

## Product Data Sheet

Product Name: Gedatolisib-d 8

Cat. No.: GC80852

### Chemical Properties

Cas. No.

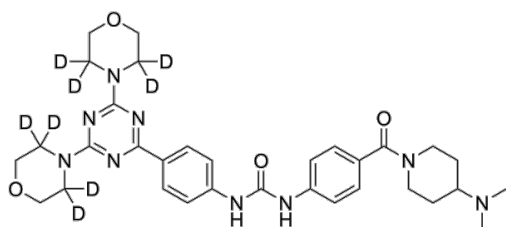
Formula  $C_{32}H_{33}D_8N_9O_4$  M.Wt 623.78

Solubility DMSO: 7.14 mg/mL (11.45 mM; ultrasonic and warming and heat to 60°C) 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

Gedatolisib -d 8 (PKI-587-d 8) is the deuterium labeled Gedatolisib. Gedatolisib (PKI-587) is a highly potent dual inhibitor of PI3K $\alpha$ , PI3K $\gamma$ , and mTOR with IC<sub>50</sub> s of 0.4 nM, 5.4 nM and 1.6 nM, respectively. Gedatolisib is equally effective in both complexes of mTOR, mTORC1 and mTORC2 [1] [2].

In Vitro, Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into

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Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs.

### References:

- [1]. Venkatesan AM, et al. Bis(morpholino-1,3,5-triazine) derivatives: potent adenosine 5'-triphosphate competitive phosphatidylinositol-3-kinase/mammalian target of rapamycin inhibitors: discovery of compound 26 (PKI-587), a highly efficacious dual inhibitor. J Med Chem. 2010, 53(6), 2636-2645.
- [2]. Freitag H, et al. Inhibition of mTOR's Catalytic Site by PKI-587 Is a Promising Therapeutic Option for Gastroenteropancreatic Neuroendocrine Tumor Disease. Neuroendocrinology. 2017;105(1):90-104.

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