Product Datasheet

Afuresertib

Catalog No. **BP-02332** · CAS **1047644-62-1** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-10**

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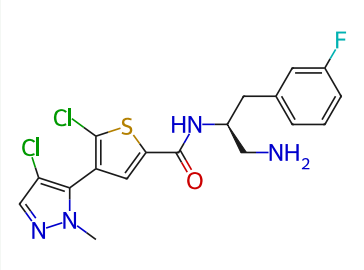
Target	Research area
Akt; PKC; ROCK	**Cancer**

Molecular formula	**$C_{18}H_{17}Cl_2FN_4OS$**
Molecular weight	**427.3230**

STRUCTURE



DESCRIPTION

Afuresertib (GSK2110183) is an orally bioavailable, selective, ATP-competitive and potent pan-Akt kinase inhibitor with Kis of 0.08/2/2.6 nM for Akt1/Akt2/Akt3, respectively.

BIOLOGICAL ACTIVITY

In vitro: Afuresertib inhibits the kinase activity of the E17K AKT1 mutant protein with EC50 of 0.2 nM. Afuresertib shows a concentration-dependent effect on multiple AKT substrate phosphorylation levels, including GSK3b, PRAS40, FOXO and Caspase 9. Overall 65% of the hematological cell lines are sensitive to afuresertib (EC50 < 1 μM). Among tested solid tumor cell lines, 21% have EC50 < 1 μM in response to afuresertib.

In vivo: Mice bearing BT474 breast tumor xenografts are dosed with afuresertib (p.o.) at 10, 30 or 100 mg/kg daily which results in 8, 37 and 61% TGI, respectively. Mice bearing SKOV3 ovarian tumor xenografts are treated with 10, 30 and 100 mg/kg afuresertib which results in 23, 37 and 97% TGI, respectively.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Yamaji M, et al. Novel ATP-competitive Akt inhibitor Afuresertib suppresses the proliferation of malignant pleural mesothelioma cells. Cancer Med. 2017 Nov;6(11):2646-2659.

[2]. Dumble M, et al. Discovery of novel AKT inhibitors with enhanced anti-tumor effects in combination with the MEK inhibitor. PLoS One. 2014 Jun 30;9(6):e100880