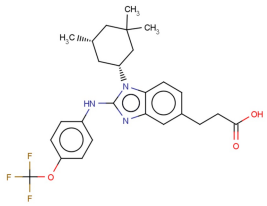
Data Sheet (Cat.No.TQ0042)

BAY-1436032

Chemical Properties



CAS No.:	1803274-65-8
Formula:	C26H29F3N3O3
Molecular Weight:	489.53
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	BAY-1436032 is a novel, selective and orally available inhibitor of pan-mutant isocitrate dehydrogenase 1 (IDH1).
In vivo	Long-term exposure to once-daily oral BAY-1436032 reveals nearly complete suppression of R-2HG production with 150 mg/kg BAY1436032. White blood cell counts constantly increase in vehicle-treated mice and, at a lower rate, in animals receiving 45 mg/kg BAY-1436032, while they remain constant in the 150 mg/kg cohort. Hemoglobin levels are slightly lower in the vehicle and 45 mg/kg groups as compared to the 150 mg/kg cohort at day 60, while platelet counts are significantly reduced in the vehicle and 45 mg/kg BAY-1436032 treated mice compared to the 150 mg/kg cohort at day 60. All mice receiving 150 mg/kg BAY-1436032 survive with minimal hCD45+ cell load in their peripheral blood until the end of observation at day 150 after treatment start, while vehicle-treated animals die from leukemia with a median survival of 91 days. Mice treated with 45 mg/kg BAY-1436032 display intermediate levels of CD14/CD15 expression.
Cell Research	Colony-forming cell (CFC) units are assayed in methylcellulose supplemented with 10 ng/mL IL-3, 10 ng/mL GM-CSF, 50 ng/ mL SCF, 50 ng/mL FLT3-ligand and 3 U/mL EPO. Vehicle or BAY-1436032 is added to methylcellulose containing 1 × 10^5 human mononuclear cells, which are plated in duplicate. Colonies are evaluated microscopically 10 to 14 days after plating by standard criteria.
Animal Research	NSG mice are used and transplanted with primary acute myeloid leukemia (AML) cells from a patient with IDH1R132C mutant AML. Per condition 10 mice are treated with vehicle, 45 or 150 mg/kg body weight BAY-1436032 once daily by oral gavage for 150 days starting 17 days after transplantation. Finally, serum R-2HG levels and human CD45+ (hCD45+) cells are measured.

Solubility Information

Solubility	DMSO: 120 mg/mL (245.14 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.043 mL	10.214 mL	20.428 mL
5 mM	0.409 mL	2.043 mL	4.086 mL
10 mM	0.204 mL	1.021 mL	2.043 mL
50 mM	0.041 mL	0.204 mL	0.409 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Chaturvedi A, et al. Pan-mutant-IDH1 inhibitor BAY1436032 is highly effective against human IDH1 mutant acute myeloid leukemia in vivo. Leukemia. 2017 Oct;31(10):2020-2028.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481