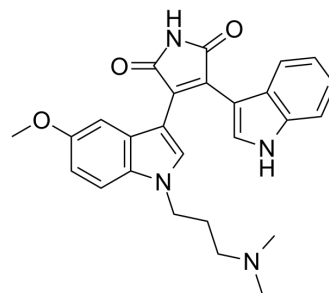


Go 6983

Cat. No.:	HY-13689												
CAS No.:	133053-19-7												
Molecular Formula:	C ₂₆ H ₂₆ N ₄ O ₃												
Molecular Weight:	442.51												
Target:	PKC												
Pathway:	Epigenetics; TGF-beta/Smad												
Storage:	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>6 months</td> </tr> <tr> <td></td> <td>-20°C</td> <td>1 month</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	6 months		-20°C	1 month
Powder	-20°C	3 years											
	4°C	2 years											
In solvent	-80°C	6 months											
	-20°C	1 month											



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 34 mg/mL (76.83 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent	1 mg	5 mg	10 mg
	Concentration	1 mg	5 mg	10 mg
	1 mM	2.2598 mL	11.2992 mL	22.5984 mL
	5 mM	0.4520 mL	2.2598 mL	4.5197 mL
	10 mM	0.2260 mL	1.1299 mL	2.2598 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (5.65 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: 2.5 mg/mL (5.65 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: 2.5 mg/mL (5.65 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Go 6983 is a pan-PKC inhibitor against for PKCα, PKCβ, PKCγ, PKCδ and PKCζ with IC₅₀ of 7 nM, 7 nM, 6 nM, 10 nM and 60 nM, respectively.

IC₅₀ & Target

PKCγ 6 nM (IC ₅₀)	PKCα 7 nM (IC ₅₀)	PKCβ 7 nM (IC ₅₀)	PKCδ 10 nM (IC ₅₀)
PKCζ	PKCμ		

	60 nM (IC ₅₀)	20000 nM (IC ₅₀)
In Vitro	<p>Go 6983 inhibits PKCμ with IC₅₀ of 20 μM, and the other PKC isoenzymes can be suppressed by Go 6983 with IC₅₀ values from 7 to 60 nM^[1]. Go 6983 (100 nM) significantly reduces PMN adherence to the endothelium and infiltration into the myocardium compared with I/R + PMN hearts, and significantly inhibits superoxide release from PMNs by 90 +/- 2% in rat hearts^[2]. Go 6983 (200 nM) has a reduced cardioprotective effect compared with the cardioprotective Go 6983 concentrations (50 and 100 nM) despite inhibiting PMN superoxide release by 99%^[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>	

PROTOCOL

Kinase Assay ^[1]

Phosphorylation reactions are carried out in a total volume of 100 μ L, containing buffer C (50 mM Tris-HCl, pH 7.5, 10 mM β -mercaptoethanol), 4 mM MgCl₂, 10 μ g PS, 100 nM TPA, 5 μ L of a Sf158 cell extract as a source of recombinant PKC μ or of Sf9 cell extracts as a source of other recombinant PKC isoenzymes, 10 μ g of syntide 2 as substrate, and 35 μ M ATP containing 1 μ Ci [γ -³²P]ATP. In some experiments, PS and TPA are omitted or various inhibitors at concentrations indicated in the text are added. After incubation for 10 min at 30°C, the reaction is terminated by transferring 50 μ L of the assay mixture onto a 20 mm square piece of phosphocellulose paper, which is washed 3 times in deionized water and twice in acetone. The radioactivity on each paper is determined by liquid scintillation counting.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Immunity. 2021 Sep 14;54(9):2042-2056.e8.
- Theranostics. 2021 Jan 1;11(6):2612-2633.
- Oncogene. 2021 Jul;40(30):4884-4893.
- Acta Pharm Sin B. 2021 Jan;11(1):71-88.
- Elife. 2021 Mar 3;10:e60889.

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REFERENCES

- [1]. Gschwendt M, et al. Inhibition of protein kinase C μ by various inhibitors. Differentiation from protein kinase c isoenzymes. FEBS Lett, 1996, 392(2), 77-80.
- [2]. Peterman EE, et al. G0 6983 exerts cardioprotective effects in myocardial ischemia/reperfusion. J Cardiovasc Pharmacol, 2004, 43(5), 645-656.
- [3]. Young LH, et al. G0 6983: a fast acting protein kinase C inhibitor that attenuates myocardial ischemia/reperfusion injury. Cardiovasc Drug Rev, 2005, 23(3), 255-272.

Caution: Product has not been fully validated for medical applications. For research use only.

India Contact:

Life Technologies (India) Pvt. Ltd.

306, Aggarwal City Mall, Opposite M2K Pitampura, Delhi – 110034 (INDIA). Ph: +91-11-42208000, 42208111, 42208222, Mobile: +91-9810521400, Fax: +91-11-42208444

Email: customerservice@lifetechindia.com Website: www.lifetechindia.com