## Summary of FAAs in Kohn References

$$R$$
 $R_2$ 
 $R_3$ 
 $R_1$ 
 $R_1$ 
 $R_1$ 

| $R_1$           | $R_2$  | $R_3$ | R <sub>4</sub> | n  | α   | Reference/Compound  |
|-----------------|--|-------|----------------|----|-----|---------------------|
| N <sub>1</sub>  | K <sub>2</sub>                                   | K3    | IN4            | 11 | u   | No.                 |
| CH <sub>3</sub> | CH <sub>3</sub>                                  | Н     | Bn             | 0  | R,S | Conley 1987 (1a)    |
|                 |  |       |                |    | ,   | Kohn 1988 (D,L-AAB) |
|                 |  |       |                |    |     | Kohn 1990 (2a)      |
|                 |  |       |                |    |     | Kohn 1991 (2a)      |
|                 |  |       |                |    |     | Kohn 1993 (50)      |
| CH <sub>3</sub> | CH <sub>3</sub>                                  | Н     | Bn             | 0  | R   | Kohn 1988 (D-AAB)   |
|                 |  |       |                |    |     | Kohn 1990 ((R)-2a)  |
| CH <sub>3</sub> | CH <sub>3</sub>                                  | Н     | Bn             | 0  | S   | Kohn 1988 (L-AAB)   |
|                 |  |       |                |    |     | Kohn 1990 ((S)-2a)  |
| CH <sub>3</sub> | H  | Н     | Bn             | 0  | R,S | Conley 1987 (1b)    |
| CH <sub>3</sub> | $CH(CH_3)_2$                                     | Н     | Bn             | 0  | R,S | Conley 1987 (1c)    |
| CH <sub>3</sub> | Ph   | Н     | Bn             | 0  | R,S | Conley 1987 (1d)    |
|                 |  |       |                |    |     | Kohn 1988 (D,L-APB) |
|                 |  |       |                |    |     | Kohn 1990 (2b)      |
|                 |  |       |                |    |     | Kohn 1991 (2b)      |
|                 |  |       |                |    |     | Kohn 1993 (3)       |
|                 |  |       |                |    |     | Bardel 1994 (10)    |
| CH <sub>3</sub> | Ph   | Н     | Bn             | 0  | R   | Kohn 1988 (D-APB)   |
|                 |  |       |                |    |     | Kohn 1990 ((R)-2b)  |
| CH <sub>3</sub> | Ph   | Н     | Bn             | 0  | S   | Kohn 1988 (L-APB)   |
|                 |  |       |                |    |     | Kohn 1990 ((S)-2b)  |
| CH <sub>3</sub> | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>3</sub> | Н     | Bn             | 0  | R,S | Conley 1987 (1e)    |



| No.   No.   No.   CH <sub>3</sub>   Bn   H   Bn   0   R,S   Conley 1987 (1f)   CH <sub>3</sub>   Ph   Ph   Bn   1   R,S   Conley 1987 (1f)   CH <sub>3</sub>   CH <sub>3</sub>   H   Bn   1   R,S   Conley 1987 (1f)   CH <sub>3</sub>   CH <sub>3</sub>   CH <sub>3</sub>   H   Bn   1   R,S   Conley 1987 (1f)   CH <sub>3</sub>   CH <sub>3</sub>   CH <sub>3</sub>   H   CH <sub>4</sub>   O   R,S   Conley 1987 (1f)   CH <sub>3</sub>   CH <sub>3</sub>   CH <sub>3</sub>   H   CH <sub>4</sub>   O   R,S   Conley 1987 (1f)   CH <sub>3</sub>   CH <sub>3</sub>   CH <sub>3</sub>   H   CH <sub>4</sub> (Ph) <sub>2</sub>   O   R,S   Conley 1987 (1f)   CH <sub>3</sub>   CH <sub>3</sub>   CH <sub>3</sub>   H   m-OCH <sub>3</sub> -Bn   O   R,S   Conley 1987 (1f)   CH <sub>3</sub>   CH <sub>3</sub>   CH <sub>3</sub>   H   m-F-Bn   O   R,S   Conley 1987 (1f)   CH <sub>3</sub>   CH <sub>3</sub>   CH <sub>3</sub>   H   CH <sub>2</sub> CONH-Bn   O   R,S   Conley 1987 (1n)   CH <sub>3</sub> CH   CH <sub>3</sub>   CH <sub>3</sub>   H   Bn   O   R,S   Conley 1987 (1o)   CH <sub>3</sub> CH   CH <sub>3</sub>   CH <sub>3</sub>   H   Bn   O   R,S   Conley 1987 (1o)   CH <sub>3</sub> CONHCH <sub>2</sub>   CH <sub>3</sub>   H   Bn   O   R,S   Conley 1987 (1g)   CH <sub>3</sub> CONHCH <sub>2</sub>   CH <sub>3</sub>   H   Bn   O   R,S   Conley 1987 (1g)   CH <sub>3</sub>   CH <sub>3</sub>   H   CH <sub>3</sub>   O   R,S   Conley 1987 (1g)   CH <sub>3</sub>   CH <sub>3</sub>   H   CH <sub>3</sub>   O   R,S   Conley 1987 (1g)   CH <sub>3</sub>   CH <sub>3</sub>   CH <sub>3</sub>   H   CH <sub>3</sub>   O   R,S   Conley 1987 (1g)   CH <sub>3</sub>   CH <sub>3</sub>   CH <sub>3</sub>   H   CH <sub>3</sub>   O   R,S   Conley 1987 (1g)   CH <sub>3</sub>   CH | $R_1$                               | R <sub>2</sub>  | $R_3$ | R <sub>4</sub>                 | n | α   | Reference/Compound |
|---|-------------------------------------|-----------------|-------|--------------------------------|---|-----|--------------------|
| CH3         Ph         Ph         Bn         0         R,S         Conley 1987 (1g)           CH3         H         H         Bn         1         R,S         Conley 1987 (1h)           CH3         CH3         H         Bn         1         R,S         Conley 1987 (1h)           CH3         CH3         H         CH3         0         R,S         Conley 1987 (1p)           CH3         CH3         H         CH(Ph)2         0         R,S         Conley 1987 (1p)           CH3         CH3         H         M-OCH3-Bn         0         R,S         Conley 1987 (1m)           CH3         CH3         H         m-OCH3-Bn         0         R,S         Conley 1987 (1m)           CH3         CH3         H         m-F-Bn         0         R,S         Conley 1987 (1m)           CH3         CH3         H         M-F-Bn         0         R,S         Conley 1987 (1m)           CH3         CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3         Ph         H         CH3         0         R,S<   |                                     |                 |       |                                |   |     | No.                |
| CH3         H         H         Bn         1         R,S         Conley 1987 (1h)           CH3         CH3         H         Bn         1         R,S         Conley 1987 (1h)           CH3         CH3         H         CH3         0         R,S         Conley 1987 (1h)           CH3         CH3         H         CH(Ph)2         0         R,S         Conley 1987 (1h)           CH3         CH3         H         CH(Ph)2         0         R,S         Conley 1987 (1h)           CH3         CH3         H         m-OCH3-Bn         0         R,S         Conley 1987 (1m)           CH3         H         m-F-Bn         0         R,S         Conley 1987 (1m)           CH3         CH3         H         CH2CONH-Bn         0         R,S         Conley 1987 (1m)           (CH3)2CH         CH3         H         Bn         0         R,S         Conley 1987 (1m)           (CH3)3CH         H         Bn         0         R,S         Conley 1987 (1m)           (CH3)3CH         H         Bn         0         R,S         Conley 1987 (1p)           Bn         CH3         H         Bn         0         R,S         Conley 19  | CH <sub>3</sub>                     | Bn              | Н     | Bn                             | 0 | R,S | Conley 1987 (1f)   |
| CH3         CH3         H         Bn         1         R,S         Conley 1987 (1i)           CH3         CH3         H         CH3         0         R,S         Conley 1987 (1i)           CH3         CH3         H         CH(Ph)2         0         R,S         Conley 1987 (1i)           CH3         CH3         H         CH(Ph)2         0         R,S         Conley 1987 (1i)           CH3         CH3         H         M-CH(Ph)2         0         R,S         Conley 1987 (1i)           CH3         CH3         H         M-CH(Ph)2         0         R,S         Conley 1987 (1i)           CH3         CH3         H         M-CH2CONH-Bn         0         R,S         Conley 1987 (1m)           CH3         H         Bn         0         R,S         Conley 1987 (1o)           (CH3)2CH         CH3         H         Bn         0         R,S         Conley 1987 (1o)           (CH3)3C         CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3         2-Furanyl         H         Bn         0         R  | CH <sub>3</sub>                     | Ph              | Ph    | Bn                             | 0 | R,S | Conley 1987 (1g)   |
| CH3         CH3         H         CH3         0         R,S         Conley 1987 (1j)           CH3         CH3         H         CH(Ph)2         0         R,S         Conley 1987 (1k)           CH3         CH3         H         m-OCH3-Bn         0         R,S         Conley 1987 (1l)           CH3         CH3         H         m-F-Bn         0         R,S         Conley 1987 (1m)           CH3         CH3         H         m-F-Bn         0         R,S         Conley 1987 (1m)           CH3         CH3         H         Bn         0         R,S         Conley 1987 (1m)           CH3         CH3         H         Bn         0         R,S         Conley 1987 (1m)           (CH3)2CH         CH3         H         Bn         0         R,S         Conley 1987 (1m)           (CH3)3CH         CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3CH3;CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3CH3;CH3         H         CH3         0         R,S         Conley 1987 (1r)           Bn         Ph         CH3         0         R,S         Conl  | CH <sub>3</sub>                     | Н               | Н     | Bn                             | 1 | R,S | Conley 1987 (1h)   |
| CH3         CH3         H         CH(Ph)2         0         R,S         Conley 1987 (1k)           CH3         CH3         H         m-OCH3-Bn         0         R,S         Conley 1987 (1l)           CH3         CH3         H         m-F-Bn         0         R,S         Conley 1987 (1m)           CH3         CH3         H         CH2CONH-Bn         0         R,S         Conley 1987 (1n)           CH3         CH3         H         Bn         0         R,S         Conley 1987 (1n)           (CH3)2CH         CH3         H         Bn         0         R,S         Conley 1987 (1n)           (CH3)2CH         CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3CH32CH         CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3CH32CH         CH3         H         Bn         0         R,S         Conley 1987 (1p)           Bn         CH3         H         CH3         0         R,S         Conley 1987 (1r)           Bn         CH3         2-Furanyl         H         Bn         0         R,S         Conley 1987 (1s)           CH3         2-Furanyl  | CH <sub>3</sub>                     | CH <sub>3</sub> | Н     | Bn                             | 1 | R,S | Conley 1987 (1i)   |
| CH3         CH3         H         m-OCH3-Bn         0         R,S         Conley 1987 (1I)           CH3         CH3         H         m-F-Bn         0         R,S         Conley 1987 (1m)           CH3         CH3         H         CH2CONH-Bn         0         R,S         Conley 1987 (1n)           (CH3)2CH         CH3         H         Bn         0         R,S         Conley 1987 (1o)           (CH3)3C         CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3CONHCH2         CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3         H         CH3         H         CH3         O         R,S         Conley 1987 (1r)           Bn         Ph         H         CH3         0         R,S         Conley 1987 (1r)           Bn         Ph         H         CH3         0         R,S         Conley 1987 (1r)           CH3         2-Furanyl         H         Bn         0         R,S         Kohn 1990 (2g)           Kohn 1993 (4)         Bardel 1994 (2)         Kohn 1993 ((S)-4)         CH3         2-Furanyl         H         Bn         0         R,S  | CH <sub>3</sub>                     | CH <sub>3</sub> | Н     | CH <sub>3</sub>                | 0 | R,S | Conley 1987 (1j)   |
| CH3         CH3         H         m-F-Bn         0         R,S         Conley 1987 (Im)           CH3         CH3         H         CH2CONH-Bn         0         R,S         Conley 1987 (1n)           (CH3)2CH         CH3         H         Bn         0         R,S         Conley 1987 (1o)           (CH3)3C         CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3CONHCH2         CH3         H         Bn         0         R,S         Conley 1987 (1p)           Bn         CH3         H         CH3         0         R,S         Conley 1987 (1p)           Bn         CH3         H         CH3         0         R,S         Conley 1987 (1p)           CH3         Ph         H         CH3         0         R,S         Conley 1987 (1p)           CH3         2-Furanyl         H         Bn         0         R,S         Kohn 1990 (2g)           Kohn 1993 (4)         Bardel 1994 (2)         Kohn 1990 (KP)-2g)         Kohn 1990 (KP)-2g)         Kohn 1990 (KP)-2g)           Kohn 1993 (5)         Kohn 1993 (5)         Bardel 1994 (3)         Kohn 1993 (5)         Bardel 1994 (4)           CH3         2-Thienyl         H  | CH <sub>3</sub>                     | CH <sub>3</sub> | Н     | CH(Ph) <sub>2</sub>            | 0 | R,S | Conley 1987 (1k)   |
| CH3         CH3         H         CH2CONH-Bn         0         R,S         Conley 1987 (1n)           (CH3)2CH         CH3         H         Bn         0         R,S         Conley 1987 (1o)           (CH3)3C         CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3CONHCH2         CH3         H         Bn         0         R,S         Conley 1987 (1r)           Bn         CH3         H         CH3         0         R,S         Conley 1987 (1r)           Bn         Ph         H         CH3         0         R,S         Conley 1987 (1r)           Bn         Ph         H         CH3         0         R,S         Conley 1987 (1r)           Bn         Ph         H         CH3         0         R,S         Conley 1987 (1r)           Bn         O         R,S         Conley 1987 (1r)         Conley 1987 (1r)         Conley 1987 (1r)           Bn         O         R,S         Kohn 1990 (2g)         Kohn 1990 (2g)           Kohn 1991 (2d)         Kohn 1993 (R)-4         Ch3         Enturally         Enturally         Enturally         Enturally         Enturally         Enturally         Enturally         Enturally </td <td>CH<sub>3</sub></td> <td>CH<sub>3</sub></td> <td>Н</td> <td><i>m</i>-OCH<sub>3</sub>-Bn</td> <td>0</td> <td>R,S</td> <td>Conley 1987 (11)</td>   | CH <sub>3</sub>                     | CH <sub>3</sub> | Н     | <i>m</i> -OCH <sub>3</sub> -Bn | 0 | R,S | Conley 1987 (11)   |
