1.15 Purified and Certified Immunosuppressant Metabolites

Sirolimus Demethylated Metabolites

Sirolimus

39-O-desmethyl Sirolimus

16-O-desmethyl Sirolimus

Sirolimus hydroxylated metabolites

46-OH sirolimus

14-OH sirolimus

sirolimus

24-OH sirolimus

25-OH sirolimus

11-OH sirolimus

12-OH sirolimus
Sirolimus

Atom numbering follows the IUPAC nomenclature

All 10-50 µg/ batch

- 12-hydroxy sirolimus
- 24-hydroxy sirolimus
- 25-hydroxy sirolimus
- 46-hydroxy sirolimus
- Piperidine hydroxy sirolimus
- 49-hydroxy sirolimus
- 16-O-desmethyl sirolimus
- 27-O-desmethyl sirolimus
- 39-O-desmethyl sirolimus
- Selected didesmethyl sirolimus

Metabolites

Publications:

1.15. Purified and Certified Immunosuppressant Metabolites (continued)

Structure of tacrolimus (A), major metabolic pathways (B), and structural isomers of 13-O-demethyl tacrolimus (C).

Second and higher generations
Tacrolimus

Atom numbering follows the IUPAC nomenclature

• 13-O-desmethyl tacrolimus: 250-500 µg
• 15-O-desmethyl tacrolimus: 50-100 µg
• 31-O-desmethy tacrolimus: 50-100 µg
• 12-hydroxy tacrolimus: 10-25 µg

• 13,31-di-O-desmethyl tacrolimus: 10-25 µg
• 15,31-di-O-desmethyl tacrolimus: 10-25 µg
• 13,15-di-O-desmethyl tacrolimus: 10-25 µg
• 31-O-desmethyl and ring formation between C19, C36 and C37: 10-25 µg

Publications:

1.15. Purified and Certified Immunosuppressant Metabolites (continued)

Major Metabolism Sites of Cyclosporine

Publications:


1.15. Purified and Certified Immunosuppressant Metabolites (continued)

Everolimus

Numbering follows the IUPAC nomenclature, the arrows indicate hydroxylation positions, circles O-dealkylation.

All 10-50 µg/ batch

- 12-hydroxy everolimus
- 24-hydroxy everolimus
- 25-hydroxy everolimus
- 46-hydroxy everolimus
- Piperidine hydroxy everolimus
- 49-hydroxy everolimus
- 16-O-desmethyl everolimus
- 27-O-desmethyl everolimus
- 39-O-desmethyl everolimus
- Selected didesmethyl everolimus metabolites
- Selected dihydroxy everolimus metabolites
- Seco everolimus and other selected degradation products
Publications

