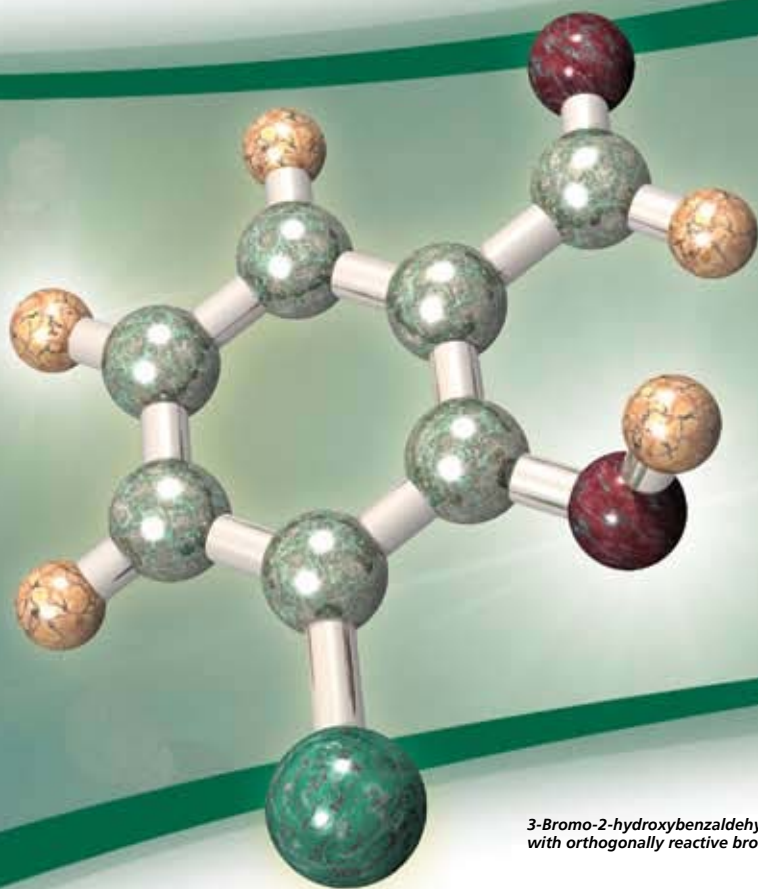


## Organic Building Blocks



*3-Bromo-2-hydroxybenzaldehyde: aryl aldehyde  
with orthogonally reactive bromine*

ALDEHYDES

THIAZOLES

PIPERIDINES

PYRROLIDINES

SULFONYL CHLORIDES  
AND SULFONAMIDES

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## About Our Cover

The cover graphic shows a rendering of the structure of 3-bromo-2-hydroxybenzaldehyde. The bromine atom serves as an orthogonally reactive site to the aldehyde, allowing for additional elaboration of the molecule through Suzuki or Stille coupling protocols.

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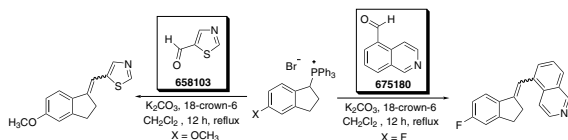
## Aldehydes

Hartmann and co-workers have described the synthesis of a series of potent and selective inhibitors of aldosterone synthase (CYP11B2), in which the key synthetic step was a Wittig reaction using various heterocyclic aldehydes (**Scheme 1**). The isoquinoline adduct was a very potent and selective inhibitor of CYP11B2. The successful inhibition of CYP11B2 has been proposed as a strategy for treatment of congestive heart failure and myocardial fibrosis.<sup>1</sup>

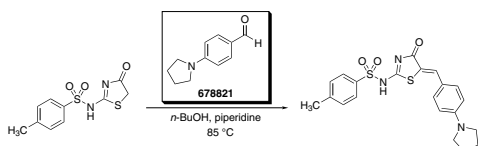
4-(1-Pyrrolidino)benzaldehyde was recently reported as a key building block in the synthesis of an improved inhibitor of NS5B polymerase of the hepatitis C virus (**Scheme 2**).<sup>2</sup> The product from this substrate displayed significant improvement in the potency of the original HTS lead compound (**Figure 1**).

Professor Jørgensen's group has recently reported an asymmetric formal synthesis of (–)-paroxetine, a selective serotonin reuptake inhibitor used in the treatment of anxiety and other psychological disorders.<sup>3</sup> The key step involved the organocatalytic conjugate addition of dibenzyl malonate to *trans*-4-fluorocinnamaldehyde (**Scheme 3**). Similarly, Wang's research group has used the Jørgensen organocatalyst in a tandem Michael-aldol reaction to furnish chiral thiochromenes in excellent yields (**Scheme 4**).<sup>4</sup>

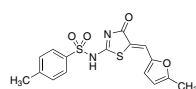
**References:** (1) Ulmschneider, S. et al. *J. Med. Chem.* **2005**, *48*, 1563. (2) Ding, Y. et al. *Bioorg. Med. Chem. Lett.* **2007**, *17*, 841. (3) Brandau, S. et al. *Angew. Chem. Int. Ed.* **2006**, *45*, 4305. (4) Wang, W. et al. *J. Am. Chem. Soc.* **2006**, *128*, 10354.



