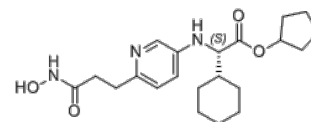


Product Name : GSK-3117391
Cat. No. : PC-63389
CAS No. : 1018673-42-1
Molecular Formula : C₂₁H₃₁N₃O₄
Molecular Weight : 389.496
Target : HDAC
Solubility : 10 mM in DMSO



1. Rebecca C Furze, et al. *Br J Clin Pharmacol.*
 2022 Jun 2. doi: 10.1111/bcp.15428.

Biological Activity

GSK-3117391 (ESM-HDAC391, CHR-5154) is an orally active, myeloid-targeted **histone deacetylase (HDAC)** inhibitor with IC₅₀ of 55 nM in a HeLa cell nuclear extract fluorometric assay, potently inhibits Class I, Class IIb and Class IV members (HDAC 1/2/3/8, HDAC6/10, and HDAC 11, respectively), with Class IIa enzymes (HDAC4/5/7/9) unaffected.

GSK-3117391 (ESM-HDAC391, CHR-5154) increases global acetylation in monocytes in human whole blood with EC₅₀ of 158 nM, with marked selectivity over other leucocyte populations.

GSK-3117391 (ESM-HDAC391, CHR-5154) inhibits TNFα production in LPS-stimulated blood (IC₅₀=174 nM).

GSK-3117391 (ESM-HDAC391, CHR-5154) shows rapid conversion to acid metabolite HDAC189 in carboxylesterase-1-expressing cells.

GSK-3117391 (ESM-HDAC391, CHR-5154) is a novel targeted inhibitor demonstrating sustained potent mechanistic engagement and antiinflammatory activity.

References

