Product Specification Sheet

AS-1413 (Amonafide) **Product Name:**

Catalog Number: C2714

Technical information:

 $C_{16}H_{17}N_3O_2$ Chemical Formula:

> CAS #: 69408-81-7

Molecular Weight: 283.33

Purity: > 98%

Appearance: Yellow solid

> Solubility: Soluble in DMSO up to 100 mM

Chemical Name: 1H-Benz[de]isoquinoline-1,3(2H)-dione, 5-amino-2-[2-(dimethylamino)ethyl]-

Store solid powder at 4°C desiccated; Store DMSO solution at -20°C. Storage:

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.353mL of DMSO for each mg of AS-1413 (Amonafide)

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum

sample recovery.

Biological Activity:

Amonafide (AS-1413) is a reversible, benzoisoquinolinedione-based DNA intercalator that produces protein-associated DNA cleaveage and single- and double-strand cleavage by mechanisms suggesting an interaction with topoisomerase II. [1]

Amonafide has demonstrated significant activity against P388 leukemia and L1210 cell lines as well as B16 melanoma and M5076 sarcoma cell lines. [2] In phase II studies with cytarabine, overall CR rates of 42% were observed and produced a high complete remission rate and durable responses in patients with acute myeloid leukemia. [3]

Amonafide is easily metabolized and is a substrate of N-acetyl transferase-2 (NAT2); the acetylation adduct is roughly equipotent to amonafide, but has been attributed to the toxicity observed in the clinic. [4]

- Reference: 1. Andersson et al., In vitro toxicity and DNA cleaving capacity of benzisoquinolinedione (nafidimide; NSC 308847) in human leukemia. Cancer Res. 1987, 47, 1040-1044. Pubmed ID: 3026621
 - 2. Costanza et al., Amonafide: An active agent in the treatment of previously untreated advanced breast cancer-a cancer and leukemia group B study (CALGB 8642). Clin Cancer Res., 1995, 1, 699-704. Pubmed ID: 9816035
 - 3. Zhu et al., Novel agents and regimens for acute myeloid leukemia: 2009 ASH annual meeting highlights. J. Hemat. Oncol. 2010, 3, 17-26. Pubmed ID: 20416083
 - 4. Innocenti et al., Pharmacogenetics of anticancer agents: lessons from amonafide and irinotecan. Drug Met. Dispos. 2001, 29(4), 596-600. Pubmed ID: 11259359

http://www.cellagentech.com/AS-1413-Amonafide/ To reorder:

For Technical Support: technical@cellagentech.com

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