
Product Data Sheet

Product Name: GSK2110183

Cat. No.: GC33135

Chemical Properties

Cas. No. 1047634-63-8

SMILES O=C(C1=CC(C2=C(Cl)C=NN2C)=C(Cl)S1)N[C@@H](CC3=CC=C(F)C(F)=C3)CNFormula C₁₈H₁₆Cl₂F₂N₄OS M.Wt 445.31

Solubility Soluble in DMSO 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**

Afuresertib (GSK2110183) is a potent, orally bioavailable Akt inhibitor with K_i of 0.08 nM, 2 nM, and 2.6 nM for Akt1, Akt2, and Akt3, respectively. Phase 2.

Afuresertib inhibits the kinase activity of the E17K AKT1 mutant protein with EC_{50} 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 ($EC_{50} < 1 \mu M$). Among tested solid tumor cell lines, 21% have $EC_{50} < 1 \mu M$ in response to afuresertib.[1]

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.[1]

[1] Dumble M, et al. PLoS One, 2014, 9(6):e100880.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA