

Product Data Sheet

Product Name: Dot1L-IN-5

Cat. No.: GC65962

Chemical Properties

Cas. No. 2565705-03-3

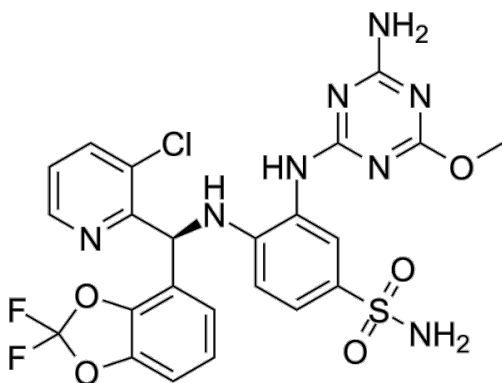
Formula $C_{23}H_{19}ClF_2N_8O_5S$ M.Wt 592.96

Solubility DMSO : 250 mg/mL (421.61 mM; Need ultrasonic) 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

Dot1L-IN-5 is a potent disruptor of telomeric silencing 1-like protein (**DOT1L**) inhibitor with an **IC₅₀ SPA DOT1L** of 0.17 nM^[1].

Dot1L-IN-5 (Compound 11) is tested in cellular assays to assess the ability to inhibit the dimethylation of H3K79 in HeLa cells (ED₅₀ H3K79me2 Elisa=2.9 nM) and HOXA9 gene expression in Molm-13 cells (ED₅₀ HOXA9 RGA=30 nM)^[1].

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

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Dot1L-IN-5 (Compound 11; subcutaneous injection; at 75 mg/kg once daily for 20 days) does not lead to tumor growth inhibition in NOD-SCID mice. Dot1L-IN-5 (subcutaneous injection; at 75 mg/kg twice daily for 20 days) leads 73% growth inhibition^[1].

While both treatment regimens result in very strong inhibition of global H3K79 dimethylation level in tumor, the efficacious regimen is superior in reducing the mRNA expression of the target genes HOXA9 and MEIS1^[1].

Animal Model: NOD-SCID mice bearing subcutaneous MV4-11 tumor xenografts^[1]

Dosage: 75 mg/kg

Administration: Subcutaneous injection; at 75 mg/kg once or twice daily for 20 days

Result: Once daily administration did not lead to tumor growth inhibition, 73% growth inhibition was measured in the twice daily treated group.

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