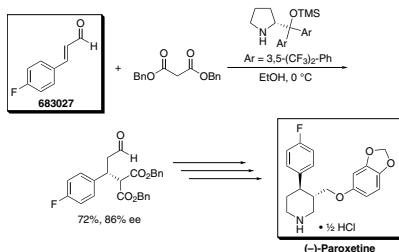
**Scheme 1**



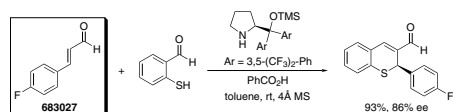
**Scheme 2**



**Figure 1**



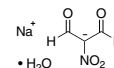
**Scheme 3**



**Scheme 4**

### Sodium nitromalonate, 97% NEW

$C_3H_3NNaO_4 \cdot H_2O$   
FW 157.06  
[34461-00-2]



681253-500MG

500 mg

### 5-Thiazolecarboxaldehyde, 95% NEW

$C_4H_3NOS$   
FW 113.14  
[1003-32-3]

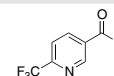


658103-1G

1 g

### 6-(Trifluoromethyl)pyridine-3-carboxaldehyde, 95%

$C_7H_4F_3NO$   
FW 175.11  
[386704-12-7]



640085-1G

1 g

640085-5G

5 g

### 3-Bromo-2-hydroxybenzaldehyde, 97% NEW

$C_7H_5BrO_2$   
FW 201.02  
[1829-34-1]



674036-1G

1 g

### 3-Chloro-2-hydroxybenzaldehyde, 97% NEW

$C_7H_5ClO_2$   
FW 156.57  
[1927-94-2]

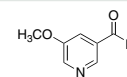


673722-1G

1 g

### 5-Methoxy-3-pyridinecarboxaldehyde, 96% NEW

$C_7H_7NO_2$   
FW 139.15  
[113118-83-5]



676489-1G

1 g

### 2-Chloro-6-methylbenzaldehyde, 96% NEW

$C_8H_7ClO$   
FW 154.59  
[1194-64-5]

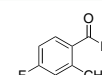


680133-1G

1 g

### 4-Fluoro-2-methylbenzaldehyde, 97% NEW

$C_8H_7FO$   
FW 138.14  
[63082-45-1]

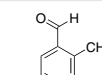


684953-5G

5 g

### 5-Fluoro-2-methylbenzaldehyde, 96% NEW

$C_8H_7FO$   
FW 138.14  
[22062-53-9]



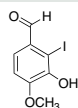
685003-1G

1 g

**3-Hydroxy-2-iodo-4-methoxybenzaldehyde, 97%**

NEW

$C_8H_7IO_3$   
FW 278.04  
[138490-94-5]

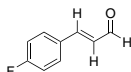


680907-5G 5 g

**trans-4-Fluorocinnamaldehyde, 97%**

NEW

$C_9H_7FO$   
FW 150.15  
[51791-26-5]



683027-500MG 500 mg

**Methyl 3-formyl-4-hydroxybenzoate, 97%**

NEW

$C_9H_8O_4$   
FW 180.16  
[24589-99-9]

673706-1G 1 g

**Indoline-7-carboxaldehyde, 97%**

NEW

$C_9H_9NO$   
FW 147.17  
[143262-21-9]



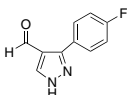
681903-250MG 250 mg

681903-1G 1 g

**3-(4-Fluorophenyl)-1H-pyrazole-4-carboxaldehyde, 97%**

NEW

$C_{10}H_7FN_2O$   
FW 190.17  
[306936-57-2]



683272-1G 1 g

**Isoquinoline-5-carboxaldehyde, 96%**

NEW

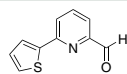
$C_{10}H_7NO$   
FW 157.17  
[80278-67-7]



675180-1G 1 g

**6-(2-Thienyl)-2-pyridinecarboxaldehyde, 97%**

$C_{10}H_7NOS$   
FW 189.23  
[208111-00-6]



655767-1G 1 g

655767-5G 5 g

**N-Boc-pyrrole-2-carboxaldehyde, 97%**

NEW

$C_{10}H_{13}NO_3$   
FW 195.22



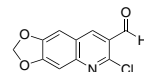
685658-5G 5 g

685658-25G 25 g

**6-Chloro[1,3]dioxolo[4,5-g]quinoline-7-carboxaldehyde, 97%**

NEW

$C_{11}H_6ClNO_3$   
FW 235.62  
[332382-81-7]



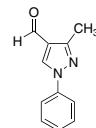
683728-1G 1 g

683728-5G 5 g

**3-Methyl-1-phenyl-1H-pyrazole-4-carboxaldehyde, 97%**

NEW

$C_{11}H_{10}N_2O$   
FW 186.21  
[21487-48-9]

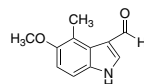


680745-5G 5 g

**5-Methoxy-4-methylindole-3-carboxaldehyde, 97%**

NEW

$C_{11}H_{11}NO_2$   
FW 189.21

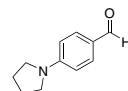


677973-1G 1 g

**4-(1-Pyrrolidino)benzaldehyde, 97%**

NEW

$C_{11}H_{13}NO$   
FW 175.23  
[51980-54-2]



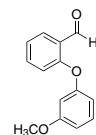
678821-5G 5 g

678821-25G 25 g

**2-(3-Methoxyphenoxy)benzaldehyde, 97%**

NEW

$C_{14}H_{12}O_3$   
FW 228.24  
[122283-23-2]



664162-1G 1 g

**N-Boc-indoline-7-carboxaldehyde, 97%**

NEW

$C_{14}H_{17}NO_3$   
FW 247.29  
[174539-67-4]

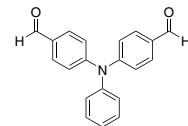


681911-1G 1 g

**4,4'-Diformyltriphenylamine, 95%**

NEW

$C_{20}H_{15}NO_2$   
FW 301.34  
[53566-95-3]



680400-1G 1 g

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## Thiazoles

2,4-Dibromothiazole has been gaining increasing popularity as a building block in the synthesis of several interesting targets. Bach and co-workers have reported the regioselective metalation of this building block in a route to furnish the eastern fragment of the thiazole peptide GE2270 A (**Scheme 1**).<sup>1</sup>

A formal enantioselective approach to (–)-mycothiazole was described which began with the chemoselective substitution of the bromine at the 2-position in 2,4-dibromothiazole (**Scheme 2**).<sup>2</sup> The racemic product was achieved with an overall yield of 5% over 18 steps. Similarly, Panek reported regioselective Negishi cross-coupling at the 2-position in his total synthesis of cystothiazoles A and B (**Scheme 3**).<sup>3</sup>

Several groups have reported the use of 2-amino-4,5,6,7-tetrahydrobenzothiazole in the synthesis of various inhibitors.<sup>4</sup> Most recently, Kraus, Maina, and co-workers have developed several pifithrin- $\alpha$  analogues from 2-amino-4,5,6,7-tetrahydrobenzothiazole (**Scheme 4**).<sup>4a</sup> These analogues are potent p53 inhibitors, and could prove effective in therapies for various neurodegenerative disorders.

