Certificate of Analysis

Product Name: **CHR 2797** **Catalog No.: 3595** **Batch No.: 4**

CAS Number: 238750-77-1

IUPAC Name: α-[[(2*R*)-2-[(1*S*)-1-Hydroxy-2-(hydroxyamino)-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-benzeneacetic acid cyclopently ester

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{21}H_{30}N_2O_6$

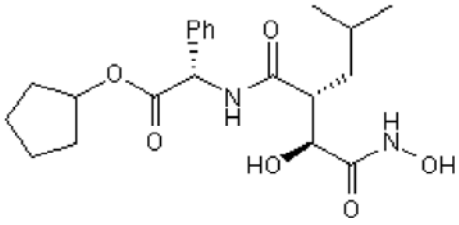
Batch Molecular Weight: 406.48

Physical Appearance: White solid

Solubility: DMSO to 100 mM
ethanol to 50 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.55 (Dichloromethane:Methanol [85:15])

HPLC: Shows 99.1% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Optical Rotation: $[\alpha]_D$ = +25.8 (Concentration = 0.5, Solvent = Methanol)

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	62.05	7.44	6.89
Found	61.91	7.74	6.92

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Description:

CHR 2797 is an aminopeptidase inhibitor (IC_{50} values are 100, 150, 220, > 1000, > 5000, > 10000 and > 30000 nM for LAP, PuSA, aminopeptidase N, aminopeptidase B, PILSAP, LTA_4 hydrolase and MetAP2, respectively). Potently inhibits tumor cell proliferation in a variety of tumor cell lines in vitro and in vivo. Also inhibits neprilysin (IC_{50} = 900 nM). Exhibits antinociceptive activity and enhances the efficacy of Morphine (Cat. No. 5158) in the hot-plate and tail-flick assays, via an action on the peripheral nervous system.

Physical and Chemical Properties:

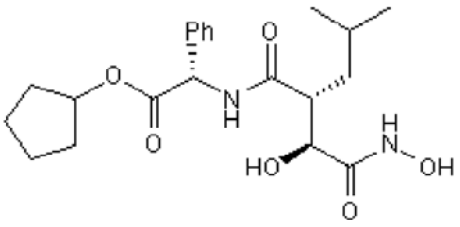
Batch Molecular Formula: $C_{21}H_{30}N_2O_6$

Batch Molecular Weight: 406.48

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM
ethanol to 50 mM

When purchsed as a 1mg unit, this product is supplied as a lyophilized solid and may be very hard to visualize. Solutions should be made by adding solvent directly to the vial. The vial should then be vortexed vigorously to ensure the product has completely dissolved.

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:

Singh *et al* (2019) Discovery of anticancer clinical candidate, Tosedostat, as an analgesic agent. ACS Chem.Neurosci. ***10*** 4007. PMID: 31415151.

Moore *et al* (2009) Aminopeptidase inhibition as a targeted treatment strategy in myeloma. Mol.Cancer Ther. ***8*** 762. PMID: 19372548.

Krige *et al* (2008) CHR-2797: an anitproliferative aminopeptidase inhibitor that leads to amino acid deprivation in human leukemic cells. Cancer Res. ***68*** 6669. PMID: 18701491.