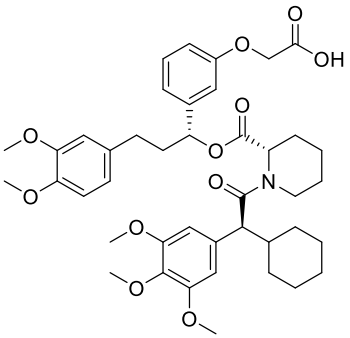
Product data sheet

MedKoo Cat#: 562644 Name: SAFit1 CAS#: 1643125-32-9 Chemical Formula: $C_{42}H_{53}NO_{11}$ Exact Mass: 747.3619 Molecular Weight: 747.88	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
SAFit1 is a selective inhibitor of the FK506-binding protein 51 (FKBP51).

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
To be determined	To be determined	To be determined

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.34 mL	6.69 mL	13.37 mL
5 mM	0.27 mL	1.34 mL	2.67 mL
10 mM	0.13 mL	0.67 mL	1.34 mL
50 mM	0.03 mL	0.13 mL	0.27 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Sidibeh CO, Pereira MJ, Abalo XM, J Boersma G, Skrtic S, Lundkvist P, Katsogiannos P, Hausch F, Castillejo-López C, Eriksson JW. FKBP5 expression in human adipose tissue: potential role in glucose and lipid metabolism, adipogenesis and type 2 diabetes. Endocrine. 2018 Oct;62(1):116-128. doi: 10.1007/s12020-018-1674-5. Epub 2018 Jul 21. PMID: 30032404; PMCID: PMC6153563.
2. Gaali S, Kirschner A, Cuboni S, Hartmann J, Kozany C, Balsevich G, Namendorf C, Fernandez-Vizarra P, Sippel C, Zannas AS, Draenert R, Binder EB, Almeida OF, Rühter G, Uhr M, Schmidt MV, Touma C, Bracher A, Hausch F. Selective inhibitors of the FK506-binding protein 51 by induced fit. Nat Chem Biol. 2015 Jan;11(1):33-7. doi: 10.1038/nchembio.1699. Epub 2014 Dec 1. PMID: 25436518.

In vivo study

1. Gabani BB, Sulochana SP, Siddesh AHA, Kiran V, Saini NK, Samanta SK, Hallur MS, Rajagopal S, Mullangi R. Validated LC-MS/MS Method for Simultaneous Quantitation of SAFit-1 and SAFit-2 in Mice Plasma: Application to a Pharmacokinetic Study. Drug Res (Stuttg). 2020 Jul;70(7):325-332. doi: 10.1055/a-1164-6123. Epub 2020 May 13. PMID: 32403135.

7. Bioactivity
Biological target:
SAFit1 is a FK506 binding protein 51 (FKBP51)-specific inhibitor with a Ki of 4±0.3 nM.

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In vitro activity

SAFit1 may hold promise as a therapeutic intervention to modulate the activity of FKBP51, potentially addressing glucocorticoid-induced insulin resistance. When human subcutaneous adipose tissue (SAT) samples were incubated with SAFit1, it exhibited the ability to partially counteract the detrimental effects of the synthetic glucocorticoid dexamethasone. SAFit1 played a role in mitigating the impairment of glucose uptake caused by glucocorticoids.

Reference: Endocrine. 2018 Oct;62(1):116-128. https://pubmed.ncbi.nlm.nih.gov/30032404/

In vivo activity

This paper presents the development and validation data of an LC-MS/MS method for the simultaneous quantitation of SAFit1 and SAFit2 in mice plasma as per FDA regulatory guidelines. In mouse plasma, SAFit1 displayed a linear concentration range. SAFit1 exhibited stability under various conditions and was successfully applied in mice.

Reference: Drug Res (Stuttg). 2020 Jul;70(7):325-332. https://pubmed.ncbi.nlm.nih.gov/32403135/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.