Product Data Sheet

Product Name: S2116
Cat. No.: GC64303

Chemical Properties

Cas. No.	2262489-89-2		
Formula	C22H26ClF2N3O2	M.Wt	437.91
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	S2116		

Background

S2116, a N-alkylated tranylcypromine (TCP) derivative, is a potent lysine-specific demethylase 1 (LSD1) inhibitor. S2116 increases H3K9 methylation and reciprocal H3K27 deacetylation at super-enhancer regions. S2116 induces apoptosis in TCP-resistant T-cell acute lymphoblastic leukemia (T-ALL) cells by repressing transcription of the NOTCH3 and TAL1 genes. S2116 significantly retardes the growth of T-ALL cells in xenotransplanted mice[1].

S2116 is particularly effective for T-ALL cell lines with the IC50 values between 1.1 μM for human T-ALL cell lines CEM and 6.8 μM for MOLT4[1]. S2116 (4-20 μM; 72 hours) modestly inhibits mitogen-activated normal T-lymphocytes[1]. S2116 (4-8 μM; 24 hours) induces apoptosis and down-regulates the expression of NOTCH3 and TAL1 proteins in T-ALL cells[1].

S2116 (50 mg/kg; IP; 3 times a week; for 28 days) causes the size of subcutaneous tumors reduced to less than 20% of that in the untreated control[1]. S2116 (50 mg/kg; IP) has a T1/2 of 3.76 hours, a Cmax of 12.7 μM and an AUC of 59.2 μM•h[1].

[1]. Shiori Saito, et al. Eradication of Central Nervous System Leukemia of T-Cell Origin With a Brain-Permeable LSD1 Inhibitor. Clin Cancer Res. 2019 Mar 1;25(5):1601-1611.

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