Product Datasheet

CHIR-99021 monohydrochloride (orb1941068)

Catalog Number	orb1941068
Category	Small Molecules
Description	A potent, specific GSK-3 inhibitor with IC50 of 5 nM and 10 nM for GSK3β and GSK3α, respectively; mimics Wnt signaling in preadipocytes, stabilizes free cytosolic beta-catenin and inhibits adipogenesis by blocking induction of C/EBPα and PPARγ; potentiates insulin activation of glucose transport and utilization in vitro and in vivo; also widely used for stem cell differentiation and naive stem cell generation.(In Vitro):Laduviglusib monohydrochloride inhibits human GSK-3β with Ki values of 9.8 nM. Laduviglusib monohydrochloride is a small organic molecule that inhibits GSK3α and GSK3β by competing for their ATP-binding sites. In vitro kinase assays reveal that Laduviglusib monohydrochloride specifically inhibits GSK3β (IC50=~5 nM) and GSK3α (IC50=~10 nM), with little effect on other kinases. In the presence of Laduviglusib monohydrochloride the viability of the ES-D3 cells is reduced by 24.7% at 2.5 μM, 56.3% at 5 μM, 61.9% at 7.5 μM and 69.2% at 10 μM Laduviglusib monohydrochloride with an IC50 of 4.9 μM.\n(In Vivo):In ZDF rats, a single oral dose of Laduviglusib (16 mg/kg or 48 mg/kg) monohydrochloride rapidly lowers plasma glucose, with a maximal reduction of nearly 150 mg/dl 3-4 h after administration. Laduviglusib (2 mg/kg) monohydrochloride given once, 4 h before irradiation, significantly improves survival after 14.5 Gy abdominal irradiation (ABI). Laduviglusib monohydrochloride treatment significantly blocks crypt apoptosis and accumulation of p-H2AX+ cells, and improves crypt regeneration and villus height. Laduviglusib monohydrochloride treatment increases Lgr5+ cell survival by blocking apoptosis, and effectively prevents the reduction of Olfm4, Lgr5 and CD44 as early as 4 h.
Target	GSK-3
Purity	>98% (HPLC)
MW	501.7989
Target Areas	GSK-3

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Solubility (25°C)	10 mM in DMSO
CAS Number	1797989-42-4
Formula	$C_{22}H_{19}Cl_3N_8$
SMILES	CC1=CN=C(N1)C2=CN=C(N=C2C3=C(C=C(C=C3)Cl)Cl)NCCNC4=NC=C(C=C4)C#N.Cl
Chemical Name	3-Pyridinecarbonitrile, 6-[[2-[[4-(2,4-dichlorophenyl)-5-(5-methyl-1H-imidazol-2-yl)-2-pyrimidinyl]amino]ethyl]amino]-, hydrochloride (1:1)
Storage	Storage temperature: -20°C. Stability: ≥ 2 years
Note	For research use only
Expiration Date	12 months from date of receipt.

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