

## Produktinformation



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# **PRODUCT** INFORMATION



FK-506-<sup>13</sup>C-d<sub>2</sub> Item No. 22178

CAS Registry No.: 1356841-89-8 Tacrolimus-<sup>13</sup>C-d<sub>2</sub> Synonyms: C<sub>43</sub>[<sup>13</sup>C]H<sub>67</sub>D<sub>2</sub>NÕ<sub>12</sub> MF: FW: 807.0 Chemical Purity: ≥85% (FK-506) Deuterium Incorporation:  $\geq$ 99% deuterated forms (d<sub>1</sub>-d<sub>2</sub>);  $\leq$ 1% d<sub>0</sub> 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-<sup>13</sup>C-d<sub>2</sub> 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<sub>2</sub> is supplied as a solid. A stock solution may be made by dissolving the FK-506- $^{13}$ C-d<sub>2</sub> in the solvent of choice. FK-506-<sup>13</sup>C-d<sub>2</sub> 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.<sup>1</sup> 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/calmodulindependent protein phosphatase, calcineurin, leading to disruption of T cell activation.<sup>2</sup> 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<sub>3</sub>) receptors.<sup>3</sup> In the latter case, FKBP12 forms a tight complex with both ryanodine and IP<sub>3</sub> 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).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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