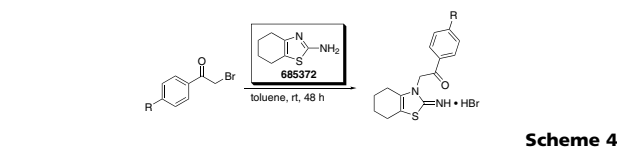
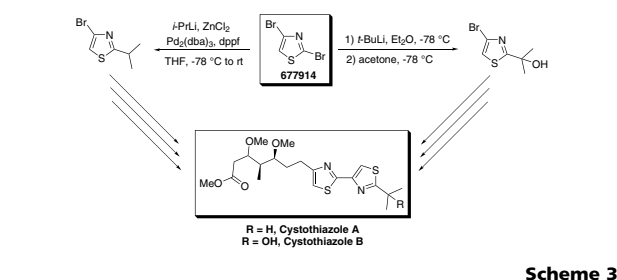
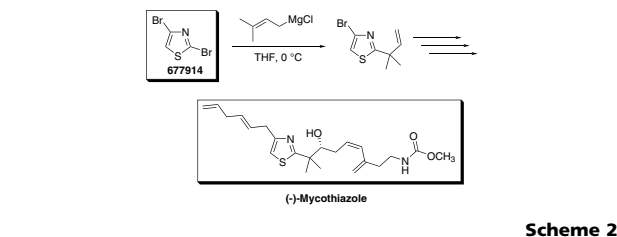
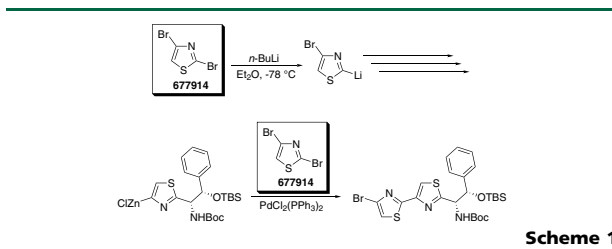
**References:** (1) Delgado, O. et al. *J. Org. Chem.* **2006**, *71*, 4599. (2) Le Flohic, A. et al. *Org. Lett.* **2005**, *7*, 339. (3) Shao, J.; Panek, J. S. *Org. Lett.* **2004**, *6*, 3083. (4)(a) Pietran-costa, N. et al. *J. Med. Chem.* **2006**, *49*, 3645. (b) Barchéath, S. D. et al. *J. Med. Chem.* **2005**, *48*, 6409. (c) Costanzo, M. J. et al. *J. Med. Chem.* **2005**, *48*, 1984.

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### 2,4-Dibromothiazole, 97%

C<sub>3</sub>H<sub>2</sub>Br<sub>2</sub>NS  
FW 242.92  
[4175-77-3]

677914-1G 1 g  
677914-5G 5 g

### 5-Bromothiazole, 95%

C<sub>3</sub>H<sub>2</sub>BrNS  
FW 164.02  
[3034-55-7]

642517-1G 1 g  
642517-5G 5 g

### 2-Acetamido-5-chlorothiazole, 96%

C<sub>5</sub>H<sub>5</sub>ClN<sub>2</sub>OS  
FW 176.62  
[20256-39-7]

673129-10G 10 g

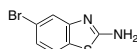
### 2-Amino-4,6-difluorobenzothiazole, 97%

C<sub>7</sub>H<sub>4</sub>F<sub>2</sub>N<sub>2</sub>S  
FW 186.18  
[119256-40-5]

683337-1G 1 g  
683337-5G 5 g

**2-Amino-5-bromobenzothiazole, 97%**

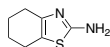
C<sub>7</sub>H<sub>6</sub>BrN<sub>2</sub>S  
FW 229.10  
[20358-03-6]



647683-1G 1 g  
647683-5G 5 g

**2-Amino-4,5,6,7-tetrahydrobenzothiazole, 97%**

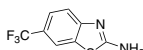
C<sub>7</sub>H<sub>10</sub>N<sub>2</sub>S  
FW 154.23  
[2933-29-1]



685372-500MG 500 mg

**2-Amino-6-(trifluoromethyl)benzothiazole, 96%**

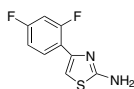
C<sub>8</sub>H<sub>5</sub>F<sub>3</sub>N<sub>2</sub>S  
FW 218.20  
[777-12-8]



683329-1G 1 g

**2-Amino-4-(2,4-difluorophenyl)thiazole, 97%**

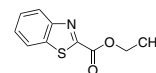
C<sub>9</sub>H<sub>6</sub>F<sub>2</sub>N<sub>2</sub>S  
FW 212.22



683280-1G 1 g

**Ethyl benzothiazole-2-carboxylate, 97%**

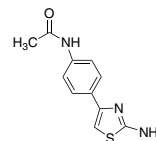
C<sub>10</sub>H<sub>9</sub>NO<sub>2</sub>S  
FW 207.25  
[32137-76-1]



681040-5G 5 g

**4-(4-Acetamidophenyl)-2-aminothiazole, 97%**

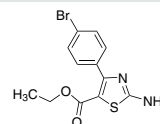
C<sub>11</sub>H<sub>11</sub>N<sub>3</sub>OS  
FW 233.29



