

Product Data Sheet

Product Name: GSK778
Cat. No.: GC62654

Chemical Properties

Cas. No. 2451862-42-1

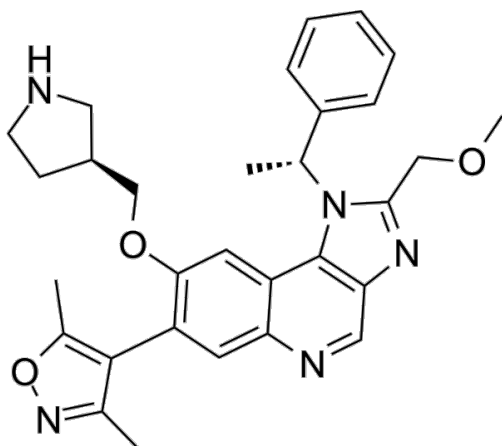
Formula $C_{30}H_{33}N_5O_3$ M.Wt 511.61

Solubility DMSO : 41.67 mg/mL (81.45 mM; Storage Store at -20°C
Need ultrasonic)

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

GSK778 (iBET-BD1) is a potent and selective BD1 bromodomain inhibitor of the BET proteins, with IC50s of 75 nM (BRD2 BD1), 41 nM (BRD3 BD1), 41 nM (BRD4 BD1), and 143 nM (BRDT BD1),

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respectively. GSK778 phenocopies the effects of pan-BET inhibitors in cancer models[1].

GSK778 inhibits BRD BD2 with the IC₅₀s of 3950 nM (BRD2 BD2), 1210 nM (BRD3 BD2), 5843 nM (BRD4 BD2), and 17451 nM (BRDT BD2), respectively[1]. GSK778 (0.01-10 µM; 72 hours) inhibits the proliferative activity of human primary CD4⁺ T cells and the production of effector cytokines including IFN γ , IL-17A and IL-22[1]. GSK778 (0.001-10 µM; 5 days) has a more pronounced effect on the growth and viability of MDA-453, MOLM-13, K562, MV4-11, THP-1, and MDA-MB-231 cells[1]. GSK778 (1000 nM; 72 hours) inhibits proliferation, induces a cell cycle arrest and apoptosis in MV4-11, MOLM13, MDA-MB-231 and MB453 cells[1]. GSK778 (1000 nM; 12 days) reduces the clonogenic capacity of primary human AML cells[1].

GSK778 (15 mg/kg/BID; i.p. for 30 days) offers a superior survival advantage to iBET-BD2 in the aggressive MLL-AF9 AML model[1]. GSK778 (15 mg/kg/BID; s.c. for 14 days) reduces the production of anti-keyhole limpet hemocyanin (KLH) IgM and is well tolerated[1]. GSK778 exhibits C_{max} (85 ng/mL), T_{max} (1.48 h) and AUC ∞ (132 ng.h/mL) following oral administration (10 mg/kg) in mice[1].

[1]. Omer G, et, al. Selective targeting of BD1 and BD2 of the BET proteins in cancer and immunoinflammation. Science. 2020 Apr 24; 368(6489): 387-394.

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