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Appendix of Intermediates of type 3-5 (Scheme 1):

3,3-Di-(4-fluorophenyl)-oxirane-2-carboxylic acid methyl ester

(3b; R₁ = F)

mp.: 62-68°C

¹H-NMR (CDCl₃) δ: 3.55 (s, 3H), 4.00 (s, 1H), 6.95-7.50 (m, 8H).

3,3-Di-(4-chlorophenyl)-oxirane-2-carboxylic acid methyl ester

(3c; R₁ = Cl)

mp.: 102-107°C

¹H-NMR (DMSO) δ: 3.45 (s, 3H), 4.40 (s, 1H), 7.25-7.55 (m, 8H)

3,3-Di-(4-methylphenyl)-oxirane-2-carboxylic acid methyl ester

(3d; R₁ = Me)

oil

¹H-NMR (CDCl₃) δ: 2.35 (s, 3H), 2.38 (s, 3H), 3.55 (s, 3H),

4.00 (s, 1H), 7.10-7.40 (m, 8H).

3,3-Di-(3-fluorophenyl)-oxirane-2-carboxylic acid methyl ester

(3e; R₁ = F)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 3.60 (s, 3H), 4.00 (s, 1H), 7.0-7.40 (m, 8H).

3,3-Di-(3-methoxyphenyl)-oxirane-2-carboxylic acid methyl ester

(3f; $\text{R}_1 = \text{OMe}$)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 3.40 (s, 3H), 3.45 (s, 3H), 3.50 (s, 3H), 4.00 (s, 1H), 6.80-7.20 (m, 8H).

3,3-Di-(3-methylphenyl)-oxirane-2-carboxylic acid methyl ester

(3g; $\text{R}_1 = \text{Me}$)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 2.30 (s, 3H), 2.32 (s, 3H), 3.50 (s, 3H), 3.95 (s, 1H), 7.10-7.40 (m, 8H).

3,3-Di-(2-fluorophenyl)-oxirane-2-carboxylic acid methyl ester

(3h; $\text{R}_1 = \text{F}$)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 3.45 (s, 3H), 3.95 (s, 1H), 6.85-7.30 (m, 8H).

2-Hydroxy-3-methoxy-3,3-di(4-fluorophenyl)-propionic acid methyl ester (4b; R₁ = F, R₂ = Me)

mp.: 98-103°C

¹H-NMR (CDCl₃) δ: 3.10 (s, 3H), 3.65 (s, 3H), 5.10 (s, 1H), 6.90-7.45 (m, 8H).

2-Hydroxy-3-methoxy-3,3-di(4-chlorophenyl)-propionic acid methyl ester (4c; R₁ = Cl, R₂ = Me)

oil

¹H-NMR (CDCl₃) δ: 3.20 (s, 3H), 3.50 (s, 3H), 5.20 (d, 1H), 6.05 (d, 1H), 7.25-7.45 (m, 8H).

2-Hydroxy-3-methoxy-3,3-di(4-methylphenyl)-propionic acid methyl ester (4d; R₁ = Me, R₂ = Me)

oil

¹H-NMR (CDCl₃) δ: 2.35 (s, 3H), 2.38 (s, 3H), 2.95 (d, 1H), 3.15 (s, 3H), 3.65 (s, 3H), 5.15 (d, 1H), 7.10-7.35 (m, 8H).

2-Hydroxy-3-methoxy-3,3-di(3-fluorophenyl)-propionic acid methyl ester (4e; R₁ = F, R₂ = Me)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 3.00 (d, 1H), 3.20 (s, 3H), 5.10 (d, 1H), 6.90-7.45 (m, 8H).

2-Hydroxy-3-methoxy-3,3-di(3-methoxyphenyl)-propionic acid methyl ester (4f; $\text{R}_1 = \text{OMe}$, $\text{R}_2 = \text{Me}$)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 2.95 (s, 3H), 3.50 (s, 3H), 3.75 (s, 3H), 3.80 (s, 3H), 5.20 (d, 1H), 6.85-7.40 (m, 8H).

2-Hydroxy-3-methoxy-3,3-di(3-methylphenyl)-propionic acid methyl ester (4g; $\text{R}_1 = \text{Me}$, $\text{R}_2 = \text{Me}$)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 2.38 (s, 3H), 2.40 (s, 3H), 2.97 (d, 1H), 3.20 (s, 3H), 3.50 (s, 3H), 3.50 (s, 3H), 5.20 (d, 1H), 6.90-7.50 (m, 8H).

