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## Product Data Sheet

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Product Name: BDA-366  
 Cat. No.: GC10721

### Chemical Properties

Cas. No. 1909226-00-1

Chemical Name 1-(((S)-3-(diethylamino)-2-hydroxypropyl)amino)-4-(((S)-oxiran-2-ylmethyl)amino)anthracene-9,10-dione

SMILES CCN(CC)C[C@@H](O)CNC1=CC=C(NC[C@@H]2OC2)C3=C1C(C4=C(C3=O)C=CC=C4)=O

Formula  $C_{24}H_{29}N_3O_4$  M.Wt 423.50

Solubility Soluble in DMSO Storage Store at  $-20^{\circ}C$

General tips For obtaining a higher solubility, please warm the tube at  $37^{\circ}C$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}C$  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

### Background

BDA-366 is a selective antagonist of BCL2 BH4 domain with  $K_i$  value of 3.3 nM [1].

BCL2 is an important anti-apoptotic protein. BCL2 homology 4 (BH4) domain is required for its antiapoptotic function, thus acts as a promising anticancer target [1].

BDA-366 is a selective BCL2 inhibitor. BDA-366 induced conformational change of BCL2 that exposed the BH3 domain, resulting in abrogation of its prosurvival function and conversion of BCL2 to a prodeath protein. In non-small cell lung cancer (NSCLC) and small cell lung cancer (SCLC) cells, BDA-366 selectively bound to BCL2 with high affinity. BDA-366 induced apoptosis by BCL2-dependent BAX activation and cytochrome c release. In H460 cells, BDA-366 reduced Bcl2/IP3R binding, which then increased  $Ca^{2+}$  release [1].

In mice bearing H460 lung cancer xenografts, treatment with BDA-366 (0, 10, 20, and 30

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

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mg/kg/day) via i.p. route for 14 days induced apoptosis and potently inhibited tumor growth in a dose-dependent way. There was no significant toxicity at the maximum therapeutic dose. In tumor tissue from patients with NSCLC, BDA-366 synergized with RAD001 and resulted in significantly greater inhibition of lung cancer growth compared with either agent alone [1].

### Reference:

[1]. Han B, Park D, Li R, et al. Small-Molecule Bcl2 BH4 Antagonist for Lung Cancer Therapy. *Cancer Cell*, 2015, 27(6): 852-863.

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