| (CH <sub>3</sub> ) <sub>2</sub> CH         CH <sub>3</sub> H         Bn         0         R,S         Conley 1987 (10)           (CH <sub>3</sub> ) <sub>3</sub> C         CH <sub>3</sub> H         Bn         0         R,S         Conley 1987 (1p)           CH <sub>3</sub> CONHCH <sub>2</sub> CH <sub>3</sub> H         Bn         0         R,S         Conley 1987 (1r)           Bn         CH <sub>3</sub> H         CH <sub>3</sub> 0         R,S         Conley 1987 (1r)           Bn         Ph         H         CH <sub>3</sub> 0         R,S         Conley 1987 (1r)           Bn         Ph         H         CH <sub>3</sub> 0         R,S         Conley 1987 (1r)           Bn         Ph         H         CH <sub>3</sub> 0         R,S         Conley 1987 (1r)           CH <sub>3</sub> 2-Furanyl         H         Bn         0         R,S         Kohn 1990 (2g)           Kohn 1993 (1)         Kohn 1993 (1)         Kohn 1993 (1)         Kohn 1990 (1)         Kohn 1990 (1)           CH <sub>3</sub> 2-Pyrrolyl         H         Bn         0         R,S         Kohn 1990 (2i)           Kohn 1993 (5)         Bardel 1994 (3)         Kohn 1993 (7)         Bardel 1994 (4)           CH <sub>3</sub> 2-Thienyl         H         Bn   | CH <sub>3</sub>                     | CH <sub>3</sub> | Н     | <i>m</i> -F-Bn                 | 0 | R,S | Conley 1987 (1m)   |
| (CH3)3C         CH3         H         Bn         0         R,S         Conley 1987 (1p)           CH3CONHCH2         CH3         H         Bn         0         R,S         Conley 1987 (1r)           Bn         CH3         H         CH3         0         R,S         Conley 1987 (1r)           Bn         Ph         H         CH3         0         R,S         Conley 1987 (1r)           Bn         Ph         H         CH3         0         R,S         Conley 1987 (1r)           CH3         2-Furanyl         H         Bn         0         R,S         Conley 1987 (1r)           CH3         2-Furanyl         H         Bn         0         R,S         Kohn 1990 (2g)           Kohn 1993 (4)         Bardel 1994 (2)         Kohn 1993 ((S)-4)           CH3         2-Furanyl         H         Bn         0         R,S         Kohn 1990 ((S)-2g)           Kohn 1993 (S)-4)         H         Bn         0         R,S         Kohn 1990 (2i)           Kohn 1993 (S)-4)         Kohn 1993 (5)         Bardel 1994 (3)           CH3         2-Thienyl         H         Bn         0         R,S         Kohn 1990 (2l)           Kohn 1993 (7)  | CH <sub>3</sub>                     | CH <sub>3</sub> | Н     | CH <sub>2</sub> CONH-Bn        | 0 | R,S | Conley 1987 (1n)   |
| CH <sub>3</sub> CONHCH <sub>2</sub> CH <sub>3</sub> H         Bn         0         R,S         Conley 1987 (1q)           Bn         CH <sub>3</sub> H         CH <sub>3</sub> 0         R,S         Conley 1987 (1r)           Bn         Ph         H         CH <sub>3</sub> 0         R,S         Conley 1987 (1s)           CH <sub>3</sub> 2-Furanyl         H         Bn         0         R,S         Kohn 1990 (2g)           Kohn 1993 (4)         Bardel 1994 (2)         Kohn 1993 (4)         Bardel 1994 (2)           CH <sub>3</sub> 2-Furanyl         H         Bn         0         R         Kohn 1990 ((R)-2g)         Kohn 1993 ((R)-4)           CH <sub>3</sub> 2-Furanyl         H         Bn         0         S         Kohn 1990 ((S)-2g)         Kohn 1993 ((S)-4)           CH <sub>3</sub> 2-Pyrrolyl         H         Bn         0         R,S         Kohn 1990 (2i)         Kohn 1993 (5)         Bardel 1994 (3)           CH <sub>3</sub> 2-Thienyl         H         Bn         0         R,S         Kohn 1990 (2l)         Kohn 1993 (7)         Bardel 1994 (4)           CH <sub>3</sub> 3-Thienyl         H         Bn         0         R,S         Kohn 1990 (2m)   | (CH <sub>3</sub> ) <sub>2</sub> CH  | CH <sub>3</sub> | Н     | Bn                             | 0 | R,S | Conley 1987 (1o)   |
| Bn   CH <sub>3</sub>  | (CH <sub>3</sub> ) <sub>3</sub> C   | CH <sub>3</sub> | Н     | Bn                             | 0 | R,S | Conley 1987 (1p)   |
| Bn         Ph         H         CH <sub>3</sub> 0         R,S         Conley 1987 (1s)           CH <sub>3</sub> 2-Furanyl         H         Bn         0         R,S         Kohn 1990 (2g)<br>Kohn 1991 (2d)<br>Kohn 1993 (4)<br>Bardel 1994 (2)           CH <sub>3</sub> 2-Furanyl         H         Bn         0         R         Kohn 1990 ((R)-2g)<br>Kohn 1993 ((R)-4)           CH <sub>3</sub> 2-Furanyl         H         Bn         0         S         Kohn 1990 ((S)-2g)<br>Kohn 1993 ((S)-4)           CH <sub>3</sub> 2-Pyrrolyl         H         Bn         0         R,S         Kohn 1990 (2i)<br>Kohn 1991 (2c)<br>Kohn 1993 (5)<br>Bardel 1994 (3)           CH <sub>3</sub> 2-Thienyl         H         Bn         0         R,S         Kohn 1990 (2l)<br>Kohn 1993 (7)<br>Bardel 1994 (4)           CH <sub>3</sub> 3-Thienyl         H         Bn         0         R,S         Kohn 1990 (2m)   | CH <sub>3</sub> CONHCH <sub>2</sub> | CH <sub>3</sub> | Н     | Bn                             | 0 | R,S | Conley 1987 (1q)   |
| CH3       2-Furanyl       H       Bn       0       R,S       Kohn 1990 (2g) Kohn 1991 (2d) Kohn 1993 (4) Bardel 1994 (2)         CH3       2-Furanyl       H       Bn       0       R       Kohn 1990 ((R)-2g) Kohn 1993 ((R)-4)         CH3       2-Furanyl       H       Bn       0       S       Kohn 1990 ((S)-2g) Kohn 1993 ((S)-4)         CH3       2-Pyrrolyl       H       Bn       0       R,S       Kohn 1990 (2i) Kohn 1991 (2c) Kohn 1993 (5) Bardel 1994 (3)         CH3       2-Thienyl       H       Bn       0       R,S       Kohn 1990 (2l) Kohn 1993 (7) Bardel 1994 (4)         CH3       3-Thienyl       H       Bn       0       R,S       Kohn 1990 (2m)  | Bn                                  | CH <sub>3</sub> | Н     | CH <sub>3</sub>                | 0 | R,S | Conley 1987 (1r)   |