2-Hydroxy-3-methoxy-3,3-di(2-fluorophenyl)-propionic acid methyl ester (4h; $\text{R}_1 = \text{F}$, $\text{R}_2 = \text{Me}$)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 2.95 (d, 1H), 3.65 (s, 3H), 5.10 (d, 1H), 6.90-7.60 (m, 8H).

2-Hydroxy-3-ethoxy-3,3-diphenyl-propionic acid methyl ester (4i;

$\text{R}_1 = \text{H}$, $\text{R}_2 = \text{Et}$)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 1.20 (t, 3H), 3.00 (d, 1H), 3.45 (q, 2H), 3.60 (s, 3H), 5.20 (d, 1H), 7.20-7.45 (m, 8H).

2-Hydroxy-3-propoxy-3,3-diphenyl-propionic acid methyl ester (4j;

$\text{R}_1 = \text{H}$, $\text{R}_2 = \text{Pr}$)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 1.00 (t, 3H), 1.60 (m, 2H), 3.00 (d, 1H), 3.40 (m, 2H), 3.60 (d, 1H), 7.20-7.45 (m 10H).

2-Hydroxy-3-phenoxy -3,3-diphenyl-propionic acid methyl ester (4k;

$\text{R}_1 = \text{H}$, $\text{R}_2 = \text{Ph}$)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 3.55 (s, 3H), 3.15 (d, 1H), 5.35 (d, 1H), 6.70-7.60 (m, 15H).

2-Hydroxy-3-(3,3-dimethyl-but-1-oxy)-3,3-diphenyl-propionic acid

methyl ester (4l; R₁ = H, R₂ = (CH₂)₂-tBu)

oil

¹H-NMR (CDCl₃) δ: 0.85 (s, 1H), 1.50 (m, 2H), 3.00 (d, 1H), 3.50 (m, 2H), 3.65 (s, 3H), 5.20 (d, 1H), 7.25-7.50 (m, 8H).

2-Hydroxy-3-benzoxo-3,3-diphenyl-propionic acid methyl ester (4m;

R₁ = H, R₂ = benzoxo)

oil

¹H-NMR (CDCl₃) δ: 3.00 (d, 1H), 3.65 (s, 3H), 4.30 (d, 1H), 4.50 (d, 1H), 5.30 (d, 1H), 7.25-7.55 (m, 15H).

2-(4,6-Dimethoxy-pyrimidin-2-yloxy)-3-methoxy-3,3-di(4-

fluorophenyl)-propionic acid methyl ester (5b; R₁ = F, R₂ = Me, R₃

= 4,6-OMe)

oil

¹H-NMR (CDCl₃) δ: 3.35 (s, 3H), 3.45 (s, 3H), 3.85 (s, 6H), 5.75 (s, 1H), 5.95 (s, 1H), 6.95-7.50 (m, 8H).

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2-(4,6-Dimethoxy-pyrimidin-2-yloxy)-3-methoxy-3,3-di(4-fluorophenyl)-propionic acid methyl ester (5c; R₁ = Cl, R₂ = Me, R₃ = 4,6-OMe)

mp.: 145-149°C

¹H-NMR (CDCl₃) δ: 3.35 (s, 3H), 3.45 (s, 3H), 3.85 (s, 6H), 5.70 (s, 1H), 5.95 (s, 1H), 7.25-7.40 (m, 8H).

2-(4,6-Dimethoxy-pyrimidin-2-yloxy)-3-methoxy-3,3-di(4-methylphenyl)-propionic acid methyl ester (5d; R₁ = Me, R₂ = Me, R₃ = 4,6-OMe)

oil

¹H-NMR (CDCl₃) δ: 2.35 (s, 6H), 3.35 (s, 3H), 3.50 (s, 3H), 3.85 (s, 6H), 5.70 (s, 1H), 6.05 (s, 1H), 7.10-7.40 (m, 8H).

2-(4,6-Dimethoxy-pyrimidin-2-yloxy)-3-methoxy-3,3-di(3-fluorophenyl)-propionic acid methyl ester (5e; R₁ = F, R₂ = Me, R₃ = 4,6-OMe)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 3.40 (s, 3H), 3.50 (s, 3H), 3.85 (s, 6H), 5.75 (s, 1H), 5.95 (s, 1H), 6.90-7.40 (m, 8H).

