

Product Data Sheet

Product Name: Y06137
Cat. No.: GC33264

Chemical Properties

Cas. No. 2226534-49-0

SMILES CC1=NOC(C1=C2)=CC=C2C3=NC(C=C4)=C(N3CC5CCCCC5)C=C4N6CCOC[C@@H]6C

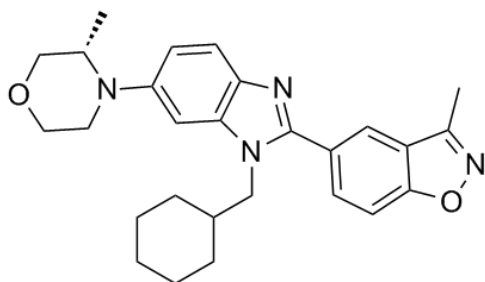
Formula $C_{27}H_{32}N_4O_2$ M.Wt 444.57

Solubility DMSO : 62.5 mg/mL (140.59 mM); Water : < 0.1 mg/mL (insoluble) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Y06137 is a potent and selective BET inhibitor, which binds to the BRD4(1) bromodomain with a K_d of 81 nM[1]. Antitumor activity[1].

Y06137 (0.001-100 nM, 96 hours for LNCaP, C4-2B, and 22Rv1 cells; 144 hours for VCaP cells)

Caution: Product has not been fully validated for medical applications. For research use only.

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exhibits low micromolar or nanomolar potencies (IC₅₀: 0.29-2.6 μ M) in the four androgen receptor (AR)-positive prostate cancer cell lines LNCaP, C4-2B, 22Rv1, and VCaP. Treatment of 22Rv1 cells with Y06137 (1, 2, 4, 8, and 16 μ M, 48 hours) results in significant down-regulation of both full-length (AR-fl) and AR variants levels[1].| Cell Viability Assay[1]|Cell Line:|LNCaP, C4-2B, 22Rv1, and VCaP prostate cancer cells |Concentration:|0.001-100 μ M|Incubation Time:|96 hours for LNCaP, C4-2B, and 22Rv1; 144 hours for VCaP|Result:|Inhibited LNCaP, C4-2B, 22Rv1, and VCaP cells with IC₅₀s of 0.47, 0.84, 0.70, 0.29 μ M, respectively.| Western Blot Analysis[1]|Cell Line:|22Rv1 cells|Concentration:|1, 2, 4, 8, and 16 μ M|Incubation Time:|48 hours|Result:|Resulted in significant down-regulation of both AR-fl and AR variants levels.

Y06137 (50 mg/kg, i.p. injection, 5 times per week, 25 days) demonstrates therapeutic effects in a C4-2B CRPC xenograft tumor model in mice. Y06137 is well tolerated in the treated mice, based on the weight of the animal body and their general behavior[1].| Animal Model:|Four-week-old male mice (strain: C.B-17/IcrHsd-Prkdcscid for C4-2B) with C4-2B mouse xenograft model[1]|Dosage:|50 mg/kg, 100 μ L|Administration:|Intraperitoneal (i.p.) injection, 5 times per week, 25 days|Result:|Exhibited strong antitumor activities during the 25-day treatment period, with a tumor growth inhibition (TGI) of 51%.

[1]. Zhang M, et al. Structure-Based Discovery and Optimization of Benzo[d]isoxazole Derivatives as Potent and Selective BET Inhibitors for Potential Treatment of Castration-Resistant Prostate Cancer (CRPC). J Med Chem. 2018 Apr 12;61(7):3037-3058.

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