

## Product Data Sheet

Product Name: GNA002

Cat. No.: GC36168

### Chemical Properties

Cas. No. 1385035-79-9

SMILES O=C(NCCOCC)/C(C)=C\C[C@@]1(C2=O)OC(C)(C)[C@]([C@]31OC4=C5C(O)=C(C/C=C(C)/CC/C=C(C)\C)C(O)=C4C/C=C(C)\C)([H])C[C@@]2([H])C=C3C5=O

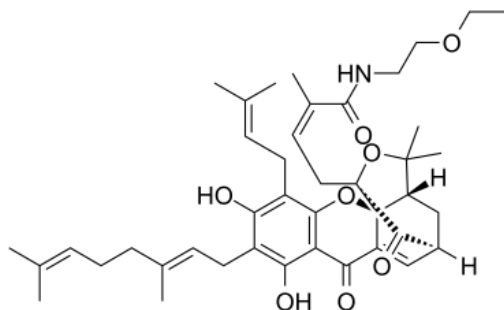
Formula  $C_{42}H_{55}NO_8$  M.Wt 701.89

Solubility Soluble in DMSO Storage Store at -20°C

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.

Structure



### Background

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

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GNA002 is a highly potent, specific and covalent EZH2 (Enhancer of zeste homolog 2) inhibitor with an IC<sub>50</sub> of 1.1  $\mu$ M. GNA002 can specifically and covalently bind to Cys668 within the EZH2-SET domain, triggering EZH2 degradation through COOH terminus of Hsp70-interacting protein (CHIP)-mediated ubiquitination. GNA002 efficiently reduces EZH2-mediated H3K27 trimethylation, reactivates polycomb repressor complex 2 (PRC2)-silenced tumor suppressor genes[1]. EZH2|1.1  $\mu$ M (IC<sub>50</sub>)

GNA002 (10  $\mu$ M; 72 hours) clearly inhibits the proliferation of numerous cancer cell lines with IC<sub>50</sub>s of 0.070  $\mu$ M and 0.103  $\mu$ M for MV4-11 and RS4-11[1]. GNA002 (2  $\mu$ M; 24 hours) demonstrates an elevated capacity to induce cell death in human cancer cells[1]. GNA002 (0.1-4  $\mu$ M; 48 hours) efficiently reduces EZH2-mediated H3K27 trimethylation in Cal-27 head and neck cancer cells[1].  
Cell Proliferation Assay[1] Cell Line: Numerous cancer cell lines

GNA002 (oral administration; 100 mg/kg; daily) significantly decreases the volumes of Cal-27-derived tumors and reduces H3K27Me3 levels in tumor tissues. GNA002 also significantly suppresses the in vivo tumor growth derived from the xenografted A549 lung cancer cells, Daudi and Pfeiffer cells. GNA002 inhibits the aberrant oncogenic functions of EZH2, thus inhibiting tumor growth in vivo, at least in the xenograft experimental model[1]. Animal Model: Male BALB/C Nude mice aged 30-35 days and weighing 18-22 g, bearing Cal-27 xenograft tumors[1]

[1]. Wang X, et al. A covalently bound inhibitor triggers EZH2 degradation through CHIP-mediated ubiquitination. EMBO J. 2017 May, 36(9):1243-1260.

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