2-(4,6-Dimethoxy-pyrimidin-2-yloxy)-3-methoxy-3,3-di(3-methoxyphenyl)-propionic acid methyl ester (5f; $\text{R}_1 = \text{OMe}$, $\text{R}_2 = \text{Me}$, $\text{R}_3 = 4,6\text{-OMe}$)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 3.40 (s, 3H), 3.45 (s, 3H), 3.70 (s, 6H), 3.72 (s, 6H), 5.65 (s, 1H), 6.05 (s, 1H), 6.75-7.20 (m, 8H).

2-(4,6-Dimethoxy-pyrimidin-2-yloxy)-3-methoxy-3,3-di(3-methylphenyl)-propionic acid methyl ester (5g; $\text{R}_1 = \text{Me}$, $\text{R}_2 = \text{Me}$, $\text{R}_3 = 4,6\text{-OMe}$)

oil

$^1\text{H-NMR}$ (CDCl_3) δ : 2.28 (s, 3H), 2.30 (s, 3H), 3.40 (s, 3H), 3.45 (s, 3H), 3.70 (s, 6H), 5.65 (s, 1H), 6.05 (s, 1H), 6.95-7.35 (m, 8H).

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2-(4,6-Dimethoxy-pyrimidin-2-yloxy)-3-methoxy-3,3-di(2-fluorophenyl)-propionic acid methyl ester (5h; R₁ = F, R₂ = Me, R₃ = 4,6-OMe)

oil

¹H-NMR (CDCl₃) δ: 3.45 (s, 3H), 3.50 (s, 3H), 3.80 (s, 6H), 5.95 (s, 1H), 6.30 (s, 1H), 7.00-7.80 (m, 8H).

2-(4,6-Dimethoxy-pyrimidin-2-yloxy)-3-ethoxy-3,3-diphenyl-propionic acid methyl ester (5i; R₁ = H, R₂ = Et, R₃ = 4,6-OMe)

oil

¹H-NMR (CDCl₃) δ: 1.20 (t, 3H), 3.45 (s, 3H), 3.65 (q, 2H), 3.85 (s, 6H), 5.75 (s, 1H), 6.05 (s, 1H), 7.25-7.45 (m, 10H).

2-(4,6-Dimethoxy-pyrimidin-2-yloxy)-3-propoxy-3,3-diphenyl-propionic acid methyl ester (5j; R₁ = H, R₂ = Pr, R₃ = 4,6-OMe)

oil

¹H-NMR (CDCl₃) δ: 1.00 (t, 3H), 1.60 (m, 2H), 3.45 (s, 3H), 3.60 (m, 2H), 3.90 (s, 6H), 5.75 (s, 1H), 6.05 (s, 1H), 7.20-7.50 (m, 10H).

2-(4,6-Dimethoxy-pyrimidin-2-yloxy)-3-phenoxy-3,3-diphenyl-propionic acid methyl ester (5k; R₁ = H, R₂ = Ph, R₃ = 4,6-OMe)

oil

¹H-NMR (CDCl₃) δ: 3.40 (s, 3H), 3.90 (s, 6H), 5.65 (s, 1H), 6.22 (s, 1H), 6.80-7.70 (m, 15H).

2-(4,6-Dimethoxy-pyrimidin-2-yloxy)-3-(3,3-dimethyl-but-1-oxy)-3,3-diphenyl-propionic acid methyl ester (5l; R₁ = H, R₂ = (CH₂)₂-tBu,

R₃ = 4,6-OMe)

oil

¹H-NMR (CDCl₃) δ: 0.90 (s, 9H), 1.60 (m, 2H), 3.60 (m, 2H), 3.95 (s, 9H), 5.75 (s, 1H), 6.00 (s, 1H), 7.20 -7.50 (m, 10H).

2-(4,6-Dimethoxy-pyrimidin-2-yloxy)-3-hydroxy-3,3-diphenyl-propionic acid methyl ester (5m; R₁ = H, R₂ = OH, R₃ = 4,6-OMe)

oil

¹H-NMR (CDCl₃) δ: 3.45 (s, 3H), 5.90 (s, 1H), 6.05 (s, 1H), 6.25 (broad, 1H), 7.10-7.55 (m, 10H).

