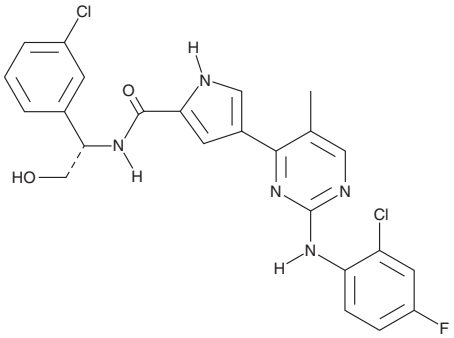
PRODUCT INFORMATION

TCS ERK 11e

Item No. 19932

CAS Registry No.:	896720-20-0
Formal Name:	4-[2-[(2-chloro-4-fluorophenyl)amino]-5-methyl-4-pyrimidinyl]-N-[(1S)-1-(3-chlorophenyl)-2-hydroxyethyl]-1H-pyrrole-2-carboxamide
Synonyms:	TCS Extracellular Signal-Related Kinase 11e, VX-11e
MF:	$C_{24}H_{20}Cl_2FN_5O_2$
FW:	500.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 266, 329 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

TCS ERK 11e is supplied as a crystalline solid. A stock solution may be made by dissolving the TCS ERK 11e in the solvent of choice, which should be purged with an inert gas. TCS ERK 11e is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of TCS ERK 11e in ethanol is approximately 1 mg/ml and approximately 25 mg/ml in DMSLO and DMF.

TCS ERK 11e is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TCS ERK 11e should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. TCS ERK 11e has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

TCS ERK 11e is an orally bioavailable inhibitor of extracellular signal-related kinase 2 (ERK2; K_i <2 nM).[1] It is over 200-fold selective against related kinases GSK3, Aurora Kinase A, and Cdk2 with K_i values of 395, 540, and 852 nM, respectively.[1] TCS ERK 11e has been shown to block the proliferation of HT29 cells with an IC_{50} value of 48 nM.[1]

Reference

1. Aronov, A. M., Tang, Q., Martinez-Botella, G., *et al.* Structure-guided design of potent and selective pyrimidylpyrrole inhibitors of extracellular signal-regulated kinase (ERK) using conformational control. *J. Med. Chem.* **52(20)**, 6362-6368 (2009).

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