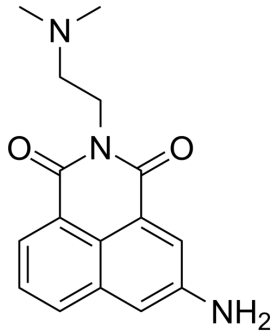
Amonafide

Cat. No.:	HY-10982
CAS No.:	69408-81-7
Molecular Formula:	$C_{16}H_{17}N_3O_2$
Molecular Weight:	283.33
Target:	Topoisomerase
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 41.67 mg/mL (147.07 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5295 mL	17.6473 mL	35.2945 mL
	5 mM	0.7059 mL	3.5295 mL	7.0589 mL
	10 mM	0.3529 mL	1.7647 mL	3.5295 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (7.34 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Amonafide is a topoisomerase II inhibitor and DNA intercalator that induces apoptotic signaling by blocking the binding of Topo II to DNA.

IC_{50} & Target

Topoisomerase II

In Vitro

Amonafide is a topoisomerase II inhibitor and DNA intercalator that induces apoptotic signaling by blocking the binding of Topo II to DNA[1]. Amonafide produces protein-associated DNA cleavage, single-strand breaks (SSB) and DPC and DNA double-strand cleavage. Amonafide (Nafidimide, 400 nM-2.4 μM) reduces the colony-forming ability of the leukemic cell lines in a dose-dependent manner[2]. Amonafide (0.05-0.4 μg/mL) reduces several tumor growth. However, Amonafide is active against only 12% of tumors compared with standard agents (5-fluorouracil, mitomycin C, cisplatin, and etoposide), which

are active against more than 40% of tumors in the human bone marrow inhibitory range[3]. Amonafide inhibits the growth of HT-29, HeLa, and PC-3 cell lines, with IC_{50}s of 4.67, 2.73, and 6.38 μM[4].

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

PROTOCOL

Cell Assay [2]

In experiments measuring survival following 1 h drug treatments, 2×10^6cells are resuspended in 2 mL warm (37°C) HBSS with 5% PCS; the appropriate drug (Amonafide) level is attained with the addition of less than 50 μL. Cells are incubated for 60 min at 37°C after which 10 mL ice cold PBS is added. The cells are then centrifuged at 200 × g for 10 min at 4°C. The wash is repeated once and the cells are resuspended in HBSS with 5% PCS and added to the agar-medium mixture for assessment of surviving clonogenic cells[2].

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

CUSTOMER VALIDATION

- ACS Appl Mater Interfaces. 2021 Nov 16.
- Anal Chem. 2022 Mar 8.
- Cancer Manag Res. 2019 Mar 22;11:2339-2348.

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REFERENCES

[1]. Allen SL, et al. Amonafide: a potential role in treating acute myeloid leukemia. Expert Opin Investig Drugs. 2011 Jul;20(7):995-1003.

[2]. Andersson BS, et al. In vitro toxicity and DNA cleaving capacity of benzisoquinolinedione (nafidimide; NSC 308847) in human leukemia. Cancer Res. 1987 Feb 15;47(4):1040-4.

[3]. Ajani JA, et al. In vitro activity of amonafide against primary human tumors compared with the activity of standard agents. Invest New Drugs. 1988 Jun;6(2):79-85.

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