2-(4,6-Diethoxy-pyrimidin-2-yloxy)-3-methoxy-3,3-diphenyl-propionic acid methyl ester (5n; R₁ = H, R₂ = Me, R₃ = 4,6-OEt)

oil

¹H-NMR (CDCl₃) δ: 1.30 (t, 6H), 3.50 (s, 3H), 3.60 (s, 3H), 4.30 (m, 4H), 5.70 (s, 1H), 6.00 (s, 1H), 7.25-7.50 (m, 10H).

2-(4,6-Dimethyl-pyrimidin-2-yloxy)-3-methoxy-3,3-diphenyl-propionic acid methyl ester (5o; R₁ = H, R₂ = Me, R₃ = 4,6-Me)

oil

¹H-NMR (CDCl₃) δ: 2.35 (s, 6H), 3.40 (s, 3H), 3.45 (s, 3H), 6.15 (s, 1H), 6.70 (s, 1H), 7.20-7.50 (m, 10H).

3-Methoxy-2-(4-methoxy-5,6-dihydrofuro[2,3-d]-pyrimidin-2-yloxy)-3,3-diphenyl-propionic acid methyl ester (5p; R₁ = H, R₂ = Me, R₃ = 4-OMe, 5,6-CH₂-CH₂-O-)

oil

¹H-NMR (CDCl₃) δ: 3.10 (t, 2H), 3.40 (s, 3H), 3.42 (s, 3H), 3.90 (s, 3H), 4.65 (t, 2H), 6.05 (s, 1H), 7.20-7.50 (m, 10H).

3-Methoxy-2-(4-methoxy-6,7-dihydro-5H-cyclopentapyrimidin-2-yloxy)-3,3-diphenyl-propionic acid methyl ester (5q; R₁ = H, R₂ = Me, R₃ = 4-OMe, CH₂-CH₂-CH₂-)

oil

¹H-NMR (CDCl₃) δ: 2.10 (quint., 2H), 2.75 (t, 2H), 2.90 (t, 2H), 3.40 (s, 3H), 3.45 (s, 3H), 3.95 (s, 3H), 6.05 (s, 1H), 7.20 -7.50 (m, 10H).

2-(4-Methyl-pyrimidin-2-yloxy)-3-methoxy-3,3-diphenyl-propionic acid methyl ester (5r; R₁ = H, R₂ = Me, R₃ = 4-Me)

oil

¹H-NMR (CDCl₃) δ: 2.35 (s, 3H), 3.42 (s, 3H), 3.45 (s, 3H), 6.02 (s, 1H), 6.80 (d, 1H), 6.95-7.45 (m, 10H), 8.25 (d, 1H).

2-(4-Methoxy-6-methyl-pyrimidin-2-yloxy)-3-methoxy-3,3-diphenyl-propionic acid methyl ester (5s; R₁ = H, R₂ = Me, R₃ = 4-OMe, 6-Me)

oil

¹H-NMR (CDCl₃) δ: 2.30 (s, 3H), 3.40 (s, 3H), 3.45 (s, 3H), 3.65 (s, 3H), 6.10 (s, 1H), 6.20 (s, 1H), 7.00-7.45 (m, 10H).

2-(4, 6-Ethyl-pyrimidin-2-yloxy)-3-methoxy-3,3-diphenyl-propionic acid methyl ester (5t; R₁ = H, R₂ = Me, R₃ = 4,6-Et)

oil

¹H-NMR (CDCl₃) δ: 1.20 (t, 6H), 2.60 (q, 4H), 3.45 (s, 3H), 3.50 (3H), 6.30 (s, 1H), 6.65 (s, 1H), 7.00-7.55 (m, 10H).

2-(4-Methoxy-6-methyl-pyrimidin-2-yloxy)-3-methoxy-3,3-diphenyl-propionic acid methyl ester (5u; R₁ = H, R₂ = Me, R₃ = 4-Et, 6-Me)

oil

¹H-NMR (CDCl₃) δ: 1.20 (t, 3H), 2.35 (s, 3H), 2.60 (qu., 2H), 3.40 (s, 3H), 3.50 (s, 3H), 6.20 (s, 1H), 6.65 (s, 1H), 7.00-7.50 (m, 10H).