| Kohn 1991 (2d)   Kohn 1993 (4)   Bardel 1994 (2)  | Bn                                  | Ph              | Н     | CH <sub>3</sub>                | 0 | R,S | Conley 1987 (1s)   |
| CH3       2-Furanyl       H       Bn       0       R       Kohn 1993 (4)       Bardel 1994 (2)         CH3       2-Furanyl       H       Bn       0       R       Kohn 1990 ((R)-2g)       Kohn 1993 ((R)-4)         CH3       2-Pyrrolyl       H       Bn       0       R,S       Kohn 1990 (2i)       Kohn 1990 (2i)         CH3       2-Pyrrolyl       H       Bn       0       R,S       Kohn 1990 (2i)       Kohn 1993 (5)       Bardel 1994 (3)         CH3       2-Thienyl       H       Bn       0       R,S       Kohn 1990 (2l)       Kohn 1993 (7)       Bardel 1994 (4)         CH3       3-Thienyl       H       Bn       0       R,S       Kohn 1990 (2m)   | CH <sub>3</sub>                     | 2-Furanyl       | Н     | Bn                             | 0 | R,S | Kohn 1990 (2g)     |
| CH3       2-Furanyl       H       Bn       0       R       Kohn 1990 ((R)-2g) Kohn 1993 ((R)-4)         CH3       2-Furanyl       H       Bn       0       S       Kohn 1990 ((S)-2g) Kohn 1993 ((S)-4)         CH3       2-Pyrrolyl       H       Bn       0       R,S       Kohn 1990 (2i) Kohn 1991 (2c) Kohn 1991 (2c) Kohn 1993 (5) Bardel 1994 (3)         CH3       2-Thienyl       H       Bn       0       R,S       Kohn 1990 (2l) Kohn 1993 (7) Bardel 1994 (4)         CH3       3-Thienyl       H       Bn       0       R,S       Kohn 1990 (2m)  |                                     |                 |       |                                |   |     | Kohn 1991 (2d)     |
| CH3         2-Furanyl         H         Bn         0         R         Kohn 1990 ((R)-2g) Kohn 1993 ((R)-4)           CH3         2-Furanyl         H         Bn         0         S         Kohn 1990 ((S)-2g) Kohn 1993 ((S)-4)           CH3         2-Pyrrolyl         H         Bn         0         R,S         Kohn 1990 (2i) Kohn 1991 (2c) Kohn 1993 (5) Bardel 1994 (3)           CH3         2-Thienyl         H         Bn         0         R,S         Kohn 1990 (2l) Kohn 1993 (7) Bardel 1994 (4)           CH3         3-Thienyl         H         Bn         0         R,S         Kohn 1990 (2m)   |                                     |                 |       |                                |   |     | Kohn 1993 (4)      |
| CH <sub>3</sub> 2-Furanyl H Bn 0 S Kohn 1993 ((R)-4)  CH <sub>3</sub> 2-Pyrrolyl H Bn 0 R,S Kohn 1990 ((S)-2g)  Kohn 1993 ((S)-4)  CH <sub>3</sub> 2-Pyrrolyl H Bn 0 R,S Kohn 1990 (2i)  Kohn 1993 (5)  Bardel 1994 (3)  CH <sub>3</sub> 2-Thienyl H Bn 0 R,S Kohn 1990 (2l)  Kohn 1993 (7)  Bardel 1994 (4)  CH <sub>3</sub> 3-Thienyl H Bn 0 R,S Kohn 1990 (2m)   |                                     |                 |       |                                |   |     | Bardel 1994 (2)    |
| CH3       2-Furanyl       H       Bn       0       S       Kohn 1990 ((S)-2g)         CH3       2-Pyrrolyl       H       Bn       0       R,S       Kohn 1990 (2i)         Kohn 1991 (2c)       Kohn 1993 (5)       Bardel 1994 (3)         CH3       2-Thienyl       H       Bn       0       R,S       Kohn 1990 (2l)         Kohn 1993 (7)       Bardel 1994 (4)         CH3       3-Thienyl       H       Bn       0       R,S       Kohn 1990 (2m)   | CH <sub>3</sub>                     | 2-Furanyl       | Н     | Bn                             | 0 | R   |                    |
| CH <sub>3</sub> 2-Pyrrolyl H Bn 0 R,S Kohn 1993 ((S)-4)  CH <sub>3</sub> 2-Pyrrolyl H Bn 0 R,S Kohn 1990 (2i)  Kohn 1991 (2c)  Kohn 1993 (5)  Bardel 1994 (3)  CH <sub>3</sub> 2-Thienyl H Bn 0 R,S Kohn 1990 (2l)  Kohn 1993 (7)  Bardel 1994 (4)  CH <sub>3</sub> 3-Thienyl H Bn 0 R,S Kohn 1990 (2m)   |                                     |                 |       |                                |   |     |                    |
| CH3       2-Pyrrolyl       H       Bn       0       R,S       Kohn 1990 (2i)       Kohn 1991 (2c)       Kohn 1993 (5)       Bardel 1994 (3)         CH3       2-Thienyl       H       Bn       0       R,S       Kohn 1990 (2l)       Kohn 1993 (7)       Kohn 1993 (7)       Bardel 1994 (4)         CH3       3-Thienyl       H       Bn       0       R,S       Kohn 1990 (2m)   | CH <sub>3</sub>                     | 2-Furanyl       | H     | Bn                             | 0 | S   | (( ) )             |
| Kohn 1991 (2c)   Kohn 1993 (5)   Bardel 1994 (3)     CH <sub>3</sub>   2-Thienyl   H   Bn   0   R,S   Kohn 1990 (2l)   Kohn 1993 (7)   Bardel 1994 (4)     CH <sub>3</sub>   3-Thienyl   H   Bn   0   R,S   Kohn 1990 (2m)  |                                     |                 |       |                                |   |     | ( ) / /            |