653527-1G 1 g  
653527-5G 5 g

**Ethyl 2-amino-4-(4-bromophenyl)thiazole-5-carboxylate, 90%**

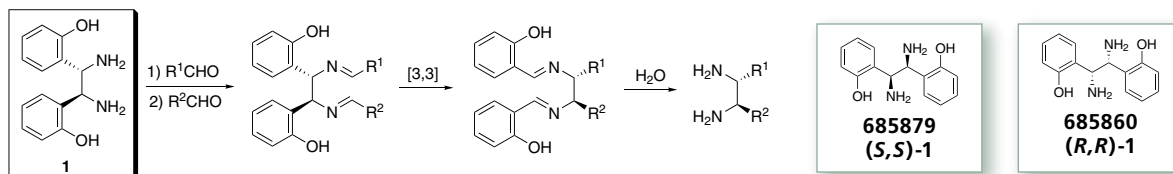
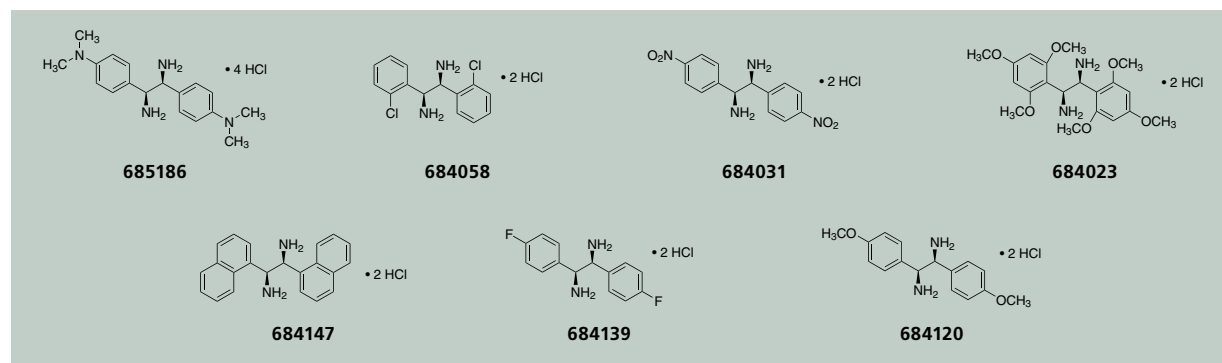
C<sub>12</sub>H<sub>11</sub>BrN<sub>2</sub>O<sub>2</sub>S  
FW 327.20



653500-1G 1 g  
653500-5G 5 g

**NEW Chiral Vicinal Diamines for Asymmetric Synthesis**

Chiral vicinal diamines are of tremendous interest to the synthetic chemist as they are found in many chiral catalysts and pharmaceuticals. Currently, there is no unified approach to making these chiral vicinal diamines, and they are often challenging to synthesize, especially if unsymmetrically substituted. Jik Chin and co-workers have recently reported some preliminary theoretical and experimental studies for converting a parent diamine (**1**) into other chiral vicinal diamines.<sup>1</sup> These diamines can be used as ligands for chiral catalysts, or they can be further elaborated to produce chiral heterocyclic rings and  $\beta$ -lactams via ring closure.

**Other NEW Chiral Vicinal Diamines**

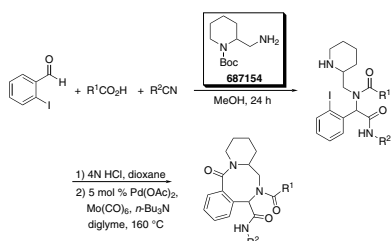
References: (1) (a) Chin, J. et al. *J. Am. Chem. Soc.* **2003**, 125, 15276. (b) Kim, H.-J. et al. *J. Am. Chem. Soc.* **2005**, 127, 16370. (c) Kim, H.-J. et al. *J. Am. Chem. Soc.* **2005**, 127, 16776.

## Piperidines

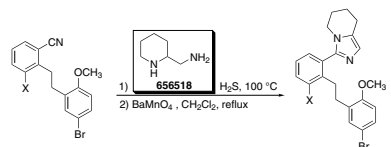
Piperidines have become increasingly popular building blocks in a vast array of synthetic protocols. 1-Boc-2-(aminomethyl)piperidine has been used in a post-Ugi carbonylation/intramolecular amidation approach to a series of eight-membered macrolactams with multiple points of diversity (**Scheme 1**).<sup>1</sup> On the other hand, the unprotected analogue has been employed in the synthesis of melanocortin 4 receptor antagonists (**Scheme 2**). These antagonists are potentially useful in the therapeutic treatment of involuntary weight loss.<sup>2</sup>

Fluorinated piperidines are also showing increased interest in medicinal chemistry. Both 3- and 4-fluoropiperidine were used in the synthesis of selective dipeptidyl peptidase II (DPP II) inhibitors (**Scheme 3**). The 3-fluoro analogue in particular demonstrated improved selectivity, without sacrificing potency.<sup>3</sup>

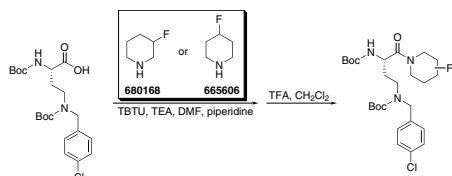
**References:** (1) Vasudevan, A.; Verzal, M. K. *Tetrahedron Lett.* **2005**, *46*, 1697. (2) Marsilje, T. H. et al. *Bioorg. Med. Chem. Lett.* **2004**, *14*, 3721. (3) Senten, K. et al. *J. Med. Chem.* **2004**, *47*, 2906.



