

Product Data Sheet

Product Name: Tos-Arg-OMe·HCl

Cat. No.: GA11219

Chemical Properties

Cas. No. 1784-03-8

Chemical Name methyl (2S)-5-(diaminomethylideneamino)-2-[(4-methylphenyl)sulfonylamino]pentanoate;hydrochloride

SMILES CC1=CC=C(C=C1)S(=O)(=O)NC(CCCN=C(N)N)C(=O)OC.Cl

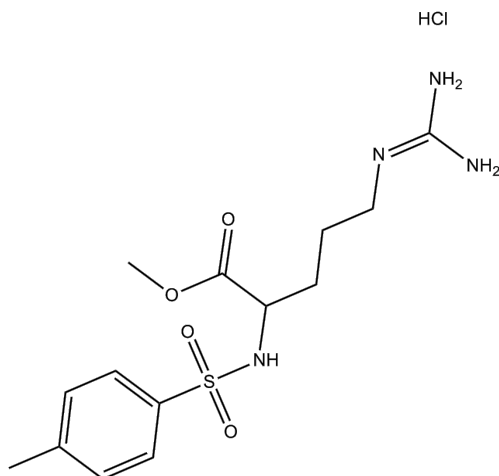
Formula $C_{14}H_{22}N_4O_4S \cdot HCl$ M.Wt 378.9

Solubility DMF: 30 mg/ml, DMSO: 30 mg/ml, PBS (pH 7.2): 10 mg/ml Storage

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

TAME hydrochloride is an inhibitor of anaphase-promoting complex/cyclosome (APC/C or APC), which binds to APC/C and prevents its activation by Cdc20 and Cdh1, produces mitotic arrest[1].

The absence of APC substrates, TAME hydrochloride ejects Cdc20 from the APC by promoting

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Cdc20 auto-ubiquitination in its N-terminal region. Cyclin B1 antagonizes TAME hydrochloride's effect by promoting binding of free Cdc20 to the APC and suppressing Cdc20 auto-ubiquitination[2]. TAME hydrochloride stabilizes cyclin B1 in *Xenopus* extract by two mechanisms. First, it reduces the *k*_{cat} of the APC/Cdc20/cyclin B1 complex without affecting the *K*_m, slowing the initial ubiquitination of unmodified cyclin B1. Second, as cyclin B1 becomes ubiquitinated, it loses its ability to promote Cdc20 binding to the APC in the presence of TAME hydrochloride. As a result, cyclin B1 ubiquitination terminates before reaching the threshold necessary for proteolysis[2].

References:

- [1]. Zeng X, et al. Pharmacologic inhibition of the anaphase-promoting complex induces a spindle checkpoint-dependent mitotic arrest in the absence of spindle damage. *Cancer Cell*. 2010 Oct 19;18(4):382-95.
- [2]. Zeng X, et al. An APC/C inhibitor stabilizes cyclin B1 by prematurely terminating ubiquitination. *Nat Chem Biol*. 2012 Feb 26;8(4):383-92.

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