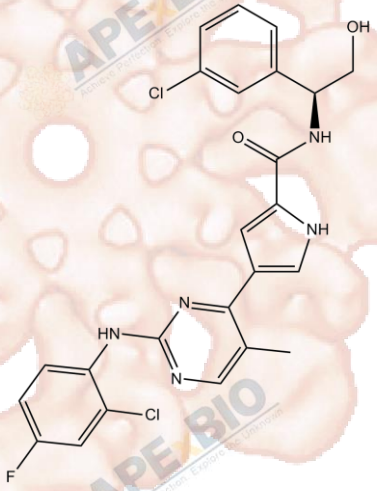
Product Data Sheet

VX-11e

Cat. No.:	A3931
CAS No.:	896720-20-0
Formula:	C24H20Cl2FN5O2
M.Wt:	500.35
Synonyms:	VX 11e, VX11e
Target:	MAPK Signaling
Pathway:	ERK
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

⩾25 mg/mL in DMSO; insoluble in H2O; ⩾12.65 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.9986 mL	9.9930 mL	19.9860 mL
5 mM	0.3997 mL	1.9986 mL	3.9972 mL
10 mM	0.1999 mL	0.9993 mL	1.9986 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	ERK inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	HT29 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below

		-20°C for several months.
	Reacting conditions:	≤ 10 μM; 48 hrs
	Applications:	In HT29 cells, VX-11e potently inhibited cell proliferation with an IC50 value of 48 nM.
In Vivo	**Animal experiment**	
	Animal models:	NSG mice bearing human melanoma RPDX tumors
	Dosage form:	50 mg/kg; p.o.; b.i.d.
	Applications:	In NSG mice bearing human melanoma RPDX tumors, VX-11e (50 mg/kg, p.o.) potently inhibited pRSK and tumor growth. VX-11e, combined with BKM120, resulted in significantly improved tumor growth inhibition.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Zheng L, Guo Q, et al. "Transcriptional factor six2 promotes the competitive endogenous RNA network between CYP4Z1 and pseudogene CYP4Z2P responsible for maintaining the stemness of breast cancer cells." J Hematol Oncol. 2019 Mar 4;12(1):23.PMID:30832689

2. Gao L, Guo Q, et al. "MiR-873/PD-L1 axis regulates the stemness of breast cancer cells." EBioMedicine. 2019 Feb 22. pii: S2352-3964(19)30112-4.PMID:30803931

3. ris Cameron Wood,Peter Saville Winter. "Compositions and Methods for Treating Cancer with JAK2 Activity." US Patent App. 15/027,216, 2016.

4. Zheng, Lufeng, et al. "The 3′ UTR of the pseudogene CYP4Z2P promotes tumor angiogenesis in breast cancer by acting as a ceRNA for CYP4Z1." Breast cancer research and treatment (2015): 1-14.PMID:25701119

5. Winter PS, et al. "RAS signaling promotes resistance to JAK inhibitors by suppressing BAD-mediated apoptosis." Sci Signal. 2014 Dec 23.PMID:25538080

See more customer validations on www.apexbt.com.

References

[1]. Aronov, Alex M, Tang Q, et al. Martinez-Botella, Gabriel et al. Structure-Guided Design of Potent and Selective Pyrimidylpyrrole Inhibitors of Extracellular Signal-Regulated Kinase (ERK) Using Conformational Control. Journal of Medicinal Chemistry, 2009, 52(20): 6362-6368.

[2]. Krepler C, Xiao M, Sproesser K, et al. Personalized Preclinical Trials in BRAF Inhibitor-Resistant Patient-Derived Xenograft Models Identify Second-Line Combination Therapies. Clin Cancer Res. 2016 Apr 1;22(7):1592-602.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com