# Product data sheet

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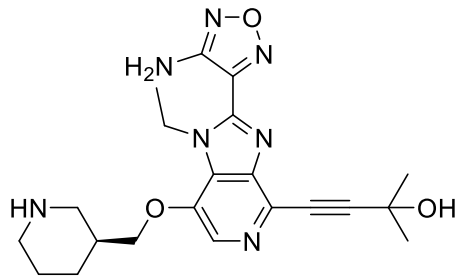
|---|---|
| MedKoo Cat#: 205670<br>Name:<br>CAS: 937174-76-0<br>Chemical Formula: $C_{21}H_{27}N_7O_3$<br>Exact Mass: 425.2175<br>Molecular Weight: 425.493 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**

GSK690693 is a pan-AKT kinase inhibitor, is also a n aminofurazan-derived inhibitor of Akt kinases with potential antineoplastic activity. Pan-AKT kinase inhibitor GSK-690693 binds to and inhibits Akt kinases 1, 2, and 3, which may result in the inhibition of protein phosphorylation events downstream from Akt kinases in the PI3K/Akt signaling pathway, and, subsequently, the inhibition of tumor cell proliferation and the induction of tumor cell apoptosis. In addition, this agent may inhibit other protein kinases including protein kinase C (PKC) and protein kinase A (PKA). As serine/threonine protein kinases which are involved in a number of biological processes, AKT kinases promote cell survival by inhibiting apoptosis and are required for glucose transport. Check for active clinical trials or closed clinical trials using this agent. (NCI Thesaurus).

**2. CoA, QC data, SDS, and handling instruction**

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

**3. Solubility data**

| Solvent | Max Conc. mg/mL | Max Conc. mM |
|---|---|---|
| DMF | 25.0 | 58.76 |
| DMF:PBS (pH 7.2) (1:1) | 0.5 | 1.18 |
| DMSO | 26.32 | 61.85 |
| Water | 5.0 | 11.75 |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.35 mL | 11.75 mL | 23.50 mL |
| 5 mM | 0.47 mL | 2.35 mL | 4.70 mL |
| 10 mM | 0.24 mL | 1.18 mL | 2.35 mL |
| 50 mM | 0.05 mL | 0.24 mL | 0.47 mL |

**5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator**

Please refer the product web page under section of "Calculator"

**6. Recommended literature which reported protocols for in vitro and in vivo study**

In vitro study

1. Levy DS, Kahana JA, Kumar R. AKT inhibitor, GSK690693, induces growth inhibition and apoptosis in acute lymphoblastic leukemia cell lines. Blood. 2009 Feb 19;113(8):1723-9. doi: 10.1182/blood-2008-02-137737. Epub 2008 Dec 8. PMID: 19064730.
2. Rhodes N, Heerding DA, Duckett DR, Eberwein DJ, Knick VB, Lansing TJ, McConnell RT, Gilmer TM, Zhang SY, Robell K, Kahana JA, Geske RS, Kleymenova EV, Choudhry AE, Lai Z, Leber JD, Minthorn EA, Strum SL, Wood ER, Huang PS, Copeland RA, Kumar R. Characterization of an Akt kinase inhibitor with potent pharmacodynamic and antitumor activity. Cancer Res. 2008 Apr 1;68(7):2366-74. doi: 10.1158/0008-5472.CAN-07-5783. PMID: 18381444.

In vivo study

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1. Altomare DA, Zhang L, Deng J, Di Cristofano A, Klein-Szanto AJ, Kumar R, Testa JR. GSK690693 delays tumor onset and progression in genetically defined mouse models expressing activated Akt. Clin Cancer Res. 2010 Jan 15;16(2):486-96. doi: 10.1158/1078-0432.CCR-09-1026. PMID: 20075391; PMCID: PMC2807995.
2. Rhodes N, Heerding DA, Duckett DR, Eberwein DJ, Knick VB, Lansing TJ, McConnell RT, Gilmer TM, Zhang SY, Robell K, Kahana JA, Geske RS, Kleymenova EV, Choudhry AE, Lai Z, Leber JD, Minthorn EA, Strum SL, Wood ER, Huang PS, Copeland RA, Kumar R. Characterization of an Akt kinase inhibitor with potent pharmacodynamic and antitumor activity. Cancer Res. 2008 Apr 1;68(7):2366-74. doi: 10.1158/0008-5472.CAN-07-5783. PMID: 18381444.

**7. Bioactivity**

Biological target:

GSK-690693 is an ATP-competitive pan-Akt inhibitor with IC50s of 2 nM, 13 nM, 9 nM for Akt1, Akt2 and Akt3, respectively.

In vitro activity

Consistent with the role of AKT in cell survival, GSK690693 also induced apoptosis in sensitive ALL cell lines.

Reference: Blood. 2009 Feb 19;113(8):1723-9. https://pubmed.ncbi.nlm.nih.gov/19064730/

In vivo activity

Overall, GSK690693 delayed tumor development and reduced the size of tumors in *Lck-MyrAkt2* transgenic mice. Nearly 50% of the 31 GSK690693-treated mice had normal thymic histology, whereas 90% of the 31 placebo-treated mice developed thymic lymphomas or hyperplasia (Fig. 1A).

Reference: Clin Cancer Res. 2010 Jan 15;16(2):486-96. https://pubmed.ncbi.nlm.nih.gov/20075391/