



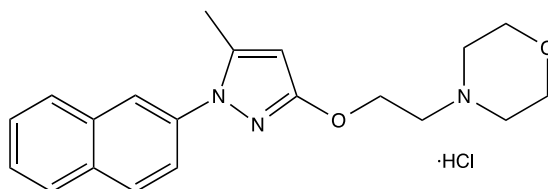
## Catalog # 10-4201

### S1RA

4-{2-[5-Methyl-1-(naphthalen-2-yl)-1H-pyrazol-3-yloxy]ethyl}morpholine hydrochloride; E-52862 HCl

1265917-14-3

Lot # FBS1062



S1RA (E-52862) is a potent and selective  $\sigma_1$  antagonist with weak binding at  $\sigma_2$  ( $IC_{50}$ 's:  $\sigma_1 = 17\text{nM}$ ,  $\sigma_2 = 9300\text{nM}$ ).<sup>1,2</sup> S1RA abolished mechanical and thermal hyperalgesia in mice with carrageenan-induced acute inflammation by enhancing the action of endogenous opioid peptides of immune origin in a  $\sigma_1$  dependent manner.<sup>3</sup> S1RA potentiated  $\mu$ -opioid antinociception in mice in a  $\sigma$ -dependent manner.<sup>4</sup> S1RA displayed neuroprotective effects in a mouse model of ischemic stroke.<sup>5</sup>

- 1) Romero *et al.* (2012), *Pharmacological properties of S1RA, a new sigma-1 receptor antagonist that inhibits neuropathic pain and activity-induced spinal sensitization*; Br.J.Pharmacol. **166** 2289
- 2) Diaz *et al.* (2012), *Synthesis and biological evaluation of the 1-arylpyrazole class of  $\sigma(1)$  receptor antagonists: identification of 4-{2-[5-Methyl-1-(naphthalen-2-yl)-1H-pyrazol-3-yloxy]ethyl}morpholine hydrochloride (S1RA, E-52862)*; J.Med.Chem. **55** 8211
- 3) Tejada *et al.* (2017), *Sigma-1 receptors control immune-driven peripheral opioid analgesia during inflammation in mice*; Proc.Natl.Acad.Sci.USA **114** 8396
- 4) Sanchez-Fernandez *et al.* (2014), *Modulation of peripheral  $\mu$ -opioid analgesia by  $\sigma_1$  receptors*; J.Pharmacol.Exp.Ther. **348** 32
- 5) Sanchez-Blazquez *et al.* (2018), *The Sigma-1 Receptor Antagonist, S1RA, Reduces Stroke Damage, Ameliorates Post-Stroke Neurological Deficits and Suppresses the Overexpression of MMP-9*; Mol.Neurobiol. **55** 4940

### PHYSICAL DATA

Molecular Weight: 373.88  
Molecular Formula:  $C_{20}H_{23}N_3O_2 \cdot HCl$   
Purity: >98% by HPLC  
NMR: Conforms  
Solubility: DMSO (>25 mg/mL)  
Physical Description: Off-white solid  
Storage and Stability: Store as supplied desiccated at  $-20^\circ\text{C}$  for up to 1 year from the date of purchase.  
Solutions in DMSO may be stored at  $-20^\circ\text{C}$  for up to 3 months.

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