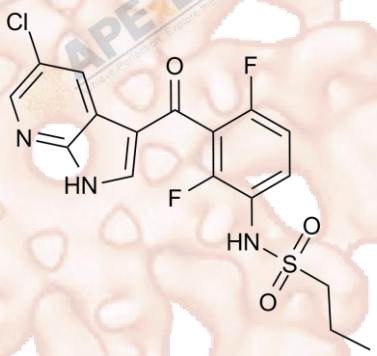
Product Data Sheet

PLX-4720



Cat. No.:	A3016
CAS No.:	918505-84-7
Formula:	C17H14ClF2N3O3S
M.Wt:	413.83
Synonyms:	PLX4720, PLX-4720, PLX 4720
Target:	MAPK Signaling
Pathway:	Raf
Storage:	Store at 4°C

Solvent & Solubility

In Vitro

≥20.69 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.4165 mL	12.0823 mL	24.1645 mL
5 mM	0.4833 mL	2.4165 mL	4.8329 mL
10 mM	0.2416 mL	1.2082 mL	2.4165 mL

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

Biological Activity

Shortsummary	BRAF kinase inhibitor
IC_{50} & Target	13 nM (B-RafV600E), 6.7 nM (c-Raf-1Y340D/Y341D)

In Vitro

Cell Viability Assay

Cell Line:	WM793 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:	0.5 μM, 96 hours

	Applications:	Viable cells were identified following 96 h incubation with PLX-4720. Cell viability was further evaluated after re-plating onto non-fibrillar collagen gels, in the continued presence of the drug. Viable cells were identified in ~63% of PLX-4720 treated cultures. These data indicate that melanoma cells harboring a BRAFV600E mutation can survive despite reductions in BRAF activation of the MEK-ERK signaling cascade.
In Vivo	**Animal experiment**	
	Animal models:	Athymic nude mice injected with melanoma A375 cells
	Dosage form:	Intraperitoneal injection, 25–50mg/kg daily
	Applications:	PLX-4720 decreased tumor growth as single therapy. When combined with the CRM1 inhibitor KPT-276 (75 mg/kg every day), the two inhibitors induced complete tumor regression per RECIST criteria. The difference between both single therapy and the combination therapy was statistically significant. The effect on apoptosis was believed to be the greatest contribution of the combination since it was significantly increased by the drug combination.
	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. Sieber J, Wieder N, et al. "GDC-0879, a BRAF(V600E) Inhibitor, Protects Kidney Podocytes fromDeath." Cell Chem Biol. 2017 Dec 6.PMID:29249695

See more customer validations on www.apexbt.com.

References

[1] Klein R M, Higgins P J. A switch in RND3-RHOA signaling is critical for melanoma cell invasion following mutant-BRAF inhibition. Mol Cancer, 2011, 10: 114.

[2] Fragomeni R A S, Chung H W, Landesman Y, et al. CRM1 and BRAF inhibition synergize and induce tumor regression in BRAF-mutant melanoma. Molecular cancer therapeutics, 2013, 12(7): 1171-1179.

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.

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