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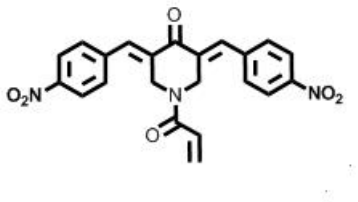
Deubiquitinases Inhibitor – b-AP15 (NSC687852)

Chemical Name: (3E,5E)-1-acryloyl-3,5-bis(4-nitrobenzylidene)piperidin-4-one



Molecular Weight:	419.39
Formula:	$C_{22}H_{17}N_3O_6$
Purity:	≥98%
CAS#:	67200-34-4
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

b-AP15 (NSC686852) is a potent and selective deubiquitinases inhibitor for 19S proteasomes activity of Ub-AMC cleavage with IC_{50} of 2.1 μM. It inhibits the activity of two 19S regulatory-particle-associated deubiquitinases, UCHL5 and USP14, resulting in accumulation of polyubiquitin. It has minimal inhibition of UCHL-1, UCHL-3, USP2, USP7, USP, BAP1 and proteasome activity. b-AP15 induces apoptosis by cathepsin D-dependent caspase-cleavage (IC_{50} ~0.5 μM) and displays antitumor activity in vivo.

How to Use:

In vitro: b-AP15 was used at 10 μM final concentration in various in vitro assays.

In vivo: b-AP15 was dosed to mice with HCT-116 colon carcinoma xenografts by intraperitoneal injection at 5 mg/Kg. formulation is Cremophor EL and polyethylene glycol 400 (1:1).

Reference:

1. Berndtsson M, et al. Induction of the lysosomal apoptosis pathway by inhibitors of the ubiquitin-proteasome system. (2009) Int J Cancer. 124(6):1463-9.
2. D'Arcy P, et al. Inhibition of proteasome deubiquitinating activity as a new cancer therapy. (2011) Nat Med. 17(12):1636-40.