**Scheme 1**



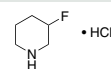
**Scheme 2**



**Scheme 3**

### 3-Fluoropiperidine hydrochloride, 97% NEW

C<sub>5</sub>H<sub>10</sub>FN · HCl  
FW 139.60  
[116574-75-5]



680168-250MG 250 mg  
680168-1G 1 g

### 4-Fluoropiperidine hydrochloride, 97% NEW

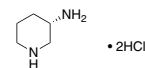
C<sub>5</sub>H<sub>10</sub>FN · HCl  
FW 139.60  
[57395-89-8]



665606-250MG 250 mg  
665606-1G 1 g

### (S)-(+)-3-Aminopiperidine dihydrochloride, 96% NEW

C<sub>5</sub>H<sub>12</sub>N<sub>2</sub> · 2HCl  
FW 173.08  
[334618-07-4]



674109-250MG 250 mg  
674109-1G 1 g

### (R)-(-)-3-Piperidinecarboxylic acid, 97% NEW

C<sub>6</sub>H<sub>11</sub>NO<sub>2</sub>  
FW 129.16  
[25137-00-2]



656356-1G 1 g  
656356-10G 10 g

### 2-(Aminomethyl)piperidine, 97%

C<sub>6</sub>H<sub>14</sub>N<sub>2</sub>  
FW 114.19  
[22990-77-8]



656518-25G 25 g

### 1-Trifluoroacetyl piperidine, 97% NEW

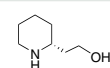
C<sub>7</sub>H<sub>10</sub>F<sub>3</sub>NO  
FW 181.16  
[340-07-8]



683035-5G 5 g  
683035-25G 25 g

### (R)-2-Piperidineethanol hydrochloride, ≥98.0% (GC) NEW

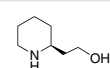
C<sub>7</sub>H<sub>15</sub>NO · HCl  
FW 165.66  
[787622-24-6]



670057-250MG 250 mg

### (S)-2-Piperidineethanol hydrochloride, ≥98.0% (GC) NEW

C<sub>7</sub>H<sub>15</sub>NO · HCl  
FW 165.66  
[786684-21-7]



670170-250MG 250 mg

### 1-Boc-4-bromopiperidine, 97% NEW

C<sub>10</sub>H<sub>18</sub>BrNO<sub>2</sub>  
FW 264.16  
[180695-79-8]



687448-5G 5 g

### (R)-1-Boc-3-hydroxypiperidine, 95% NEW

C<sub>10</sub>H<sub>19</sub>NO<sub>3</sub>  
FW 201.26  
[143900-43-0]



687278-1G 1 g

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**(S)-1-Boc-3-hydroxypiperidine, 97%**

NEW

C<sub>16</sub>H<sub>19</sub>NO<sub>3</sub>  
FW 201.26  
[143900-44-1]



687367-1G 1 g

**(S)-1-Boc-4-oxopiperidine-2-carboxylic acid, 97%**

NEW

C<sub>11</sub>H<sub>17</sub>NO<sub>5</sub>  
FW 243.26



676322-1G 1 g

**N-Boc-piperidine-2-methanol, 97%**

NEW

C<sub>11</sub>H<sub>21</sub>NO<sub>3</sub>  
FW 215.29  
[157634-00-9]



681296-1G 1 g

681296-10G 10 g

**N-Boc-piperidine-3-methanol, 97%**

NEW

C<sub>11</sub>H<sub>21</sub>NO<sub>3</sub>  
FW 215.29  
[116574-71-1]



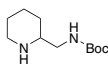
681318-1G 1 g

681318-10G 10 g

**2-(Boc-aminomethyl)piperidine, 97%**

NEW

C<sub>11</sub>H<sub>22</sub>N<sub>2</sub>O<sub>2</sub>  
FW 214.30  
[141774-61-0]



683515-1G 1 g

**1-Boc-2-aminomethylpiperidine, 95%**

NEW

C<sub>11</sub>H<sub>22</sub>N<sub>2</sub>O<sub>2</sub>  
FW 214.30  
[370069-31-1]

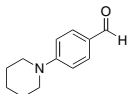


687154-1G 1 g

**4-(1-Piperidinyl)benzaldehyde, 97%**

NEW

C<sub>12</sub>H<sub>15</sub>NO  
FW 189.25  
[10338-57-5]

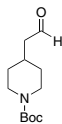


678953-5G 5 g

**N-Boc-4-piperidineacetaldehyde, 97%**

NEW

C<sub>12</sub>H<sub>21</sub>NO<sub>3</sub>  
FW 227.30  
[142374-19-4]

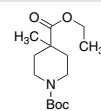


680214-1G 1 g

**Ethyl N-Boc-4-methylpiperidine-4-carboxylate, 97%**

NEW

C<sub>14</sub>H<sub>25</sub>NO<sub>4</sub>  
FW 271.35  
[189442-87-3]

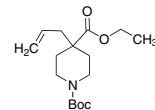


673927-1G 1 g

**Ethyl N-Boc-4-allylpiperidine-4-carboxylate, 90%**

NEW

C<sub>16</sub>H<sub>27</sub>NO<sub>4</sub>  
FW 297.39

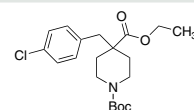


673730-1G 1 g

**Ethyl N-Boc-4-(4-chlorobenzyl)piperidine-4-carboxylate, 97%**

NEW

C<sub>20</sub>H<sub>28</sub>ClNO<sub>4</sub>  
FW 381.89

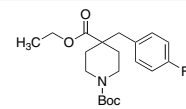


673900-1G 1 g

**Ethyl N-Boc-4-(4-fluorobenzyl)piperidine-4-carboxylate, 97%**

NEW

C<sub>20</sub>H<sub>28</sub>FNO<sub>4</sub>  
FW 365.44

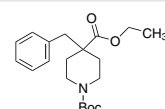


673919-1G 1 g

**Ethyl N-Boc-4-benzylpiperidine-4-carboxylate, 96%**

NEW

C<sub>20</sub>H<sub>29</sub>NO<sub>4</sub>  
FW 347.45

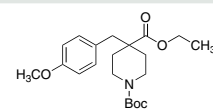


673749-1G 1 g

**Ethyl N-Boc-4-(4-methoxybenzyl)piperidine-4-carboxylate, 97%**

NEW

C<sub>21</sub>H<sub>31</sub>NO<sub>5</sub>  
FW 377.47



673897-1G 1 g

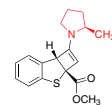
## Pyrrolidines

The (*R*)-2-methylpyrrolidinyl fragment has been a common structural motif present in several recently reported inhibitors and antagonists. One group synthesized a series of HIV-1 reverse transcriptase inhibitors of which the structure derived from (*R*)-2-methylpyrrolidine (**Figure 1**) displayed the greatest degree of inhibition.<sup>1</sup> Another group used (*R*)-2-methylpyrrolidine as a key building block for a series of histamine H<sub>3</sub> receptor antagonists which display subnanomolar potency (**Scheme 1**).<sup>2</sup>

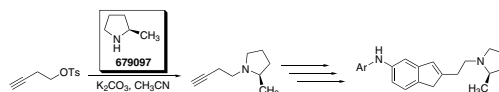
*N*-Boc-4-oxo-L-proline has recently been employed as a building block in the synthesis of a chimeric *S*-proline-methionine residue (**Scheme 2**).<sup>3</sup> This residue was incorporated into a series of *N*-formyl tripeptide analogues which were analyzed for their activity as agonists or antagonists of formylpeptide receptors.

