

b-AP15

Catalog No: tcsc2689



Available Sizes

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg



Specifications

CAS No:

1009817-63-3

Formula:

$C_{22}H_{17}N_3O_6$

Pathway:

Cell Cycle/DNA Damage

Target:

Deubiquitinase

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 44 mg/mL (104.91 mM)

Alternative Names:

NSC 687852

Observed Molecular Weight:

419.39

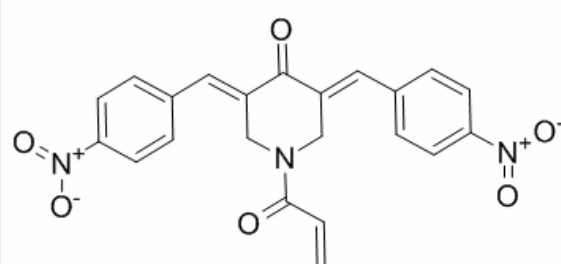
Product Description

b-AP15 is a specific inhibitor of the **deubiquitinating** enzymes **UCHL5** and **Usp14**.

IC₅₀ & Target: UCHL5/Usp14^[1]

In Vitro: Purified 19S proteasomes (5 nM) are treated with indicated concentrations of b-AP15 and DUB activity is determined by detection of Ub-AMC cleavage. The IC₅₀ value (2.1±0.411 μM) is determined from log concentration curves in Graph Pad Prism using non linear regression analysis. b-AP15 as a previously unidentified class of proteasome inhibitor that abrogates the deubiquitinating activity of the 19S regulatory particle. b-AP15 inhibited the activity of two 19S regulatory-particle-associated deubiquitinases, ubiquitin C-terminal hydrolase 5 (UCHL5) and ubiquitin-specific peptidase 14 (USP14), resulting in accumulation of polyubiquitin. b-AP15 induced tumor cell apoptosis that is insensitive to TP53 status and overexpression of the apoptosis inhibitor BCL2^[1]. The ability of b-AP15 is determined to inhibit proteasome deubiquitinase activity using Ub-AMC as the substrate. An IC₅₀ of 16.8±2.8 μM is observed^[2]. b-AP15 is a specific USP14 and UCHL5 inhibitor, which blocks growth and induces apoptosis in MM cells^[3].

In Vivo: b-AP15 (2.5 mg/kg) inhibits tumor growth in syngenic mice models with less frequent administration schedules. We administered b-AP15 to C57BL/6J mice with Lewis lung carcinomas (LLCs) using a 2-d-on, 2-d-off schedule and to BALB/c mice with orthotopic breast carcinoma (4T1) using a 1-d-on, 3-d-off schedule. b-AP15 significantly inhibited tumor growth in both models, with T/C=0.16 (P≤0.01) for the C57BL/6J mice and T/C=0.25 (P≤0.001) for the BALB/c mice. A reduction in the number of pulmonary metastases also is observed in the group of mice with 4T1 breast carcinomas treated with b-AP15^[1].



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