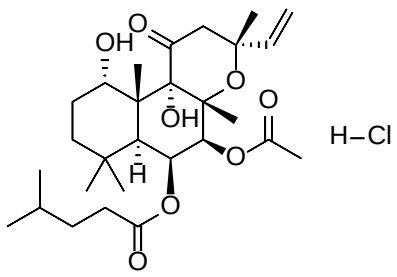
Product data sheet

MedKoo Cat#: 532351 Name: NKH477 CAS: 138605-00-2 Chemical Formula: $C_{28}H_{45}ClO_8$ Exact Mass: 544.2803 Molecular Weight: 545.11	
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:
NKH477 is a potent activator of adenylyl cyclase. NKH477 shows some selectivity for cardiac (type V) adenylyl cyclase. NKH477 stimulates bronchodilation (EC50 = 32.6 nM) and is an orally active potent positive chronotrope and hypotensive agent in vivo.

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
DMF	20.0	36.69
DMSO	77.5	142.17
DMSO:PBS (pH 7.2) (1:1)	0.5	0.92
Ethanol	2.5	4.59
Water	20.92	38.38

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.83 mL	9.16 mL	18.31 mL
5 mM	0.37 mL	1.83 mL	3.66 mL
10 mM	0.18 mL	0.92 mL	1.83 mL
50 mM	0.04 mL	0.18 mL	0.37 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. Furukawa Y, Matsumori A, Hirozane T, Matsui S, Sato Y, Ono K, Sasayama S. Immunomodulation by an adenylate cyclase activator, NKH477, in vivo and vitro. Clin Immunol Immunopathol. 1996 Apr;79(1):25-35. doi: 10.1006/clin.1996.0047. PMID: 8612348.
2. Ito S, Suzuki S, Itoh T. Effects of a water-soluble forskolin derivative (NKH477) and a membrane-permeable cyclic AMP analogue on noradrenaline-induced Ca2+ mobilization in smooth muscle of rabbit mesenteric artery. Br J Pharmacol. 1993 Nov;110(3):1117-25. doi: 10.1111/j.1476-5381.1993.tb13930.x. PMID: 8298800; PMCID: PMC2175778.

In vivo study

1. Nakashima S, Morikawa M, Komatsu K, Matsuura A, Sato N, Abe T. Antiproliferative effects of NKH477, a forskolin derivative, on cytokine profile in rat lung allografts. J Heart Lung Transplant. 2005 Apr;24(4):462-9. doi: 10.1016/j.healun.2004.02.011. PMID: 15797749.

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2. Hosono M, Takahira T, Fujita A, Fujihara R, Ishizuka O, Tatee T, Nakamura K. Cardiovascular and adenylate cyclase stimulant properties of NKH477, a novel water-soluble forskolin derivative. J Cardiovasc Pharmacol. 1992 Apr;19(4):625-34. doi: 10.1097/00005344-199204000-00021. PMID: 1380607.

7. Bioactivity

Biological target:

NKH477 (Colforsin dapropate hydrochloride) directly activates the catalytic unit of adenylate cyclase.

In vitro activity

NKH477 is a direct adenylate cyclase activator derived from forskolin and now under clinical investigation as a positive inotropic agent. Addition of NKH477 to the culture medium suppressed the generation of CTL, T cell proliferation in MLR, and production of IL-2 in MLR and in mitogen response.

Reference: Clin Immunol Immunopathol. 1996 Apr;79(1):25-35. https://pubmed.ncbi.nlm.nih.gov/8612348/

In vivo activity

Left lungs were transplanted orthotopically from Brown-Norway donors to Lewis recipients. Recipient rats were untreated or treated daily with different doses of NKH477. NKH477 significantly extended allograft survival time in a dose-dependent manner and reduced histopathological rejection. Treatment with NKH477 inhibited IFN-gamma and IL-10 expression, whereas expression of these cytokines were markedly upregulated in the untreated allografts.

Reference: J Heart Lung Transplant. 2005 Apr;24(4):462-9. https://pubmed.ncbi.nlm.nih.gov/15797749/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.