PPI-GIT1/β-Pix interaction-IN-1

Cat. No.: HY-152095

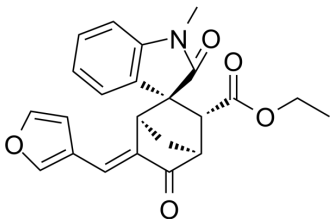
Molecular Formula: $C_{23}H_{21}NO_5$

Molecular Weight: 391.42

Target: Ras

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PPI-GIT1/β-Pix interaction-IN-1 is a potent and orally active GIT1/β-Pix protein-protein interaction (PPI) inhibitor with a K_D value of 7.7 μM. PPI-GIT1/β-Pix interaction-IN-1 disrupts the GIT/PIX interaction can impact the activation of the downstream Rho GTPase Rac1 and Cdc42. PPI-GIT1/β-Pix interaction-IN-1 inhibits metastasis of gastric cancer[1].

In Vitro

PPI-GIT1/β-Pix interaction-IN-1 (compound 14-5-18; 0-50 μM) inhibits the interaction between GIT1 and β-Pix in living cells[1].

PPI-GIT1/β-Pix interaction-IN-1 (0-50 μM; 24 h; MGC803 cells and MKN45 cells) inhibits gastric cancer cell invasion in a dose-dependent manner and disrupts the GIT/PIX interaction can impact the activation of the downstream Rho GTPase Rac1 and Cdc42[1].

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

Western Blot Analysis[1]

Cell Line:	MGC803 cells and MKN45 cells
Concentration:	0, 5, 20, and 50 μM
Incubation Time:	24 hours
Result:	Suppressed the expression of GTP-Rac1 and GTP-Cdc42 in a dose-dependent manner.

In Vivo

PPI-GIT1/β-Pix interaction-IN-1 (compound 14-5-18; 10 and 30 mg/kg; i.g.; 24 h) inhibits gastric cancer cell invasion in female nude mice with MGC803 xenografts[1].

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

Animal Model:	Female nude mice with MGC803 xenografts (Four-week-old)[1]
Dosage:	10 and 30 mg/kg
Administration:	oral gavage, daily, for 18 days
Result:	Reduced the luminescence intensity in the lungs in a dose-dependent manner.

REFERENCES

[1]. Gu J, et, al. Construction of a synthetic methodology-based library and its application in identifying a GIT/PIX protein-protein interaction inhibitor. Nat Commun. 2022 Nov 23;13(1):7176.

[2]. Gu J, et, al. Construction of a synthetic methodology-based library and its application in identifying a GIT/PIX protein-protein interaction inhibitor. Nat Commun. 2022 Nov 23;13(1):7176.

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