



# SZABO SCANDIC

Part of Europa Biosite

## Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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### Lieferung & Zahlungsart

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### Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

### SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

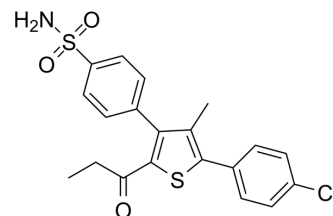
[mail@szabo-scandic.com](mailto:mail@szabo-scandic.com)

[www.szabo-scandic.com](http://www.szabo-scandic.com)

[linkedin.com/company/szaboscandic](https://www.linkedin.com/company/szaboscandic) 

## nAChR agonist 1

|                    |                                                                                                                              |
|--------------------|------------------------------------------------------------------------------------------------------------------------------|
| Cat. No.:          | HY-133011                                                                                                                    |
| CAS No.:           | 1394371-75-5                                                                                                                 |
| Molecular Formula: | C <sub>20</sub> H <sub>18</sub> ClNO <sub>3</sub> S <sub>2</sub>                                                             |
| Molecular Weight:  | 419.94                                                                                                                       |
| Target:            | nAChR                                                                                                                        |
| Pathway:           | Membrane Transporter/Ion Channel; Neuronal Signaling                                                                         |
| Storage:           | <div> Powder -20°C 3 years </div> <div> 4°C 2 years </div> <div> In solvent -80°C 6 months </div> <div> -20°C 1 month </div> |



### SOLVENT & SOLUBILITY

|                                                                               |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |               |           |            |            |
|-------------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------|-----------|------------|------------|
| In Vitro                                                                      | DMSO : 125 mg/mL (297.66 mM; Need ultrasonic)                                                                                                                                                                                                                                                                                                                                                                                                                                       |               |           |            |            |
|                                                                               |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | Mass          |           |            |            |
|                                                                               |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | Solvent       | 1 mg      | 5 mg       | 10 mg      |
|                                                                               |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | Concentration |           |            |            |
|                                                                               | Preparing Stock Solutions                                                                                                                                                                                                                                                                                                                                                                                                                                                           | 1 mM          | 2.3813 mL | 11.9065 mL | 23.8129 mL |
|                                                                               |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | 5 mM          | 0.4763 mL | 2.3813 mL  | 4.7626 mL  |
|                                                                               |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | 10 mM         | 0.2381 mL | 1.1906 mL  | 2.3813 mL  |
| Please refer to the solubility information to select the appropriate solvent. |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |               |           |            |            |
| In Vivo                                                                       | <ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline<br/>Solubility: ≥ 2.08 mg/mL (4.95 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline)<br/>Solubility: ≥ 2.08 mg/mL (4.95 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil<br/>Solubility: ≥ 2.08 mg/mL (4.95 mM); Clear solution</li> </ol> |               |           |            |            |

### BIOLOGICAL ACTIVITY

|             |                                                                                                                                                                                                                                                                                                                                                                                                                                                         |
|-------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description | nAChR agonist 1 is a potent, brain-permeable, and orally efficacious positive allosteric modulator of α7 nicotinic acetylcholine receptor (α7 nAChR). nAChR agonist 1 has the EC <sub>50</sub> of 0.32 μM in a Ca <sup>2+</sup> mobilization assay (PNU-282987-induced, FLIPR based) in human IMR-32 neuroblastoma cells that endogenously express α7 nAChR. nAChR agonist 1 can be developed for the treatment of Alzheimer's disease <sup>[1]</sup> . |
| In Vivo     | Acute (single-dose) oral administration of nAChR agonist 1 (compound 28) prior to memory acquisition, significantly increased the discrimination index in both time-delay and scopolamine-induced 8 amnesia at 1 and 3 mg/kg dose levels in                                                                                                                                                                                                             |

male Wistar rats. nAChR agonist 1 also significantly improved the discrimination index in the memory consolidation paradigm, when administered immediately after the memory acquisition trial<sup>[1]</sup>.

nAChR agonist 1 treatment (10 mg/kg; p.o.) shows that the AUC, C<sub>max</sub>, and F values are 63 h μM, 2.3 μM, 63%, respectively<sup>[1]</sup>.

nAChR agonist 1 (1 mg/kg; i.v.) treatment shows that the AUC, C<sub>max</sub>, T<sub>1/2</sub>, CL, and V<sub>ss</sub> are 1.3 h μM, 0.9 μM, 1.4 hours, 31 mL/min/kg, 3 L/kg, respectively<sup>[1]</sup>.

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

|                 |                                                                                  |
|-----------------|----------------------------------------------------------------------------------|
| Animal Model:   | Male BALB/c mice <sup>[1]</sup>                                                  |
| Dosage:         | 10 mg/kg                                                                         |
| Administration: | p.o. (Pharmacokinetic Analysis)                                                  |
| Result:         | The AUC, C <sub>max</sub> , and F values are 63 h μM, 2.3 μM, 63%, respectively. |

## REFERENCES

[1]. Sinha N, et al. Discovery of Novel, Potent, Brain-Permeable, and Orally Efficacious Positive Allosteric Modulator of α7 Nicotinic Acetylcholine Receptor [4-(5-(4-Chlorophenyl)-4-methyl-2-propionylthiophen-3-yl)benzenesulfonamide]: Structure-Activity Relati

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

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