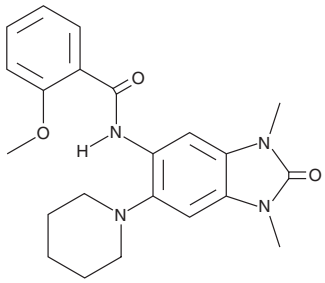
PRODUCT INFORMATION

GSK5959

Item No. 18123

CAS Registry No.:	901245-65-6
Formal Name:	N-[2,3-dihydro-1,3-dimethyl-2-oxo-6-(1-piperidinyl)-1H-benzimidazol-5-yl]-2-methoxy-benzamide
MF:	$C_{22}H_{26}N_4O_3$
FW:	394.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 208, 240, 323 nm
Supplied as:	A crystalline 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

GSK5959 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK5959 in the solvent of choice. GSK5959 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of GSK5959 in ethanol and DMSO is approximately 1 mg/ml and approximately 10 mg/ml in DMF.

GSK5959 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK5959 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GSK5959 has a solubility of approximately 0.1 mg/ml in a 1:7 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The bromodomain and PHD finger-containing (BRPF) proteins are scaffolding components of chromatin-binding MOZ/MORF histone acetyltransferase complexes, which have activity as transcriptional regulators.[1,2] BRPF1 (BR140 or Peregrin) is important for maintaining Hox gene expression and the development of multiple tissues, axial skeleton, and the hematopoietic system.[2] GSK5959 is a potent, cell-permeable inhibitor of the BRPF1 bromodomain (IC_{50} = 80 nM).[3] It exhibits greater than 100-fold selectivity for the BRPF1 bromodomain over a panel of 35 other bromodomains. GSK5959 disrupts chromatin binding of BRPF1 in a cellular assay by blocking the interaction of BRPF1 with histone H3.3.[3]

References

1. Ullah, M., Pelletier, N., Xiao, L., *et al*. Molecular architecture of quartet MOZ/MORF histone acetyltransferase complexes. *Mol. Cell Biol.* **28(22)**, 6828-6843 (2008).
2. Klein, B.J., Lalonde, M.-E., Côté, J., *et al*. Crosstalk between epigenetic readers regulates the MOZ/MORF HAT complexes. *Epigenetics* **9(2)**, 186-193 (2014).
3. Demont, E.H., Bamborough, P., Chung, C.-W., *et al*. 1,3-Dimethyl benzimidazolones are potent, selective inhibitors of the BRPF1 bromodomain. ACS Med. *Chem. Lett*. **5**, 1190-1195 (2014).

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