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产品名称: **VU0134992**

CAS 号: **755002-90-5**

产品货号: **S87911**

| 生物活性:                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |
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| <b>Description</b>       | VU0134992 是第一个亚型偏好的, 具有口服活性和选择性的内向整流钾通道 Kir4.1 阻滞剂, IC50 值为 0.97 $\mu$ M。VU0134992 在 -120 mV 时, 对同分 Kir4.1 比 Kir4.1/5.1 共分通道 (IC50=9 $\mu$ M) 高出 9 倍的选择性。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |
| <b>IC50 &amp; Target</b> | IC50: 0.97 $\mu$ M (Kir4.1)[1]                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |
| <b>In Vitro</b>          | VU0134992 is greater than 30-fold selective for Kir4.1 over Kir1.1, Kir2.1, and Kir2.2, is weakly active toward Kir2.3, Kir6.2/SUR1, and Kir7.1, and is equally active toward Kir3.1/3.2, Kir3.1/3.4, and Kir4.2[1]. The selectivity of VU0134992 for Kir4.1 versus nine other members of the Kir channel family was evaluated at concentrations ranging from 0.3 nM to 30 $\mu$ M in 11-point CRC experiments, using established TI <sup>+</sup> flux assays. VU0134992 inhibits Kir3.1/Kir3.2 (92% inhibition at 30 $\mu$ M, IC50=2.5 $\mu$ M), Kir3.1/Kir3.4 (92% inhibition at 30 $\mu$ M, IC50=3.1 $\mu$ M), and Kir4.2 (100% inhibition at 30 $\mu$ M, IC50=8.1 $\mu$ M) with approximately the same efficacy and potency that VU0134992 inhibits Kir4.1 (100% at 30 $\mu$ M, IC50=5.2 $\mu$ M)[1]。 |
| <b>In Vivo</b>           | VU0134992 (50-100 mg/kg; oral gavage) statistically significantly increased urinary Na <sup>+</sup> as well as K <sup>+</sup> excretion[1]。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |
|                          | <b>Animal Model:</b> Male Sprague-Dawley rats (250-300 g)[1]                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |
|                          | <b>Dosage:</b> 50 and 100 mg/kg                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |
|                          | <b>Administration:</b> Oral gavage                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |
|                          | <b>Result:</b> Statistically significantly increased urinary Na <sup>+</sup> as well as K <sup>+</sup> excretion                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |