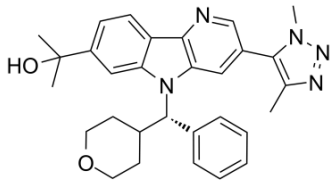
BMS-986158

Cat. No.:	HY-101567
CAS No.:	1800340-40-2
Molecular Formula:	$C_{30}H_{33}N_5O_2$
Molecular Weight:	495.62
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 1 mg/mL (2.02 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0177 mL	10.0884 mL	20.1767 mL
	5 mM	---	---	---
	10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

BMS-986158 is a potent BET inhibitor with IC_{50}s of 6.6 and 5 nM in NCI-H211 small cell lung cancer (SCLC) cells and MDA-MB231 triple negative breast cancer (TNBC) cells, respectively[1].

IC_{50} & Target

IC50: 6.6 nM (BET, in NCI-H211 SCLC cells), 5 nM (in MDA-MB231 TNBC) cells)[1]

In Vitro

BMS-986158 is an inhibitor of the bromodomain (BRD) and extra-terminal domain (BET) family of proteins, with potential antineoplastic activity. Upon administration, the BET inhibitor BMS-986158 binds to the acetyl-lysine binding site in the BRD of BET proteins, thereby preventing the interaction between BET proteins and acetylated histones. This disrupts chromatin remodeling and prevents the expression of certain growth-promoting genes, resulting in an inhibition of tumor cell growth [2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Yin M, et al. Potent BRD4 inhibitor suppresses cancer cell-macrophage interaction. Nat Commun. 2020 Apr 14;11(1):1833.

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