Paprotrain

Cat. No.: HY-101298

CAS No.: 57046-73-8

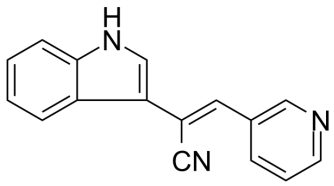
Molecular Formula: $C_{16}H_{11}N_3$

Molecular Weight: 245.28

Target: Kinesin

Pathway: Cell Cycle/DNA Damage; Cytoskeleton

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (407.70 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.0770 mL	20.3849 mL	40.7697 mL
5 mM	0.8154 mL	4.0770 mL	8.1539 mL
10 mM	0.4077 mL	2.0385 mL	4.0770 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (10.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Paprotrain is a cell-permeable inhibitor of the kinesin MKLP-2, inhibits the ATPase activity of MKLP-2 with an IC_{50} of 1.35 μM and a K_i of 3.36 μM and shows a moderate inhibition activity on DYRK1A with an IC_{50} of 5.5 μM.

IC_{50} & Target

MKLP-2
1.35 μM (IC_{50})

In Vitro

Paprotrain has been screened on a panel of CNS kinases. While inactive (IC_{50} >10 μM) on CDK5 and GSK3, it has shown a moderate activity on DYRK1A (IC_{50}=5.5 μM)[1]. Time-lapse microscopy shows that disrupting MKlp2 expression with paprotrain results in polar body extrusion failure. This could be rescued after rescuing oocytes from paprotrain in fresh medium. Cell cycle analysis shows that most oocytes are arrested at metaphase I or telophase I. However, oocyte spindle structure and chromosome alignment are not disrupted after the inhibition of MKlp2 by paprotrain[2]. Paprotrain-treated porcine oocytes suffer failure of nuclear maturation. The number of oocytes arrested at early MI stage increase in a dose-dependent manner after KIF20A activity inhibition, while the percentage of oocytes that reach ATI and MII stages decrease

after treatment[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]

Kinase activities for each enzyme are assayed in the presence of 15 μM ATP in a final volume of 30 μL. After 30 min incubation at 30°C, the reaction is stopped by harvesting, using a FilterMate harvester, onto P81 phosphocellulose papers which are washed in 1% phosphoric acid. 20 μL of scintillation fluid are added and the incorporated radioactivity measured in a Packard counter. Blank values are subtracted and activities calculated as pmoles of phosphate incorporated during the 30 min incubation. Controls are performed with appropriate dilutions of DMSO. Kinase activities are expressed in % of maximal activity, i.e. in the absence of inhibitors (Paprotrain). IC50 values are obtained from the dose-response curves[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Assay [3]

COCs or denuded oocytes (DOs) are cultured in the presence/absence of Paprotrain in vitro. The control groups are performed with pure DMSO at the same concentration. COCs are denuded of their cumulus cells by gentle pipetting with 0.1% (w/v) hyaluronidase. Oocytes with clearly extruded polar bodies are judged to be matured oocytes. After cultured for 44 h, the polar body extrusion rate of matured oocytes is observed using a microscope. Furthermore, chromosomal alignments and the cell cycle of oocytes treated with inhibitor are examined using laser scan confocal microscopy[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Urol Oncol. 2023 Feb 20;S1078-1439(23)00010-8.

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REFERENCES

[1]. Labrière C, et al. Further investigation of Paprotrain: Towards the conception of selective and multi-targeted CNS kinase inhibitors. Eur J Med Chem. 2016 Nov 29;124:920-934.

[2]. Liu J, et al. MKlp2 inhibitor paprotrain affects polar body extrusion during mouse oocyte maturation. Reprod Biol Endocrinol. 2013 Dec 21;11:117.

[3]. Zhang Y, et al. KIF20A regulates porcine oocyte maturation and early embryo development.

[4]. Tcherniuk S, et al. Relocation of Aurora B and survivin from centromeres to the central spindle impaired by a kinesin-specific MKLP-2 inhibitor. Angew Chem Int Ed Engl. 2010 Oct 25;49(44):8228-31.

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