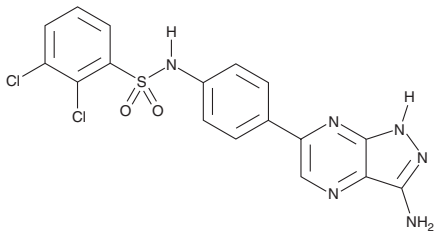
PRODUCT INFORMATION

SGK1 Inhibitor

Item No. 25652

CAS Registry No.: 1426214-51-8
Formal Name: N-[4-(3-amino-1H-pyrazolo[3,4-b]pyrazin-6-yl) phenyl]-2,3-dichloro-benzenesulfonamide
MF: $C_{17}H_{12}Cl_2N_6O_2S$
FW: 435.3
Purity: ≥95%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SGK1 inhibitor is supplied as a solid. A stock solution may be made by dissolving the SGK1 inhibitor in the solvent of choice, which should be purged with an inert gas. SGK1 inhibitor is soluble in DMSO.

Description

SGK1 inhibitor is an inhibitor of serum- and glucocorticoid-regulated kinase 1 (SGK1) and SGK2 (IC_{50}s = 4.8 and 2.8 nM, respectively).[1] It is selective for SGK1 and SGK2 over SGK3 in the presence of a high concentration of ATP (IC_{50}s = 0.442, 0.924, and 23.3 µM, respectively) and only inhibits AMPK by more than 50% in a panel of 60 additional kinases when used at a concentration of 1 µM.[2] SGK1 inhibitor prevents phosphorylation of GSK3β in U2OS cells with an IC_{50} value of 1.4 µM. It decreases cell viability in BYL719-insensitive HCC1954 cells when used in combination with the PI3Kα inhibitor BYL719 (Item No. 16986).[1] SGK1 inhibitor (50 mg/kg) reduces tumor growth in an HCC1954 mouse xenograft model when administered in combination with BYL719.

References

1. Castel, O., Ellis, H., Bago, R., *et al.* PDK1-SGK1 signaling sustains AKT-independent mTORC1 activation and confers resistance to PI3Kα inhibition. *Cancer Cell* **30(2)**, 229-242 (2016).
2. Halland, N., Schmidt, F., Weiss, T., *et al.* Discovery of N-[4-(1H-Pyrazolo[3,4-b]pyrazin-6-yl)-phenyl]-sulfonamides as highly active and selective SGK1 inhibitors. *ACS Med. Chem. Lett.* **6(1)**, 73-78 (2014).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM