## Supplementary Materials

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3. The ${ }^{1} \mathrm{H}$-NMR spectrum of compounds $\mathbf{7}, \mathbf{8}, \mathbf{1 4}, \mathbf{1 7}$

Figure S1. ${ }^{1} \mathrm{H}-\mathrm{NMR}$ of compound 7a in DMSO- $d_{6}$.


Figure S2. ${ }^{1} \mathrm{H}$-NMR of compound 7b in DMSO- $d_{6}$.


Figure S3. ${ }^{1} \mathrm{H}-\mathrm{NMR}$ of compound $\mathbf{7 c}$ in DMSO- $d_{6}$.


Figure S4. ${ }^{1} \mathrm{H}-\mathrm{NMR}$ of compound $\mathbf{7 d}$ in $\mathrm{CD}_{3} \mathrm{OD}$.


Figure S5. ${ }^{1} \mathrm{H}$-NMR of compound $\mathbf{7 f}$ in $\mathrm{CD}_{3} \mathrm{OD}$.


Figure S6. ${ }^{1} \mathrm{H}-\mathrm{NMR}$ of compound $\mathbf{7 g}$ in DMSO- $d_{6}$.


Figure S7. ${ }^{1} \mathrm{H}$-NMR of compound $\mathbf{7 h}$ in DMSO- $d_{6}$.


Figure S8. ${ }^{1} \mathrm{H}-\mathrm{NMR}$ of compound $7 \mathbf{i}$ in DMSO- $d_{6}$.


Figure S9. ${ }^{1} \mathrm{H}-\mathrm{NMR}$ of compound $\mathbf{7 j}$ in $\mathrm{CDCl}_{3}$.


Figure S10. ${ }^{1} \mathrm{H}$-NMR of compound $\mathbf{7 k}$ in $\mathrm{CDCl}_{3}$.


Figure S11. ${ }^{1} \mathrm{H}-\mathrm{NMR}$ of compound $\mathbf{7 1}$ in DMSO- $d_{6}$.


Figure S12. ${ }^{1} \mathrm{H}$-NMR of compound $\mathbf{8 a}$ in $\mathrm{CDCl}_{3}$.


Figure S13. ${ }^{1} \mathrm{H}$-NMR of compound $\mathbf{8 b}$ in $\mathrm{CDCl}_{3}$.


Figure S14. ${ }^{1} \mathrm{H}-\mathrm{NMR}$ of compound $\mathbf{8 c}$ in DMSO- $d_{6}$.
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Figure S15. ${ }^{1} \mathrm{H}-\mathrm{NMR}$ of compound 14 a in $\mathrm{CDCl}_{3}$.


Figure S16. ${ }^{1} \mathrm{H}$-NMR of compound $\mathbf{1 4 b}$ in $\mathrm{CDCl}_{3}$.


Figure S17. ${ }^{1} \mathrm{H}$-NMR of compound $\mathbf{1 4 c}$ in $\mathrm{CDCl}_{3}$.


Figure S18. ${ }^{1} \mathrm{H}$-NMR of compound $\mathbf{1 4 d}$ in DMSO- $d_{6}$.


Figure S19. ${ }^{1} \mathrm{H}$-NMR of compound $\mathbf{1 7 b}$ in DMSO- $d_{6}$.


Figure $\mathbf{S 2 0} .{ }^{1} \mathrm{H}-\mathrm{NMR}$ of compound $17 \mathbf{d}$ in $\mathrm{CDCl}_{3}$.


Figure S21. ${ }^{1} \mathrm{H}$-NMR of compound 17 f in $\mathrm{CD}_{3} \mathrm{OD}$.


Figure S22. ${ }^{1} \mathrm{H}$-NMR of compound $17 \mathbf{g}$ in $\mathrm{CDCl}_{3}$.


Figure S23. ${ }^{1} \mathrm{H}$-NMR of compound $17 \mathbf{h}$ in $\mathrm{CDCl}_{3}$.


Figure S24. ${ }^{1} \mathrm{H}$-NMR of compound $17 \mathbf{i}$ in $\mathrm{CDCl}_{3}$.

2. The ${ }^{13} \mathrm{C}-\mathrm{NMR}$ and HPLC spectra of N -(1-(4-(3-(2-Chloroethyl)ureido)benzyl)piperidin-4-yl)-3(trifluoromethyl) benzamide (7i).

Figure S25. The $\mathrm{C}^{13}$ spectrum of $\mathbf{7 i}$.

${ }^{13}$ C-NMR (DMSO- $d_{6}$ ) $\delta: 30.6,41.1,44.3,51.6,65.9,113.5,117.4,122.6,123.7,125.3,127.6,128.8$, 129.2, 129.5, 131.5, 135.4, 155.1, 156.5, 164.2.

Figure S26．The HPLC spectrum of 7i．

Empower＂ 3
单个结果向导

|  |  |  |  |  | 样品信息 |  |
| :--- | :--- | :--- | :--- | :---: | :---: | :---: |
|  | 样品名称： | $110-3$ | 采集者： |  |  |  |
| 样品类型： | 末知 | System |  |  |  |  |
| 样品瓶： | 43 | 样品组名称： |  |  |  |  |
| 进榇次数： | 1 | 采集方法组： | $\|x\|$ |  |  |  |
| 进样体积： | 10.00 ul | 处理方法： | 11003 |  |  |  |
| 运行时间： | 60.0 Minutes | 通道名称： | 246.1 纳米 |  |  |  |
| 采集时间： | $2013 / 1 / 28$ 13：11：07 CST |  | 处理通道说明： |  |  |  |
| 处理时间： | $2013 / 1 / 28$ 14：15：06 CST |  |  |  |  |  |



| 峰结果 |  |  |  |  |  |
| :---: | :---: | :---: | :---: | :---: | :---: |
|  | 名称 | 保留时间 （分钟） | 面积 （微伏＊秒） | 高度 <br> （微伏） | \％面积 |
| 1 |  | 3.560 | 31940 | 1374 | 1.07 |
| 2 |  | 13.522 | 2955239 | 77339 | 98.93 |

