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Print Date: Jan 14th 2016

Certificate of Analysis

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Product Name: **GSK 1562590 hydrochloride** **Catalog No.: 5110** **Batch No.: 1**

CAS Number: 1003878-07-6

IUPAC Name: *N*-[(1*R*)-1-[3'-(Aminocarbonyl)[1,1'-biphenyl]-4-yl]-2-(1-pyrrolidinyl)ethyl]-6,7-dichloro-2,3-dihydro-*N*-methyl-3-oxo-4*H*-1,4-benzoxazine-4-acetamide hydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{30}H_{30}Cl_2N_4O_4.HCl.½H_2O$

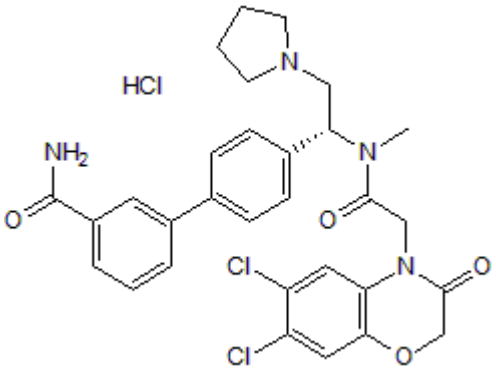
Batch Molecular Weight: 626.96

Physical Appearance: Off-white solid

Solubility: DMSO to 100 mM

Storage: Store at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.42 (Chloroform:Methanol:Ammonia soln. [90:9:1])

HPLC: Shows >99.9% purity

Chiral HPLC: Shows >99.9% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Optical Rotation: $[\alpha]_D$ = -195.4 (Concentration = 1, Solvent = DMF)

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	57.47	5.14	8.94
Found	57.5	5.08	8.85

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

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Description:

High affinity and selective urotensin II (UT) receptor antagonist (pK_i values are 9.14, 9.28, 9.34, 9.64 and 9.66 at monkey, human, mouse, cat and rat recombinant receptors respectively). Exhibits selectivity for UT receptors over a range of GPCRs, ion channels, enzymes and neurotransmitter transporters. Supresses human urotensin-II (hU-II)-induced contraction of isolated rat aorta in vitro and ex vivo. Inhibits the hU-II-induced increase in mean blood pressure in vivo. Orally active.

Physical and Chemical Properties:

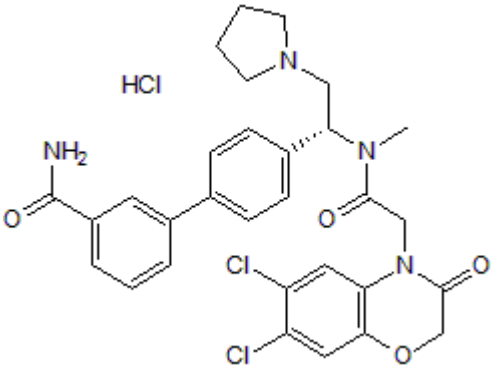
Batch Molecular Formula: $C_{30}H_{30}Cl_2N_4O_4.HCl.½H_2O$

Batch Molecular Weight: 626.96

Physical Appearance: Off-white solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at +4°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).

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:

Behm *et al* (2010) GSK1562590, a slowly dissociating urotensin-II receptor antagonist, exhibits prolonged pharmacodynamic activity ex vivo. Br.J.Pharmacol. ***161*** 207. PMID: 20718751.