

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)CN

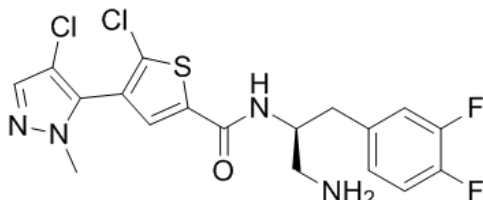
Formula $C_{18}H_{16}Cl_2F_2N_4OS$ M.Wt 445.31

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

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

GSK2110183 is an ATP competitive, time dependant and fully reversible inhibitors of the Akt kinase family. GSK2110183 has a K_i of 0.08, 2 and 2.6 nM against Akt1, Akt2 and Akt3, respectively. GSK2110183 inhibits the kinase activity of the E17K Akt 1 mutant protein in a standard kinase assay with EC_{50} of 0.2 nM[1].

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

Product Data Sheet

Mice bearing BT474 breast tumor xenografts are dosed orally with either vehicle or GSK2110183 at 10, 30 or 100 mg/kg daily for 21 days which result in 8, 37 and 61% TGI, respectively. Mice tolerated GSK2110183 well, with 1-3% body weight loss reported after 5 days of dosing which recover over the course of the study. Other tumor xenograft models which possess an activation of the Akt pathway are explored to further demonstrate compound efficacy. Mice treated with GSK2110183 at 10, 30 and 100 mg/kg result in 23, 37 and 97% TGI, respectively, of SKOV3 xenografts[1].

[1]. 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.

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