

Chloroquine

Chemical Properties

CAS No. : 54-05-7

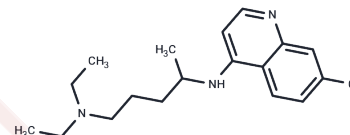
Formula: C₁₈H₂₆ClN₃

Molecular Weight: 319.87

Keep away from direct sunlight

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Chloroquine is a Toll-like receptor inhibitor that inhibits autophagy. Chloroquine has anti-malarial and anti-inflammatory activity and is widely used in the treatment of malaria and rheumatoid arthritis. Chloroquine also has anti-SARS-CoV-2 (COVID-19) activity and anti-HIV-1 activity.
Targets(IC50)	HIV Protease, Antibiotic, Parasite, Autophagy, SARS-CoV, TLR
In vitro	<p>METHODS: Human cholangiocarcinoma cells QBC939 were treated with Chloroquine (1.2-200 μM) for 24 h. Cell growth inhibition was detected by MTT.</p> <p>RESULTS: Chloroquine dose-dependently inhibited the cell growth of HRECs with an IC₅₀ of 53.01 μM. [1]</p> <p>METHODS: Human non-small cell lung cancer cells A549 were treated with Chloroquine (10-80 μM) for 24 h. The expression levels of target proteins were detected by Western Blot.</p> <p>RESULTS: Chloroquine induced an increase in LC3-II expression and a decrease in LC3-I expression, resulting in an increase in the LC3-II/LC3-I ratio. The highest LC3-II/LC3-I ratio was observed with 40 μM Chloroquine treatment. [2]</p> <p>METHODS: Human osteosarcoma cells U2OS and human cervical cancer cells HeLa were treated with Chloroquine (100 μM) for 5 h. LAMP1, a marker protein for late endosomal compartment and lysosome, was detected by Immunofluorescence.</p> <p>RESULTS: Chloroquine increased the area of LAMP1 positive structures. [3]</p>
In vivo	<p>METHODS: To investigate the effects of Chloroquine on acute liver injury and its potential molecular mechanisms, a single dose of Chloroquine (5-50 mg/kg) was administered intraperitoneally to C57BL/6 mice 2-24 h before CCl₄ (10 mL/kg) injection.</p> <p>RESULTS: Chloroquine pretreatment significantly inhibited CCl₄-induced acute liver injury, as evidenced by a decrease in serum aminotransferases, aspartate aminotransferase, and a decrease in the histological score of liver injury, and down-regulated CCl₄-induced high-mobility histone 1 (HMGB1) expression in liver tissues as well as the levels of serum HMGB1, IL-6, and TNF-α. levels. [4]</p> <p>METHODS: To investigate the relationship between Chloroquine and retinopathy, Chloroquine (50 mg/kg) was administered intraperitoneally to C57/BL6 mice three times a week for six weeks.</p> <p>RESULTS: Chronic administration of Chloroquine induced retinopathy in mice. mRNAs for IL-1β mRNA, a component of inflammatory vesicles, and caspase1 were increased in the</p>

A DRUG SCREENING EXPERT

In vivo	retinas of Chloroquine-treated mice, consistent with the initiation of inflammatory vesicles, and NTPDase1 was increased, suggesting an increase in extracellular ATP in the retina. [5]
---------	--

Solubility Information

Solubility	DMSO: 45 mg/mL (140.68 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble) Ethanol: 100 mg/mL (312.63 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5.25 mg/mL (16.41 mM),Suspension. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1263 mL	15.6314 mL	31.2627 mL
5 mM	0.6253 mL	3.1263 mL	6.2525 mL
10 mM	0.3126 mL	1.5631 mL	3.1263 mL
50 mM	0.0625 mL	0.3126 mL	0.6253 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Jia B, et al. Autophagy inhibitor chloroquine induces apoptosis of cholangiocarcinoma cells via endoplasmic reticulum stress. *Oncol Lett.* 2018 Sep;16(3):3509-3516.
- Yan C, Zheng L, Jiang S, et al. Exhaustion-associated cholesterol deficiency dampens the cytotoxic arm of antitumor immunity. *Cancer Cell.* 2023
- Zhang M, Jia X, Cheng C, et al. Capsaicin functions as a selective degrader of STAT3 to enhance host resistance to viral infection. *Acta Pharmacologica Sinica.* 2023: 1-12.
- Han S, Zhang H, Liu X, et al. Enhanced autophagy reversed aflatoxin B1-induced decrease in lactate secretion of dairy goat Sertoli cells. *Ecotoxicology and Environmental Safety.* 2023, 259: 115063.
- Jiao J, Ruan L, Cheng C, et al. Paired protein kinases PRKCI-RIPK2 promote pancreatic cancer growth and metastasis via enhancing NF- κ B/JNK/ERK phosphorylation. *Molecular Medicine.* 2023, 29(1): 1-17.
- Shan X, Jiang R, Gou D, et al. Identification of a diketopiperazine-based O-GlcNAc transferase inhibitor sensitizing hepatocellular carcinoma to CDK9 inhibition. *The FEBS Journal.* 2023
- Cao P, Wang Y, Zhang C, et al. Quercetin ameliorates non-alcoholic fatty liver disease (NAFLD) via the promotion of AMPK-mediated hepatic mitophagy. *The Journal of Nutritional Biochemistry.* 2023: 109414.
- Xiang H, Wang R. Discovery of small-molecule inhibitors for the protein-protein interactions involving ATG5. *Autophagy Reports.* 2023, 2(1): 2215617.
- Zhou Y, Li Q, Zheng J, et al. N-Glycosylation on Asn50 of SND1 Is Required for Glioma U87 Cell Proliferation and Metastasis. *Journal of Immunology Research.* 2022
- Wang J, Su Q, Wu Q, et al. Sanguinarine impairs lysosomal function and induces ROS-dependent mitophagy and apoptosis in human hepatocellular carcinoma cells. *Archives of Pharmacal Research.* 2021: 1-12.
- Su G, Yang W, Wang S, et al. SIRT1-autophagy axis inhibits excess iron-induced ferroptosis of foam cells and subsequently increases IL-1B and IL-18. *Biochemical and Biophysical Research Communications.* 2021, 561: 33-39.
- Xiao Q, Lei L, Ren J, et al. Mutant NPM1-Regulated FTO-Mediated m6A Demethylation Promotes Leukemic Cell Survival via PDGFRB/ERK Signaling Axis. *Frontiers in Oncology.* 2022.12
- Ding L, Chen X, Zhang W, et al. Canagliflozin primes antitumor immunity by triggering PD-L1 degradation in endocytic recycling. *The Journal of Clinical Investigation.* 2023, 133(1).
- Liu L, et al. Chloroquine inhibits cell growth in human A549 lung cancer cells by blocking autophagy and inducing mitochondrial-mediated apoptosis. *Oncol Rep.* 2018 Jun;39(6):2807-2816.
- Wang M, Xu Y, Zhang Y, et al. Deciphering the autophagy regulatory network via single-cell transcriptome analysis reveals a requirement for autophagy homeostasis in spermatogenesis. *Theranostic.* 2021 Mar 5;11(10):5010-5027. doi: 10.7150/thno.55645. eCollection 2021.
- Nicholson M W, Huang C Y, Wang J Y, et al. Cardio- and Neurotoxicity of Selected Anti-COVID-19 Drugs. *Pharmaceuticals.* 2022, 15(6): 765
- Wang F, Xie M, Chen P, et al. Homoharringtonine combined with cladribine and aclarubicin (HCA) in acute myeloid leukemia: A new regimen of conventional drugs and its mechanism. *Oxidative Medicine and Cellular Longevity.* 2022
- Chen J, Li J, Chen J, et al. Treatment of collagen-induced arthritis rat model by using Notch signalling inhibitor. *Journal of Orthopaedic Translation.* 2021, 28: 100-107.
- Pan X, Li R, Guo H, et al. Dihydropyridine Calcium Channel Blockers Suppress the Transcription of PD-L1 by Inhibiting the Activation of STAT1. *Frontiers in Pharmacology.* 2021 Jan 13;11:539261. doi: 10.3389/fphar.2020.539261. eCollection 2020.
- Xu C, Zhao W, Huang X, et al. TORC2/3-mediated DUSP1 upregulation is essential for human decidualization. *Reproduction.* 2021, 1(aop).
- Dai H, Wang J, Huang Z, et al. LncRNA OIP5-AS1 Promotes the Autophagy-Related Imatinib Resistance in Chronic Myeloid Leukemia Cells by Regulating miR-30e-5p/ATG12 Axis. *Technology in cancer research & treatment.* 2021, 20: 15330338211052150.
- Wang W, Li S, Xu X, et al. Danshensu alleviates pseudo-typed SARS-CoV-2 induced mouse acute lung inflammation. *Acta Pharmacologica Sinica.* 2021: 1-10.
- Su C, Cheng C, Rong Z, et al. Repurposing fluphenazine as an autophagy modulator for treating liver cancer. *Heliyon.* 2023
- Zhang M, Tan H, Gong Y, et al. TRIM26 restricts Epstein-Barr virus infection in nasopharyngeal epithelial cells

