

Catalog # 10-4464 JPH203

CAS# 1597402-27-1

(2S)-2-Amino-3-[4-[(5-amino-2-phenyl-1,3-benzoxazol-7-yl)methoxy]-3,5-dichlorophenyl]propanoic acid dihydrochloride; KYT-0353; Nanvuralant

Lot # FBA8082

JPH203 is a selective L-type amino acid transporter 1 inhibitor (LAT1 or SLC7A5; $IC_{50} = 140$ nM for I^4C -leucine uptake in S2-hLAT1 cells, and 60 nM for HT29 human colon adenocarcinoma cells: growth inhibition IC_{50} 's = 16.4 μ M and 4.1 μ M respectively for S2 and HT29 cells). Also active in HT-29 mouse xenograft models. JPH203 is active in a variety of cancer models and has progressed to clinical trials. It sensitized A549 and MIA Paca-2 cells to radiation by enhancing cellular senescence *via* mTOR downregulation and sensitized EGFR-expressing cancer cell lines to gefitinib therapy. JPH203 treatment of non-small cell lung cancer cells led to downregulation of PD-L1 suggesting that LAT1 inhibition may help overcome the immune suppressive tumor microenvironment.

- 1) Oda et al. (2010) L-type amino acid transporter 1 inhibitors inhibit tumor cell growth; Cancer Sci. 101 173
- 2) Kanai (2022) *Amino acid transporter LAT1 (SLC7A5) as a molecular target for cancer diagnosis and therapeutics;* Pharmacol. Ther. **230** 107964
- 3) Bo et al. (2021) LAT1 inhibitor JPH203 sensitizes cancer cells to radiation by enhancing radiation-induced cellular senescence; Transl. Oncol. **14** 101212
- 4) Saito et al. (2018), Amino acid starvation culture condition sensitizes EGFR-expressing cancer cell lines to gefitinib-mediated cytotoxicity by inducing atypical necroptosis; Int. J. Oncol. **52** 1165
- 5) Liu et al. (2021), L-Type Amino Acid Transporter 1 Regulates Cancer Stemness and the Expression of Programmed Cell Death 1 Ligand 1 in Lung Cancer Cells; Int. J. Mol. Sci. **22** 10955

PHYSICAL DATA

Molecular Weight: 545.24

Molecular Formula: C₂₃H₁₉Cl₂N₃O₄·2HCl Purity: >98% by HPLC

NMR: (Conforms)
DMSO (>25 mg/ml)

Solubility: DMSO (>25 mg/ml)
Physical Description: Pale orange/yellow solid

Storage and Stability: Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in

DMSO may be stored at -20°C for up to 3 months.

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