

BAY-1436032

Catalog No: tcsc0017982



Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1803274-65-8

Formula:

$C_{26}H_{30}F_3N_3O_3$

Pathway:

Metabolic Enzyme/Protease

Target:

Isocitrate Dehydrogenase (IDH)

Purity / Grade:

>98%

Solubility:

DMSO : 125 mg/mL (255.35 mM; Need ultrasonic and warming)

Observed Molecular Weight:

489.53

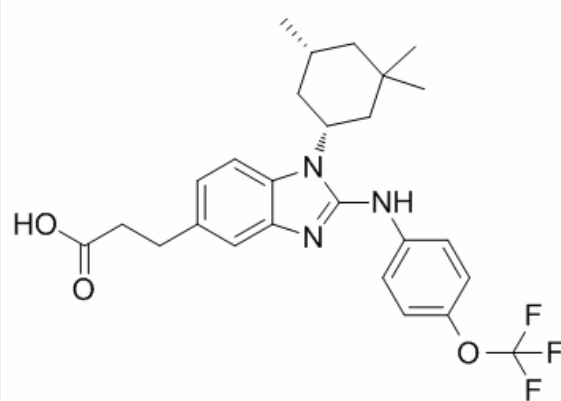
Product Description

BAY-1436032 is a novel pan-mutant isocitrate dehydrogenase 1 (**IDH1**) inhibitor.

IC₅₀ & Target: IDH1^[1]

In Vitro: BAY-1436032 is a novel pan-mutant isocitrate dehydrogenase 1 (IDH1) inhibitor. BAY-1436032 inhibits intracellular (R)-2-hydroxyglutarate (R-2HG) production in mouse hematopoietic cells expressing IDH1R132H or IDH1R132C with IC₅₀s of 60 and 45 nM, respectively. R-2HG levels are not reduced in IDH2R140Q and IDH2R172K expressing mouse hematopoietic cells by BAY-1436032 at concentrations up to 10 μM. Colony growth is inhibited by 50% at a concentration of 0.1 μM BAY-1436032, while concentrations up to 100 μM do not suppress colony growth of patient-derived IDH1 wild-type AML cells. On morphologic evaluation myelomonocytic differentiation of myeloid progenitors is strongly induced by BAY-1436032^[1].

In Vivo: Long-term exposure to once daily oral BAY-1436032 reveals nearly complete suppression of (R)-2-hydroxyglutarate (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 compare to the 150 mg/kg cohort at day 60, while platelet counts are significantly reduced in vehicle and 45 mg/kg BAY-1436032 treated mice compare 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 (P[1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!