Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

BAY1125976

Catalog No: tcsc6212

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1402608-02-9

Formula:
$C_{23}H_{21}N_5O$

Pathway:
PI3K/Akt/mTOR

Target:
Akt

Purity / Grade:
>98%

Solubility:
DMSO : 10.33 mg/mL (26.94 mM; Need ultrasonic and warming)

Observed Molecular Weight:
383.45

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Product Description

BAY1125976 is a selective allosteric **Akt1/Akt2** inhibitor; inhibits Akt1 and Akt2 activity with **IC_{50}** values of 5.2 nM and 18 nM at 10 μM ATP, respectively.

IC50 & Target: IC50: 5.2 nM (Akt1, at 10 μM ATP), 18 nM (Akt2, at 10 μM ATP)[1]

In Vitro: BAY 1125976 is equally potent against Akt1 (IC_{50}=5.2 nM at 10 μM ATP and 44 nM at 2 mM ATP) and Akt2 (IC_{50}=18 nM at 10 μM ATP and 36 nM at 2 mM ATP) isoforms and up to 86 fold less potent against Akt3 (IC_{50}=427 nM at 10 μM ATP). It inhibits the Akt1 and Akt2 by binding into an allosteric binding pocket formed by kinase and PH domain. It inhibits cell proliferation in a broad panel of human cancer cell lines, particularly in breast and prostate cancer cell lines expressing estrogen or androgen receptors. It effectively blocks Akt signaling by inhibiting the phosphorylation of Akt and the downstream effectors, including eukaryotic translation initiation factor 4E binding protein 1 (4E-BP1), glycogen synthase kinase 3 beta (GSK3s), proline-rich Akt substrate 40 kDa (PRAS40), S6 ribosomal protein (S6RP), and 70 kDa ribosomal protein S6 kinase 1 (70S6K)[1].

In Vivo: BAY 1125976 targets tumors displaying activation of the PI3K/Akt/mTOR pathway. BAY 1125976 exhibits strong *in vivo* efficacy in both cell line and patient-derived xenograft models such as the KPL4 breast cancer model ($PIK3CA^{H1074R}$ mutant), the MCF7 and HBCx-2 breast cancer models, and the Akt^{E17K} mutant driven prostate cancer (LAPC-4) and anal cancer (AXF 984) models [1].