Product Data Sheet

Chemical Properties

Product Name: GSK2194069
Cas No.: 1332331-08-4
M.Wt: 428.48
Formula: C25H24N4O3

Chemical Name: (S)-4-(4-(benzofuran-5-yl)phenyl)-3-((1-(cyclopropanecarbonyl)pyrrolidin-3-yl)methyl)-1H-1,2,4-triazol-5(4H)-one
Canonical SMILES: O=C1NN=C(C[[@H]2CCN(C(C3CC3)=O)C2)N1C4=CC=C(C5=CC=C(CC=C6)C6=C5)C=C4

Solubility: Soluble in DMSO
Storage: Desiccate 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.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Others
Pathways: Others

Description:
IC50: 7.7 nM
GSK 2194069 is a potent human fatty acid synthase inhibitor.
Human fatty acid synthase (hFAS) is a multifunctional enzyme solely responsible for the de novo synthesis of long chain fatty acids. hFAS is expressed highly in a number of cancers, while with
low observed expression in most normal tissues. Though normal tissues get fatty acids from the
diet, tumor tissues can rely on de novo fatty acid synthesis, which makes hFAS an attractive
metabolic target for cancer treatment.
In vitro: GSK2194069 displayed acceptable solubility and permeability and thus was chosen for
further characterization. GSK2194069 had an IC50 of 29 ± 3.2 nM versus hFAS with
acetoacetyl-CoA as the substrate but showed little or no inhibition with crotonyl-CoA
and β-hydroxybutyryl-CoA. GSK2194069 was also found not to inhibit the partial activities of the
KS domain [1].
In vivo: In those mice dosed with GSK2194069, tumour growth was inhibited. There was no
significant weight loss in the GSK2194069 treated group and no adverse effects were observed.
The effect of GSK2194069 in decreasing acetate uptake was demonstrated by scintillation
detection of C42b xenograft tumours by 56% 2 hours after dosing with GSK2194069. Inhibition of
FAS caused by GSK2194069 led to a decrease in acetate signal in all animals [1].
Clinical trial: N/A

Reference:
Brindle Kevin, Neal David. 509 THERAPEUTIC FATTY ACID SYNTHASE INHIBITION IN PROSTATE
CANCER AND THE USE OF 11C-ACETATE TO MONITOR THERAPEUTIC EFFECTS. The Journal of
Urology. 2013 Volume 189, Issue 4, Supplement, Pages e208–e209

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet.
Most ApexBio products are stable under the recommended conditions. Products are sometimes
shipped at a temperature that differs from the recommended storage temperature. Shortterm
storage of many products are stable in the short-term at temperatures that differ from that
required for long-term storage. We ensure that the product is shipped under conditions that will
maintain the quality of the reagents. Upon receipt of the product, follow the storage
recommendations on the product data sheet.