
Product Data Sheet

Product Name: DYRK1-IN-1

Cat. No.: GC62946

Chemical Properties

Cas. No. 2814486-79-6

Formula $C_{12}H_{12}N_6$

M.Wt 240.26

Solubility DMSO : 25 mg/mL (104.05 mM; ultrasonic and warming and heat to 60°C)

Storage

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**

DYRK1-IN-1 is a highly selective and ligand-efficient DYRK1A inhibitor. DYRK1-IN-1 inhibits DYRK1A phosphorylation activity with an IC₅₀ value of 220 nM. DYRK1-IN-1 can be used for the research of central nervous system penetrant DYRK1A chemical probe[1].

DYRK1-IN-1 inhibits DYRK1A phosphorylation activity with an IC₅₀ value of 220 nM. DYRK1-IN-1 inhibits tau phosphorylation with an IC₅₀ value of 0.59 μM. DYRK1-IN-1 exhibits good permeability and cellular activity without P-glycoprotein liability. DYRK1-IN-1 has good physicochemical properties and has demonstrated high levels of enzymatic potency, favorable aqueous solubility, exquisite kinome selectivity, and promising on-target in vitro inhibition. DYRK1-IN-1 (HEK293 cells) demonstrates acceptable cellular activity, with IC₅₀ of 434 nM compared to the enzymatic IC₅₀ of 75 nM[1].

DYRK1-IN-1 (1 mg/kg; i.v.) shows high clearance[1].

[1]. Henderson SH, et al. Discovery and Characterization of Selective and Ligand-Efficient DYRK Inhibitors. J Med Chem. 2021;64(15):11709-11728.

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

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