1-Aminobenzotriazole

Cat. No.: HY-103389

CAS No.: 1614-12-6

Molecular Formula: $C_6H_6N_4$

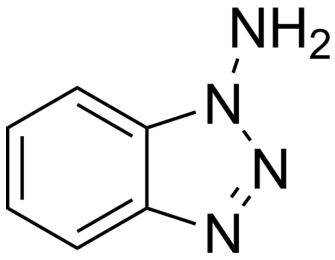
Molecular Weight: 134.14

Target: Cytochrome P450

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (745.49 mM; Need ultrasonic)

H_2O : 50 mg/mL (372.74 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	7.4549 mL	37.2745 mL	74.5490 mL
	5 mM	1.4910 mL	7.4549 mL	14.9098 mL
	10 mM	0.7455 mL	3.7274 mL	7.4549 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 25 mg/mL (186.37 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (15.51 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (15.51 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (15.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	1-Aminobenzotriazole is a nonspecific and irreversible inhibitor of cytochrome P450 (P450).	
IC_{50} & Target	CYP2	CYP3

In Vitro	1-Aminobenzotriazole (ABT) alone significantly increases the expression levels of CYP2B6 in two different hepatocytes (7.3- and 10.8-fold, respectively). Upon co-treatment with 1-Aminobenzotriazole, the induction of CYP2B6 expression by CITCO or rifampin is potentiated: 12.6- and 4.0-fold for CITCO as well as 3.9- and 2.5-fold for rifampin. 1-Aminobenzotriazole has a greater potentiation effect on CITCO than on rifampin. 1-Aminobenzotriazole alone increases the expression levels of CYP3A4 in? tow different hepatocytes (by 2.0- and 3.8-fold). Upon co-treatment with 1-Aminobenzotriazole, the effects of CITCO on CYP3A4 expression levels are potentiated by 3.8- and 6.0- fold as compare to cells treated with CITCO alone[1]. 1-Aminobenzotriazole (ABT) (1 mM) shows pronounced (~95%) inhibition of the formation of N-acetylprocainamide compare with the control without 1-Aminobenzotriazole[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oral 1-Aminobenzotriazole (ABT) (100 mg/kg, 2 h predose) decreases the clearance of intravenous procainamide (45%) in rats, accompanied by a decreased N-acetylprocainamide-to-procainamide ratio in urine (0.74 versus 0.21) and plasma (area under the curve ratio 0.59 versus 0.11). The urinary recovery of procainamide increases from 18 to 30%, whereas the recovery of N-acetylprocainamide in urine decreases from 13.3 to 6.5% with 1-Aminobenzotriazole[2]. Pretreatment of rats with 100 mg/kg oral 1-Aminobenzotriazole (ABT) administered 2 hours before a semisolid caloric test meal markedly delays gastric emptying. 1-Aminobenzotriazole also increases stomach weights by 2-fold[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Freshly isolated human hepatocytes are used in this study. Briefly, hepatocytes are placed in serum-free Williams' E media containing 0.1 μM dexamethasone, 10 μg/mL gentamicin, 15 mM HEPES, 2 mM L-glutamine, and 1% ITS. Cells are incubated for 10 hr at 37°C in an atmosphere containing 5% CO_2. After recovery, the hepatocytes are treated with media containing CITCO (100 nM), rifampin (10 μM) or vehicle (ethanol), with or without 1-Aminobenzotriazole (ABT) (1 mM) for 72 hr[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Male Sprague-Dawley rats (0.26 to 0.30 kg, n=3 per treatment) receive an oral dose of 1-Aminobenzotriazole (ABT) (100 mg/kg, 2 mL/kg) 2 h before a single intravenous bolus of procainamide (10 mg/kg, 2 mL/kg). The control group receives only the intravenous bolus of procainamide without 1-Aminobenzotriazole pretreatment. The vehicle for both 1-Aminobenzotriazole and procainamide is 10% dimethylacetamide/90% water (v/v). Rats are fed 4 h after dosing, and serial blood samples are collected at 0.03, 0.17, 0.25, 0.5, 1, 2, 4, and 6 h postdose. Blood samples are centrifuged using tubes containing K_3-EDTA as the anticoagulant to obtain plasma. Urine samples are also collected over 24 h postdose. Plasma and urine samples are frozen at -20°C until analysis[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2021 Sep 20;12(1):5548.
- Front Pharmacol. 2022 May 16;13:848957.
- Xenobiotica. 2022 Oct 12;1-47.

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REFERENCES

[1]. Yang K, et al. Induction of CYP2B6 and CYP3A4 expression by 1-aminobenzotriazole (ABT) in human hepatocytes. Drug Metab Lett. 2010 Aug;4(3):129-33.

[2]. Sun Q, et al. 1-Aminobenzotriazole, a known cytochrome P450 inhibitor, is a substrate and inhibitor of N-acetyltransferase. Drug Metab Dispos. 2011 Sep;39(9):1674-9.

[3]. Stringer RA, et al. 1-Aminobenzotriazole modulates oral drug pharmacokinetics through cytochrome P450 inhibition and delay of gastric emptying in rats. Drug Metab Dispos. 2014 Jul;42(7):1117-24.

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