Product Data Sheet

Product Name: MA203
Cat. No.: GC80887

Chemical Properties

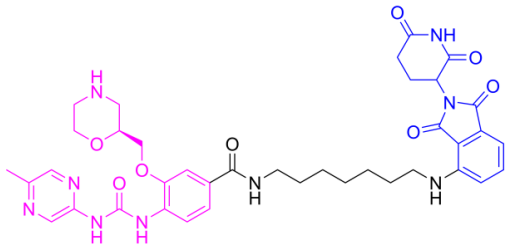
Cas. No.

Formula	$C_{38}H_{45}N_9O_8$	M.Wt	755.82
Solubility		Storage	Store at -20°C

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

MA203 is a selective CHK1 PROTAC degrader. MA203 targets activated CHK1 for degradation by recruiting the CRBN E3 ubiquitin ligase, thereby completely abolishing both its catalytic and non-catalytic functions and ultimately triggering DNA replication catastrophe and apoptosis. MA203 can be used in research related to pancreatic ductal adenocarcinoma, colorectal cancer, and acute lymphoblastic leukemia [1]. (Pink: Chk1 ligand; Blue: Cereblon ligand; Black: linker).

Caution: Product has not been fully validated for medical applications. For research use only.
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In Vivo, MA203 (12 μM; administered in growth medium; 48 h) hydrochloride exerts anti-leukemic effects with no obvious toxicity in a zebrafish larva model xenografted with fluorescently labeled MOLT-4 cells[1].

In Vitro, MA203 (2 μM; 3-24 h) hydrochloride, in combination with HU, significantly reduces CHK1 protein levels and upregulates γH2AX phosphorylation levels in MIA PaCa-2, MOLT-4, and HCT116 cells in a time- and concentration-dependent manner[1]. MA203 (2 μM; 24 h) hydrochloride, with or without HU treatment, degrades CHK1 in MOLM-13 and RS4-11 cells[1]. MA203 (0.5-10 μM; 24 h) hydrochloride, in combination with HU treatment, dose-dependently upregulates γH2AX phosphorylation and p-ATM (S1981) levels, significantly increases γH2AX foci, and exacerbates DNA single-strand breaks in MIA PaCa-2 and MOLT-4 cells[1]. MA203 (2 μM; 24 h) hydrochloride, in combination with 1 mM HU treatment, upregulates γH2AX phosphorylation levels in MOLT-4 and HCT116 cells[1]. MA203 (1-5 μM; 24 h) hydrochloride, in combination with Ara-C treatment, synergistically enhances Ara-C cytotoxicity in MOLT-4 cells, does not affect cell viability and activation status in activated T and B cells, and does not significantly impair cell survival in mouse hematopoietic stem cells (HSCs)[1]. MA203 (2 μM; 7 days) hydrochloride inhibits cell proliferation in MOLT-4 cells but exerts no effect on RPE1 cells[1]. MA203 (1-10 μM; 48 h) hydrochloride, in combination with HU treatment, induces apoptosis in MIA PaCa-2, HCT116, MOLT-4, and MOLM-13 cells, but does not affect cell viability in RPE1, HS-5, and PBMCs[1]. MA203 (2 μM; 16-48 h) hydrochloride, in combination with 1 mM HU treatment, downregulates the protein expression of TCF1/7, CDCA7, RRM2, ORC1, WRN, and claspin, reduces p-CHK1 (S296) levels, promotes G1 phase arrest, increases the proportion of sub-G1 cells, upregulates BAX, BIM, and NOXA while downregulating BCL-XL and XIAP, significantly increases the loss of mitochondrial membrane potential, and enhances the cleavage of caspase-8, caspase-3, and PARP1 in MIA PaCa-2 cells[1]. MA203 hydrochloride potently binds to purified human CHK1 protein, with a binding rate of 97% at 1.0 μM[1].

References:

[1]. Ashry R, et al. Identification of a Proteolysis-Targeting-Chimera that Addresses Activated Checkpoint Kinase-1 Reveals its Non-Catalytic Functions in Tumor Cells. Angewandte Chemie (International ed. in English). 2025 Dec 01;64(49):e202514788.

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