



|                    |  |                                                                                                                                                                                                                         |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
|--------------------|--|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------------------------------|------------------|----------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------------|----------------------|--------------------|--------|-----------------------|---------|
| 生物活性:              |  |                                                                                                                                                                                                                         |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
| Description        |  | NXP800 (CCT361814) 是一种有效的, 具有口服活性的热激因子 1 通路 (HSF1 pathway) 抑制剂。NXP800 具有用于癌症研究的潜力。                                                                                                                                      |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
| IC50 & Target      |  | HSF1[1]                                                                                                                                                                                                                 |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
| Cellular Effect    |  | Cell Line                                                                                                                                                                                                               |                              | Type             | Value                | Description                                                                                                                                                           |                 |                      |                    |        |                       |         |
|                    |  |                                                                                                                                                                                                                         |                              |                  |                      | Antiproliferative activity against human SK-OV-3 cells assessed as cell growth inhibition measured after 96 hrs by resazurin dye based celltiter-blue viability assay |                 |                      |                    |        |                       |         |
|                    |  | SK-OV-3                                                                                                                                                                                                                 |                              | GI <sub>50</sub> | 8.5 nM               |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
|                    |  | SK-OV-3                                                                                                                                                                                                                 |                              | IC <sub>50</sub> | 94 nM                | Inhibition of 17-AAG induced HSP72-expression in human SK-OV-3 cells incubated for 18 hrs by ELISA                                                                    |                 |                      |                    |        |                       |         |
| In Vitro           |  | NXP800 (example 169) inhibits U2OS cells viability (IC50=0.056 μM)[1]。                                                                                                                                                  |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
| In Vivo            |  | NXP800 (35 mg/kg; 口服; 每天一次, 持续 20 天) 抑制皮下生长的已建立的 SK-OV-3 人卵巢癌实体瘤异种移植植物, 相对于对照, 肿瘤生长抑制 (TGI) 为 120%[2].                                                                                                                  |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
|                    |  | 药代动力学分析[2]                                                                                                                                                                                                              |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
|                    |  |                                                                                                                                                                                                                         |                              |                  |                      | AUC <sub>last</sub>                                                                                                                                                   | Cl <sub>b</sub> |                      | Free               |        | Cl <sub>e</sub>       |         |
|                    |  | Dose                                                                                                                                                                                                                    |                              |                  |                      | (ng·h/m                                                                                                                                                               | (mL/min         |                      | AUC <sub>0-4</sub> |        | C <sub>av</sub> 0-24h | (mL/min |
|                    |  | Species                                                                                                                                                                                                                 | Route                        | (mg/kg)          | T <sub>max</sub> (h) | L)                                                                                                                                                                    | /kg)            | t <sub>1/2</sub> (h) | F (%)              | (h·nM) | (nM)                  | /kg)    |
|                    |  |                                                                                                                                                                                                                         |                              |                  |                      | 6000                                                                                                                                                                  | 10              |                      |                    |        |                       |         |
|                    |  |                                                                                                                                                                                                                         |                              |                  |                      | (7800-46                                                                                                                                                              | (10-9.7)        |                      |                    |        |                       |         |
| mouse              |  | po/iv                                                                                                                                                                                                                   | 5 月 5 日                      | 2                | 00) (po)             | (iv)                                                                                                                                                                  | 4               | 42 (po)              | 72                 | 3.3    | 830 (iv)              |         |
|                    |  |                                                                                                                                                                                                                         |                              |                  |                      | 2600                                                                                                                                                                  |                 |                      |                    |        |                       |         |
| rat                |  | po/iv                                                                                                                                                                                                                   | 5 月 1 日                      | 6                | (po)                 | 24 (iv)                                                                                                                                                               | 3.1             | 45 (po)              | 86                 | 3.7    | 730 (iv)              |         |
| dog                |  | po/iv                                                                                                                                                                                                                   | 2.5/0.5                      | 2                | 250 (po)             | 21 (iv)                                                                                                                                                               | 1.4             | 9.1 (po)             | 35                 | 1.9    | 150 (iv)              |         |
| Solvent&Solubility |  | 细胞实验:                                                                                                                                                                                                                   |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
|                    |  | DMSO 中的溶解度 : 100 mg/mL (175.55 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)                                                                                                                                           |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
|                    |  | Preparing Stock Solutions                                                                                                                                                                                               | Solvent / Mass Concentration |                  | 1 mg                 |                                                                                                                                                                       | 5 mg            |                      | 10 mg              |        |                       |         |
|                    |  |                                                                                                                                                                                                                         | 1 mM                         |                  | 1.7555 mL            |                                                                                                                                                                       | 8.7776 mL       |                      | 17.5553 mL         |        |                       |         |
|                    |  |                                                                                                                                                                                                                         | 5 mM                         |                  | 0.3511 mL            |                                                                                                                                                                       | 1.7555 mL       |                      | 3.5111 mL          |        |                       |         |
| 10 mM              |  |                                                                                                                                                                                                                         | 0.1756 mL                    |                  | 0.8778 mL            |                                                                                                                                                                       | 1.7555 mL       |                      |                    |        |                       |         |
| 参考文献               |  | *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。                                                                                                                                                             |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
|                    |  | 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。                                                                                                                              |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
|                    |  | [1]. Keith Jones, et al. Fused 1,4-dihydrodioxin derivatives as inhibitors of heat shock transcription factor 1. WO2015049535A1.                                                                                        |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |
|                    |  | [2]. Pasqua AE, et al. HSF1 Pathway Inhibitor Clinical Candidate (CCT361814/NXP800) Developed from a Phenotypic Screen as a Potential Treatment for Refractory Ovarian Cancer and Other Malignancies. J Med Chem. 2023. |                              |                  |                      |                                                                                                                                                                       |                 |                      |                    |        |                       |         |



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### 完整储备液配制表:

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。

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。

| 可选溶剂 | 质量<br>溶剂体积<br>浓度 | 1 mg      | 5 mg      | 10 mg      | 25 mg      |
|------|------------------|-----------|-----------|------------|------------|
|      |                  |           |           |            |            |
| DMSO | 1 mM             | 1.7555 mL | 8.7776 mL | 17.5553 mL | 43.8881 mL |
|      | 5 mM             | 0.3511 mL | 1.7555 mL | 3.5111 mL  | 8.7776 mL  |
|      | 10 mM            | 0.1756 mL | 0.8778 mL | 1.7555 mL  | 4.3888 mL  |
|      | 15 mM            | 0.1170 mL | 0.5852 mL | 1.1704 mL  | 2.9259 mL  |
|      | 20 mM            | 0.0878 mL | 0.4389 mL | 0.8778 mL  | 2.1944 mL  |
|      | 25 mM            | 0.0702 mL | 0.3511 mL | 0.7022 mL  | 1.7555 mL  |
|      | 30 mM            | 0.0585 mL | 0.2926 mL | 0.5852 mL  | 1.4629 mL  |
|      | 40 mM            | 0.0439 mL | 0.2194 mL | 0.4389 mL  | 1.0972 mL  |
|      | 50 mM            | 0.0351 mL | 0.1756 mL | 0.3511 mL  | 0.8778 mL  |
|      | 60 mM            | 0.0293 mL | 0.1463 mL | 0.2926 mL  | 0.7315 mL  |
|      | 80 mM            | 0.0219 mL | 0.1097 mL | 0.2194 mL  | 0.5486 mL  |
|      | 100 mM           | 0.0176 mL | 0.0878 mL | 0.1756 mL  | 0.4389 mL  |