

Product Data Sheet

Product Name: AKT-IN-1

Cat. No.: GC33308

Chemical Properties

Cas. No. 1357158-81-6

SMILES NC(C1=CN=C(C2=CC=C(C3(N)CCC3)C=C2)C(C4=CC=CC=C4)=C1)=O

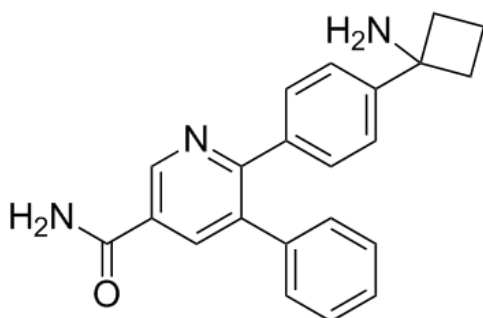
Formula $C_{22}H_{21}N_3O$ M.Wt 343.42

Solubility DMSO : 25 mg/mL (72.80 mM) 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



Background

AKT-IN-1 is an allosteric AKT inhibitor with an IC₅₀ of 1.042 μM.

AKT-IN-1 is able to potently inhibit phosphorylation of AKT in cells at both Thr308 and Ser473, with

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IC50s of 0.422 and 0.322 μ M, respectively. AKT-IN-1 inhibits the phosphorylation of ribosomal protein S6, a downstream effector of the PI3K-AKT pathway. AKT-IN-1 potently inhibits the phosphorylation of PRAS40[1].

The effects of AKT-IN-1 (Compound 26) in vivo are characterized by measuring the pharmacodynamic activity of AKT-IN-1 in a BT474c breast adenocarcinoma xenograft model. Following acute doses of 100 and 200 mg/kg, AKT-IN-1 potently inhibits the phosphorylation of its downstream substrate GSK3 β as well as the phosphorylation of AKT (Ser473), with a potency consistent with its pharmacokinetic profile. The in vivo activity of AKT-IN-1 is further characterized by measuring the effects on the growth of tumor cell xenografts. Continuous (daily) oral dosing of AKT-IN-1 (100 and 200 mg/kg) to nude mice bearing BT474c breast adenocarcinoma xenografts results in inhibition of tumor growth in a dose-dependent manner. When dosed at 200 mg/kg daily, AKT-IN-1 causes significant tumor growth inhibition[1].

[1]. Kettle JG, et al. Diverse heterocyclic scaffolds as allosteric inhibitors of AKT. J Med Chem. 2012 Feb 9;55(3):1261-73.

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