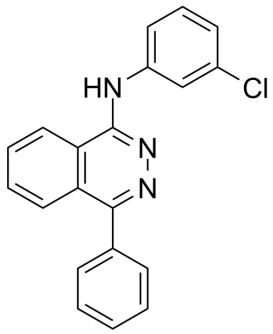
MY-5445

Cat. No.:	HY-100933
CAS No.:	78351-75-4
Molecular Formula:	$C_{20}H_{14}ClN_3$
Molecular Weight:	331.8
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (150.69 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0139 mL	15.0693 mL	30.1386 mL
	5 mM	0.6028 mL	3.0139 mL	6.0277 mL
	10 mM	0.3014 mL	1.5069 mL	3.0139 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MY-5445 is a specific inhibitor of the cyclic GMP phosphodiesterase, phosphodiesterase type 5 (PDE5), with a K_i of 1.3 μM. MY-5445 inhibits human platelet aggregation. MY-5445 is a selective modulator of ATP-binding cassette (ABC) transporter ABCG2, with anti-proliferative effect[1][2].

IC_{50} & Target

PDE5	PDE5	PDE4
1.3 μM (Ki)	6.7 μM (IC_{50})	37 μM (IC_{50})

In Vitro

MY-5445 inhibits human platelet aggregation by increasing cyclic GMP content and that it provides a useful probe for elucidating the role of cyclic GMP in platelet aggregation [1].

MY-5445 selectively reverses ABCG2-mediated multidrug resistance in ABCG2-overexpressing cells[2].

MY-5445 reverses ABCG2-mediated multidrug resistance (MDR) by potentiating the cytotoxicity of an ABCG2 substrate drug in ABCG2-overexpressing multidrug-resistant cancer cells, possibly by modulating the function and/or the protein expression of ABCG2[2].

MY-5445 (3 μM; 48 hours) substantially increases the topotecan-induced apoptosis in S1-M1-80 cell[2].

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

Apoptosis Analysis[2]

Cell Line:	Human S1 colon cancer cells, S1-M1-80 cancer cells
Concentration:	3 μM
Incubation Time:	48 hours
Result:	Enhanced drug-induced apoptosis in ABCG2-overexpressing cancer cells.

In Vivo

MY-5445 (0.5-3 mg/kg; i.p.; twice a day; for 15 days) produces a significant relief of mechanical hypersensitivity[3].

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

Animal Model:	C57BL/6J male mice[3]
Dosage:	0.5 mg/kg, 3 mg/kg
Administration:	Intraperitoneal injection, twice a day, for 15 days
Result:	Alleviated the cuff-induced allodynia.

REFERENCES

[1]. Souness JE, et al. Role of selective cyclic GMP phosphodiesterase inhibition in the myorelaxant actions of M&B 22,948, MY-5445, vinpocetine and 1-methyl-3-isobutyl-8-(methylamino)xanthine. Br J Pharmacol. 1989 Nov;98(3):725-34.

[2]. Chung-Pu Wu, et al. MY-5445, a phosphodiesterase type 5 inhibitor, resensitizes ABCG2-overexpressing multidrug-resistant cancer cells to cytotoxic anticancer drugs. Am J Cancer Res. 2020; 10(1): 164-178.

[3]. Maud Bollenbach, et al. Design and synthesis of 3-aminophthalazine derivatives and structural analogues as PDE5 inhibitors: anti-allodynic effect against neuropathic pain in a mouse model. Eur J Med Chem. 2019 Sep 1;177:269-290.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA