Certificate of Analysis

Print Date: Nov 5th 2021

Batch No.: 3

www.tocris.com

Catalog No.: 5026

Product Name: KYT 0353

OCR

biotechr

CAS Number: 1597402-27-1

IUPAC Name: O-[(5-Amino-2-phenyl-7-benzoxazolyl)methyl]-3,5-dichloro-L-tyrosine dihydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: Batch Molecular Weight: Physical Appearance:

Solubility:

Storage:

Batch Molecular Structure:





2. ANALYTICAL DATA

HPLC: Chiral HPLC: ¹H NMR: Mass Spectrum: Microanalysis:

Shows 98.8% purity Shows 100% purity Consistent with structure Consistent with structure Carbon Hydrogen Nitrogen Theoretical 47.16 4.39 7.17 Found 46.8 4.15 6.93

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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IUPAC Name:

O-[(5-Amino-2-phenyl-7-benzoxazolyl)methyl]-3,5-dichloro-L-tyrosine dihydrochloride

Description:

KYT 0353 is a potent and selective inhibitor of L-type amino acid transporter 1 (LAT1) (IC₅₀ = 60 nM for ¹⁴C-leucine uptake in H-29 cells). Also suppreses ¹⁴C-leucine uptake in renal proximal tubule cells expressing LAT1 (IC₅₀ = 140 nM). Displays ~500-fold selectivity for LAT1 over LAT2. Reduces cell viability and induces apoptosis in YD-38 oral cancer cells. Arrests growth in several tumor cell lines. Exhibits growth inhibitory effects on HT-29 cells in vivo.

Physical and Chemical Properties:

Batch Molecular Formula: C₂₃H₁₉Cl₂N₃O₄.2HCl.2¹/₄H₂O Batch Molecular Weight: 585.77 Physical Appearance: Pale vellow solid

Minimum Purity: ≥96%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info: DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

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Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Otsuki *et al* (2017) Prostate cancer cells in different androgen receptor status employ different leucine transporters. Prostate **77** 222. PMID: 27696482.

Cormerais *et al* (2016) Genetic disruption of the multifunctional CD98/LAT1 complex demonstrates the key role of essential amino acid transport in the control of mTORC1 and tumor growth. Cancer Res. **2016** 4481. PMID: 27302165.

Toyoshima *et al* (2013) Investigation of the role of transporters on the hepatic elimination of an LAT1 selective inhibitor JPH203. J.Pharm.Sci. **102** 3228. PMID: 23712732.

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