| CH3       2-Thienyl       H       Bn       0       R,S       Kohn 1993 (5) Bardel 1994 (3)         CH3       2-Thienyl       H       Bn       0       R,S       Kohn 1990 (2l) Kohn 1993 (7) Bardel 1994 (4)         CH3       3-Thienyl       H       Bn       0       R,S       Kohn 1990 (2m)  | CH <sub>3</sub>                     | 2-Pyrrolyl      | Н     | Bn                             | 0 | R,S |                    |
| CH3       2-Thienyl       H       Bn       0       R,S       Kohn 1990 (2l) Kohn 1993 (7) Bardel 1994 (4)         CH3       3-Thienyl       H       Bn       0       R,S       Kohn 1990 (2m)   |                                     |                 |       |                                |   |     |                    |
| CH3       2-Thienyl       H       Bn       0       R,S       Kohn 1990 (21)         Kohn 1993 (7)       Kohn 1993 (7)       Bardel 1994 (4)         CH3       3-Thienyl       H       Bn       0       R,S       Kohn 1990 (2m)   |                                     |                 |       |                                |   |     | ` /                |
| CH <sub>3</sub> 3-Thienyl H Bn C R,S Kohn 1993 (7)<br>Bardel 1994 (4)   |                                     |                 |       |                                |   |     | ` /                |
| CH3         Bardel 1994 (4)           H         Bn         0         R,S         Kohn 1990 (2m)   | CH <sub>3</sub>                     | 2-Thienyl       | Н     | Bn                             | 0 | R,S | ` /                |
| CH <sub>3</sub> 3-Thienyl         H         Bn         0         R,S         Kohn 1990 (2m)   |                                     |                 |       |                                |   |     | \ /                |
|   |                                     |                 |       |                                |   |     | ` /                |
|   | CH <sub>3</sub>                     | 3-Thienyl       | Н     | Bn                             | 0 | R,S | ` /                |
| Kohn 1993 (8)   |                                     |                 |       |                                |   |     | Kohn 1993 (8)      |



| _               |                                  |       | 7                         |   |     | D 0 /2             |
|-----------------|----------------------------------|-------|---------------------------|---|-----|--------------------|
| $R_1$           | $R_2$                            | $R_3$ | $R_4$                     | n | α   | Reference/Compound |
|                 |                                  |       |                           |   |     | No.                |
|                 |                                  |       |                           |   |     | Bardel 1994 (5)    |
| CH <sub>3</sub> | β-Naphthyl                       | Н     | Bn                        | 0 | R,S | Kohn 1990 (2f)     |
| CH <sub>3</sub> | 2-Benzo[ <i>b</i> ]furanyl       | Н     | Bn                        | 0 | R,S | Kohn 1990 (2n)     |
|                 |                                  |       |                           |   |     |                    |
| CH <sub>3</sub> | 3-Indolyl                        | Н     | Bn                        | 0 | R,S | Kohn 1990 (2o)     |
| CH <sub>3</sub> | 2-Benzo[ <i>b</i> ]thienyl       | Н     | Bn                        | 0 | R,S | Kohn 1990 (2p)     |
|                 |                                  |       |                           |   |     |                    |
| CH <sub>3</sub> | OCH <sub>3</sub>                 | Н     | Bn                        | 0 | R,S | Kohn 1991 (3s)     |
|                 |                                  |       |                           |   |     |                    |
| CH <sub>3</sub> | OCH <sub>2</sub> CH <sub>3</sub> | Н     | Bn                        | 0 | R,S | Kohn 1990 (5)      |
|                 |                                  |       |                           |   |     | Kohn 1991 (3t)     |
| CH <sub>3</sub> | CN                               | Н     | Bn                        | 0 | R,S | Kohn 1993 (34)     |
| CH <sub>3</sub> | CONH <sub>2</sub>                | Н     | Bn                        | 0 | R,S | Kohn 1993 (41)     |
| CH <sub>3</sub> | CH <sub>2</sub> OH               | Н     | Bn                        | 0 | R,S | Kohn 1993 (49)     |
|                 |                                  |       |                           |   |     |                    |
| CH <sub>3</sub> | 4-OH-Ph                          | Н     | Bn                        | 0 | R,S | Kohn 1990 (2c)     |
| CH <sub>3</sub> | 4-OCH <sub>3</sub> -Ph           | Н     | Bn                        | 0 | R,S | Kohn 1990 (2d)     |
| CH <sub>3</sub> | 2-OH-5-CH <sub>3</sub> -Ph       | Н     | Bn                        | 0 | R,S | Kohn 1990 (2e)     |
| CH <sub>3</sub> | 5-CH <sub>3</sub> -2-furanyl     | Н     | Bn                        | 0 | R,S | Kohn 1990 (2h)     |
