Product Data Sheet

Product Name: S2157
Cat. No.: GC64902

Chemical Properties

Cas. No.	2262488-39-9		
Formula	C23H28ClF2N3O2	M.Wt	451.94
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	S2157		

Background

S2157, a N-alkylated tranylcypromine (TCP) derivative, is a potent lysine-specific demethylase 1 (LSD1) inhibitor. S2157 increases H3K9 methylation and reciprocal H3K27 deacetylation at super-enhancer regions. S2157 induces apoptosis in TCP-resistant T-cell acute lymphoblastic leukemia (T-ALL) cells by repressing transcription of the NOTCH3 and TAL1 genes. S2157 efficiently pass through the blood-brain barrier and can almost completely eradicate CNS leukemia in mice transplanted with T-ALL cells[1].

S2157 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]. S2157 (4-20 μM; 72 hours) modestly inhibits mitogen-activated normal T-lymphocytes[1]. S2157 (4-8 μM; 24 hours) induces apoptosis and down-regulates the expression of NOTCH3 and TAL1 proteins in T-cell acute lymphoblastic leukemia (T-ALL) cells[1].

S2157 (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]. S2157 (50 mg/kg; IP) has a T1/2 of 0.88 hours, a Cmax of 4.33 μM and an AUC of 5.75 μM•h[1]. S2157 (30 mg/kg or 50 mg/kg; twice a week for 3 weeks) almost completely suppressed the growth of MOLT4 cells in most but not all NOD/SCID mice with MOLT4 cells. S2157 eradicates CNS leukemia in murine xenotransplanted models[1].

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[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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