**Product Data Sheet**

### Chemical Properties

**Product Name:** EGFR/ErbB2 Inhibitor  
**Cas No.:** 179248-61-4  
**M.Wt:** 387.4  
**Formula:** C23H21N3O3

**Chemical Name:** 6,7-dimethoxy-N-[4-(phenylmethoxy)phenyl]-4-quinazolinamine  
**Canonical SMILES:** COC1=C(OC)C=C(C(NC2=CC=C(OCC3=CC=CC=C3)C=C2)=NC=N4)C4=C1

**Solubility:** $\leq 1\text{mg/ml}$ in DMSO; 10mg/ml in dimethyl formamide  
**Storage:** Store at $-20^\circ\text{C}$  
**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^\circ\text{C}$ for several months.

**Shopping Condition:** Evaluation sample solution: ship with blue ice  
All other available size: ship with RT, or blue ice upon request

### Biological Activity

**Targets:** Tyrosine Kinase  
**Pathways:** EGFR

**Description:**  
IC50: 20 and 79 nM for EGFR and c-ErbB2, respectively

EGFR/ErbB2 Inhibitor is an EGFR and c-ErbB2 inhibitor.

EGFR and c-ErbB-2 are members of the epidermal growth factor receptor subfamily of protein tyrosine kinases. Their cellular overexpression causes transformation, so that the cells will grow in the absence of growth factors. An inhibitor of these kinases should block the receptor signalling,
subsequent transformation and inappropriate growth.

In vitro: EGFR/ErbB2 Inhibitor was identified as a potent inhibitor of both c-erbB-2 and EGFr ([IC50: 0.079 μM for c-erbB-2, 0.020 μM for EGFr (isolated enzyme), 2.0 μM for HB4aC5.2 cells, 1.2 μM for BT474, both overexpressing c-erbB-2; 2.5 μM for HN5 cells overexpressing EGFr]. This combined potency was contrast to smaller, previously reported anilinoquinazolines and its subsequent analogues [1].

In vivo: GW974, a analog of EGFR/ErbB2 Inhibitor, was evaluated in the fast growing N87 gastric tumour xenograft in SCID mice. Results showed that GW974 at bid dose of 10 mg/kg po led to a 50% inhibition of tumour growth over the 20-day dosing period. Moreover, GW974 at 10 mg/kg po bid had complete inhibition of tumour growth over the 20-day dosing period in the BT474 breast tumour xenograft model. A longer-term study with initial dosing at 30 mg/kg po bid produced tumour shrinkage [1].

Clinical trial: Up to now, EGFR/ErbB2 Inhibitor is still in the preclinical development stage.

Reference:

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.