| CH <sub>3</sub> | 5-CH <sub>3</sub> -2-pyrrolyl    | Н     | Bn                        | 0 | R,S | Kohn 1990 (2j)     |
| CH <sub>3</sub> | 1-CH <sub>3</sub> -2-pyrrolyl    | Н     | Bn                        | 0 | R,S | Kohn 1990 (2k)     |
|                 |                                  |       |                           |   |     | Kohn 1993 (6)      |
| CH <sub>3</sub> | 2-Furanyl                        | Н     | 2-F-Bn                    | 0 | R,S | Kohn 1990 (3a)     |
| CH <sub>3</sub> | 2-Furanyl                        | Н     | 3-F-Bn                    | 0 | R,S | Kohn 1990 (3b)     |
| CH <sub>3</sub> | 2-Furanyl                        | Н     | 4-F-Bn                    | 0 | R,S | Kohn 1990 (3c)     |
|                 | -                                |       |                           |   |     | Kohn 1993 (30)     |
| CH <sub>3</sub> | 2-Furanyl                        | Н     | 2,5-F-Bn                  | 0 | R,S | Kohn 1990 (3d)     |
| CH <sub>3</sub> | 2-Furanyl                        | Н     | 2,6-F-Bn                  | 0 | R,S | Kohn 1990 (3e)     |
|                 |                                  |       |                           |   |     | , ,                |
| CH <sub>3</sub> | 2-Furanyl                        | Н     | (R)-α-CH <sub>3</sub> -Bn | 0 | S   | Kohn 1990 (4a)     |
| CH <sub>3</sub> | 2-Furanyl                        | Н     | (R)-α-CH <sub>3</sub> -Bn | 0 | R   | Kohn 1990 (4b)     |
|                 |                                  |       |                           |   |     |                    |



| $R_1$           | $R_2$                                  | R <sub>3</sub> | R <sub>4</sub>            | n | α   | Reference/Compound |
|-----------------|--|----------------|---------------------------|---|-----|--------------------|
| -               |  |                |                           |   |     | No.                |
| CH <sub>3</sub> | 2-Furanyl                              | Н              | (S)-α-CH <sub>3</sub> -Bn | 0 | R   | Kohn 1990 (4c)     |
| CH <sub>3</sub> | 2-Furanyl                              | Н              | (S)-α-CH <sub>3</sub> -Bn | 0 | S   | Kohn 1990 (4d)     |
| CH <sub>3</sub> | NH <sub>2</sub>                        | Н              | Bn                        | 0 | R,S | Kohn 1991 (3a)     |
|                 |  |                |                           |   |     | Kohn 1994 (2s)     |
| CH <sub>3</sub> | NHCH <sub>3</sub>                      | Н              | Bn                        | 0 | R,S | Kohn 1991 (3b)     |
| CH <sub>3</sub> | NHCH <sub>2</sub> CH <sub>3</sub>      | Н              | Bn                        | 0 | R,S | Kohn 1991 (3c)     |
|                 |  |                |                           |   |     | Kohn 1994 (2a)     |
| CH <sub>3</sub> | $N(CH_3)_2$                            | Н              | Bn                        | 0 | R,S | Kohn 1991 (3d)     |
| CH <sub>3</sub> | N-morpholino                           | Н              | Bn                        | 0 | R,S | Kohn 1991 (3e)     |
|                 |  |                |                           |   |     |                    |
| CH <sub>3</sub> | $N^+(CH_3)_3 BF_4$                     | Н              | Bn                        | 0 | R,S | Kohn 1991 (3f)     |
|                 |  |                |                           |   |     | Kohn 1994 (2u)     |
| CH <sub>3</sub> | NHPh                                   | Н              | Bn                        | 0 | R,S | Kohn 1991 (3g)     |
| CH <sub>3</sub> | 3-pyrazolylamino                       | Н              | Bn                        | 0 | R,S | Kohn 1991 (3h)     |
| CH <sub>3</sub> | NHC(O)CH <sub>3</sub>                  | Н              | Bn                        | 0 | R,S | Kohn 1991 (3i)     |
|                 |  |                |                           |   |     | Kohn 1994 (2v)     |
| CH <sub>3</sub> | NHC(O)CF <sub>3</sub>                  | Н              | Bn                        | 0 | R,S | Kohn 1991 (3j)     |
|                 |  |                |                           |   |     | Kohn 1994 (2w)     |
| CH <sub>3</sub> | NHOH                                   | Н              | Bn                        | 0 | R,S | Kohn 1991 (3k)     |
| CH <sub>3</sub> | NH(OCH <sub>3</sub> )                  | Н              | Bn                        | 0 | R,S | Kohn 1991 (31)     |
|                 |  |                |                           |   |     | Kohn 1994 (2c)     |
|                 |  |                |                           |   |     | Bardel 1994 (24)   |
| CH <sub>3</sub> | N(CH <sub>3</sub> )OH                  | Н              | Bn                        | 0 | R,S | Kohn 1991 (3m)     |
| CH <sub>3</sub> | N(CH <sub>3</sub> )OCH <sub>3</sub>    | Н              | Bn                        | 0 | R,S | Kohn 1991 (3n)     |
