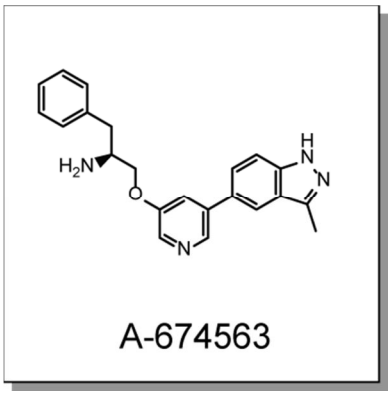
Product Specification Sheet

Product Name: A-674563

Catalog Number: C2167



Technical information:

Chemical Formula:	$C_{22}H_{22}N_4O$
CAS #:	552325-73-2
Molecular Weight:	358.44
Purity:	>98%
Appearance:	White powder
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	(2S)-1-(5-(3-methyl-1H-indazol-5-yl)pyridin-3-yloxy)-3-phenylpropan-2-amine

Storage: Store powder at 4°C desiccated; store DMSO solution at -20°C.

Handling:

* To make 10 mM DMSO stock solution, add 0.279 mL of DMSO for each 1 mg of A-674563.
* For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: A-674563 is a potent and selective PKB/Akt inhibitor with an IC50 of 14 nM. It binds to ATP-binding site of Akt and reduces the phosphorylation of Akt's downstream targets (GSK3α/β, FOXO3, TSC2, mTOR and S6, etc.). A-674563 also inhibits activity of PKA and CDK2 with IC50 of 16 and 46 nM, respectively. A-674563 inhibits proliferation of tumor cells with IC50 of 0.4 μM[1].

In STS cells, A-674563 induces Akt pathway blockade that decreases downstream target phosphorylation, and induces G2 cell cycle arrest and apoptosis. In vivo, A-674563 reduces STS xenograft growth[2]. When given in combination, A-674563 enhanced the efficacy of paclitaxel in a PC-3 xenograft model[1].

Reference:

1. Luo Y, et al. Potent and selective inhibitors of Akt kinases slow the progress of tumors in vivo. Mol Cancer Ther. 2005. 4(6):977-86. PMID: 15956255
2. Zhu QS, et al. Soft tissue sarcoma cells are highly sensitive to AKT blockade: a role for p53-independent up-regulation of GADD45 alpha. Cancer Res. 2008. 68(8):2895-903.PMID: 18413758

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