Product Data Sheet

Product Name: Chloroquine D5
Cat. No.: GC60700

Chemical Properties

Cas. No.	1854126-41-2		
SMILES	CC(NC1=CC=NC2=CC(Cl)=CC=C12)CCCN(CC)C([2H])([2H])C([2H])([2H])[2H]		
Formula	$C_{18}H_{21}D_5ClN_3$	M.Wt	324.9
Solubility	DMSO : 50 mg/mL (153.89 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Chloroquine D5		

Background

Chloroquine-d_5 is intended for use as an internal standard for the quantification of chloroquine by GC- or LC-MS. Chloroquine is an aminoquinoline that is an inhibitor of autophagy and has antimalarial, anti-inflammatory, anticancer, and antiviral activities.[1,2,3,4,5] Chloroquine inhibits autophagosome-lysosome fusion in HeLa cells when used at a concentration of 100 ?M.[1] It is active against the chloroquine-sensitive GC03 strain of *P. falciparum* (IC_{50} = 29.2 nM) but has decreased activity against mutant *pfcrt P. falciparum* (IC_{50}s = 100-150 nM).[3] Chloroquine prevents infection by severe acute respiratory coronavirus 2 (SARS-CoV-2) in Vero cells (EC_{50} = 1.13 ?M) but does not inhibit SARS-CoV replication in the lungs in a mouse model of SARS-CoV infection.[5,6] It inhibits the growth of human SSC25 and CAL 27 oral squamous cell carcinoma cells (IC_{50}s = 29.9 and 17.3 ?M, respectively), as well as A498, SN12C, RXF 393, and 769-P renal cancer cells (IC_{50}s = 16, 62, 81, and 25 ?M, respectively).[4,7] It reduces tumor growth in a CAL 27 mouse xenograft model and a 4T1 mouse allograft model when administered at a dose of 50 mg/kg.[4,8] Formulations containing chloroquine have been

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used in the prevention of malaria, as well as the treatment of rheumatoid arthritis and systemic lupus erythematosus (SLE), and have been associated with cardiotoxicity and myopathy.

1.Mauthe, M., Orhon, I., Rocchi, C., et al.Chloroquine inhibits autophagic flux by decreasing autophagosome-lysosome fusionAutophagy14(8)1435-1455(2018) 2.Plantone, D., and Koudriavtseva, T.Current and future use of chloroquine and hydroxychloroquine in infectious, immune, neoplastic, and neurological diseases: A mini-reviewClin. Drug Investig.38(8)653-671(2018) 3.Sidhu, A.B.S., Verdier-Pinard, D., and Fidock, D.A.Chloroquine resistance in Plasmodium falciparum malaria parasites conferred by pfcrt mutationsScience298(5591)210-213(2002) 4.Jia, L., Wang, J., Wu, T., et al.In vitro and in vivo antitumor effects of chloroquine on oral squamous cell carcinomaMol. Med. Rep.16(5)5779-5786(2017) 5.Wang, M., Cao, R., Zhang, L., et al.Remdesivir and chloroquine effectively inhibit the recently emerged novel coronavirus (2019-nCoV) in vitroCell Res.30(3)269-271(2020) 6.Barnard, D.L., Day, C.W., Bailey, K., et al.Evaluation of immunomodulators, interferons and known in vitro SARS-coV inhibitors for inhibition of SARS-coV replication in BALB/c miceAntivir. Chem. Chemother.17(5)275-784(2006) 7.Grimaldi, A., Santini, D., Zappavigna, S., et al.Antagonistic effects of chloroquine on autophagy occurrence potentiate the anticancer effects of everolimus on renal cancer cellsCancer Biol. Ther.16(4)567-579(2015) 8.Jiang, P.-D., Zhao, Y.-L., Deng, X.-Q., et al.Antitumor and antimetastatic activities of chloroquine diphosphate in a murine model of breast cancerBiomed. Pharmacother.64(9)609-614(2010)

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