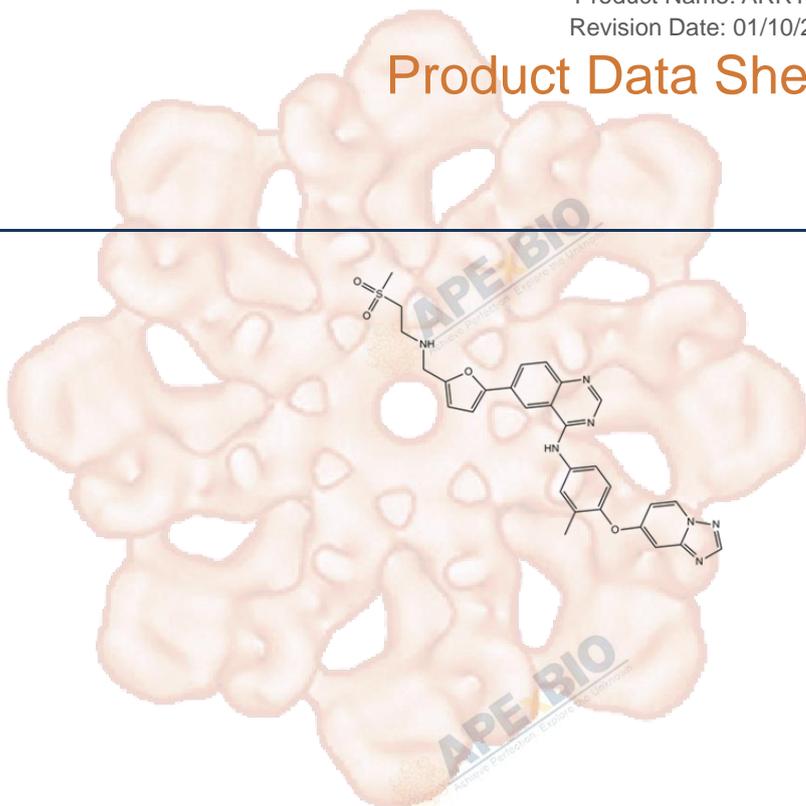


Product Data Sheet

ARRY-380

Cat. No.:	A8366
CAS No.:	937265-83-3
Formula:	C ₂₉ H ₂₇ N ₇ O ₄ S
M.Wt:	569.63
Synonyms:	ARRY380; ARRY 380
Target:	JAK/STAT Signaling
Pathway:	EGFR
Storage:	Store at -20°C



Solvent & Solubility

≥28.5 mg/mL in DMSO; insoluble in EtOH; insoluble in H₂O

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	1.7555 mL	8.7776 mL	17.5553 mL
	5 mM	0.3511 mL	1.7555 mL	3.5111 mL
	10 mM	0.1756 mL	0.8778 mL	1.7555 mL

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

Biological Activity

Shortsummary

Tyrosine kinase HER2 and p95-HER2 inhibitor

IC₅₀ & Target

7 nM (p95-HER2), 8 nM (HER2), 4 μM (EGFR)

In Vitro

Cell Viability Assay

Cell Line:	BT474 cells, NIH-3T3 cells
Preparation method:	Soluble in DMSO >28.5mg/mL. 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:	N/A

	Applications:	ARRY-380 is an orally active, selective, small molecule inhibitor of ErbB2(human epidermal growth factor receptor-2). Its activity (IC50) against ErbB2 enzyme is 14 nM and it inhibits the phosphorylation of ErbB2 in BT474 cells in culture with an IC 50 of 21 nM. ARRY-380 also potently inhibits phosphorylation of AKT(protein kinase B), induces apoptosis and inhibits growth of BT474 cells in vitro. Marked tumor growth inhibition has been demonstrated in NIH-3T3 cells stably transfected with constitutively active ErbB2 kinase (3T3-rErbB2).
In Vivo	Animal experiment	
	Dosage form:	Dose-escalation cohorts(HER2+ cancer): A starting dose of 25 mg BID(twice-daily)was utilized with additional cohorts at planned dose levels of 50, 100, 200, 300, 500, 650 and 800 mg dosing in a fed state in continuous 28-day cycles (600mg BID was the MTD(maximum tolerated dose)) in Cycle 1.expansion cohort(HER2+MBC): 600mg BID in continuous 28-day cycles in Cycle 2.
	Applications:	ARRY-380 had a lower incidence and severity of diarrhea and rash than that typically associated with current dual HER2/EGFR (Epidermal Growth Factor Receptor) inhibitors and showed notable anti-tumor activity in heavily pretreated HER2+MBC patients, supporting its continued development.
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]. Pheneger, T., et al., In vitro and in vivo activity of ARRY-380: A potent, small molecule inhibitor of ErbB2. Presented at the American Association of Cancer Research 100th Annual Meeting Apr 18-22, 2009; Cancer Res 69 (abstr 1795).
- [2]. Moulder SL1, Borges VF2, et al, Phase I Study of ONT-380, a HER2 Inhibitor, in Patients with HER2+-Advanced Solid Tumors, with an Expansion Cohort in HER2+ Metastatic Breast Cancer (MBC). Clin Cancer Res. 2017 Jul 15;23(14):3529-3536. doi: 10.1158/1078-0432.CCR-16-1496. Epub 2017 Jan 4.

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

