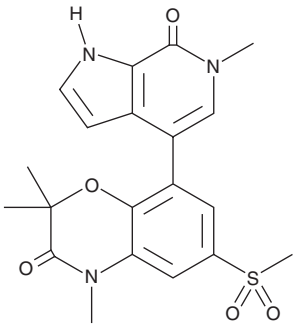
PRODUCT INFORMATION

INCB 057643

Item No. 31456



CAS Registry No.:	1820889-23-3
Formal Name:	8-(6,7-dihydro-6-methyl-7-oxo-1H-pyrrolo[2,3-c]pyridin-4-yl)-2,2,4-trimethyl-6-(methylsulfonyl)-2H-1,4-benzoxazin-3(4H)-one
MF:	$C_{20}H_{21}N_3O_5S$
FW:	415.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 226, 257 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

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

Laboratory Procedures

INCB 057643 is supplied as a crystalline solid. A stock solution may be made by dissolving the INCB 057643 in the solvent of choice, which should be purged with an inert gas. INCB 057643 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of INCB 057643 in these solvents is approximately 30 mg/ml.

INCB 057643 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, INCB 057643 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. INCB 057643 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

INCB 057643 is a bromodomain and extra terminal domain (BET) family protein inhibitor.[1] It inhibits binding of bromodomain-containing protein 2 (BRD2), BRD3, and BRD4 to an acetylated histone H4 peptide *in vitro*. INCB 057643 decreases Myc levels in, and inhibits proliferation of, acute myeloid leukemia (AML), diffuse large B cell lymphoma (DLBCL), and multiple myeloma (MM) cells. *In vivo*, INCB 057643 reduces tumor growth in AML, DLBCL, and MM mouse xenograft models. It also reduces tumor growth when administered alone or in combination with docetaxel (Item No. 11637) or enzalutamide (Item No. 11596) in a 22Rv1 castration-resistant prostate cancer (CRPC) mouse xenograft model.[2]

References

1. Stubbs, M.C., Maduskuie, T., Burn, T., *et al.* Abstract 5071: Preclinical characterization of the potent and selective BET inhibitor INCB057643 in models of hematologic malignancies. *AACR Cancer Res.* **77(13)**, 5071 (2017).
2. Vázquez, R., Civenni, G., Zoppi, G., *et al.* Abstract 5793: Anti-tumor efficacy of INCB057643, a novel BET bromodomain inhibitor, in castration-resistant prostate cancer as single agent and in combination therapy. *AACR Cancer Res.* **78(13Supp)**, 5793-5793 (2018).

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