

Product Data Sheet

Product Name: WDR5-IN-1

Cat. No.: GC62558

Chemical Properties

Cas. No. 2408842-51-1

Formula $C_{30}H_{31}FN_4O_3$

M.Wt 514.59

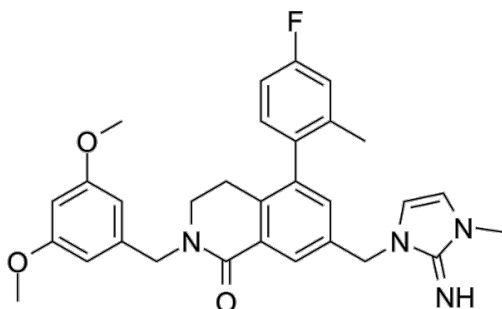
Solubility

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

WDR5-IN-1 is a potent and selective WD repeat domain 5 (WDR5) inhibitor, with a K_d of <0.02 nM. WDR5-IN-1 inhibits MLL1 histone methyltransferase (HMT) activity with an IC_{50} of 2.2 nM. WDR5-IN-1 diminishes MYC recruitment at WDR5-displaced genes and exhibits potent anti-proliferative effects in CHP-134 (neuroblastoma) and Ramos (Burkitt's lymphoma) lines[1].

WDR5-IN-1 (1 μ M; 48 hours) shows an apparent decrease in G2M phase cells[1]. WDR5-IN-1 (0.01-3 μ M; 24-48 hours) increases p53 and p21 protein levels[1]. WDR5-IN-1 shows anti-proliferative activity in MYC-driven cancers (CHP-134, Ramos, Raji, Daudi, SW620, SW480 cells), with GI_{50} s ranging

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

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from 0.26-3.2 μ M[1].

[1]. Tian J, et al. Discovery and Structure-Based Optimization of Potent and Selective WD Repeat Domain 5 (WDR5) Inhibitors Containing a Dihydroisoquinolinone Bicyclic Core. J Med Chem. 2020 Jan 23;63(2):656-675.

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