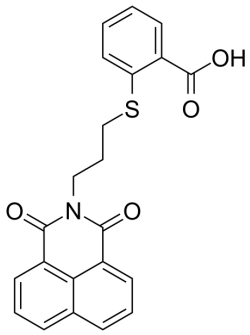
GRI977143

Cat. No.:	HY-100676
CAS No.:	325850-81-5
Molecular Formula:	$C_{22}H_{17}NO_4S$
Molecular Weight:	391.44
Target:	LPL Receptor; Caspase
Pathway:	GPCR/G Protein; Apoptosis
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (127.73 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5547 mL	12.7733 mL	25.5467 mL
	5 mM	0.5109 mL	2.5547 mL	5.1093 mL
	10 mM	0.2555 mL	1.2773 mL	2.5547 mL

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

BIOLOGICAL ACTIVITY

Description

GRI977143 is a specific LPA_2 receptor agonist, with an EC_{50} of 3.3 μM [1].

IC_{50} & Target

LPA_2 Receptor
3.3 μM (EC50)

In Vitro

GRI977143 (10 μM, 24-72 h) is effective in reducing activation of caspases 3, 7, 8, and 9 and inhibits poly(ADP-ribose)polymerase 1 cleavage and DNA fragmentation in different extrinsic and intrinsic models of apoptosis[1].
GRI977143 is an effective stimulator of extracellular signal-regulated kinase 1/2 activation and promotes the assembly of a macromolecular signaling complex consisting of LPA2, Na+-H+ exchange regulatory factor 2, and thyroid receptor interacting protein 6[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Vector- and LPA2-transduced MEF cells (2×10^4)[1].
Concentration:	10 μM.

Incubation Time:	24-72 h.
Result:	Did not cause a significant increase in vector cell proliferation except at 72 h ($p < 0.05$).
Apoptosis Analysis[1].	
Cell Line:	Doxorubicin-induced apoptotic signaling in vector-transduced or LPA2-transduced MEF.
Concentration:	10 μM.
Incubation Time:	24 h.
Result:	Reduced caspase 3 and 7 activation on LPA2-transduced MEF cells by 51 ± 3% and was approximately as potent as 3 μM LPA or OTP. Protected against doxorubicin-induced apoptosis by inhibiting caspase 3, 7, 8, and 9 and reducing DNA fragmentation.

REFERENCES

[1]. Gyöngyi N. Kiss, et al. Virtual Screening for LPA2-Specific Agonists Identifies a Nonlipid Compound with Antiapoptotic Actions. Mol Pharmacol. 2012 Dec; 82(6): 1162–1173.

[2]. Gyöngyi Nagyné Kiss, et al. PHARMACOLOGICAL AND CELLULAR CHARACTERIZATION OF GRI977143, A NOVEL NONLIPID LPA2 RECEPTOR AGONIST IDENTIFIED BY VIRTUAL SCREENING.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA