Certificate of Analysis

Product Name: **Tariquidar dihydrochloride** **Catalog No.: 5757** **Batch No.: 3**

CAS Number: 1992047-62-7

IUPAC Name: *N*-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1*H*)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4,5-dimethoxyphenyl]-3-quinolinecarbxamide dihydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{38}H_{38}N_4O_6.2HCl.¼H_2O$

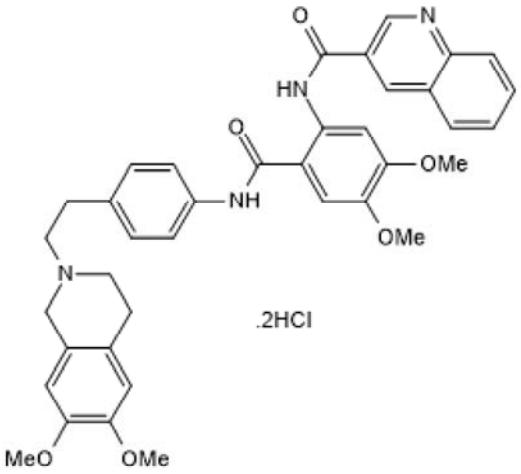
Batch Molecular Weight: 724.16

Physical Appearance: Orange solid

Solubility: DMSO to 50 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.4% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen	Chlorine
Theoretical	63.03	5.64	7.74	9.79
Found	62.11	5.91	7.47	9.52

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Description:

Tariquidar dihydrochloride is a potent P-glycoprotein (P-gp) inhibitor (IC_{50} = 5.1 nM). Reverses drug resistance in multiple MDR cell lines. Acts as a substrate for breast cancer resistance protein (BCRP) at low concentrations and acts as an inhibitor of BCRP when used at >100 nM concentration. Potentiates the cytotoxic effects of doxorubicin (Cat. No. 2252) and mitoxantrone (Cat. No. 4250) in vitro.

Physical and Chemical Properties:

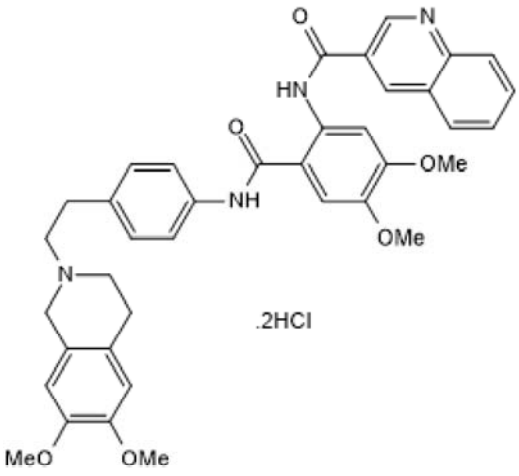
Batch Molecular Formula: $C_{38}H_{38}N_4O_6.2HCl.¼H_2O$

Batch Molecular Weight: 724.16

Physical Appearance: Orange solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 50 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).

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:

Loo *et al* (2015) Mapping the binding site of the inhibitor Tariquidar that stabilizes the first transmembrane domain of P-glycoprotein. J.Biol.Chem. ***290*** 29389. PMID: 26507655.

Kannan *et al* (2011) The "specific" P-glycoprotein inhibitor Tariquidar is also a substrate and an inhibitor for breast cancer resistance protein (BCRP/ABCG2). ACS Chem.Neurosci. ***2*** 82. PMID: 22778859.