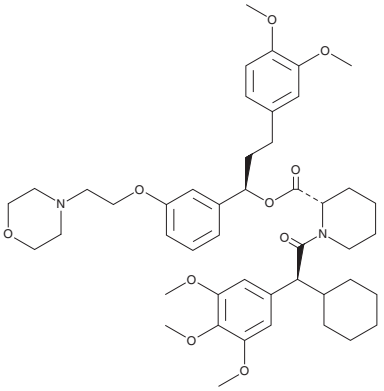
PRODUCT INFORMATION

SAfit2

Item No. 24366



CAS Registry No.: 1643125-33-0
Formal Name: (2S)- 1-[(2S)-2-cyclohexyl-2-(3,4,5-trimethoxyphenyl)acetyl]-2-piperidinecarboxylic acid, (1R)-3-(3,4-dimethoxyphenyl)-1-[3-[2-(4-morpholinyl)ethoxy]phenyl]propyl ester
MF: $C_{46}H_{62}N_2O_{10}$
FW: 803.0
Purity: ≥95%
UV/Vis.: λ_{max}: 207, 281 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

SAfit2 is supplied as a crystalline solid. A stock solution may be made by dissolving the SAfit2 in the solvent of choice, which should be purged with an inert gas. SAfit2 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SAfit2 in ethanol is approximately 10 mg/ml and approximately 50 mg/ml in DMSO and DMF, respectively.

SAfit2 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SAfit2 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SAfit2 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SAfit2 is a potent inhibitor of FK506-binding protein 51 (FKBP51; K_i = 6 nM).[1] It is highly selective for FKBP51 over FKBP52 (K_i = >50,000 nM) and has increased brain permeability in comparison to SAfit1. SAfit2 (20 mg/kg) enhances HPA axis suppression in mice by decreasing plasma corticosterone levels to a greater extent than in control mice following dexamethasone administration. It also does not increase plasma corticosterone levels as high as in control mice following subsequent administration of corticotropin-releasing factor (CRF). It decreases the time spent immobile in the forced swim test and increases the time spent in the open arms of the elevated plus maze, indicating antidepressant-like and anxiolytic-like activity, respectfully.[2]

References

1. Gaali, S., Kirschner, A., Cuboni, S., *et al.* Selective inhibitors of the FK506-binding protein 51 by induced fit. *Nat. Chem. Biol.* **11(1)**, 33-37 (2015).
2. Hartmann, J., Wagner, K.V., Gaali, S., *et al.* Pharmacological inhibition of the psychiatric risk factor FKBP51 has anxiolytic properties. *J. Neurosci.* **35(24)**, 9007-9016 (2015).

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