

Catalog Number: CM04435

产品信息

Catalog Number:
CM04435

CAS号:
254964-60-8

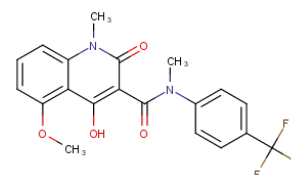
分子式:
 $C_{20}H_{17}F_3N_2O_4$

主要靶点:
HDAC

主要通路:
表观遗传|DNA损伤和修复

分子量:
406.36

溶解度:
DMSO:75 mg/mL (184.6 mM), Ethanol:9 mg/mL (22.1 mM), H₂O:<1 mg/mL



靶点活性

HDAC4:10-30 nM (Kd)

体外活性

Tasquinimod inhibits tumor angiogenesis by allosteric inhibition of HDAC4/N-CoR/HDAC3 dependent deacetylation of HIF-1 α . [1] 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. [3]

体内活性

Tasquinimod (30 mg/kg/d p.o.) shows anti-angiogenic activity and thus causes tumor growth inhibition in mice bearing human and rodent prostate cancer models. [2]

细胞实验

Tasquinimod is dissolved in DMSO and stored, and then diluted with appropriate media before use[3]. Two human prostate cancer cell lines, CWR-22RH and LNCaP (ATCC) are both androgen independent, but remain sensitive to androgen stimulation of growth, express PSA and a mutated androgen receptor. The hormone independent cell lines LNCaP19 and DU145 are also tested for TSP1 induction after in vitro exposure to Tasquinimod (0.1-100 μ M). CWR-22RH, LNCaP and DU145 are grown in RPMI Medium 1640 containing 10% FCS and L-Glutamine mixture, while LNCaP19 is cultured in RPMI-medium with 10% hormone free (RDCC) FCS[3].

描述

Tasquinimod is a quinoline-3-carboxamide linomide analog with antiangiogenic and potential antineoplastic activities. Tasquinimod has been shown to decrease blood vessel density but the exact mechanism of action is not known. This agent has also been shown to augment the antineoplastic effects of docetaxel and androgen ablation in a murine model of prostate cancer involving human prostate cancer xenografts.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years