

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.

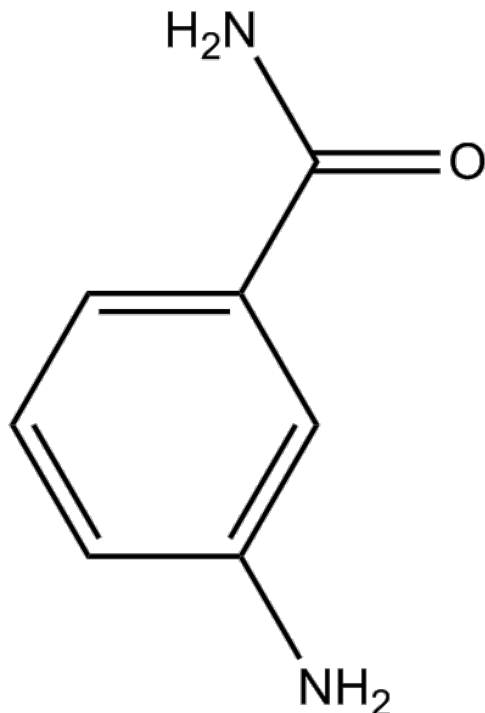
Caution: Product has not been fully validated for medical applications. For research use only.

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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 μ M INO-1001 and a single dose of radiation caused significant radiosensitization of the three cell 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)

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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, Mander S, et al. INO-1001 a novel poly(ADP-ribose) polymerase (PARP) inhibitor improves cardiac and pulmonary function after crystalloid cardioplegia and extracorporeal 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.

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