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Tasquinimod

产品编号: D50897

CAS: 254964-60-8

分子式: C20H17F3N2O4

纯度: ≥98%

InChi: InChI=1S/C20H17F3N2O4/c1-24(12-9-7-11(8-10-12)20(21,22)23)18(27)16-17(26)15-13(25(2)19(16)28)5-4-6-14(15)29-3/h4-10,26H,1-3H3

InChi Key: ONDYALNGTUAJDX-UHFFFAOYSA-N

Smiles: CN(C1C=CC(=CC=1)C(F)(F)F)C(=O)C1=C(O)C2C(=CC=CC=2OC)N(C)C1=O

外观: 固体粉末

作用通路: HDAC

溶解性: DMSO up to 100 mM

保存条件: Store in dry, dark place for one year.

产品介绍: Tasquinimod (ABR-215050) is a potent and selective allosteric HDAC4 inhibitor. It allosterically binds (Kd 10-30 nmol/L) to the regulatory Zn(2+) binding domain of HDAC4 that locks the protein in a conformation preventing HDAC4/N-CoR/HDAC3 complex formation. This binding inhibited colocalization of N-CoR/HDAC3, thereby inhibiting deacetylation of histones and HDAC4 client transcription factors, such as HIF-1α, which are bound at promoter/enhancers where epigenetic reprogramming is required for cancer cell survival and angiogenic response. In vivo Tasquinimod was effective as a monotherapeutic agent against human prostate, breast, bladder, and colon tumor xenografts by oral dosing. Tasquinimod also targets infiltrating myeloid cells, and modulates local tumour immunity by blocking the interaction between S100A9 and its ligands receptor of advanced glycation end products and Toll-like receptor 4. Currently it is in phase III clinical trials to treat advanced tumors.

Important Note: This product as supplied is intended for research use only, not for use in human, therapeutic or diagnostic applications.