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产品名称: **Emixustat (hydrochloride)**

产品别名: **ACU-4429 hydrochloride**

|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                                           |           |            |
|--------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------|-----------|------------|
| 生物活性:              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                                           |           |            |
| Description        | Emixustat hydrochloride strongly inhibits 11-cis-retinol production with IC50 values of $232 \pm 3$ nM. IC50 value: 232 nM [1] Target: 11-cis-retinol Emixustat hydrochloride is a novel visual cycle modulator, in healthy volunteers. Oral Emixustat was safe and well tolerated when administered once daily for 14 days with minimal systemic adverse events reported. Evaluation of emixustat in subjects with geographic atrophy associated with dry age-related macular degeneration.[2] |                                           |           |            |
| Solvent&Solubility | <b>In Vitro:</b><br><b>DMSO : <math>\geq 44</math> mg/mL (146.74 mM)</b><br><br>* " $\geq$ " means soluble, but saturation unknown.                                                                                                                                                                                                                                                                                                                                                             |                                           |           |            |
|                    | <div>Preparing<br/>Stock Solutions</div>                                                                                                                                                                                                                                                                                                                                                                                                                                                        | <div>Solvent / Mass / Concentration</div> | 1 mg      | 5 mg       |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 | 1 mM                                      | 3.3351 mL | 16.6756 mL |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 | 5 mM                                      | 0.6670 mL | 3.3351 mL  |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 | 10 mM                                     | 0.3335 mL | 1.6676 mL  |
|                    | *请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。<br>储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。                                                                                                                                                                                                                                                                                                                                      |                                           |           |            |
| References         | [1]. Kiser PD, et al. Catalytic mechanism of a retinoid isomerase essential for vertebrate vision. Nat Chem Biol. 2015 Jun;11(6):409-15.<br>[2]. Kubota R, et al. Phase 1, dose-ranging study of emixustat hydrochloride (ACU-4429), a novel visual cycle modulator, in healthy volunteers. Retina. 2014 Mar;34(3):603-9.                                                                                                                                                                       |                                           |           |            |