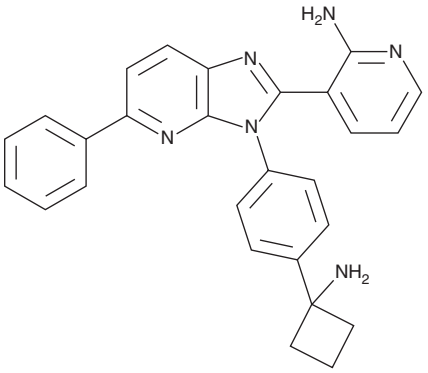
PRODUCT INFORMATION

ARQ 092

Item No. 21388



CAS Registry No.:	1313881-70-7
Formal Name:	3-[3-[4-(1-aminocyclobutyl)phenyl]-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl]-2-pyridinamine
MF:	$C_{27}H_{24}N_6$
FW:	432.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 344 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

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

Laboratory Procedures

ARQ 092 is supplied as a crystalline solid. A stock solution may be made by dissolving the ARQ 092 in the solvent of choice, which should be purged with an inert gas. ARQ 092 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ARQ 092 in these solvents is approximately 0.3, 10, and 12.5 mg/ml, respectively.

ARQ 092 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ARQ 092 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. ARQ 092 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ARQ 092 is an allosteric, orally bioavailable, pan-Akt inhibitor (IC_{50}s = 5.0, 4.5, and 16 nM for Akt1, Akt2, and Akt3, respectively).[1] It is selective for Akt isoforms over a panel of 303 other kinases.[1] ARQ 092 binds inactive Akt and prevents membrane localization and full Akt activation.[1,2] It also directly inhibits the membrane-associated active form of Akt, preventing phosphorylation of downstream targets.[1,2] ARQ 092 inhibits the proliferation of a wide range of cancer cells *in vitro*, inhibits the mutated Akt form found in Proteus syndrome, and attenuates heterotypic cell-cell interactions in a mouse model of sickle cell disease.[1-4]

References

1. Yu, Y., Savage, R.E., Eathiraj, S., *et al.* Targeting AKT1-E17K and the PI3K/AKT pathway with an allosteric AKT inhibitor, ARQ 092. *PLoS One* **10(10)**, e0140479 (2015).
2. Lapierre, J.-M., Eathiraj, S., Vensel, D., *et al.* Discovery of 3-(3-(4-(1-Aminocyclobutyl)phenyl)-5-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)pyridin-2-amine (ARQ 092): An orally bioavailable, selective, and potent allosteric AKT inhibitor. *J. Med. Chem.* **59(13)**, 6455-6469 (2016).
3. Kim, K., Li, J., Barazia, A., *et al.* ARQ 092, an orally-available, selective AKT inhibitor, attenuates neutrophil-platelet interactions in sickle cell disease. *Haematologica* **102(2)**, 246-259 (2016).
4. Lindhurst, M.J., Yourick, M.R., Yu, Y., *et al.* Repression of AKT signaling by ARQ 092 in cells and tissues from patients with Proteus syndrome. *Sci. Rep.* **5(17162)** (2015).

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