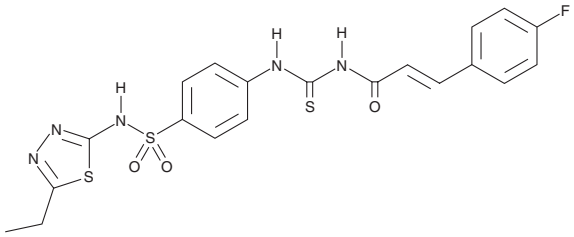
PRODUCT INFORMATION

TG6-129

Item No. 19085

CAS Registry No.:	1164464-14-5
Formal Name:	(2E)-N-[[[4-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]sulfonyl]phenyl]amino]thioxomethyl]-3-(4-fluorophenyl)-2-propenamide
Synonym:	SID 17503974
MF:	$C_{20}H_{18}FN_5O_3S_3$
FW:	491.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 224, 310 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

TG6-129 is supplied as a crystalline solid. A stock solution may be made by dissolving the TG6-129 in the solvent of choice, which should be purged with an inert gas. TG6-129 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of TG6-129 in these solvents is approximately 10 and 8 mg/ml, respectively.

TG6-129 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Prostaglandin E_2 (PGE_2; Item No. 14010) evokes distinct responses through four different 'E prostanoid' (EP) receptors. EP_2 is a G protein-coupled receptor that has diverse roles, including those in cancer, inflammation, and neuroprotection.[1-3] TG6-129 is an antagonist of the EP_2 receptor, suppressing PGE_2-induced elevation of cAMP in cells expressing EP_2 with an IC_{50} value of 1.6 µM.[4] It is without effect on EP_4, DP_1, IP, and β_2-adrenergic receptors. TG6-129 reduces the expression of COX-2, IL-1β, IL-12, IL-23, IL-6, and TNF-α induced by the EP_2-selective agonist butaprost (Item No. 13740) in P388D1 macrophages.[4] It has low cell cytotoxicity (CC_{50} = 326 µM), prolonged plasma half-life, and does not cross the blood-brain barrier.[4]

References

1. Majima, M., Amano, H., and Hayashi, I. Prostanoid receptor signaling relevant to tumor growth and angiogenesis. *Trends Pharmacol. Sci.* **34(10)**, 524-529 (2003).
2. Jiang, J. and Dingledine, R. Prostaglandin receptor EP_2 in the crosshairs of anti-inflammation, anti-cancer, and neuroprotection. *Trends Pharmacol. Sci.* **34(7)**, 413-423 (2013).
3. Kawahara, K., Hohjoh, H., Inazumi, T., *et al.* Prostaglandin E_2-induced inflammation: Relevance of prostaglandin E receptors. *Biochim. Biophys. Acta* **1851(4)**, 414-421 (2015).
4. Ganesh, T., Jiang, J., Shashidharamurthy, R., *et al.* Discovery and characterization of carbamothioylacrylamides as EP_2 selective antagonists. *ACS Med. Chem. Lett.* **4(7)**, 616-621 (2013).

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