

Product Data Sheet

Product Name: GSK620
Cat. No.: GC62312

Chemical Properties

Cas. No. 2088410-46-0

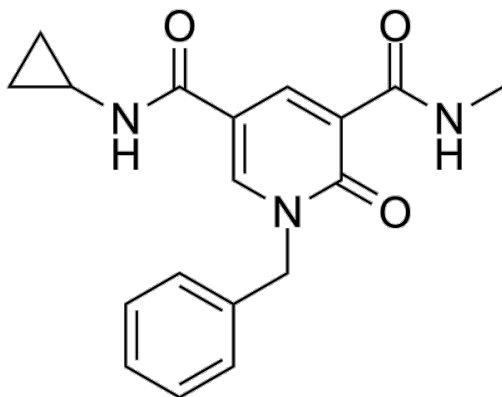
Formula $C_{18}H_{19}N_3O_3$ M.Wt 325.36

Solubility DMSO : 62.5 mg/mL (192.09 mM; Need ultrasonic) 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



Protocol

Cell experiment [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

Cell lines GSC11 and GSC23 cells

Preparation Method RNA-seq analysis was performed on GSC11 and GSC23 cells treated with GSK620 (0.5-5 μ M; 24-48h) to identify differentially expressed genes.

Reaction
Conditions 0.5-5 μ M; 24-48h

Applications GSK620 treatment downregulated 194 genes in GSC11 and 384 genes in GSC23. Notably, CHI3L2, a key Mesenchymal marker implicated in immune cell infiltration in glioma10, was among the genes commonly downregulated in both lines. And also suppressed transcriptional programs associated with AP-1 and NF- κ B signaling pathways.

Animal experiment [1]:

Animal models Female BALB/c nude mice

Preparation Method Female BALB/c nude mice (4-5 weeks old) were used for intracranial tumor implantation. A total of $1-2 \times 10^5$ cells in a 3-5 μ L volume was injected stereotactically into the brain (1.0mm anterior and 2.0mm right to the bregma, and 3mm deep from the inner plate of the skull). Tumor growth was monitored using the FMT 2500 fluorescence tomography system. For drug treatment studies, mice received daily oral gavage of vehicle or GSK620 at 30mg/kg. The vehicle formulation consisted of 10% DMSO, 40% PEG, 5% Tween-80, and 45% saline.

Dosage form 30mg/kg; 8d; p.o.

Applications GSK620-treated mice lived significantly longer than vehicle-treated controls. IHC staining showed reduced infiltration of Tumor-Associated Macrophages (TAMs).

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

References:

[1] Vadla R, Taylor B, Miyake Y, et al. BRD2 bromodomain-mediated regulation of cell state plasticity modulates therapy response in glioblastoma. *Neuro Oncol.* 2025;27(11):2828-2842.

Background

GSK620 is an orally active, pan-Bromodomain and Extra-Terminal protein Bromodomain 2 (pan-BET BD2) selective inhibitor. GSK620 is highly selective for the BET-BD2 family of proteins, with more than 200-fold selectivity over all other bromodomains^[1].

In vitro, the IC₅₀ values of GSK620 in GSC23 and GSC11 cells were 38.74μM and 35.39μM, respectively. Treatment with GSK620 at 0.5-5μM for 24-48h effectively downregulated Mesenchymal (MES) gene expression in glioblastoma (GBM) models. In GSC11 and GSC23 cells, 1μM GSK620 for 24h reduced chromatin-bound BD2 and its occupancy at MES promoters; 0.5μM inhibited invasion, and 0.5-5μM suppressed colony formation^[2].

In vivo, GSK620, administered orally in mice, has a plasma half-life of 1.05h and exhibits brain penetration. Oral GSK620 (30mg/kg; once daily for 8 days) significantly prolonged survival versus vehicle controls and reduced tumor-associated macrophage (TAM) infiltration by IHC in an orthotopic xenograft model^[2].

References:

[1] Jonathan T Seal, et al. *J Med Chem.* 2020 Sep 10;63(17):9093-9126. [2] Massimo Petretich, et al. *Curr Opin Chem Biol.* 2020 Aug;57:184-193.

[2] Vadla R, Taylor B, Miyake Y, et al. BRD2 bromodomain-mediated regulation of cell state plasticity modulates therapy response in glioblastoma. *Neuro Oncol.* 2025;27(11):2828-2842.

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