

Product Data Sheet

Product Name: FK-506-13C-d2

Cat. No.: GC47352

Chemical Properties

Cas. No. 1356841-89-8

SMILES O=C([C@@](CCCC1)([H])N1C(C([C@@]2(O)[C@H](C)C[C@H](OC)[C@@](O2)([H])[C@@H](OC)C[C@@H](C)C/C(C)=C/[C@H]3C/C=[13C]([2H])([2H])=O)=O)O[C@H](/C(C)=C/[C@H]4C[C@@H](OC)[C@H](O)CC4)[C@H](C)[C@@H](O)CC3=O

Formula C43[13C]H67D2NO12 M.Wt 807

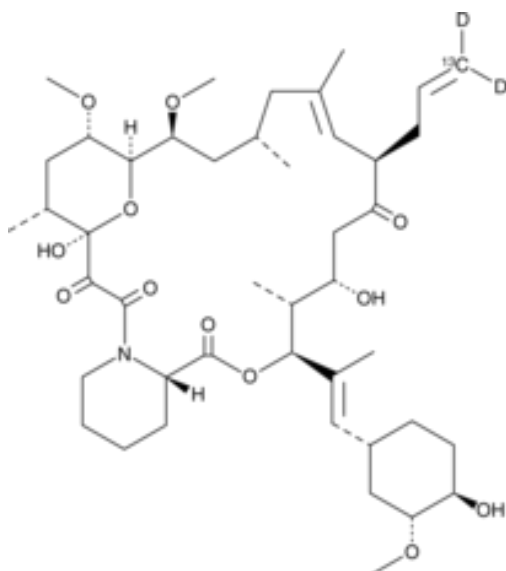
Solubility DMSO : 150 mg/mL (185.87 mM; Need ultrasonic and warming);
Ethanol : ≥ 30 mg/mL (37.17 mM);
DMF : ≥ 30 mg/mL (37.17 mM);
DMSO : ≥ 20 mg/mL (24.78 mM)

Storage Store at -20°C, protect from light

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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FK-506-¹³C-d₂ contains two deuterium atoms located on the carbon-13 bond. It is intended for use as an internal standard for the quantification of FK-506 by GC- or LC-MS. FK-506 is a potent, clinically-useful immunosuppressant in the same molecular class as cyclosporin A and rapamycin.¹ Its mechanism of action involves the formation of a high affinity complex ($K_i = 0.2$ nM) with FK-506 Binding Protein 12 (FKBP12). This complex then inhibits the activity of the calcium/calmodulin-dependent protein phosphatase, calcineurin, leading to disruption of T cell activation.² The physiological effects of FK-506 also include regulation of nitric oxide neurotoxicity, neurotransmitter release, and regulation of Ca^{2+} release *via* the ryanodine and inositol-(1,4,5)-trisphosphate (IP₃) receptors.³ In the latter case, FKBP12 forms a tight complex with both ryanodine and IP₃ receptors which can be disrupted by FK-506, thereby rendering the receptors "leaky" to Ca^{2+} .

1.Schreiber, S.L.Chemistry and biology of the immunophilins and their immunosuppressive ligandsScience251(4991)283-287(1991) 2.Dumont, F.J.FK506, An immunosuppressant targeting calcineurin functionCurr. Med. Chem.7(7)731-748(2000) 3.Snyder, S.H., Sabatini, D.M., Lai, M.M., et al.Neural actions of immunophilin ligandsTrends Pharmacol. Sci.19(1)21-26(1998)

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