

# **Data Sheet**

Product Name:	GRI977143	
Cat. No.:	CS-0019915	ОН
CAS No.:	325850-81-5	
Molecular Formula:	C <sub>22</sub> H <sub>17</sub> NO <sub>4</sub> S	
Molecular Weight:	391.44	
Target:	Caspase; LPL Receptor	
Pathway:	Apoptosis; GPCR/G Protein	Ť Ť
Solubility:	DMSO : 50 mg/mL (127.73 mM; Need ultrasonic)	

## **BIOLOGICAL ACTIVITY:**

GRI977143 is a specific LPA<sub>2</sub> receptor agonist, with an EC<sub>50</sub> of 3.3  $\mu$ M <sup>[1]</sup>. IC50 & Target: EC50: 3.3  $\mu$ M (LPA<sub>2</sub> receptor), 6.6  $\mu$ M (LPA<sub>3</sub> receptor)<sup>[1]</sup>. In Vitro: GRI977143 (10  $\mu$ M, 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<sup>[1]</sup>. 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<sup>[1]</sup>.

### **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.

### **CAIndexNames:**

Benzoic acid, 2-[[3-(1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)propyl]thio]-

## SMILES:

O=C(O)C1=CC=CC=C1SCCCN(C(C2=CC=CC3=CC=CC4=C23)=O)C4=O

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

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