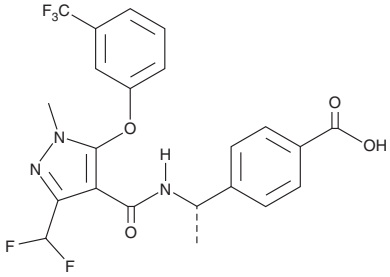
PRODUCT INFORMATION

E7046

Item No. 19673

CAS Registry No.: 1369489-71-3
Formal Name: 4-[(1S)-1-[[[3-(difluoromethyl)-1-methyl-5-[3-(trifluoromethyl) phenoxy]-1H-pyrazol-4-yl]carbonyl] amino]ethyl]-benzoic acid
MF: $C_{22}H_{18}F_5N_3O_4$
FW: 483.4
Purity: ≥98%
UV/Vis.: λ_{max}: 234 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

E7046 is supplied as a crystalline solid. A stock solution may be made by dissolving the E7046 in the solvent of choice. E7046 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of E7046 in these solvents is approximately 12, 14, and 2 mg/ml, respectively.

E7046 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, E7046 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. E7046 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

E7046 is a specific antagonist of the type 4 prostaglandin E_2 (PGE_2) receptor EP_4. It possesses significant antitumor growth activity in multiple preclinical tumor models through modulating myeloid cells, including tumor-associated macrophages and myeloid-derived suppressor cells.[1] E7046 is being evaluated in cancer models and clinical trials, alone or in combination with other immunotherapeutic compounds.[2,3]

References

1. Bao, X., Albu, D., Huang, K.-C., *et al*. Combination of EP_4 antagonist and checkpoint inhibitors promotes anti-tumor effector T cells in preclinical tumor models. *J. Immunother. Cancer* **3(suppl 2)**. (2015).
2. Albu, D. I., Huang, K.-C., Wu, J., *et al*. Preclinical immune antitumor activity of myeloid-targeting E7046 and treg depleting E7777. *Cancer Immunol. Res.* **4(1 Suppl)**. (2016).
3. Hong, D. S., Kwak, E. L., Guo, M., *et al*. Phase 1 study of E7046, an inhibitor of the PGE_2 receptor EP-4, that targets immunosuppressive myeloid cells in the tumor microenvironment (NCT02540291). *J. Clin. Oncol*. **34**, (2016).

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