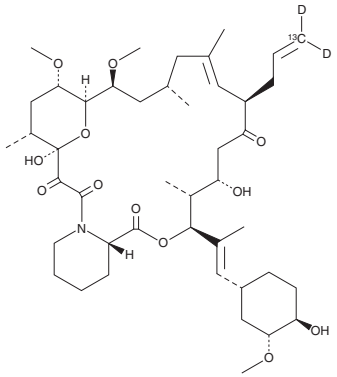
PRODUCT INFORMATION

FK-506-^{13}C-d_2

Item No. 22178



CAS Registry No.:	1356841-89-8
Synonyms:	Tacrolimus-^{13}C-d_2
MF:	$C_{43}[^{13}C]H_{67}D_2NO_{12}$
FW:	807.0
Chemical Purity:	≥85% (FK-506)
Deuterium Incorporation:	≥98% deuterated forms (d_1-d_2); ≤2% d_0
Supplied as:	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

FK-506-^{13}C-d_2 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 (Item No. 10007965) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

FK-506-^{13}C-d_2 is supplied as a solid. A stock solution may be made by dissolving the FK-506-^{13}C-d_2 in the solvent of choice. FK-506-^{13}C-d_2 is slightly soluble in organic solvents such as methanol and chloroform which should be purged with an inert gas.

Description

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 (IP_3) receptors.[3] In the latter case, FKBP12 forms a tight complex with both ryanodine and IP_3 receptors which can be disrupted by FK-506, thereby rendering the receptors 'leaky' to Ca^{2+}.

References

1. Schreiber, S.L. Chemistry and biology of the immunophilins and their immunosuppressive ligands. *Science* **251(4991)**, 283-287 (1991).
2. Dumont, F.J. FK506, An immunosuppressant targeting calcineurin function. *Curr. Med. Chem.* **7(7)**, 731-748 (2000).
3. Snyder, S.H., Sabatini, D.M., Lai, M.M., *et al.* Neural actions of immunophilin ligands. *Trends Pharmacol. Sci.* **19(1)**, 21-26 (1998).

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