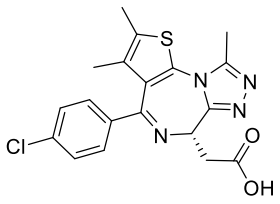
Product data sheet

MedKoo Cat#: 562151 Name: JQ1-Carboxylic acid CAS#: 202592-23-2 (free) Chemical Formula: $C_{19}H_{17}ClN_4O_2S$ Exact Mass: 400.0761 Molecular Weight: 400.88	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
JQ1-Carboxylic acid also known as JQ1 Acid, is an inhibitor of bromodomain and extra terminal domain (BET) family proteins.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	43.92	109.56
DMSO:PBS (pH 7.2) (1:4)	0.20	0.50
DMF	20.0	49.89
Ethanol	27.55	68.72

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.49 mL	12.47 mL	24.95 mL
5 mM	0.50 mL	2.49 mL	4.99 mL
10 mM	0.25 mL	1.25 mL	2.49 mL
50 mM	0.05 mL	0.25 mL	0.50 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Zhang MY, Liu SL, Huang WL, Tang DB, Zheng WW, Zhou N, Zhou H, Abudureheman T, Tang ZH, Zhou BS, Duan CW. Bromodomains and Extra-Terminal (BET) Inhibitor JQ1 Suppresses Proliferation of Acute Lymphocytic Leukemia by Inhibiting c-Myc-Mediated Glycolysis. Med Sci Monit. 2020 Apr 8;26:e923411. doi: 10.12659/MSM.923411. PMID: 32266878; PMCID: PMC7165247.
2. Qian Z, Shuying W, Ranran D. Inhibitory effects of JQ1 on listeria monocytogenes-induced acute liver injury by blocking BRD4/RIPK1 axis. Biomed Pharmacother. 2020 May;125:109818. doi: 10.1016/j.biopha.2020.109818. Epub 2020 Feb 25. PMID: 32106368.

In vivo study

1. Li F, MacKenzie KR, Jain P, Santini C, Young DW, Matzuk MM. Metabolism of JQ1, an inhibitor of bromodomain and extra terminal bromodomain proteins, in human and mouse liver microsomes†. Biol Reprod. 2020 Aug 4;103(2):427-436. doi: 10.1093/biolre/ioaa043. PMID: 32285106; PMCID: PMC7401416.
2. Zhou S, Zhang S, Wang L, Huang S, Yuan Y, Yang J, Wang H, Li X, Wang P, Zhou L, Yang J, Xu Y, Gao H, Zhang Y, Lv Y, Zou X. BET protein inhibitor JQ1 downregulates chromatin accessibility and suppresses metastasis of gastric cancer via inactivating

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RUNX2/NID1 signaling. Oncogenesis. 2020 Mar 10;9(3):33. doi: 10.1038/s41389-020-0218-z. PMID: 32157097; PMCID: PMC7064486.

7. Bioactivity

Biological target:

JQ-1 carboxylic acid is a (+)-JQ1 derivative (a BET bromodomain inhibitor).

In vitro activity

In the present study, it was first noted that JQ1 can significantly affect the glycolytic metabolism of B-ALL cells by inhibiting glucose absorption and metabolic process and eventually causing the reduction of metabolic intermediates, such as lactate and ATP, which are the main materials and energy sources for cell synthesis. According to the results of RNA-seq, JQ1 suppressed the glycolytic process by inhibiting the expression of glycolysis key enzymes, including hexokinase 2, phosphofructokinase, and lactate dehydrogenase A. It was also found that the glycolysis inhibitor 2-DG blocked the cell cycle arrest of B-ALL cells induced by JQ1, suggesting JQ1 suppressed the proliferation of B-ALL by partially inhibiting glycolysis.

Reference: Med Sci Monit. 2020; 26: e923411-1–e923411-10. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7165247/

In vivo activity

To further validate findings, the anti-tumor effects of JQ1 were evaluated in vivo using a xenograft mouse model transplanted with HGC27 cells subcutaneously. Twelve mice were divided into two groups: the NC group and the JQ1-treating group. After 2 weeks of JQ1 treatment, it was observed that the volumes and weights of the tumors from the JQ1-treating group were significantly decreased compared with that in the NC group (Fig. 7a, b). However, there were no obvious differences regarding body weights of the mice between the two groups (Fig. 7c). Then, total protein and mRNA were extracted from the fresh tumors. WB analysis showed that the NID1 protein expression was significantly downregulated in JQ1-treating group compared with NC group (Fig. 7d). In addition, qRT-PCR results demonstrated a significant decrease in NID1 mRNA expression after JQ1 treatment (Fig. 7e). These findings indicated that JQ1 suppressed GC tumor proliferation via inhibiting NID1 signaling in vivo.

Reference: Oncogenesis. 2020 Mar; 9(3): 33. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7064486/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.