|                 |  |                |                           |   |     | Kohn 1994 (2d)     |
| CH <sub>3</sub> | N-Isoxazolidino                        | Н              | Bn                        | 0 | R,S | Kohn 1991 (3o)     |
|                 |  |                |                           |   |     | Bardel 1994 (25)   |
| CH <sub>3</sub> | NHNHPh                                 | Н              | Bn                        | 0 | R,S | Kohn 1991 (3p)     |
| CH <sub>3</sub> | NHNHCO <sub>2</sub> CH <sub>2</sub> Ph | Н              | Bn                        | 0 | R,S | Kohn 1991 (3q)     |
|                 |  |                |                           |   |     | Kohn 1994 (2b)     |
| CH <sub>3</sub> | ОН                                     | H              | Bn                        | 0 | R,S | Kohn 1991 (3r)     |



| $R_1$           | R <sub>2</sub>                      | R <sub>3</sub>  | $R_4$      | n | α   | Reference/Compound |
|-----------------|-------------------------------------|-----------------|------------|---|-----|--------------------|
| _               | _                                   |                 |            |   |     | No.                |
| CH <sub>3</sub> | OPh                                 | Н               | Bn         | 0 | R,S | Kohn 1991 (3u)     |
| CH <sub>3</sub> | SCH <sub>2</sub> CH <sub>3</sub>    | Н               | Bn         | 0 | R,S | Kohn 1991 (3w)     |
|                 |                                     |                 |            |   |     |                    |
| CH <sub>3</sub> | SCH <sub>3</sub>                    | Н               | Bn         | 0 | R,S | Kohn 1991 (3v)     |
| CH <sub>3</sub> | SPh                                 | Н               | Bn         | 0 | R,S | Kohn 1991 (3x)     |
| CH <sub>3</sub> | S(O)CH <sub>2</sub> CH <sub>3</sub> | Н               | Bn         | 0 | R,S | Kohn 1991 (3y-1)   |
| CH <sub>3</sub> | S(O)CH <sub>2</sub> CH <sub>3</sub> | Н               | Bn         | 0 | R,S | Kohn 1991 (3y-mix) |
| CH <sub>3</sub> | $S(O_2)CH_2CH_3$                    | Н               | Bn         | 0 | R,S | Kohn 1991 (3z)     |
| CH <sub>3</sub> | 2-imidazolyl                        | Н               | Bn         | 0 | R,S | Kohn 1993 (9)      |
|                 |                                     |                 |            |   |     | Bardel 1994 (7)    |
| CH <sub>3</sub> | 5-imidazolyl                        | Н               | Bn         | 0 | R,S | Kohn 1993 (10)     |
|                 |                                     |                 |            |   |     | Bardel 1994 (8)    |
| CH <sub>3</sub> | 5-(1 <i>H</i> -1,2,4)-triazolyl     | Н               | Bn         | 0 | R,S | Kohn 1993 (11)     |
| CH <sub>3</sub> | 5-tetrazolyl                        | H               | Bn         | 0 | R,S | Kohn 1993 (12)     |
|                 |                                     |                 |            |   |     |                    |
| CH <sub>3</sub> | 1-pyrrolyl                          | Н               | Bn         | 0 | R,S | Kohn 1993 (13)     |
| CH <sub>3</sub> | 1-pyrazolyl                         | Н               | Bn         | 0 | R,S | Kohn 1993 (14)     |
| CH <sub>3</sub> | 1-imidazolyl                        | Н               | Bn         | 0 | R,S | Kohn 1993 (15)     |
| CH <sub>3</sub> | 1-(1,2,4)-triazolyl                 | Н               | Bn         | 0 | R,S | Kohn 1993 (16)     |
|                 |                                     |                 |            |   |     |                    |
| CH <sub>3</sub> | 1-tetrazolyl                        | Н               | Bn         | 0 | R,S | Kohn 1993 (17)     |
|                 |                                     |                 |            |   |     |                    |
| CH <sub>3</sub> | 2-oxazolyl                          | H               | Bn         | 0 | R,S | Kohn 1993 (18)     |
|                 |                                     |                 |            |   |     | Bardel 1994 (6)    |
| CH <sub>3</sub> | 2-thiozolyl                         | H               | Bn         | 0 | R,S | Kohn 1993 (19)     |
|                 |                                     |                 |            |   |     | Bardel 1994 (9)    |
| CH <sub>3</sub> | 3-(1,2,4-oxadiazolyl)               | H               | Bn         | 0 | R,S | Kohn 1993 (20)     |
|                 |                                     |                 |            |   |     |                    |
| CH <sub>3</sub> | 2-tetrahydrofuranyl                 | Н               | Bn         | 0 | R,S | Kohn 1993 (21a-b)  |
| CH <sub>3</sub> | 2-furanyl                           | CH <sub>3</sub> | Bn         | 0 | R,S | Kohn 1993 (22)     |
| CH <sub>3</sub> | 2-furanyl                           | Н               | Bn (amide) | 0 | R,S | Kohn 1993 (23)     |



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