# PRODUCT INFORMATION

## A-674563

*Item No. 18715*

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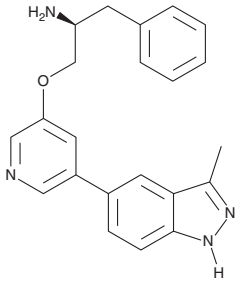
|---|---|
| **CAS Registry No.:** | 552325-73-2 |
| **Formal Name:** | αS-[[[5-(3-methyl-1H-indazol-5-yl)-3-pyridinyl]oxy]methyl]-benzeneethanamine |
| **MF:** | $C_{22}H_{22}N_4O$ |
| **FW:** | 358.4 |
| **Purity:** | ≥95% |
| **Supplied as:** | A crystalline solid |
| **UV/Vis.:** | $\lambda_{max}$: 245, 299 nm |
| **Storage:** | -20°C |
| **Stability:** | ≥4 years |




*Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.*

### Laboratory Procedures

A-674563 is supplied as a crystalline solid. A stock solution may be made by dissolving the A-674563 in the solvent of choice. A-674563 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of A-674563 in these solvents is approximately 10, 15, and 20 mg/ml, respectively.

A-674563 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, A-674563 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. A-674563 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Three related forms of the kinase Akt (1, 2, 3, also known as protein kinase B isoforms PKBα, β, γ) modulate cell proliferation, metabolism, and survival, as well as angiogenesis. A-674563 is an orally available, ATP-competitive, and reversible inhibitor of Akt ($K_i$ = 11 nM for Akt1).[1] It shows inhibitory activity against PKA and Cdk2 with $IC_{50}$ values of 16 and 46 nM, respectively, but is 10- to >1,800-fold selective for Akt1 *versus* additional kinases in the CMGC, CAMK, and TK families.[1] A-674563 reduces phosphorylation of Akt downstream targets in cells and slows proliferation of tumor cells *in vitro* with an $EC_{50}$ value of 0.4 µM.[1] *In vivo*, 40mg/kg/day A-674563 in combination with 15 mg/kg/day paclitaxel (Item No. 10461) was shown to decrease tumor growth in a PC-3 prostate cancer mouse xenograft model.[1]

### Reference


1. Luo, Y., Shoemaker, A.R., Liu, X., *et al*. Potent and selective inhibitors of Akt kinases slow the progress of tumors *in vivo*. *Mol. Cancer Ther.* **4(6)**, 977-968 (2005).





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