Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

(+) -JQ-1

Catalog No: tcsc0581

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 5g

Specifications

CAS No:
1268524-70-4

Formula:
$C_{23}H_{25}ClN_4O_2S$

Pathway:
Epigenetics;Autophagy

Target:
Epigenetic Reader Domain;Autophagy

Purity / Grade:
>98%

Solubility:

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DMSO : ≥ 45 mg/mL (98.47 mM)

Alternative Names:
JQ1

Observed Molecular Weight:
456.99

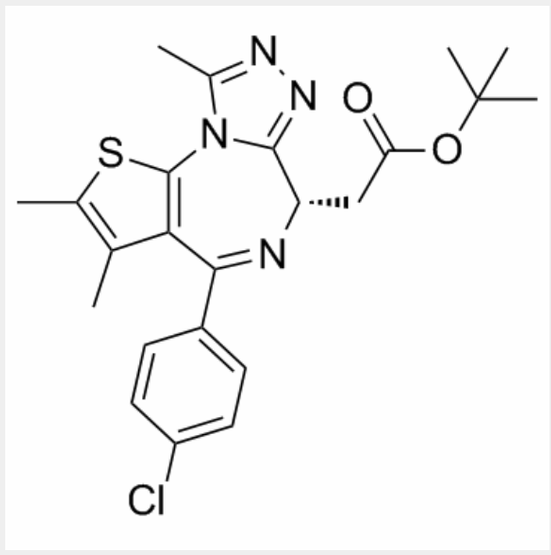
Product Description

(+)-JQ-1 is a **BET bromodomain** inhibitor, with **IC_{50}** of 77 nM/33 nM for the first and second bromodomain (**BRD4(1/2)**).

IC50 & Target: IC50: 77/33 nM (BRD4(1/2))[1]

In Vitro: (+)-JQ1 represents a potent, highly specific and Kac competitive inhibitor for the BET family of bromodomains. (+)-JQ1 (100 nM, 48 h) prompts squamous differentiation exhibited by cell spindling, flattening and increased expression of keratin. (+)-JQ1 (250 nM) induces rapid expression of keratin in treated NMC 797 cells compared to (-)-JQ1 (250 nM) and vehicle controls, as determined by quantitative immunohistochemistry.(+)-JQ1 (250 nM) elicits a time-dependent induction of strong (3+) keratin staining of treated NMC 797 cells, compared to (-)-JQ1 (250 nM)[1]. (+)-JQ1 is a potent thienodiazepine inhibitor (K_d=90 nM) of the BET family coactivator protein BRD4, which is implicated in the pathogenesis of cancer via transcriptional control of the MYC oncogene. Dose-ranging studies of (+)-JQ1 demonstrates potent inhibition of H4Kac4 binding with a IC50 value of 10 nM for murine BRDT(1) and 11 nM for human BRDT(1)[2].

In Vivo: Matched cohorts of mice with established tumors are randomized to treatment with (+)-JQ1 (50 mg/kg) or vehicle, administered by daily intraperitoneal injection. Prior to randomization, and after four days of therapy, mice are evaluated by FDG-PET imaging. A marked reduction in FDG uptake is observed with (+)-JQ1 treatment. Tumor-volume measurements confirm a reduction in tumor growth with JQ1 treatment. Pharmacokinetic studies of (+)-JQ1 are performed in CD1 mice following intravenous and oral administration. Mean plasma concentration-time profiles of (+)-JQ1 after intravenous dosing (5 mg/kg). The pharmacokinetic parameters for intravenous (+)-JQ1 demonstrate excellent drug exposure (AUC=2090 hr*ng/mL) and an approximately one hour half-life (T1/2). Mean plasma concentration-time profiles of (+)-JQ1 after oral dosing (10 mg/kg). The pharmacokinetic parameters for oral (+)-JQ1 demonstrate excellent oral bioavailability (F=49%), peak plasma concentration (C_{max} =1180 ng/mL) and drug exposure (AUC=2090 hr*ng/mL)[1].



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