Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

AGI-6780

Catalog No: tcsc1556

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1432660-47-3

Formula:
$C_{21}H_{18}F_3N_3O_3S_2$

Pathway:
Metabolic Enzyme/Protease

Target:
Isocitrate Dehydrogenase (IDH)

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 29 mg/mL (60.23 mM)

Observed Molecular Weight:
481.51

Product Description

AGI-6780 that potently and selectively inhibits the tumor-associated mutant **$IDH2^{R140Q}$** with **IC_{50}** of 23±1.7 nM. AGI-6780 is less

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potent against **IDH2^{WT}** with **IC_{50}** of 190±8.1 nM.

IC50 & Target: IC50: 23±1.7 nM (IDH2^{R140Q}), 190±8.1 nM (IDH2^{WT})[1]

In Vitro: AGI-6780 is tested in both human glioblastoma U87 and TF-1 cells expressing IDH2^{R140Q}, as well as against IDH1^{R132H} for 48 h incubation, with IC50 of 11±2.6 nM, 18±0.51 nM, and >1 mM, respectivly.Treatment of TF-1^{R140Q} cells with AGI-6780, at concentrations that lower 2HG to near-normal physiologic levels, restore expression of both HBG and KLF1 genes and the color change associated with differentiation. AGI-6780 can reverse the IDH2^{R140Q}-induced differentiation block in TF-1 cells. Pretreatment with AGI-6780 (0.2 μM and 1 μM) markedly decreased the intracellular concentration of (R)-2-hydroxyglutarate in the TF1^{R140Q} cells and restored their ability to undergo EPO-induced differentiation[1].