

Product Data Sheet

Product Name: Pyr3
Cat. No.: GC14649

Chemical Properties

Cas. No. 1160514-60-2

Chemical Name ethyl 1-(4-(2,3,3-trichloroacrylamido)phenyl)-5-(trifluoromethyl)-1H-pyrazole-4-carboxylate

SMILES Cl/C(C(=C(C(=C1)N2N=CC(C(=O)OCC)=O)=C2C(F)(F)F)=O)=C(Cl)\Cl

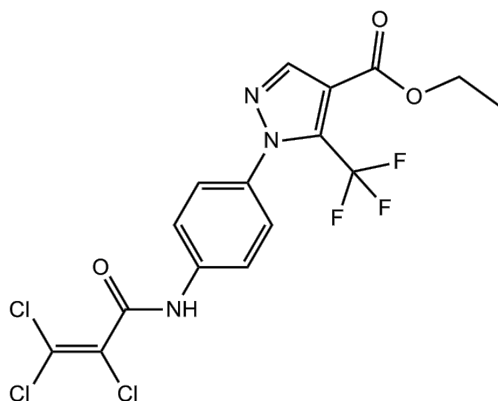
Formula $C_{16}H_{11}Cl_3F_3N_3O_3$ M.Wt 456.63

Solubility DMF: 50 mg/ml, DMSO: 20 mg/ml, Ethanol: 10 mg/ml 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

Cell experiment [1]:

Cell lines A549 cells

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Preparation Method A549 cells were grown in RPMI 1640 medium supplemented with penicillin G (100U/ml), streptomycin (100µg/ml), L-glutamine, and 10% fetal bovine serum in a humidified incubator at 37°C and 5% CO₂. Cells were seeded at a density of 5×10^3 cells/well in 96 well plates and treated with different concentrations of Pyr3 (0.62, 1.25, 2.5, 5, 10, 20, and 40µg/ml) for 48h. Then, cells were in situ fixed with 50µl of 50% (w/v) trichloroacetic acid at 4°C for 1h. At the end of fixation, wells were washed 5 times with deionized water and allowed air dry at room temperature for 50µl of 0.4% SRB solution was added into each well and incubated at room temperature for 30min. Then, plates were washed with 1% acetic acid to eliminate non-specific bindings and un bounded dye. The bounded SRB dye was dissolved by adding 150µl 10mM Tris base per each well. Measurements were carried out at 564nm.

Reaction Conditions 0.62, 1.25, 2.5, 5, 10, 20, and 40µg/ml; 48h

Applications Pyr3 treatment notably decreased the cell viability of A549 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models BALB/cAnN.Cg-Foxn1nu/CrlNarl nude mice

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Preparation Method BALB/cAnN.Cg-Foxn1nu/CrlNarl nude mice (20-25g) were anesthetized with an oxygen/isoflurane mixture gas. Subsequently, 1×10^5 LN229-Luc2 cells were implanted into the right cerebral hemisphere of the mice. Four days after implantation, the mice were randomly divided into four groups and received treatment with a vector control, or Pyr3. Pyr3 was dissolved in 10% Tween 80 and administered intraperitoneally at a dose of 20mg/kg/day for 7 days. Twelve days later, the mice were euthanized with carbon dioxide; the brain tissues were removed, fixed with formalin, embedded in paraffin, and cut into consecutive sections. The bioluminescence intensity of the implanted tumors was monitored using the non-invasive in vivo imaging system (IVIS) three times a week. The weight of the mice was measured three times a week.

Dosage form 20mg/kg/day for 7 days; i.p.

Applications Pyr3 treatment inhibited glioma tumor growth in the xenograft orthotopic model without affecting body weight.

