

Product Data Sheet

Product Name: KJ Pyr 9
Cat. No.: GC14413

Chemical Properties

Cas. No. 581073-80-5

Chemical Name 4-[2-(2-furanyl)-6-(4-nitrophenyl)-4-pyridinyl]-benzamide

SMILES NC(C(C=C1)=CC=C1C2=CC(C3=CC=CO3)=NC(C4=CC=C([N+])([O-])=O)C=C4)=C2)=O

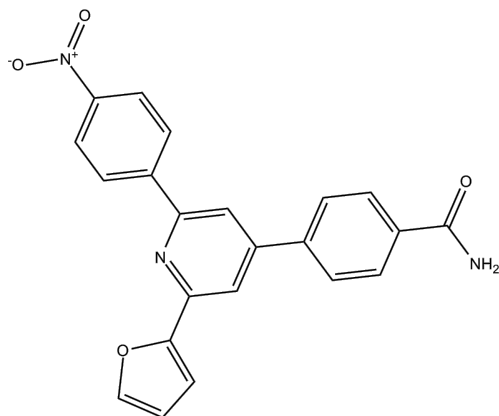
Formula $C_{22}H_{15}N_3O_4$ M.Wt 385.4

Solubility $\leq 20\text{mg/ml}$ in DMSO; 25mg/ml in dimethyl formamide 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



Protocol

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

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Cell experiment: Assays used staining with the redox dye resazurin to measure cell viability. Cells are seeded at 103 per 100 μ L well in 96-well plates and grown in the presence of 2.5% FBS. MDA-MB-231 cells are cultured in DMEM; SUM-159PT cells are cultured in HAM's F12; and NCI-H460 cells are cultured in RPMI-1640. MDA-MB-231 cells are exposed to KJ Pyr 9 (KJ-Pyr-9) for 216 h with fresh compound-containing medium supplied at 120 and 192 h; SUM-159PT cells are exposed to the compound for 120 h and fresh medium with the appropriate compound concentrations is supplied at 48 h; and NCI-H460 cells are grown with compound for 72 h. Triplicate cultures of P493-6 cells are grown in six-well plates from a starting density of 1×10^5 cells per mL in 4 mL culture medium per well. Compounds are added immediately following cell seeding. Following compound addition, cells are distributed by vortexing the plate at 400 rpm for 10 s. One hundred-microliter samples are taken after vortexing and counted using a Beckman Coulter Z1 counter at 0, 12, 24, 36, 48, 60, 72, and 96 h of incubation[1].

Animal experiment: Mice[1] Ten 8-wk-old female nude mice (HSD:athymic nude-Foxn1nu) are injected with 5×10^6 MDA-MB-231 cells s.c. into the left and right flanks. Cells are suspended in high-concentration Matrigel before injection. Xenograft tumors are allowed to grow until the average volume of the tumors reached 100 mm³, as measured by external calipers. At this point, the mice are divided into two groups. One receive 10 mg/kg KJ Pyr 9 and the other receive vehicle only, dosed daily by i.p. injection. Tumor volume and mouse weight are measured daily. Vehicle used in all cases is 10:10:80 Tween 80:DMSO:5% dextrose in water. The mice are treated for a period of 31 d. At the end of the experiment, the mice are euthanized and tumors are excised. Tumors are weighed. Samples of each tumor are fixed in formalin for histology and frozen for Western blotting.

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[1]. Hart JR, et al. Inhibitor of MYC identified in a Kröhnke pyridine library. Proc Natl Acad Sci U S A. 2014 Aug 26;111(34):12556-61.

Background

Target: MYC

Kd value: 6.5 ± 1.0 nM

KJ Pyr 9 is a novel small molecule inhibitor of MYC, with the Kd value of 6.5 ± 1.0 nM. Besides, KJ Pyr 9 also suppresses the formation of MYC-MAX and oncogenic transformation induced by MYC in cell culture [1].

In Vitro: In chicken embryo fibroblasts, KJ Pyr 9 was found to have the ability to interfere with the oncogenic activity of MYC, with the best aqueous solubility. Besides, in human B-cell line P493-6, 20 μ M KJ Pyr 9 could significantly inhibit cell proliferation, and KJ Pyr 9 could also inhibit the proliferation of NCI-H460, MDA-MB-231, and SUM-159PT cell lines, with the IC50 values between 5-10 μ M. Furthermore, treatment of P493-6 cells with KJ Pyr 9 could significantly suppress the transcription ability of MYC [1].

In Vivo: KJ Pyr 9 was found to have no acute toxicity in mouse and rat at a dose of 10 mg/kg, with an impressive ability to cross the blood-brain barrier. Besides, in a MDA-MB-231 cells xenograft tumor nude mice model, intraperitoneal injection with 10 mg/kg KJ Pyr 9 for 31 days could significantly inhibit tumor growth, while having no effect on the body weight [1].

Clinical trial: No data available recently.

Reference:

[1] Hart J R, Garner A L, Yu J, et al. Inhibitor of MYC identified in a Kröhnke pyridine library[J]. Proceedings of the National Academy of Sciences, 2014, 111(34): 12556-12561.

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