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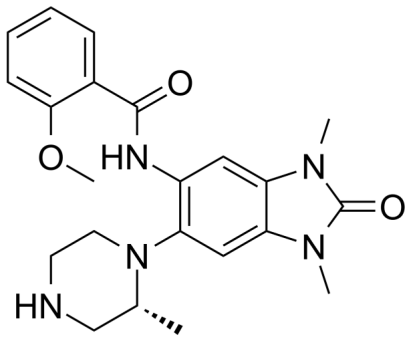
Certificate of Analysis

Catalog Number	BP13895
Product Name	GSK6853

Physical and Chemical Properties

CAS No.	1910124-24-1
Chemical Formula	C22H27N5O3
Molecular Weight	409.49
Solubility	Ethanol: 81 mg/mL (197.81 mM) DMSO: 29 mg/mL
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

Product Information

Description	GSK6853 is a potent, soluble, cell-active, and highly selective inhibitor of the BRPF1 bromodomain.
Targets&IC50	BRPF1:8.1(pIC50)

In vitro	Screening GSK6853 against a panel of 48 unrelated assays reveals only off-target activities that are relatively weak compared to the BRPF1 potency. However, to minimize the chance of off-target effects , the recommended concentration is no higher than 1 μM in cell-based assays.
In vivo	In male CD1 mouse, following IV administration (1 mg/kg), GSK6853 demonstrates a high blood clearance of 107 mL/min/kg, a moderate volume of distribution (5.5 L/kg) and a moderate terminal half-life of 1.7 h. Oral administration (PO, 3 mg/kg) achieves a moderate systemic exposure, with a Cmax of 42 ng/mL and Tmax of 1.5 h, resulting in a bioavailability of 22%. The intraperitoneal route of administration (IP, 3 mg/kg) reaches a Cmax of 469 ng/mL and Tmax of 0.25 h, resulting in a bioavailability of 85%. The results indicate that the IP route of administration would be suitable for dosing this molecule in potential PKPD models.

Analytical Data

HPLC	Shows Min >99% purity
H-NMR	Consistent with structure
Stability and Solubility Advice	Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details.

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