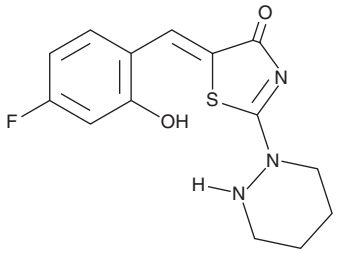
PRODUCT INFORMATION

CLP 257

Item No. 30948

CAS Registry No.:	1181081-71-9
Formal Name:	(5Z)-5-[(4-fluoro-2-hydroxyphenyl) methylene]-2-(tetrahydro-1(2H)-pyridazinyl)-4(5H)-thiazolone
MF:	$C_{14}H_{14}FN_3O_2S$
FW:	307.3
Purity:	≥98%
Supplied as:	A 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

CLP 257 is supplied as a solid. A stock solution may be made by dissolving the CLP 257 in the solvent of choice, which should be purged with an inert gas. CLP 257 is soluble in the organic solvent DMSO at a concentration of approximately 20 mg/ml.

Description

CLP 257 is a K^+/Cl^- cotransporter 2 (KCC2) activator.[1-3] It induces chloride transport in NG108-cl cells that endogenously express low levels of KCC2 (EC_{50} = 616 nM).[1] It is selective for KCC2 over $GABA_A$ receptors at 50 µM. CLP 257 (25 µM) increases the rate of chloride accumulation in spinal slices isolated from a rat model of peripheral nerve injury and BDNF control slices, which exhibit reduced levels of KCC2. *In vivo*, CLP 257 (100 mg/kg) increases mechanical and withdrawal thresholds in a rat model of peripheral nerve injury and reverses morphine-induced hyperalgesia in rats.[1,4]

References

1. Gagnon, M., Bergeron, M.J., Lavertu, G., *et al.* Chloride extrusion enhancers as novel therapeutics for neurological diseases. *Nat. Med.* **19(11)**, 1524-1528 (2013).
2. Cardarelli, R.A., Jones, K., Pisella, L.I., *et al.* The small molecule CLP257 does not modify activity of the K^+-Cl^- co-tansporter KCC2 but does potentiate $GABA_A$ receptor activity. *Nat. Med.* **23(12)**, 1394-1396 (2017).
3. Gagnon, M., Bergeron, M.J., Perez-Sanchez, J., *et al.* Reply to The small molecule CLP257 does not modify activity of the K^+-Cl^- co-transporter KCC2 but does potentiate $GABA_A$ receptor activity. *Nat. Med.* **23(12)**, 1396-1398 (2017).
4. Ferrini, F., Lorenzo, L.-E., Godin, A.G., *et al.* Enhancing KCC2 function counteracts morphine-induced hyperalgesia. *Sci. Rep.* **7(1)**, 3870 (2017).

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