

Product Data Sheet

Product Name: Importazole

Cat. No.: GC19199

Chemical Properties

Cas. No. 662163-81-7

SMILES CC(NC1=CC=CC=C2=NC(N3CCCC3)=N1)C4=CC=CC=C4

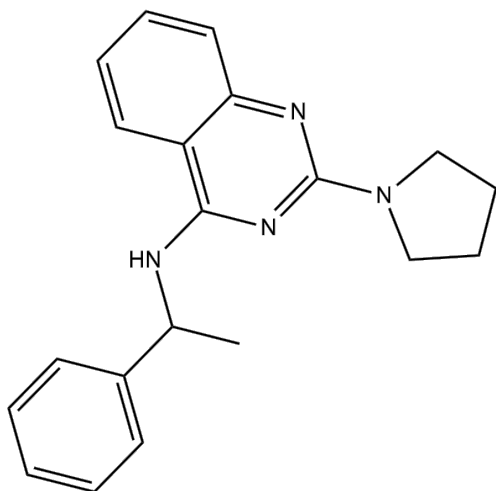
Formula $C_{20}H_{22}N_4$ M.Wt 318.42

Solubility DMSO : ≥ 33 mg/mL (103.64 mM) 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 Human HNSCC Ca9-22 cells

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

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Preparation Method Cells were seeded onto glass slides, incubated for 6h, and then treated with Importazole (10 μ M; 24h) and/or X-ray irradiation (X-ray irradiation was performed at 1h after Importazole administration). The treated cells were fixed for 15min with 4% paraformaldehyde in Ca²⁺ and Mg²⁺-free PBS and then blocked with blocking buffer (3% bovine serum albumin (BSA)/0.3% Triton X-100 in PBS) for 60min at room temperature. The coverslips were then incubated overnight with IRF1 primary antibody diluted to 1:300 with antibody dilution buffer (1% BSA/0.3% Triton X-100 in PBS). After incubation, the samples were washed 3 times and incubated with AlexaFluor 488®-conjugated anti-rabbit IgG secondary antibody diluted to 1:400 with antibody dilution buffer for 1h at room temperature in the dark. After washing 3 times, the samples were mounted using Mounting Medium with DAPI and examined under an LSM 710 laser-scanning microscope.

Reaction
Conditions 10 μ M; 24h

Applications Importazole treatment reduced the nuclear localization of IRF1 in Ca9-22 cells.

Animal experiment [2]:

Animal models 6- weeks old female NON SCID mice

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For xenograft animal models, Importazole was dissolved in the solvent solution containing 5% DMSO, 40% PEG-400, 5% Tween-80, and 50% H₂O.

Subcutaneous tumors were generated bilaterally with DLD1 cells or small pieces of PDX tumors (2×2 mm³). Once tumor sizes reached 100-150mm³, mice were randomly assigned into four groups (5 mice per group), including vehicle group, Alpelisib group (BYL719, 12.5mg/kg, oral gavage), Importazole group (IPZ, 6.25mg/kg, intraperitoneal injection), Alpelisib plus Importazole group. Mice were treated five times per week for 3 weeks.

Dosage form 6.25mg/kg; 3 weeks; i.p.

Applications Combination of Importazole and Alpelisib (p110α-specific inhibitor) significantly inhibited the growth of DLD1 cells, DLD1 xenograft tumors, and two patient-derived xenograft tumors harboring a PIK3CA E545K mutation.

References:

- [1] Yoshino H, Sato Y, Nakano M. KPNB1 Inhibitor Importazole Reduces Ionizing Radiation-Increased Cell Surface PD-L1 Expression by Modulating Expression and Nuclear Import of IRF1. *Curr Issues Mol Biol.* 2021 May 19;43(1):153-162.
- [2] He B, Li X, Yao M, et al. Blocking p85[?] nuclear translocation by importazole enhances Alpelisib efficacy against PIK3CA-helical-domain-mutant tumors. *Biochem Biophys Res Commun.* 2025 Feb 8;748:151324.

Background

Importazole, a small molecule inhibitor of the transport receptor importin-β, can be used to assess the function of the importin-β/RanGTP pathway at specific stages of the cell cycle^[1].

In vitro, Importazole (10μM; 24h) treatment reduced the nuclear localization of IRF1 in Ca9-22 cells^[2]. Blocking p85β nuclear translocation by Importazole enhances Alpelisib efficacy against PIK3CA-helical-domain-mutant tumors^[3]. Inhibition of the nuclear transport protein Importin-β with Importazole (40μM; 4h) in MAC-T cells reduces ribotoxin-induced nuclear localization of IGFBP-3^[4].

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In vivo, Combination of Importazole (6.25mg/kg; 3 weeks; i.p.) and Alpelisib (p110 α -specific inhibitor) significantly inhibited the growth of DLD1 cells, DLD1 xenograft tumors, and two patient-derived xenograft tumors harboring a PIK3CA E545K mutation^[3]. Pharmacological inhibition of KPNB1 using the specific inhibitor Importazole (20mg/kg/3 times a week; 2 weeks; i.p.) significantly reduced tumor burden and prolonged survival in MLL-AF9-induced Acute myeloid leukemia (AML) mice^[5].

References:

- [1] Soderholm JF, Bird SL, Kalab P, et al. Importazole, a small molecule inhibitor of the transport receptor importin- β . ACS Chem Biol. 2011 Jul 15;6(7):700-8.
- [2] Yoshino H, Sato Y, Nakano M. KPNB1 Inhibitor Importazole Reduces Ionizing Radiation-Increased Cell Surface PD-L1 Expression by Modulating Expression and Nuclear Import of IRF1. Curr Issues Mol Biol. 2021 May 19;43(1):153-162.
- [3] He B, Li X, Yao M, et al. Blocking p85 β nuclear translocation by importazole enhances Alpelisib efficacy against PIK3CA-helical-domain-mutant tumors. Biochem Biophys Res Commun. 2025 Feb 8;748:151324.
- [4] Agostini-Dreyer A, Jetzt AE, Skorupa J, et al. IGFBP-3 Induced by Ribotoxic Stress Traffics From the Endoplasmic Reticulum to the Nucleus in Mammary Epithelial Cells. J Endocr Soc. 2018 Dec 24;3(3):517-536.
- [5] Xie Y, Zhao R, Zheng Y, et al. Targeting KPNB1 suppresses AML cells by inhibiting HMGB2 nuclear import. Oncogene. 2025 Jun;44(21):1646-1661. doi: 10.1038/s41388-025-03340-0. Epub 2025 Mar 13.

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