

Product Data Sheet

Product Name: GRP78-IN-3

Cat. No.: GC73388

Chemical Properties

Cas. No. 2707510-30-1

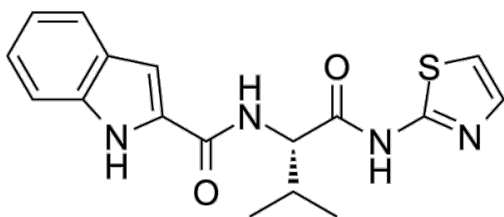
Formula $C_{17}H_{18}N_4O_2S$ M.Wt 342.42

Solubility DMSO : 5 mg/mL (14.60 mM; Need ultrasonic) Storage -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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GRP78-IN-3 (Compound 8) is a selective Grp78 (HSPA5) inhibitor with an IC₅₀ of 0.59 μ M. GRP78-IN-3 is 7-fold selective for HspA5 compared to HspA9 (IC₅₀ of 4.3 μ M) and >20-fold selective for HspA5 compared to HspA2 (IC₅₀ of 13.9 μ M).

GRP78-IN-3 (compound 8) is a potent small-molecule-competitive inhibitor of Hsp70 substrate binding. GRP78-IN-3 (0.1-100 μ M) shows more potent inhibition effects in a spheroid tumor model (U251 glioblastoma cells and H520 lung cancer cells)[1].

References:

[1]. Andrew J Ambrose, et al. Discovery and Development of a Selective Inhibitor of the ER Resident Chaperone Grp78. J Med Chem. 2022 Dec 14.

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