

Product Data Sheet

Product Name: DKFZ-251

Cat. No.: GC79387

Chemical Properties

Cas. No. 2222059-70-1

Formula $C_{24}H_{24}N_4O_3$

M.Wt 416.48

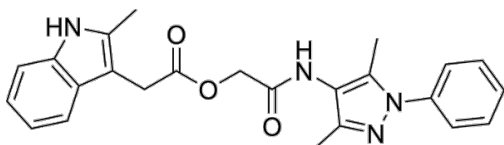
Solubility

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

DKFZ-251 is a kininogenase-related peptidase KLK6 inhibitor ($IC_{50} = 0.47 \mu M$), and also exhibits certain inhibitory activity against KLK5 and KLK7 (IC_{50} values are 1.1 nM and 73 nM, respectively). DKFZ-251 transiently acylates the catalytic serine of KLK6 to form a long-lived acyl-enzyme complex that inhibits enzyme function. DKFZ-251 is a phenotypic modulator that alters cell proliferation capacity and regulates epithelial-mesenchymal transition (EMT). DKFZ-251 can be used in research related to head and neck cancer [1].

In Vitro, DKFZ-251 (compound 11) (200 μM ; 1 h) forms a long-lived acyl-enzyme complex with

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recombinant triple-mutant KLK6, with a half-life of 6.43 h^[1]. DKFZ-251 (100-500 nM; 48 h) induces a dose-dependent increase in BrdU incorporation and causes phenotypic changes in FaDu cells, which is consistent with the targeted inhibition of endogenous KLK6^[1]. DKFZ-251 (2.5-10 μ M; 30 min) specifically inhibits the labeling of recombinant KLK6 by the activity-based probe DKFZ-633^[1].

References:

[1]. De Vita E, et al. Depsipeptides Featuring a Neutral P1 Are Potent Inhibitors of Kallikrein-Related Peptidase 6 with On-Target Cellular Activity. J Med Chem. 2018;61(19):8859-8874.

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