

Tosedostat (CHR2797) Kinase Inhibitor

Kinase Inhibitor Name: Tosedostat (CHR2797) Catalog Number:E1KS1522 Quantity: 5mg

1. PHYSICAL AND CHEMICAL PROPERTIES

M.Wt:	406.47

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

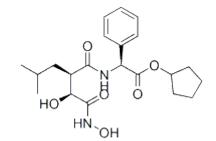
Solubility: DMSO \geq 81mg/mL Water <1mg/mL Ethanol \geq 81mg/mL

Purity: >99%

Storage: at -20°C 2 years

CAS No.: 238750-77-1

Molecular Structure:



2. Biological Activity

Tosedostat (CHR2797) is an aminopeptidase inhibitor with IC50 of 100, 150, 220, >1000, >5000, >10000 and >30000 nM for LAP, PuSA, aminopeptidase N, aminopeptidase B, PILSAP, LTA4 hydrolase and MetAP2, respectively.

It has demonstrated anti-tumour activity in a number of models of cancer, both as a single agent and in synergy with cytotoxic agents such as carboplatin and paclitaxel. ^{[1][2]}

3. References:

CHR-2797: An Antiproliferative Aminopeptidase Inhibitor that Leads to Amino Acid Deprivation in Human Leukemic Cells Lindsey A. Needham, Lindsay J. Bawden, et al. Cancer Res 2008;68:6669-6679

A First-in-Man Phase I and Pharmacokinetic Study on CHR-2797 (Tosedostat), an Inhibitor of M1 Aminopeptidases, in Patients with Advanced Solid Tumors Andrew Protheroe, Gerhardt Attard, et al. Clin Cancer Res 2009;15:4978-4985

The pharmacological and toxicological properties of this product have not been fully investigated. Exercise caution in use and handling. This product must not be used in humans.

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