

Product Data Sheet

Product Name: GSK 5959

Cat. No.: GC12440

Chemical Properties

Cas. No. 901245-65-6

Chemical Name N-(1,3-dimethyl-2-oxo-6-(piperidin-1-yl)-2,3-dihydro-1H-benzo[d]imidazol-5-yl)-2-methoxybenzamide

SMILES O=C(C1=C(C=CC=C1)OC)NC2=C(C=C(N(C)C(N3C)=O)C3=C2)N4CCCCC4

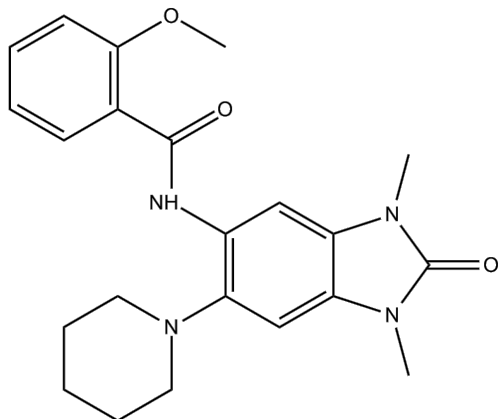
Formula $C_{22}H_{26}N_4O_3$ M.Wt 394.47

Solubility $\geq 39.4\text{mg/mL}$ 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

GSK-5959 is a potent, selective and cell permeable BRPF1 bromodomain inhibitor with $\text{IC}_{50} \sim 80$ nM. Exhibits >100-fold selectivity for BRPF1 over a panel of 35 other bromodomains, including BRPF2/3 and BET family bromodomains. IC_{50} value: 80 nM Target: BRPF1 in vitro: GSK-5959 inhibits BRPF1 interaction with histone H3. A cellular protein interaction assay measuring the displacement of NanoLuc-tagged BRPF1 bromodomain from Halotagged histone H3 is employed to demonstrate

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

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GSK-5959 is cell permeability and disruption of chromatin binding with IC₅₀ of 0.98 μ M. GSK-5959 is used at 10 μ M final concentration in various in vitro assays.

References:

[1]. Demont EH, et al. 1,3-Dimethyl Benzimidazolones Are Potent, Selective Inhibitors of the BRPF1 Bromodomain. (2014) ACS Med Chem Lett. 5(11):1190-1195.

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