

Certificate of Analysis

Product Name: CI 966 hydrochloride

Catalog No.: 1296

Batch No.: 1

CAS Number: 110283-66-4

IUPAC Name: 1-[2-[bis[4-(Trifluoromethyl)phenyl]methoxy]ethyl]-1,2,5,6-tetrahydropyridine-3-carboxylic acid hydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₃H₂₁F₆NO₃·HCl

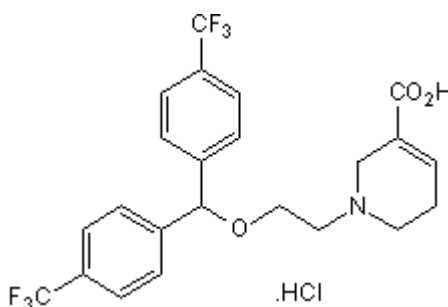
Batch Molecular Weight: 509.87

Physical Appearance: White solid

Solubility: ethanol to 10 mM
DMSO to 100 mM

Storage: Desiccate at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

Melting Point: Between 247 - 250°C

HPLC: Shows >99.7% purity

¹H NMR: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen	
Theoretical	54.18	4.35	2.75	0 0 0
Found	54.24	4.37	2.73	0 0 0

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Description:

Selective inhibitor of the GABA transporter GAT-1 (IC₅₀ values are 0.26 and 1.2 μM at cloned human and rat GAT-1 respectively). Displays over 200-fold selectivity over GAT-2 and GAT-3. Centrally active upon systemic administration in vivo. Anticonvulsive and neuroprotective.

Physical and Chemical Properties:

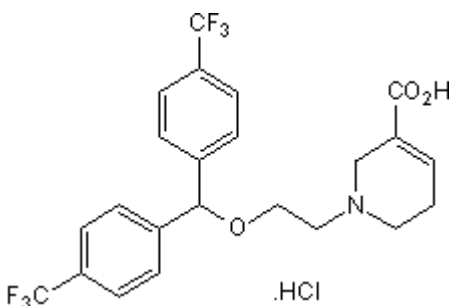
Batch Molecular Formula: C₂₃H₂₁F₆NO₃.HCl

Batch Molecular Weight: 509.87

Physical Appearance: White solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Desiccate at RT

Solubility & Usage Info:

ethanol to 10 mM
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).

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:

Ebert et al (1990) Systemic CI-966, a new gamma-aminobutyric acid uptake blocker, enhances gamma-aminobutyric acid action in CA1 pyramidal layer in situ. *Can.J.Physiol.Pharmacol.* **68** 1194. PMID: 2276082.

Radulovic et al (1993) Pharmacokinetics, mass balance, and induction potential of novel GABA uptake inhibitor, CI-966 HCl, in laboratory animals. *Pharm.Res.* **10** 1442. PMID: 8272405.

Borden et al (1994) Tiagabine, SK&F 89976-A, CI-966, and NNC-711 are selective for the cloned GABA transporter GAT-1. *Eur.J.Pharmacol.* **269** 219. PMID: 7851497.

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