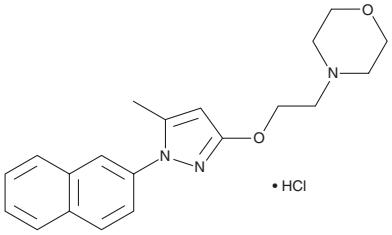
PRODUCT INFORMATION

S1RA (hydrochloride)

Item No. 32729

CAS Registry No.: 1265917-14-3
Formal Name: 4-[2-[[5-methyl-1-(2-naphthalenyl)-1H-pyrazol-3-yl]oxy]ethyl]-morpholine, monohydrochloride
Synonyms: E-52862, P 027
MF: $C_{20}H_{23}N_3O_2 \bullet HCl$
FW: 373.9
Purity: ≥98%
UV/Vis.: λ_{max}: 217, 258 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

S1RA (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the S1RA (hydrochloride) in the solvent of choice, which should be purged with an inert gas. S1RA (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of S1RA (hydrochloride) in these solvents is approximately 1 and 10 mg/ml, respectively.

S1RA (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, the crystalline solid should first be dissolved in DMF and then diluted with the aqueous buffer of choice. S1RA (hydrochloride) has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

S1RA is a sigma-1 (σ_1) receptor antagonist (K_i = 17 nM).[1] It is selective for σ_1 receptors over σ_2 receptors (K_i = 9,300 nM), as well as a panel of 170 additional receptors at 1 µM. S1RA reduces capsaicin-induced mechanical allodynia, formalin-induced licking and biting behavior, and partial sciatic nerve ligation-induced thermal hyperalgesia in mice (ED_{50}s = 26.3, 43.7, and 18.8 mg/kg, respectively). It also enhances fentanyl- or loperamide-induced analgesia without affecting gastrointestinal transit in mice.[2]

References

1. Romero, L., Zamanillo, D., Nadal, X., *et al.* Pharmacological properties of S1RA, a new sigma-1 receptor antagonist that inhibits neuropathic pain and activity-induced spinal sensitization. *Br. J. Pharmacol.* **166(8)**, 2289-2306 (2012).
2. Sánchez-Fernández, C., Montilla-García, Á., González-Cano, R., *et al.* Modulation of peripheral µ-opioid analgesia by σ_1 receptors. *J. Pharmacol. Exp. Ther.* **348(1)**, 32-45 (2014).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM