ARRY-380

Cat. No.: A8366
CAS No.: 937265-83-3
Formula: C29H27N7O4S
M.Wt: 569.63
Synonyms: ARRY380; ARRY 380
Target: JAK/STAT Signaling
Pathway: EGFR
Storage: Store at -20°C

Solvent & Solubility

≥28.5mg/mL in DMSO

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Solvent Concentration</td>
<td>1 mM</td>
<td>5 mg</td>
<td>10 mg</td>
</tr>
<tr>
<td></td>
<td>1 mM</td>
<td>1.7555 mL</td>
<td>8.7776 mL</td>
<td>17.5553 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3511 mL</td>
<td>1.7555 mL</td>
<td>3.5111 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1756 mL</td>
<td>0.8778 mL</td>
<td>1.7555 mL</td>
</tr>
</tbody>
</table>

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)

Cell Viability Assay

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>BT474 cells, NIH-3T3 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparation method:</td>
<td>Soluble in DMSO &gt;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.</td>
</tr>
<tr>
<td>Reacting conditions:</td>
<td>N/A</td>
</tr>
<tr>
<td>Applications:</td>
<td>ARRY-380 is an orally active, selective, small molecule inhibitor of</td>
</tr>
</tbody>
</table>
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).

### 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 (600 mg BID was the MTD (maximum tolerated dose)) in Cycle 1. Expansion cohort (HER2+ MBC): 600 mg 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.

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## Product Citations

See more customer validations on [www.apexbt.com](http://www.apexbt.com).

## References


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**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

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