**Chemical Properties**

**Product Name:** Tasquinimod

**Cas No.:** 254964-60-8

**M.Wt:** 406.36

**Formula:** C20H17F3N2O4

**Synonyms:** ABR-215050; ABR215050; ABR 215050

**Chemical Name:** 4-hydroxy-5-methoxy-N,1-dimethyl-2-oxo-N-[4-(trifluoromethyl)phenyl]quinoline-3-carboxamide

**Canonical SMILES:** CN1C2=C(C(=CC=C2)OC)(=C(C1=O)C(=O)N(C)C3=CC=C(C=C3)C(F)(F)F)O

**Solubility:** >20.3mg/mL in DMSO

**Storage:** Store at -20°C

**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

**Shopping Condition:** Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

**Biological Activity**

**Targets:** HDAC

**Pathways:** DNA Damage/DNA Repair >> HDAC

**Description:**

Tasquinimod is an orally administered quinoline-3-carboxamide with potent antiangiogenic and antitumorigenic ability that has shown promise in the treatment of advanced prostate cancers [1].

Treatment with tasquinimod leads to a remarkable up-regulation in the expression of TSP-1 and down-regulation of VEGF and HIF-1α. The antiangiogenic activities of tasquinimod are therefore
due to the dual inhibition of S100A9/TLR4 in MDSCs and the inhibition of HDAC4/N-CoR/HDACs deacetylation of HIF1-α in both endothelial and tumor cells, inhibiting hypoxia induced angiogenesis.

Human endothelial and prostate cancer cells in culture and human prostate cancer xenografts growing in castrated male nude mice were evaluated for their response to radiation alone and in combination with tasquinimod. Due to its potent reduction of the hypoxic response in endothelial cells, cancer cells, TAMs and MDSCs, tasquinimod inhibits tumor angiogenesis while sparing already formed vasculature. The data obtained in vivo and in vitro highlights a potent anticancer effect as a monotherapy in addition to greatly improving the response to combination therapies with docetaxel, androgen deprivation therapy or radiotherapy [1, 3].

At clinically relevant drug levels, tasquinimod significantly enhances anti-cancer efficacy of fractionated radiation with optimal timing for initiating daily tasquinimod treatment being after completion of the fractionated radiation. Phase I and II studies of tasquinimod have demonstrated tasquinimod to be well-tolerated and lead to significant improvements in progression-free survival from metastasis, by a period of 4.3 months, in patients with minimally symptomatic CRPC. The result highlights tasquinimod as an extremely promising and much needed therapeutic tool for use in CRPC [1, 2].

Reference:

Protocol

Cell experiment:

Cell lines LNCaP cells
Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions 24 h; 50 μM
Applications Generated microarray data based on four separate biological replicates showed a drug-induced effect of 50μM tasquinimod on gene expression in LNCaP cells when cultured in vitro for 24 h. The expression data achieved by RT-PCR were consistent with the microarray analysis data with a significant up-regulation of THBS1, GDF15 and CYP1A1 whereas CXCR4 and AGER1 did not change expression significantly.
**Animal experiment [3]:**

**Animal models**
Male athymic Nude BALB/c mice (age 8 weeks)

**Dosage form**
10 mg/kg /day; oral taken

**Applications**
To investigate whether an early treatment could inhibit tumor establishment in addition to the previously shown effects on tumor growth, treatment was initiated directly at subcutaneous inoculation of LNCaP cells and compared to treatment starting 1 week after inoculation, when tumor growth already was established. In the control group the take rate was 100%. By direct treatment the tumor take rate was decreased to 12.5 % by tasquinimod (10 mg/kg/day) compared to 87.5% in the group treated from 1 week after inoculation (P<0.01). In addition, tasquinimod decreased the size of established tumors when treated from day 7.

**Other notes**
Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

**Reference:**


**Caution**

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.