1-Benzyl-3-aminopyrrolidine was used to create a series of potent dopamine D<sub>4</sub> antagonists that are selective over D<sub>2</sub> and α<sub>1</sub> receptors (**Scheme 3**).<sup>4</sup> These antagonists show promise in the treatment of various disorders such as ADHD, Parkinson's disease and sexual dysfunction.

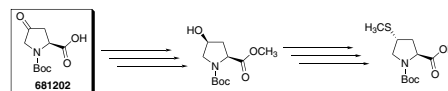
**References:** (1) Krajewski, K. et al. *Bioorg. Med. Chem. Lett.* **2006**, *16*, 3034. (2) Sun, M. et al. *J. Med. Chem.* **2005**, *48*, 6482. (3) Mollica, A. et al. *Bioorg. Med. Chem.* **2006**, *14*, 2253. (4) Egle, I. et al. *Bioorg. Med. Chem. Lett.* **2004**, *14*, 4847.



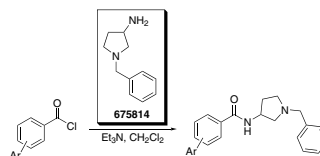
**Figure 1**



**Scheme 1**



**Scheme 2**



**Scheme 3**

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### (*R*)-(-)-2-(Trifluoromethyl)pyrrolidine, 97% NEW

C<sub>5</sub>H<sub>8</sub>F<sub>3</sub>N  
 FW 139.12  
 [119618-29-0]



680087-250MG 250 mg  
 680087-1G 1 g

### (*S*)-(+)-2-Methylpyrrolidine

C<sub>5</sub>H<sub>11</sub>N  
 FW 85.15  
 [59335-84-1]



649147-1G 1 g

### (*R*)-(-)-2-Methylpyrrolidine NEW

C<sub>5</sub>H<sub>11</sub>N  
 FW 85.15  
 [41720-98-3]



679097-1G 1 g

### *N*-Boc-4-oxo-L-proline, 97% NEW

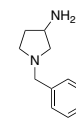
C<sub>10</sub>H<sub>15</sub>NO<sub>5</sub>  
 FW 229.23  
 [84348-37-8]



681202-500MG 500 mg

### 1-Benzyl-3-aminopyrrolidine, 95% NEW

C<sub>11</sub>H<sub>16</sub>N<sub>2</sub>  
 FW 176.26  
 [18471-40-4]



675814-5G 5 g

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**(S)-(-)-1-Boc-3-acetamidopyrrolidine, 97%**

NEW

C<sub>11</sub>H<sub>20</sub>N<sub>2</sub>O<sub>3</sub>  
FW 228.29  
[114636-37-2]



665088-1G	1 g
665088-5G	5 g

**1-Z-3-Pyrrolidinone, 96%**

NEW

C<sub>12</sub>H<sub>13</sub>NO<sub>3</sub>  
FW 219.24  
[130312-02-6]



661201-1G	1 g
661201-5G	5 g

**(R)-N-Boc-2-phenylpyrrolidine**

NEW

C<sub>15</sub>H<sub>21</sub>NO<sub>2</sub>  
FW 247.33  
[174311-02-5]

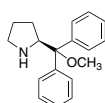


685291-250MG	250 mg
685291-1G	1 g

**(S)-2-(Methoxydiphenylmethyl)pyrrolidine, 95% (HPLC)**

NEW

C<sub>18</sub>H<sub>21</sub>NO  
FW 267.37  
[118971-03-2]

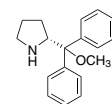


670197-100MG	100 mg
670197-500MG	500 mg

**(R)-2-(Methoxydiphenylmethyl)pyrrolidine, 95% (HPLC)**

NEW

C<sub>18</sub>H<sub>21</sub>NO  
FW 267.37

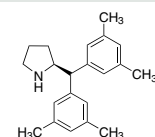


670308-100MG	100 mg
670308-500MG	500 mg

**(S)-2-[Bis(3,5-dimethylphenyl)methyl]pyrrolidine, ≥98.0% (HPLC)**

NEW

C<sub>19</sub>H<sub>26</sub>N<sub>2</sub>O<sub>3</sub>  
FW 420.50  
[553638-66-7]

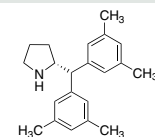


669520-100MG	100 mg
669520-500MG	500 mg

**(R)-2-[Bis(3,5-dimethylphenyl)methyl]pyrrolidine, ≥98.0% (HPLC)**

NEW

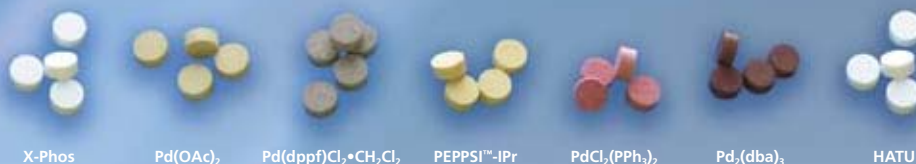
C<sub>21</sub>H<sub>27</sub>N  
FW 293.45



670405-100MG	100 mg
670405-500MG	500 mg

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## Sulfonyl Chlorides and Sulfonamides

Sulfonyl chlorides are often chosen as building blocks in medicinal chemistry for their ability to easily react with heterocyclic amines to create complex sulfonamides. One such report details the use of cyclopropanesulfonyl chloride and cyclopentanesulfonyl chloride as building blocks in the synthesis of TNF- $\alpha$  converting enzyme (TACE) inhibitors (**Scheme 1**).<sup>1</sup> The cyclopropyl variant exhibited good selectivity for TACE over MMP-2 and -13.

