

Product Data Sheet

Product Name: 1-Aminobenzotriazole (ABT)

Cat. No.: GC14781

Chemical Properties

Cas. No. 1614-12-6

Chemical Name 1H-benzo[d][1,2,3]triazol-1-amine

SMILES NN1N=NC2=CC=CC=C12

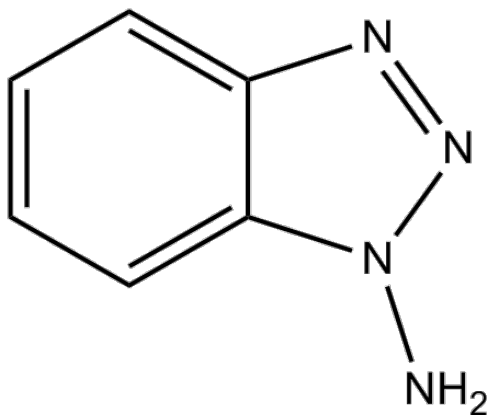
Formula $C_6H_6N_4$ M.Wt 134.14

Solubility $\geq 6.7\text{mg/mL}$ in DMSO 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 Sandwich-cultured human hepatocytes (SCHH)

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

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Preparation Method	After preincubation of Sandwich-cultured human hepatocytes (SCHH) with 1-Aminobenzotriazole (1mM) for 0-60min. CYP1A2, CYP2C9, CYP2D6, and CYP3A probe substrate mix was added to SCHH and incubated for an additional 30min.
Reaction Conditions	1mM; 0-60min
Applications	Intracellular hydroxymidazolam formation, used as a marker of CYP3A activity, was essentially eliminated by preincubation with ABT (3% of control). Residual activities of CYP2C9, CYP2D6, and CYP1A2 remained between 5% and 35% in the presence of 1-Aminobenzotriazole preincubation for up to 60min.
Animal experiment [2]:	
Animal models	Male Sprague-Dawley rats
Preparation Method	Rats were orally administered 0, 50, 100, 200, 300mg/kg of 1-Aminobenzotriazole (dissolved in water) 2h before oral (2mg/kg) or intravenous (1mg/kg) midazolam(MDZ). Rats were fasted for 12h before 1-Aminobenzotriazole administration, and blood was collected from the jugular vein at 10 time points (0.083, 0.25, 0.5, 1, 2, 4, 8, 12, 24, and 36h) after MDZ administration using sodium/potassium EDTA as an anticoagulant. Plasma samples were analyzed by LC-MS/MS.
Dosage form	0, 50, 100, 200, 300mg/kg; p.o.

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Applications 1-Aminobenzotriazole can significantly inhibit the oxidative metabolism of MDZ, but cannot completely inhibit the formation of 1'-OH MDZ.

References:

[1] Kimoto E, Walsky R, Zhang H, et al. Differential modulation of cytochrome P450 activity and the effect of 1-aminobenzotriazole on hepatic transport in sandwich-cultured human hepatocytes[J]. Drug Metabolism and Disposition, 2012, 40(2): 407-411.

[2] Parrish K E, Mao J, Chen J, et al. In vitro and in vivo characterization of CYP inhibition by 1-aminobenzotriazole in rats[J]. Biopharmaceutics & drug disposition, 2016, 37(4): 200-211.

Background

1-Aminobenzotriazole (ABT) is an orally active nonspecific and irreversible inhibitor of cytochrome P450 (CYP)^[1]. 1-Aminobenzotriazole is a substrate and inhibitor of N-acetyltransferase (NAT)^[2].

In vitro, 1-Aminobenzotriazole (1mM) treatment of sandwich cultured human hepatocytes (SCHH) for 0-60min significantly inhibited the intracellular activities of CYP3A, CYP2C9, CYP2D6 and CYP1A2. The residual activity of CYP3A was 3% of the control, while the residual activities of CYP2C9, CYP2D6 and CYP1A2 remained between 5% and 35%^[3].

In vivo, oral administration of 1-Aminobenzotriazole (0, 50, 100, 200, 300mg/kg) to SD rats significantly inhibited the hepatic metabolism of MDZ, but could not completely inhibit the formation of 1'-OH MDZ^[4]. Oral administration of 1-Aminobenzotriazole (50mg/kg) to male mice for 3 days suppressed the dominant lethal effect of acrylamide-induced spermatocytes^[5]. Treatment of rats with 1-Aminobenzotriazole (78mg/kg) increased organ weights and mortality, and increased sensitivity to inflammation-induced multiple organ failure (MOF)^[6].

References:

[1] de Montellano P R O. 1-Aminobenzotriazole: a mechanism-based cytochrome P450 inhibitor and probe of cytochrome P450 biology[J]. Medicinal chemistry, 2018, 8(3).

[2] Sun Q, Harper T W, Dierks E A, et al. 1-Aminobenzotriazole, a known cytochrome P450 inhibitor,

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is a substrate and inhibitor of N-acetyltransferase[J]. Drug metabolism and disposition, 2011, 39(9): 1674-1679.

[3] Kimoto E, Walsky R, Zhang H, et al. Differential modulation of cytochrome P450 activity and the effect of 1-aminobenzotriazole on hepatic transport in sandwich-cultured human hepatocytes[J]. Drug Metabolism and Disposition, 2012, 40(2): 407-411.

[4] Parrish K E, Mao J, Chen J, et al. In vitro and in vivo characterization of CYP inhibition by 1-aminobenzotriazole in rats[J]. Biopharmaceutics & drug disposition, 2016, 37(4): 200-211.

[5] Adler I D, Baumgartner A, Gonda H, et al. 1-Aminobenzotriazole inhibits acrylamide-induced dominant lethal effects in spermatids of male mice[J]. Mutagenesis, 2000, 15(2): 133-136.

[6] Carcillo J, Kost C, Korzekwa K, et al. 1-aminobenzotriazole (ABT), the cytochrome P450 suicide inhibitor, sensitizes rats to zymosan induced-multiple organ failure184[J]. Pediatric Research, 1998, 43(4): 34-34.

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