- through K48-linked ubiquitination of HSP-90 β . *The FASEB Journal*.2024, 38(1): e23345.
- Yu Q, Li C, Niu Q, et al.Hepatic COX1 loss leads to impaired autophagic flux and exacerbates nonalcoholic steatohepatitis. *Acta Pharmaceutica Sinica B*.2023
- Mauthe M, et al. Chloroquine inhibits autophagic flux by decreasing autophagosome-lysosome fusion. *Autophagy*. 2018;14(8):1435-1455.
- Cui H, Zhu B, Li H, et al.Malonate differentially affects cell survival and confers chemoresistance in cancer cells via the induction of p53-dependent autophagy. *Biochemical Pharmacology*.2023: 115950.
- Han Y, Wang C, Lu K, et al.Bovine parainfluenza type 3 virus induces incomplete autophagy to promote viral replication by activated beclin1 in vitro. *Veterinary Microbiology*.2024: 109972.
- Chen H, Hu J, Xiong X, et al.AURKA inhibition induces Ewing's sarcoma apoptosis and ferroptosis through NPM1/YAP1 axis. *Cell Death & Disease*.2024, 15(1): 99.
- Liu T, Yue X, Chen X, et al.Nilotinib in combination with sunitinib renders MCL-1 for degradation and activates autophagy that overcomes sunitinib resistance in renal cell carcinoma. *Cellular Oncology*.2024: 1-18.
- Ren Y L, Jiang Z, Wang J Y, et al.Loss of CHCHD2 Stability Coordinates with C1QBP/CHCHD2/CHCHD10 Complex Impairment to Mediate PD-Linked Mitochondrial Dysfunction. *Molecular Neurobiology*.2024: 1-21.
- Li L, Fu S, Wang J, et al.SRT1720 inhibits bladder cancer cell progression by impairing autophagic flux. *Biochemical Pharmacology*.2024: 116111.
- Hou G, Hu W, Sang Y, et al.Corynoxine triggers cell death via activating PP2A and regulating AKT-mTOR/GSK3 β axes in NSCLC. *Biochemical Pharmacology*.2024: 116110.
- Bao Q, Wang A, Hong W, et al.The c-Abl-RACK1-FAK signaling axis promotes renal fibrosis in mice through regulating fibroblast-myofibroblast transition. *Cell Communication and Signaling*.2024, 22(1): 247.
- Xi Z, Ren H, Ai L, et al.Ginsenoside Rg1 mitigates cerebral ischaemia/reperfusion injury in mice by inhibiting autophagy through activation of mTOR signalling. *Acta Pharmacologica Sinica*.2024: 1-13.
- Liu X, Zhou Q, Meng J, et al.Autophagy-mediated activation of the AIM2 inflammasome enhances M1 polarization of microglia and exacerbates retinal neovascularization. *MedComm*.2024, 5(8): e668.
- Zhang W, Pan X, Xu Y, et al.Mevalonate improves anti-PD-1/PD-L1 efficacy by stabilizing CD274 mRNA. *Acta Pharmaceutica Sinica B*.2023
- Dai C, et al. Chloroquine ameliorates carbon tetrachloride-induced acute liver injury in mice via the concomitant inhibition of inflammation and induction of apoptosis. *Cell Death Dis*. 2018 Nov 26;9(12):1164.
- Xu W, Wang Z, Liu T, et al.Eurycomanone inhibits osteosarcoma growth and metastasis by suppressing GRP78 expression. *Journal of Ethnopharmacology*.2024: 118709.
- Ding L, Guo H, Zhang J, et al.Zosuquidar Promotes Antitumor Immunity by Inducing Autophagic Degradation of PD-L1. *Advanced Science*.2024: 2400340.
- Wang K, Zhou Y, Wen C, et al.Protective effects of tetramethylpyrazine on myocardial ischemia/reperfusion injury involve NLRP3 inflammasome suppression by autophagy activation. *Biochemical Pharmacology*.2024: 116541.
- Ma M, Li X, Jing M, et al.Enhanced Tumor-Targeted Delivery of Arginine-Rich Peptides via a Positive Feedback Loop Orchestrated by Piezo1/integrin β 1 Signaling Axis. *Advanced Science*.2024: 2409081.
- Ning Y, Chen Y, Tian T, et al.S100A7 Orchestrates Neutrophil Chemotaxis and Drives Neutrophil Extracellular Traps (NETs) Formation to Facilitate Lymph Node Metastasis in Cervical Cancer Patients. *Cancer Letters*.2024: 217288.
- Zhang J, Gao Z, Yang Y, et al.SNF2L maintains glutathione homeostasis by initiating SLC7A11 transcription through chromatin remodeling. *Cell Death & Disease*.2024, 15(11): 820.
- Wang Z, Wu Z, Chen J, et al.Cyclovirobuxine D Inhibits Triple-Negative Breast Cancer via YAP/TAZ Suppression and Activation of the FOXO3a/PINK1-Parkin Pathway-Induced Mitophagy. *Phytomedicine*.2024: 156287.
- Yao Z, Zhang H, Huang K, et al.Niraparib perturbs autophagosome-lysosome fusion in pancreatic ductal adenocarcinoma and exhibits anticancer potential against gemcitabine-resistant PDAC. *Translational Oncology*. 2025, 51: 102206.
- Fan Y, Dan W, Que T, et al.CDC20-Mediated Selective Autophagy Degradation of PBRM1 Affects Immunotherapy for Renal Cell Carcinoma. *Advanced Science*.2024: 2412967.
- Duan J, Guan X, Xue J, et al.RAB37 suppresses the EMT, migration and invasion of gastric cancer cells by mediating autophagic degradation of β -catenin. *Cellular Oncology*.2024: 1-15.
- Wennan L, et al. Increased inflammasome priming and microglial activation in a mouse model of chloroquine retinopathy. *Investigative Ophthalmology & Visual Science*. 2016 September; 57:2237.
- Liu X, Fang Y, Lv X, et al.Deubiquitinase OTUD6A in macrophages promotes intestinal inflammation and colitis via

