

Product Data Sheet

Product Name: 1-Azakenpaullone

Cat. No.: GC13907

Chemical Properties

Cas. No. 676596-65-9

SMILES C1C2=C(C3=C(C=CC=N3)NC1=O)NC4=C2C=C(C=C4)Br

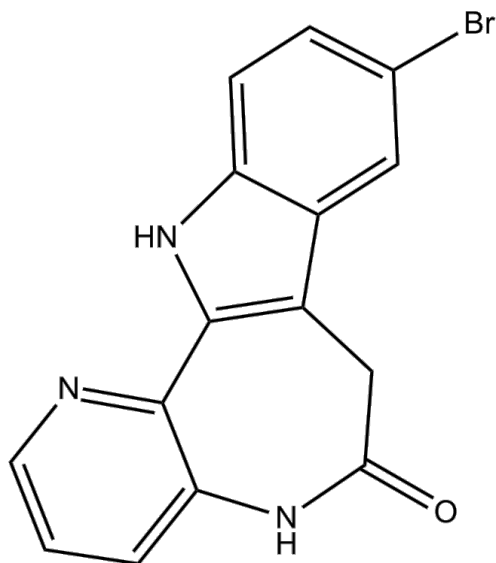
Formula $C_{15}H_{10}BrN_3O$ M.Wt 328.16

Solubility $\geq 15.05\text{mg/mL}$ 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

1-Azakenpaullone is a selective and cell-permeable inhibitor of glycogen synthase kinase-3 β (GSK-3 β) with IC₅₀ value of 18nM [1, 2].

1-Azakenpaullone is a kenpaullone derivative with a modified heterocyclic basic structure. It shows potent inhibitory activity against GSK-3 β over CDK1/cyclin B and CDK5/p25. The IC₅₀ values for

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

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

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

Product Data Sheet

CDK1/cyclin B, CDK5/p25 and GSK-3 β are 2 μ M, 4.2 μ M and 18nM, respectively. As an inhibitor of GSK-3 β , 1-Azakenpaullone is developed as potential antidiabetic drug. 1-Azakenpaullone is found to protect rat insulinoma cell line INS-1E cells from glucolipotoxicity and stimulate cell proliferation. Besides that, 1-Azakenpaullone promotes the replication of beta cells in isolated rat islets at concentration of 1 μ M. In addition, 1-Azakenpaullone is reported to have effect in changing cardiac myocyte morphology. It increases cell area primarily through the elongation of the cells [1, 2 and 3].

References:

- [1] Kunick C, Lauenroth K, Leost M, et al. 1-Azakenpaullone is a selective inhibitor of glycogen synthase kinase-3 β . Bioorganic & medicinal chemistry letters, 2004, 14(2): 413-416.
- [2] Mussmann R, Geese M, Harder F, et al. Inhibition of GSK3 promotes replication and survival of pancreatic beta cells. Journal of Biological Chemistry, 2007, 282(16): 12030-12037.
- [3] Markou T, Cullingford T E, Giraldo A, et al. Glycogen synthase kinases 3 α and 3 β in cardiac myocytes: regulation and consequences of their inhibition. Cellular signalling, 2008, 20(1): 206-218.

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

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

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