Importazole

Cat. No.: HY-101091

CAS No.: 662163-81-7

Molecular Formula: $C_{20}H_{22}N_4$

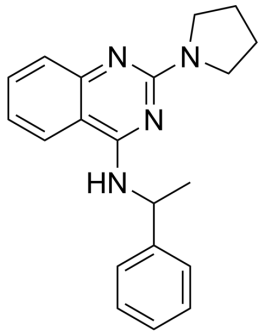
Molecular Weight: 318.42

Target: Apoptosis

Pathway: Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 33 mg/mL (103.64 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1405 mL	15.7025 mL	31.4051 mL
5 mM	0.6281 mL	3.1405 mL	6.2810 mL
10 mM	0.3141 mL	1.5703 mL	3.1405 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 (7.85 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Importazole is a small molecule inhibitor of the nuclear transport receptor importin-β.

In Vitro

Importazole specifically blocks importin-β-mediated nuclear import both in Xenopus egg extracts and cultured cells, without disrupting transportin-mediated nuclear import or CRM1-mediated nuclear export. Importazole impairs the release of an importin-β cargo FRET probe and causes both predicted and novel defects in spindle assembly[1]. Importazole displays an IC_{50} of approximately 15 μM for inhibition of NFAT-GFP import. Importazole has an IC_{50} of approximately 22.5 μM in HeLa cells following treatment over a 24-hour period[1]. Importazole induces a dose-and time-dependent inhibition of myeloma cells growth. And the IC_{50} values of importazole on RPMI 8226 and NCI-H929 after 48 hours incubation are (4.43±0.41) and (4.78±0.35) μmol/L, respectively. Treatment of RPMI 8226 and NCI-H929 cells with 8 μmol/L importazole for 24 h could

inhibit NF-κB import to nucleus and reduce its DNA binding activity[2].

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

PROTOCOL

Cell Assay [1]

HEK 293 cells stably expressing NFAT-GFP are grown on glass coverslips to approximately 50% confluency prior to drug treatment. In all cases, importazole is used at 40 μM and leptomycin B is used at 10 ng/mL. For controls, DMSO is used at a concentration of 0.4%. Ionomycin is added at 1.25 μM. Importazole and leptomycin B treatments are all for 1 hour. In all experiments cells are fixed with 4% formaldehyde prior to fluorescence microscopy. DNA is visualized with 1 μg/mL Hoechst dye. For quantification, 100 cells from each condition are analyzed and the percentage that shows nuclear accumulation of NFAT-GFP are calculated[1].

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

CUSTOMER VALIDATION

- Nature. 2018 Nov;563(7729):131-136.
- EMBO Rep. 2019 Jun;20(6):e47283.
- J Cell Mol Med. 2022 Feb 11.
- Onco Targets Ther. 2019 Dec 2;12:10455-10467.
- PLoS One. 2020 Nov 12;15(11):e0242312.

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REFERENCES

[1]. Soderholm JF, et al. Importazole, a small molecule inhibitor of the transport receptor importin-β. ACS Chem Biol. 2011 Jul 15;6(7):700-8.

[2]. Yan WQ, et al. Effect of nuclear receptor inhibitor importazole on the proliferation and apoptosis of multiple myeloma cells. Zhonghua Xue Ye Xue Za Zhi. 2013 Apr;34(4):323-6.

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

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