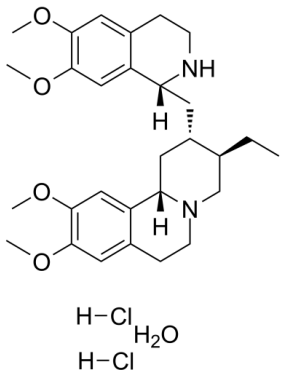
Data Sheet

Product Name:	Emetine (dihydrochloride hydrate)
Cat. No.:	CS-5994
CAS No.:	7083-71-8
Molecular Formula:	C29H40N2O4 . 2 HCl . H2O
Molecular Weight:	571.58
Target:	Autophagy; Parasite
Pathway:	Anti-infection; Autophagy
Solubility:	DMSO : 16.5 mg/mL (28.87 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

Emetine dihydrochloride hydrate is an anti-protozoal drug previously used for intestinal and tissue amoebiasis. **In Vitro:** Emetine dihydrochloride hydrate is reported to have an IC_{50} value of 1 nM on the drug sensitive 3D7 P. falciparum parasite strains. Dose response curves are determined for both drugs using K1 resistant isolates and IC_{50} values of 47 nM and 2.6 nM established for emetine dihydrochloride hydrate and DHA, respectively[1].

After the lymphoblasts are treated with emetine dihydrochloride hydrate, the expression level of the mutant allele is elevated almost equally to the wild-type alleles by direct sequencing of the corresponding cDNA[2].

Emetine dihydrochloride hydrate is identified as a lead compound with significant concentration dependent suppression of PEDF-induced TNF secretion and an IC_{50} of 146 nM. Emetine dihydrochloride hydrate inhibits PEDF-mediated TNF release without affecting cell viability and binds to PEDF receptor ATGL with high-binding affinity (K_D=14.3 nM)[3].

Emetine dihydrochloride hydrate reduces cell viability, induces apoptosis, promptes AML cells towards differentiation and downregulates HIF-1α[4].

In Vivo: Emetine dihydrochloride hydrate (0.002, 0.02, 0.2 and 2 mg/kg) not only attenuates blood glucose levels in dose-dependent way but also induces a persistent attenuation of blood glucose levels. Daily administration of emetine dose-dependently attenuates hyperglycemic response by d 21. Consistent with this observation, administration of emetine, but not the vehicle control, results in a sustained attenuation of blood glucose levels. Emetine improves disease severity in a spontaneous model of NOD T1D[3].

Emetine dihydrochloride hydrate (1 mg/kg) reduces both leukemia burden in an in vivo xenotransplantation mouse model and the clonogenic capacity of leukemic cells upon treatment[4].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [4]7.5×10^5 cells per mL are cultured in 96-well plates in complete medium. Emetine and Ara-C are added at indicated concentrations. Cell viability is measured by 7-AAD exclusion and Hoechst33342 positivity staining by flow cytometry; and cell count is obtained by volume in a FACSCantoII cytometer. Statistical analysis and EC_{50} determination are calculated in GraphPad. FlowJo software is used for flow cytometry analysis. **Animal Administration:** Emetine is formulated in saline.[3]T1D is induced by administration of streptozotocin (50 mg/kg dissolved in sodium citrate buffer, pH 4.5) to 6 to 8 wk old C57Bl/6 mice intraperitoneally (i.p.) once each day for 5 consecutive days. Mice are given water supplemented with 10% sucrose for six days to prevent sudden hypoglycemia during streptozotocin administration. For time course studies, body weight and blood glucose are measured every other day. Blood glucose is measured with a FreeStyle Lite blood glucose meter. For studies with emetine, mice receive daily administration of emetine dihydrochloride (0.002, 0.02, 0.2 and 2 mg/kg) or saline. Blood glucose with either FreeStyle Lite blood glucose meter or Bayer Contour blood glucose meter and body weight are measured once weekly. At the end of each study, mice are euthanized by CO_2 asphyxiation. Blood and pancreas are collected for cytokine analysis.

References:

[1]. Matthews H, et al. Drug repositioning as a route to anti-malarial drug discovery: preliminary investigation of the in vitro anti-malarial efficacy of emetine dihydrochloride hydrate. Malar J. 2013 Oct 9;12:359

[2]. Wu L, et al. PRRT2 truncated mutations lead to nonsense-mediated mRNA decay in Paroxysmal Kinesigenic Dyskinesia. Parkinsonism Relat Disord. 2014 Dec;20(12):1399-404

[3]. Hudson LK, et al. Emetine Di-HCl attenuates Type 1 diabetes mellitus in mice. Mol Med. 2016 Jun 10;22

[4]. Cornet-Masana JM, et al. Emetine induces chemosensitivity and reduces clonogenicity of acute myeloid leukemia cells. Oncotarget. 2016 Apr 26;7(17):23239-50

CAIndexNames:

2H-Benzo[a]quinolizine, 3-ethyl-1,3,4,6,7,11b-hexahydro-9,10-dimethoxy-2-[[(1R)-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]methyl]-, hydrochloride, hydrate (1:2:1), (2S,3R,11bS)-

SMILES:

CC[C@@H]1[C@H](C[C@@]2([H])C3=CC(OC)=C(OC)C=C3CCN2C1)C[C@]4([H])C5=CC(OC)=C(OC)C=C5CCN4.[H]Cl.[H]Cl.O

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA