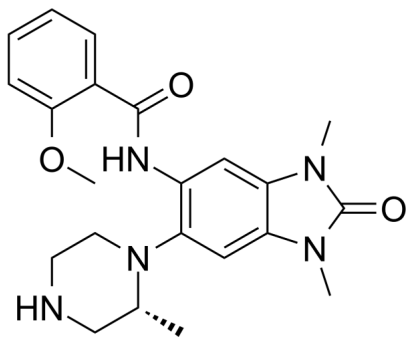


Data Sheet

Product Information

Catalog Number	BP13895
Product Name	GSK6853
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 μ M 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 C _{max} of 42 ng/mL and T _{max} of 1.5 h, resulting in a bioavailability of 22%. The intraperitoneal route of administration (IP, 3 mg/kg) reaches a C _{max} of 469 ng/mL and T _{max} 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.
CAS No.	1910124-24-1
Chemical Formula	C ₂₂ H ₂₇ N ₅ O ₃
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	

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