# PRODUCT INFORMATION



## GSK6853

Item No. 20985

CAS Registry No.: 1910124-24-1

N-[2,3-dihydro-1,3-dimethyl-6-[(2R)-Formal Name:

2-methyl-1-piperazinyl]-2-oxo-1H-

benzimidazol-5-yl]-2-methoxy-benzamide

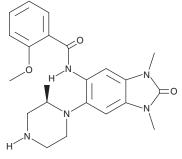
MF:  $C_{22}H_{27}N_5O_3$ 409.5 FW:

**Purity:** ≥98%

UV/Vis.:  $\lambda_{\text{max}}$ : 239, 318 nm Supplied as: A crystalline solid

Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



## **Laboratory Procedures**

GSK6853 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK6853 in the solvent of choice, which should be purged with an inert gas. GSK6853 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GSK6853 in ethanol and DMF is approximately 30 mg/ml and approximately 25 mg/ml in DMSO.

GSK6853 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK6853 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. GSK6853 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

GSK6853 is a chemical probe for the bromodomain of BRPF1 that displays a TR-FRET  $plC_{50}$  value of 8.1 and a BROMOscan pK<sub>d</sub> value of 9.5.1 It exhibits >1,600-fold selectivity for BRPF1 over all other bromodomains in a BROMOscan assay and shows cellular target engagement with a pIC<sub>50</sub> value of 7.7 in a NanoBRET assay evaluating the interaction of the NanoLuc-BRPF1 bromodomain with histone H3.3-HaloTag in HEK293 cells. See the Structural Genomics Consortium (SGC) website for more information.

#### Reference

1. Bamborough, P., Barnett, H.A., Becher, I., et al. GSK6853, a chemical probe for inhibition of the BRPF1 bromodomain. ACS Med. Chem. Lett. 7(6), 552-557 (2016).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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