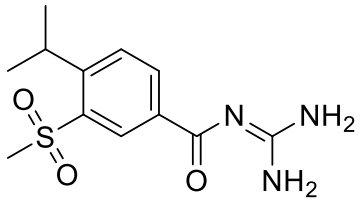
Product data sheet

MedKoo Cat#: 563402 Name: Cariporide CAS#: 159138-80-4 Chemical Formula: $C_{12}H_{17}N_3O_3S$ Exact Mass: 283.0991 Molecular Weight: 283.34	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Cariporide is a selective Na+/H+ exchanger isoform 1 (NHE1) inhibitor.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	87	307.04

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.53 mL	17.65 mL	35.29 mL
5 mM	0.71 mL	3.53 mL	7.06 mL
10 mM	0.35 mL	1.76 mL	3.53 mL
50 mM	0.07 mL	0.35 mL	0.71 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Chen Q, Liu Y, Zhu XL, Feng F, Yang H, Xu W. Increased NHE1 expression is targeted by specific inhibitor cariporide to sensitize resistant breast cancer cells to doxorubicin in vitro and in vivo. BMC Cancer. 2019 Mar 8;19(1):211. doi: 10.1186/s12885-019-5397-7. PMID: 30849956; PMCID: PMC6408845.

2. Teshima Y, Akao M, Jones SP, Marbán E. Cariporide (HOE642), a selective Na+-H+ exchange inhibitor, inhibits the mitochondrial death pathway. Circulation. 2003 Nov 4;108(18):2275-81. doi: 10.1161/01.CIR.0000093277.20968.C7. Epub 2003 Oct 20. PMID: 14568900.

In vivo study

1. Chen Q, Liu Y, Zhu XL, Feng F, Yang H, Xu W. Increased NHE1 expression is targeted by specific inhibitor cariporide to sensitize resistant breast cancer cells to doxorubicin in vitro and in vivo. BMC Cancer. 2019 Mar 8;19(1):211. doi: 10.1186/s12885-019-5397-7. PMID: 30849956; PMCID: PMC6408845.

2. Albatany M, Li A, Meakin S, Bartha R. In vivo detection of acute intracellular acidification in glioblastoma multiforme following a single dose of cariporide. Int J Clin Oncol. 2018 Oct;23(5):812-819. doi: 10.1007/s10147-018-1289-0. Epub 2018 May 10. PMID: 29749579.

7. Bioactivity

Product data sheet

Biological target:

Cariporide (HOE-642) is a selective Na+/H+ exchange inhibitor.

In vitro activity

Cariporide significantly decreased NHE1 expression in breast cancer cells (Fig. (Fig.2e,2e, f), where it inhibited the proliferation of MCF-7 and MCF-7/ADR cells in a dose- and time- dependent manner, as assessed by CCK8 assays with a range of concentrations (Fig. (Fig.2a),2a), of doxorubicin (Fig. (Fig.2b)2b) or paclitaxel (Fig. (Fig.2c)2c) in culture for 24 and 48 h. In addition, after cotreatment with cariporide and doxorubicin, the IC50 value decreased to 17.16 ± 0.06 μg/ml(2.463-fold), which was significantly lower than in cells treated with doxorubicin only. The same results were observed in the paclitaxel-only and cotreatment groups (Fig. (Fig.2c,2c, d). These results suggest that cariporide can sensitize drug-resistant cells to chemotherapeutic drugs after the cotreatment with cariporide and doxorubicin or paclitaxel.

Reference: BMC Cancer. 2019 Mar 8;19(1):211. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/30849956/

In vivo activity

After continuous intraperitoneal injection of cariporide (3 mg/kg) for 7 days, the body weight and behavior of nude mice showed no significant toxic effects. However, cariporide significantly retarded the growth of tumors in vivo (Fig. (Fig.4e).4e). The tumor volumes and weights significantly decreased in the two cariporide-treated groups compared to the other assayed groups (Fig. (Fig.4f,4f, g). In detail, no significant difference in the body weight of each group was detected initially, but decreases in body weight were observed 15 days after administration, and even the control group and single ADR group were significantly lower on day 21 (Fig. (Fig.4f).4f). These data suggest that NHE1 is an upstream effector of the process of cariporide-induced inhibition of breast cancer cell proliferation. Collectively, cariporide inhibited the growth of implanted breast cancer and increased its sensitivity to doxorubicin in nude mice.

https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/30849956/