Product Data Sheet

Product Name: INO-1001
Cat. No.: GC12496

Chemical Properties

Cas. No.	3544-24-9		
Chemical Name	3-aminobenzamide		
SMILES	C1=CC(=CC(=C1)N)C(=O)N		
Formula	$C_7H_8N_2O$	M.Wt	136.15
Solubility	Buffer: 30 mg/ml,DMF: 30 mg/ml,DMSO: 30 mg/ml,PBS (pH 7.2): 2 mg/ml	Storage	Store at RT
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.		

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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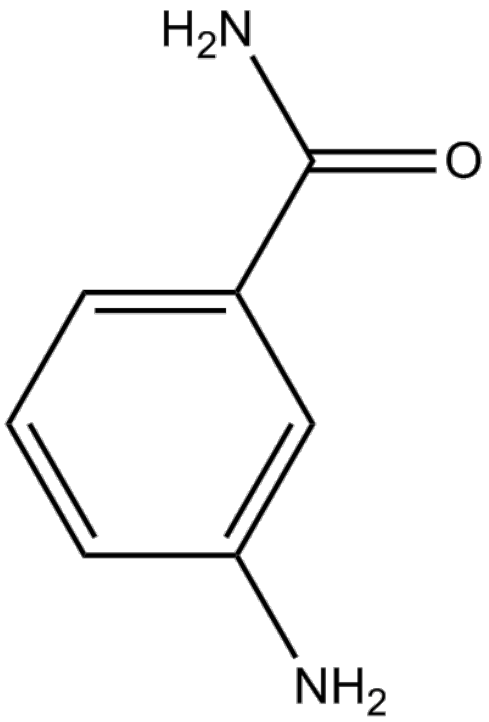
Structure



Protocol

Kinase experiment: PARP activity is measured with a PARP Activity Assay Kit. This method measures relative PARP activity by determining the level of incorporation of 3H-NAD into trichloroacetic acid (TCA) precipitable material in the presence of sheared genomic DNA, which activates PARP. The reaction mixture is added directly to washed cultures in 12-well culture plates and the reaction is allowed to proceed for 60 minutes at 37°C before the cells are removed mechanically, transferred to a microcentrifuge tube, and precipitated with ice-cold 5% TCA.

Animal experiment: Male db/db (Leprdb/db) mice, together with nondiabetic control db/m mice on C57BLKs/J background, are used. INO-1001 and PJ-34 treatment are initiated at 5 weeks of age. In sterile water that is sweetened with NutraSweet, 4.8 g/L 3-Aminobenzamide and 2.4 g/L PJ-34 is dissolved. Control animals receive sweetened water only. The average inhibitor consumption is 60 mg/kg 3-Aminobenzamide and 30 mg/kg PJ-34.

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References:

[1]. Brock WA, et al. Radiosensitization of human and rodent cell lines by INO-1001, a novel inhibitor of poly(ADP-ribose) polymerase. Cancer Lett. 2004 Mar 18;205(2):155-60.
[2]. Radovits T, et al. Poly(ADP-ribose) polymerase inhibition improves endothelial dysfunction induced by reactive oxidant hydrogen peroxide in vitro. Eur J Pharmacol. 2007 Jun 14;564(1-3):158-66.
[3]. Szabo C, et al. Poly(ADP-ribose) polymerase inhibitors ameliorate nephropathy of type 2 diabetic Leprdb/db mice. Diabetes. 2006 Nov;55(11):3004-12.
[4]. Clark RS, et al. Local administration of the poly(ADP-ribose) polymerase inhibitor INO-1001 prevents NAD+ depletion and improves water maze performance after traumatic brain injury in mice. J Neurotrauma. 2007 Aug;24(8):1399-405.

Background

INO-1001 is a potent and selective inhibitor of PARP [1].

Poly(ADP-ribose) polymerase (PARP) is an enzyme involved in DNA repair and as a mediator of energy failure-induced cell death and NAD+ depletion [1].

In rodent and human fibroblast cell lines, INO-1001 significantly inhibited PARP activity. Treatment of 10 μM INO-1001 and a single dose of radiation caused significant radiosensitization of the three cells lines. While apoptosis was not increased, suggesting that INO-1001 increased radiation-induced cell killing through interfering with DNA repair mechanisms, increasing necrotic cell death [2].

In adult male mice subjected to moderate controlled cortical impact (CCI), injection intracerebral with INO-1001 (1.6 mg/kg) preserved brain NAD+ levels. In the Morris water maze, INO-1001 reduced the latency time to find the hidden platform and increased the time in the target quadrant [1]. In dogs underwent hypothermic cardiopulmonary bypass, reperfusion for 60 min after treatment with INO-1001 (1 mg/kg), INO-1001 significantly recovered left and right ventricular systolic function, increased coronary blood flow [3].

References:

[1]. Clark RS, Vagni VA, Nathaniel PD, et al. Local administration of the poly(ADP-ribose)

polymerase inhibitor INO-1001 prevents NAD+ depletion and improves water maze performance after traumatic brain injury in mice. J Neurotrauma, 2007, 24(8): 1399-1405.

[2]. Szabó G, Soós P, Mandera S, et al. INO-1001 a novel poly(ADP-ribose) polymerase (PARP) inhibitor improves cardiac and pulmonary function after crystalloid cardioplegia and extracorporal circulation. Shock, 2004, 21(5): 426-432.

[3]. Brock WA, Milas L, Bergh S, et al. Radiosensitization of human and rodent cell lines by INO-1001, a novel inhibitor of poly(ADP-ribose) polymerase. Cancer Lett, 2004, 205(2): 155-160.