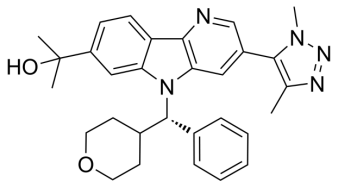
BMS-986158

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 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (50.44 mM; ultrasonic and warming and heat to 60°C)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0177 mL	10.0884 mL	20.1767 mL
5 mM	0.4035 mL	2.0177 mL	4.0353 mL
10 mM	0.2018 mL	1.0088 mL	2.0177 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution

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.

CUSTOMER VALIDATION

- J Med Chem. 2020 Jul 9;63(13):7186-7210.
- Pharmaceuticals. 2022, 15(3), 338.

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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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