Another recent communication describes the synthesis of human glucocorticoid receptor (hGR) ligands.<sup>2</sup> This sulfonamide created from  $\alpha$ -methyltryptamine and 2,4,5-trichlorobenzenesulfonyl chloride (**Scheme 2**) exhibited micromolar hGR potency. The sulfonamide moiety was also demonstrated to be crucial for effective hGR binding in the study.

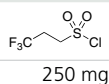
(2-Trimethylsilyl)ethanesulfonamide (SES-NH<sub>2</sub>) has proven effective at directly introducing a protected nitrogen-functionality into a molecule. One example is in the work of Bolm and Mancheño, who reported the use of SES-NH<sub>2</sub> in the iron-catalyzed imination of sulfoxides to yield sulfoximines (**Scheme 3**).<sup>3</sup>

Lamaty and co-workers have prepared a series of SES-protected  $\beta$ -aminoesters by using SES-NH<sub>2</sub> in an *aza*-Baylis-Hillman reaction. These  $\beta$ -aminoesters were then further elaborated to provide a series of 2,3-disubstituted pyrroles through a ring-closing metathesis protocol (**Scheme 4**).<sup>4</sup>

**References:** (1) Condon, J. S. et al. *Bioorg. Med. Chem. Lett.* **2007**, *17*, 34. (2) Marshall, D. R. et al. *Bioorg. Med. Chem. Lett.* **2007**, *17*, 315. (3) Mancheño, O. G.; Bolm, C. *Org. Lett.* **2006**, *8*, 2349. (4) Declerck, V. et al. *J. Org. Chem.* **2004**, *69*, 8372.

### 3,3,3-Trifluoropropane-1-sulfonyl chloride, 95%

C<sub>3</sub>H<sub>4</sub>ClF<sub>3</sub>O<sub>2</sub>S  
FW 196.58



### Cyclopropanesulfonyl chloride, 95%

C<sub>3</sub>H<sub>5</sub>ClO<sub>2</sub>S  
FW 140.59  
[139631-62-2]



### Cyclopropanesulfonamide

C<sub>3</sub>H<sub>7</sub>NO<sub>2</sub>S  
FW 121.16  
[154350-28-4]



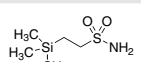
### Cyclopentanesulfonyl chloride, 90%

C<sub>5</sub>H<sub>9</sub>ClO<sub>2</sub>S  
FW 168.64  
[26394-17-2]



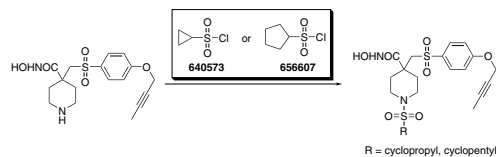
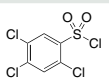
### 2-(Trimethylsilyl)ethanesulfonamide, 90%

C<sub>5</sub>H<sub>15</sub>NO<sub>2</sub>SSi  
FW 181.33  
[125486-96-6]

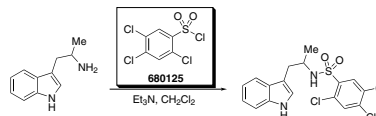


### 2,4,5-Trichlorobenzenesulfonyl chloride, 95%

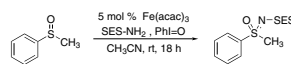
C<sub>6</sub>H<sub>2</sub>Cl<sub>3</sub>O<sub>2</sub>S  
FW 279.96  
[15945-07-0]



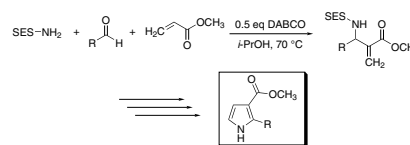
**Scheme 1**



**Scheme 2**



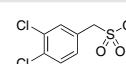
**Scheme 3**



**Scheme 4**

### 3,4-Dichlorobenzylsulfonyl chloride, 97%

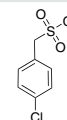
C<sub>7</sub>H<sub>5</sub>Cl<sub>2</sub>O<sub>2</sub>S  
FW 259.54  
[85952-30-3]



678627-500MG

### 4-Chlorobenzylsulfonyl chloride, 97%

C<sub>7</sub>H<sub>6</sub>Cl<sub>2</sub>O<sub>2</sub>S  
FW 225.09  
[6966-45-6]



664774-1G

### 2-Chlorobenzylsulfonyl chloride, 97%

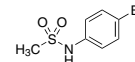
C<sub>7</sub>H<sub>6</sub>Cl<sub>2</sub>O<sub>2</sub>S  
FW 225.09  
[77421-13-7]



678643-1G

### N-(4-Bromophenyl)methanesulfonamide, 97%

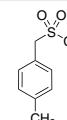
C<sub>7</sub>H<sub>8</sub>BrNO<sub>2</sub>S  
FW 250.11  
[4284-50-8]



680508-1G  
680508-10G

### 4-Methylbenzylsulfonyl chloride, 96%

C<sub>8</sub>H<sub>9</sub>ClO<sub>2</sub>S  
FW 204.67  
[51419-59-1]



664766-1G

**Argentina**

SIGMA-ALDRICH DE ARGENTINA S.A.  
Free Tel: 0810 888 7446  
Tel: (+54) 11 4556 1472  
Fax: (+54) 11 4552 1698

**Australia**

SIGMA-ALDRICH PTY LTD.  
Free Tel: 1800 800 097  
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Fax: (+43) 1 605 81 20

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SIGMA-ALDRICH NV/SA.  
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Free Fax: 0800 14745  
Tel: (+32) 3 899 13 01  
Fax: (+32) 3 899 13 11

**Brazil**

SIGMA-ALDRICH BRASIL LTDA.  
Free Tel: 0800 701 7425  
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Fax: (+55) 11 5522 9895