deubiquitination of NLRP3. *Cell Death & Differentiation*.2023: 1-15.

Farmakis D, Stravopodis D J, Prombona A. TH301 Emerges as a Novel Anti-Oncogenic Agent for Human Pancreatic Cancer Cells: The Dispensable Roles of p53, CRY2 and BMAL1 in TH301-Induced CDKN1A/p21CIP1/WAF1 Upregulation. *International Journal of Molecular Sciences*.2024, 26(1): 178.

Liu Y, Sun Q, Guo J, et al. Dual ferroptosis induction in N2-TANs and TNBC cells via FTH1 targeting: A therapeutic strategy for triple-negative breast cancer. *Cell Reports Medicine*.2025

Zuo H, Liu X, Lv B, et al. Autophagy-induced NR2F1 activation promotes the apoptosis of lens epithelial cells and facilitates cataract-associated fibrosis through targeting STAT3. *Genes & Diseases*.2025: 101549.

Hydrophobicity causes anomalous migration of cystine/glutamate antiporter SLC7A11 in SDS-PAGE with low acrylamide concentration

FOXM1 mediates methotrexate resistance in osteosarcoma cells by promoting autophagy

Lei S, Chen C, Han F, et al. AMER1 deficiency promotes the distant metastasis of colorectal cancer by inhibiting SLC7A11- and FTL-mediated ferroptosis. *Cell Reports*.2023, 42(9).

Chen J, Li J, Chen J, et al. Treatment of collagen-induced arthritis rat model by using Notch signalling inhibitor[J]. *Journal of Orthopaedic Translation*. 2021, 28: 100-107.

Pan X, Li R, Guo H, et al. Dihydropyridine Calcium Channel Blockers Suppress the Transcription of PD-L1 by Inhibiting the Activation of STAT1[J]. *Frontiers in Pharmacology*. 2021, 11: 2233.

Xiang H, Liu R, Zhang X, et al. Discovery of Small-Molecule Autophagy Inhibitors by Disrupting the Protein-Protein Interactions Involving Autophagy-Related 5. *Journal of Medicinal Chemistry*.2023

Wang M, Xu Y, Zhang Y, et al. Deciphering the autophagy regulatory network via single-cell transcriptome analysis reveals a requirement for autophagy homeostasis in spermatogenesis[J]. *Theranostic*. 2021, 11(10): 5010.

Zhu X, Huang N, Ji Y, et al. Brusatol induces ferroptosis in oesophageal squamous cell carcinoma by repressing GSH synthesis and increasing the labile iron pool via inhibition of the NRF2 pathway. *Biomedicine & Pharmacotherapy*.2023, 167: 115567.

Zhao L, Zhang W, Luan F, et al. Butein suppresses PD-L1 expression via downregulating STAT1 in non-small cell lung cancer. *Biomedicine & Pharmacotherapy*.2023, 157: 114030.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481