References:

- [1] Kıyan H T, Üvez A, Erkisa M, et al. A Comparative Study on In vitro Anti-cancer and In vivo Anti-angiogenic Effects of TRPC Blockers Pyr-3 and SKF-96365[J]. Letters in Drug Design & Discovery, 2023, 20(7): 957-964.
- [2] Chang H H, Cheng Y C, Tsai W C, et al. Pyr3 induces apoptosis and inhibits migration in human glioblastoma cells[J]. Cellular Physiology and Biochemistry, 2018, 48(4): 1694-1702.

Background

Pyr3 is a potent and selective inhibitor of transient receptor potential canonical channel 3 (TRPC3), with an IC_{50} of 700nM for TRPC3-mediated Ca^{2+} influx [1]. Pyr3 can reduce the secretion level of MMP9 in cells and inhibit the phosphorylation of signal transducer and activator of transcription (STAT) 5[2]. Pyr3 has been widely used to inhibit the proliferation and migration of melanoma, as well as to regulate tumor growth and metastasis[3].

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In vitro, Pyr3 treatment for 48 hours significantly inhibited the proliferation of A549 cells, HCT-116 cells, and PC3 cells, with IC₅₀ values of 15.4, 7.9, and 2.3µg/ml, respectively^[4]. Treatment with 10µM Pyr3 for 24 hours significantly inhibited the viability of T24 cells, induced cell cycle arrest, and decreased the expression levels of p-PKCα, PKCα and PP2A^[5]. Treatment with 1.0µM Pyr3 for 8 hours significantly induced apoptosis in MDA-MB-231 cells, accompanied by cell contraction, cell membrane blebbing, mitochondrial fragmentation and nuclear condensation^[6].

In vivo, Pyr3 treatment via intraperitoneal injection at a dose of 20mg/kg/day for seven consecutive days significantly inhibited the growth of glioma tumors in the xenograft mouse model^[7]. Five minutes before reperfusion, 10mg/kg of Pyr3 was administered via the right jugular vein to the mice, which significantly reduced the infarcted area of the left ventricle in the mice, and decreased the rate of myocardial cell apoptosis and inflammatory response^[8].

References:

- [1] Kiyonaka S, Kato K, Nishida M, et al. Selective and direct inhibition of TRPC3 channels underlies biological activities of a pyrazole compound[J]. Proceedings of the National Academy of Sciences, 2009, 106(13): 5400-5405.
- [2] Oda K, Umemura M, Katsumata M, et al. Transient receptor potential cation channel 3 (TRPC3) regulates tumor proliferation and migration of BRAF wild type human malignant melanoma[J]. Cancer Research, 2015, 75(15_Supplement): 4371-4371.
- [3] Umemura M, Baljinnyam E, De Lorenzo M S, et al. Role of store-operated Ca²⁺ entry in proliferation and cell cycle in melanoma[J]. Cancer Research, 2012, 72(8_Supplement): 1864-1864.
- [4] Kiyon H T, Üvez A, Erkisa M, et al. A Comparative Study on In vitro Anti-cancer and In vivo Anti-angiogenic Effects of TRPC Blockers Pyr-3 and SKF-96365[J]. Letters in Drug Design & Discovery, 2023, 20(7): 957-964.
- [5] Ting H K, Dou Y C, Lin Y H, et al. Pyr3 inhibits cell viability and PKCα activity to suppress migration in human bladder cancer cells[J]. European Journal of Pharmacology, 2025, 988: 177235.
- [6] Wang Y, Qi Y X, Qi Z, et al. TRPC3 regulates the proliferation and apoptosis resistance of triple negative breast cancer cells through the TRPC3/RASA4/MAPK pathway[J]. Cancers, 2019, 11(4): 558.
- [7] Chang H H, Cheng Y C, Tsai W C, et al. Pyr3 induces apoptosis and inhibits migration in human glioblastoma cells[J]. Cellular Physiology and Biochemistry, 2018, 48(4): 1694-1702.
- [8] Lu M, Fang X, Shi D, et al. A selective TRPC3 inhibitor Pyr3 attenuates myocardial

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ischemia/reperfusion injury in mice[J]. Current Medical Science, 2020, 40(6): 1107-1113.

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