novel inhibitor of AKT kinase[J]. Journal of medicinal chemistry, 2008, 51(18): 5663-5679.

[2] Kurata H, Ngurari S, Nita A, et al. A kinase inhibitor screen identifies GSK690693 as a Hippo pathway inhibitor targeting ER-positive breast cancer[J]. The Journal of Biochemistry, 2026, 179(4): 283-292.

[3] Cheng X, Xie M, Luo L, et al. Inhibitor GSK690693 extends Drosophila lifespan via reduce AKT signaling pathway[J]. Mechanisms of Ageing and Development, 2022, 202: 111633.

[4] Carol H, Lock R, Houghton P, et al. Abstract# 3193: Pediatric preclinical testing program (PPTP) evaluation of the Akt inhibitor GSK690693[J]. Cancer Research, 2009, 69(9_Supplement): 3193-3193.

[5] Liu Y, Yin Y, Zhang Z, et al. Structural optimization elaborates novel potent Akt inhibitors with promising anticancer activity[J]. European Journal of Medicinal Chemistry, 2017, 138: 543-551.

[6] Altıntop M D, Ertorun I, Çiftçi G A, et al. Design, synthesis and biological evaluation of a new series of imidazothiazole-hydrazone hybrids as dual EGFR and Akt inhibitors for NSCLC therapy[J]. European Journal of Medicinal Chemistry, 2024, 276: 116698.

[7] Jiang L, Dong P, Zhang Z, et al. Akt phosphorylates Prohibitin 1 to mediate its mitochondrial localization and promote proliferation of bladder cancer cells[J]. Cell death & disease, 2015, 6(2): e1660-e1660.

[8] Rhodes N, Heerding D A, Duckett D R, et al. Characterization of an Akt kinase inhibitor with potent pharmacodynamic and antitumor activity[J]. Cancer research, 2008, 68(7): 2366-2374.

[9] De Velasco M A, Kura Y, Ando N, et al. Context-Specific efficacy of apalutamide therapy in preclinical models of pten-deficient prostate cancer[J]. Cancers, 2021, 13(16): 3975.

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