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SIGMA-ALDRICH CANADA LTD.  
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Fax: (+1) 905 829 9292

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**Czech Republic**

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Tel: (+420) 246 003 200  
Fax: (+420) 246 003 291

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SIGMA-ALDRICH DENMARK A/S  
Tel: (+45) 43 56 59 10  
Fax: (+45) 43 56 59 05

**Finland**

SIGMA-ALDRICH FINLAND OY  
Tel: (+358) 9 350 9250  
Fax: (+358) 9 350 92555

**France**

SIGMA-ALDRICH CHIMIE S.à.r.l.  
Free Tel: 0800 211 408  
Free Fax: 0800 031 052  
Tel: (+33) 474 82 28 00  
Fax: (+33) 474 95 68 08

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SIGMA-ALDRICH CHEMIE GmbH  
Free Tel: 0800 51 55 000  
Free Fax: 0800 64 90 000  
Tel: (+49) 89 6513 0  
Fax: (+49) 89 6513 1160

**Greece**

SIGMA-ALDRICH (O.M.) LTD.  
Tel: (+30) 210 994 8010  
Fax: (+30) 210 994 3831

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SIGMA-ALDRICH Kft  
Ingyenes zöld telefon: 06 80 355 355  
Ingyenes zöld fax: 06 80 344 344  
Tel: (+36) 1 235 9055  
Fax: (+36) 1 235 9050

**India**

SIGMA-ALDRICH CHEMICALS  
PRIVATE LIMITED  
Telephone  
Bangalore: (+91) 80 6621 9600  
New Delhi: (+91) 11 4165 4255  
Mumbai: (+91) 22 2570 2364  
Hyderabad: (+91) 40 6684 5488  
Fax  
Bangalore: (+91) 80 6621 9650  
New Delhi: (+91) 11 4165 4266  
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Hyderabad: (+91) 40 6684 5466

**Ireland**

SIGMA-ALDRICH IRELAND LTD.  
Free Tel: 1800 200 888  
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Fax: (+353) 1 404 1910

**Israel**

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Free Tel: 1 800 70 2222  
Tel: (+972) 8 948 4100  
Fax: (+972) 8 948 4200

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SIGMA-ALDRICH S.r.l.  
Numero Verde: 800 827018  
Tel: (+39) 02 3341 7310  
Fax: (+39) 02 3801 0737

**Japan**

SIGMA-ALDRICH JAPAN K.K.  
Tokyo Tel: (+81) 3 5796 7300  
Tokyo Fax: (+81) 3 5796 7315

**Korea**

SIGMA-ALDRICH KOREA  
Free Tel: (+82) 80 023 7111  
Free Fax: (+82) 80 023 8111  
Tel: (+82) 31 329 9000  
Fax: (+82) 31 329 9090

**Malaysia**

SIGMA-ALDRICH (M) SDN. BHD  
Tel: (+60) 3 5635 3321  
Fax: (+60) 3 5635 4116

**Mexico**

SIGMA-ALDRICH QUÍMICA, S.A. de C.V.  
Free Tel: 01 800 007 5300  
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Tel: 52 722 276 1600  
Fax: 52 722 276 1601

**The Netherlands**

SIGMA-ALDRICH CHEMIE BV  
Free Tel: 0800 022 9088  
Free Fax: 0800 022 9089  
Tel: (+31) 78 620 5411  
Fax: (+31) 78 620 5421

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SIGMA-ALDRICH NEW ZEALAND LTD.  
Free Tel: 0800 936 666  
Free Fax: 0800 937 777  
Tel: (+61) 2 9841 0555  
Fax: (+61) 2 9841 0500

**Norway**

SIGMA-ALDRICH NORWAY AS  
Tel: (+47) 23 17 60 60  
Fax: (+47) 23 17 60 50

**Poland**

SIGMA-ALDRICH Sp. z o.o.  
Tel: (+48) 61 829 01 00  
Fax: (+48) 61 829 01 20

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SIGMA-ALDRICH QUÍMICA, S.A.  
Free Tel: 800 202 180  
Free Fax: 800 202 178  
Tel: (+351) 21 924 2555  
Fax: (+351) 21 924 2610

**Russia**

SIGMA-ALDRICH RUS, LLC  
Tel: +7 (495) 621 6037  
Fax: +7 (495) 621 5923

**Singapore**

SIGMA-ALDRICH PTE. LTD.  
Tel: (+65) 6779 1200  
Fax: (+65) 6779 1822

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SOUTH AFRICA (PTY) LTD.  
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Free Fax: 0800 1100 79  
Tel: (+27) 11 979 1188  
Fax: (+27) 11 979 1119

**Spain**

SIGMA-ALDRICH QUÍMICA, S.A.  
Free Tel: 900 101 376  
Free Fax: 900 102 028  
Tel: (+34) 91 661 99 77  
Fax: (+34) 91 661 96 42

**Sweden**

SIGMA-ALDRICH SWEDEN AB  
Tel: (+46) 8 742 4200  
Fax: (+46) 8 742 4243

**Switzerland**

SIGMA-ALDRICH CHEMIE GmbH  
Free Tel: 0800 80 00 80  
Free Fax: 0800 80 00 81  
Tel: (+41) 81 755 2828  
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**United Kingdom**

SIGMA-ALDRICH COMPANY LTD.  
Free Tel: 0800 717 181  
Free Fax: 0800 378 785  
Tel: (+44) 1747 833 000  
Fax: (+44) 1747 833 313  
SAFC (UK) Free Tel: 0800 71 71 17

**United States**

SIGMA-ALDRICH  
P.O. Box 14508  
St. Louis, Missouri 63178  
Toll-Free: 800 325 3010  
Toll-Free Fax: 800 325 5052  
Call Collect: (+1) 314 771 5750  
Tel: (+1) 314 771 5765  
Fax: (+1) 314 771 5757

**Internet**

sigma-aldrich.com

**World Headquarters**

3050 Spruce St., St. Louis, MO 63103  
(314) 771-5765  
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