SGC0946

货号：S7931

储存条件：粉末-20°C 可保存 3 年；液体-80°C 可保存 12 月。

产品描述

SGC0946 is a selective and optimized DOT1L inhibitor with IC50 value of 8.8nM and 2.65nM for inhibition of methylation of H3K79 in MCF10A cells and A431 cells, respectively. SGC0946 is a brominated analog of EPZ004777 with enhanced potency and increased cellular activity over EPZ004777 (IC50 values of 84nM and 264nM in MCF10A cells and A431 cells, respectively) likely due to its longer residence time on the protein. SGC0946 selectively killed human cord blood cells transformed with an MLL–AF9 fusion oncogene at concentration of both 1μM and 5μM, but not cord blood cells transformed with an unrelated oncogene, TLS-ERG. Associations of 5μM SAHA with 5μM SGC0946 were efficient to partially reverse TGF-β1 (10ng/ml) effects by decreasing expression of PD-L1, SEMA3C, and its receptor neuropilin-2 (NRP2) and by increasing epithelial markers such as E-cadherin.

产品信息

CAS 号	1561178-17-3	
分子式	C28H40BrN7O4	
分子量	618.57	
溶解度	DMSO	135.0 mg/mL (218.2 mM)
	Water	insoluble