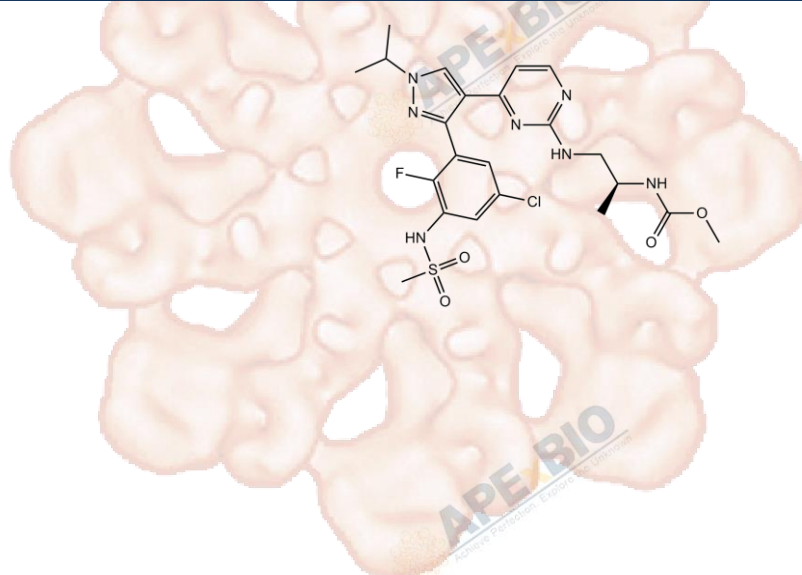


Product Data Sheet

LGX818

Cat. No.:	B1174
CAS No.:	1269440-17-6
Formula:	C22H27ClFN7O4S
M.Wt:	540.01
Synonyms:	
Target:	MAPK Signaling
Pathway:	Raf
Storage:	Store at -20°C



Solvent & Solubility

insoluble in EtOH; insoluble in H₂O; ≥13.38 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	1.8518 mL	9.2591 mL	18.5182 mL
	5 mM	0.3704 mL	1.8518 mL	3.7036 mL
	10 mM	0.1852 mL	0.9259 mL	1.8518 mL

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

Biological Activity

Shortsummary

RAF inhibitor,potent and selective

IC₅₀ & Target

4 nM (EC50) (B-RAF(V600E))

In Vitro

Cell Viability Assay

Cell Line:	Human melanoma A375 (BRAFV600E) 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:	3 ~ 900 nM

	Applications:	In human melanoma A375 (BRAFFV600E) cells, LGX818 suppressed phospho-ERK (EC50 = 3 nM), thus potently inhibiting cell proliferation (EC50 = 4 nM). Meanwhile, LGX818 did not show any significant inhibition against a panel of 100 kinases (IC50 > 900 nM) as well as proliferation of > 400 cell lines expressing wild-type BRAF. In addition, biochemical assays showed that the dissociation half-life of LGX818 was >24 hrs. Thus, the inhibition effect of LGX818 remained following drug wash-out.
In Vivo	Animal experiment	
	Animal models:	BRAF mutant or wild-type human tumor xenograft models
	Dosage form:	1 ~ 300 mg/kg; p.o.
	Applications:	At an oral dose as low as 6 mg/kg, LGX818 potently (75%) and continuously (> 24 hrs) decreased phospho-MEK in human melanoma xenograft models (BRAFFV600E). In nude mice and rats bearing multiple BRAF mutant human tumors, LGX818 induced tumor regression at the dose as low as 1 mg/kg. Moreover, for BRAF wild-type tumors, LGX818 did not show any activity at the dose up to 300 mg/kg (b.i.d.), with good tolerability and linear increase in exposure.
	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

See more customer validations on www.apexbt.com.

References

[1]. Stuart D D, Li N, Poon D J, et al. Preclinical profile of LGX818: A potent and selective RAF kinase inhibitor. Cancer Research, 2012, 72(8 Supplement): 3790.

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 APEX BIO 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.



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