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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
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- Expressversand

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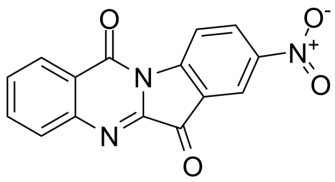
GNF-PF-3777

Cat. No.:	HY-100687
CAS No.:	77603-42-0
Molecular Formula:	$C_{15}H_7N_3O_4$
Molecular Weight:	293.23
Target:	Indoleamine 2,3-Dioxygenase (IDO)
Pathway:	Metabolic Enzyme/Protease
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 : 6.4 mg/mL (21.83 mM; Need warming)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	3.4103 mL	17.0515 mL	34.1029 mL
	5 mM	0.6821 mL	3.4103 mL	6.8206 mL
	10 mM	0.3410 mL	1.7051 mL	3.4103 mL

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

BIOLOGICAL ACTIVITY

Description

GNF-PF-3777 (8-Nitrotryptanthrin) is a potent human indoleamine 2,3-dioxygenase 2 (hIDO2) inhibitor which significantly reduces IDO2 activity with K_i of 0.97 μM.

IC_{50} & Target

rhIDO2 1.8 μM (IC_{50})	rhIDO2 0.97 μM (Ki)

In Vitro

The tryptanthrin derivative GNF-PF-3777 (8-Nitrotryptanthrin; Compound 5i) is found to be a potent hIDO2 inhibitor with superior efficiency far better than that of the most frequently-used inhibitor L-1-MT. The IC_{50} values show that all nine tryptanthrin compounds display hIDO2 inhibitory activities, GNF-PF-3777 demonstrates much stronger inhibition (1.87 μM) than both L-1-MT (82.53 μM) and D-1-MT (262.75 μM). GNF-PF-3777 exhibits significant antitrypanosomal activity with EC_{50} of 0.82 μM[2]. GNF-PF-3777 (8-Nitrotryptanthrin) has a microplate Alamar Blue assay (MABA) minimum inhibitory concentration (MIC) value of 0.032 μg/mL. GNF-PF-3777 also has a LORA MIC value of 2.4 μg/mL, while the majority of analogues lack LORA activity[3].

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

PROTOCOL

Cell Assay [1]

To study the cellular hIDO2 inhibition of candidate compounds, recombinant plasmid pcDNA3.1(+)-hIDO2 is constructed and transfected into human glioblastoma U87 MG cells which had no IDO1 expression (confirmed by RT-PCR and western blot) therefore eliminated the interference of IDO1. U87 MG cells are cultivated in DMEM containing 50 U/mL penicillin, 50 mg/mL streptomycin, 4500 mg/L glucose, and 10% inactivated FBS at 37°C with 5% CO_2 and 95% humidity. When a cell density of 80% confluent monolayer is reached, U87 MG cells are transfected with pcDNA3.1(+)-hIDO2 using the transfection reagent Lipofectamine 2000 according to the manufacturer's instructions. An empty pcDNA3.1(+) expression vector is served as control. After 18 h of incubation, the transfected cells are seeded in 96-well culture plates at a density of 2.5×10^4 cells/well in a final volume of 200 µL supplemented with 200 µM L-Trp. A serial dilution of the tested compounds is added to the culture medium after an additional 6 h of incubation. The reaction is terminated by addition of 30% (w/v) trichloroacetic acid (10 µL for 140 µL of the reaction mixture) 24 h later. The plates are incubated at 65°C in water bath for 15 min to facilitate the transformation of N-formylkynurenine to L-kynurenine, followed by centrifugation at 13,000× g for 10 min to remove the sediments. 100 µL of the supernatant are then transferred to another 96-well plate and mixed with a same volume of 2% (w/v) 4-dimethylaminobenzaldehyde in acetic acid. The percentages of inhibition of tryptophan degradation or kynurenine production by the compounds are calculated by measuring the absorption at 492 nm using a microplate reader. Cellular IC_{50} s are determined via non-linear regression analysis using GraphPad Prism 5.0[1].

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

REFERENCES

[1]. Li J, et al. Establishment of a human indoleamine 2, 3-dioxygenase 2 (hIDO2) bioassay system and discovery of tryptanthrin derivatives as potent hIDO2 inhibitors. Eur J Med Chem. 2016 Nov 10;123:171-9.

[2]. Scovill J, et al. Antitrypanosomal activities of tryptanthrins. Antimicrob Agents Chemother. 2002 Mar;46(3):882-3.

[3]. Hwang JM, et al. Design, synthesis, and structure-activity relationship studies of tryptanthrins as antitubercular agents. J Nat Prod. 2013 Mar 22;76(3):354-67.

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