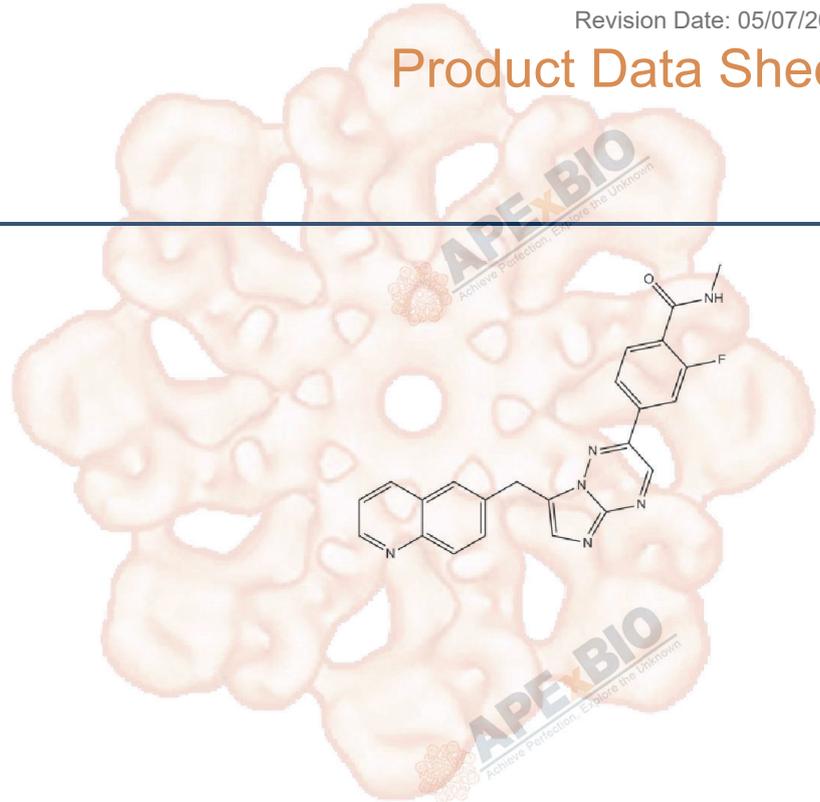


# Product Data Sheet

## INCB28060

<b>Cat. No.:</b>	A8448
<b>CAS No.:</b>	1029712-80-8
<b>Formula:</b>	C23H17FN6O
<b>M.Wt:</b>	412.42
<b>Synonyms:</b>	
<b>Target:</b>	Tyrosine Kinase
<b>Pathway:</b>	c-MET
<b>Storage:</b>	Store at -20°C



## Solvent & Solubility

insoluble in EtOH; insoluble in H<sub>2</sub>O; ≥5.15 mg/mL in DMSO with gentle warming

In Vitro	Preparing Stock Solutions	Mass			
		Solvent Concentration	1mg	5mg	10mg
		1 mM	2.4247 mL	12.1236 mL	24.2471 mL
		5 mM	0.4849 mL	2.4247 mL	4.8494 mL
		10 mM	0.2425 mL	1.2124 mL	2.4247 mL

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

## Biological Activity

Shortsummary	C-Met inhibitor,ATP-competitive and novel
IC <sub>50</sub> & Target	0.13 nM (c-MET)
In Vitro	<b>Cell Viability Assay</b> Cell Line: Human cancer cell lines (SNU-5, SNU-1, U-87MG, 786-O, A549, H441, H596, H1437, H1993, BT474, A549, and HT-29), MKN-45 cell line, S114 cell line, Blood samples obtained from healthy volunteers and cancer patients
	Preparation method: Limited solubility in DMSO. 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:	IC50: 0.13 nM (c-MET), 72-hour
	Applications:	INCB28060 (IC50: 1 nM) inhibited human c-MET phosphorylation and c-MET-mediated signaling in the SNU-5 human gastric cancer cell line. INCB28060 inhibited SNU-5 viability or proliferation with an average IC50 value of 1.2 nM. INCB28060 (2 nM) prevented HGF-stimulated H441 cell migration. INCB28060 exhibited strong antitumor activity in c-MET-dependent tumor models. INCB28060 exhibited picomolar enzymatic potency and was highly specific for c-MET with more than 10,000-fold selectivity over a large panel of human kinases. INCB28060 (24 hours) potently inhibited c-MET-dependent tumor cell proliferation and migration and effectively induced apoptosis.
In Vivo	<b>Animal experiment</b>	
	Animal models:	Female Balb/c nu/nu mice (Charles River) subcutaneously injected with S114 tumor cells or U-87MG glioblastoma tumor cells
	Dosage form:	0.03, 0.1, 0.3, 1, 3, or 10 mg/kg
	Applications:	Oral dosing of INCB28060 resulted in time- and dose-dependent inhibition of c-MET phosphorylation and tumor growth in c-MET-driven mouse tumor models. INCB28060 was well tolerated at doses that achieve complete tumor inhibition. Once daily dosing of 10 mg/kg INCB28060 resulted in partial regressions in 6 of 10 U-87MG tumor-bearing mice.
	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](http://www.apexbt.com).

## References

- [1]. Behshad E, Klabe R M, Margulis A, et al. Phosphorylation state-dependent high throughput screening of the c-MET kinase[J]. Current chemical genomics, 2010, 4: 27.
- [2]. Liu X, Wang Q, Yang G, et al. A novel kinase inhibitor INCB28060 blocks c-MET-dependent signaling, neoplastic activities, and crosstalk with EGFR and HER-3[J]. Clinical Cancer Research, 2011: clincanres. 1157.2011.

## 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](http://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](mailto:info@apexbt.com)

