

# A-966492

Catalog No: tcsc3422



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg



## Specifications

**CAS No:**

934162-61-5

**Formula:**

$C_{18}H_{17}FN_4O$

**Pathway:**

Epigenetics;Cell Cycle/DNA Damage

**Target:**

PARP;PARP

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Observed Molecular Weight:**

324.35

## Product Description

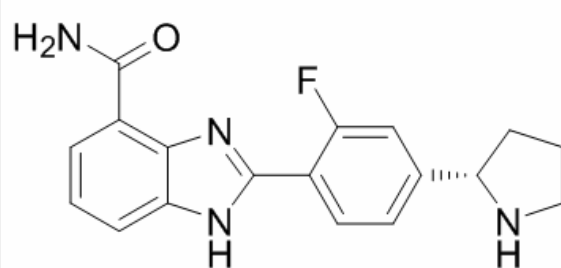
A-966492 is a novel and potent inhibitor of **PARP1** and **PARP2** with **K<sub>i</sub>** of 1 nM and 1.5 nM, respectively.

IC50 & Target: Ki: 1 nM (PARP1), 1.5 nM (PARP2)<sup>[1]</sup>

***In Vitro:***

A-966492 is one of the most potent PARP inhibitors. A-966492 displays excellent potency against the PARP-1 enzyme with a  $K_i$  of 1 nM and an  $EC_{50}$  of 1 nM in a whole cell assay. A-966492 significantly enhances the efficacy of TMZ in a dose-dependent manner. In addition, A-966492 is orally bioavailable across multiple species, crosses the blood–brain barrier, and appears to distribute into tumor tissue. A-966492 represents a promising, structurally diverse benzimidazole analogue and is being further characterized preclinically<sup>[1]</sup>.

**In Vivo:** A-966492 demonstrates good in vivo efficacy in a B16F10 subcutaneous murine melanoma model in combination with temozolomide and in an MX-1 breast cancer xenograft model both as a single agent and in combination with carboplatin. In addition, A-966492 has excellent pharmaceutical properties and has demonstrated in vivo efficacy in preclinical mouse tumor models in combination with TMZ and carboplatin, as well as single agent activity in a BRCA1-deficient MX-1 tumor model. A-966492 is further characterized in Sprague–Dawley rats, beagle dogs, and cynomolgus monkeys, with A-966492 demonstrating oral bioavailabilities of 34–72% and half-lives of 1.7–1.9 hours. In vivo, A-966492 demonstrates significant enhancement of the efficacy of TMZ in a murine B16F10 syngeneic melanoma model, with the A-966492 combination groups showing superior efficacy<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!